

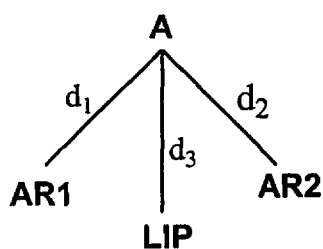


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CLAIMS**What is claimed is:**

1. A carbon-containing compound
 - i) having a molecular mass of less than 700 amu;
 - ii) that is nonpeptidic and non-peptidomimetic;
 - iii) that exhibits C5a antagonist activity with an IC₅₀ of less than 200 nM in an assay of C5a mediated chemotaxis or calcium mobilization; and
 - iv) that exhibits less than 10% agonist activity in a GTP binding assay.
2. A compound according to claim 1, which contains one or more heteroaryl rings.

3. A compound according to Claim 1 of the formula:



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AR1 and AR2 are independently carbocyclic aryl or heteroaryl;

LIP represents an alkyl, cycloalkyl, carbocyclic aryl, heteroaryl, or arylalkyl;

A is oxygen or nitrogen;

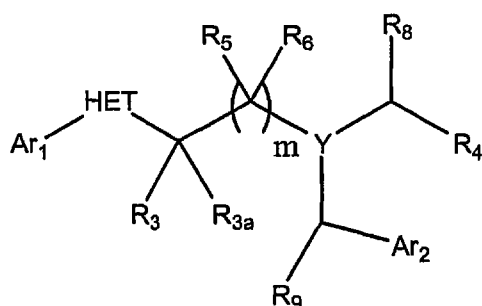
d₁ represents the distance between A and the geometric center of AR1 and is between 3 and 6 angstroms in at least one energetically accessible conformer of the compound;

d₂ represents the distance between A and the geometric center of AR2 and is between 5 and 10 angstroms in at least one energetically accessible conformer of the compound; and

d₃ represents the distance between A and the nearest atom of LIP and is between 3 and 6 angstroms in at least one energetically accessible conformer of the compound.

4. A compound of claim 1, 2 or 3 that is an optionally substituted arylimidazole, an optionally substituted arylpyridyl, an optionally substituted aryl-substituted cycloalkylimidazole, an optionally substituted arylpyrazole, an optionally substituted benzimidazole, an optionally substituted aryl-substituted tetrahydroisoquinoline, or an optionally substituted biaryl carboxamide.

5. A compound of the formula:



or a pharmaceutically acceptable salt, prodrug or hydrate thereof,

wherein:

the ring system represented by HET is any optionally substituted heterocycle

comprising a nitrogen or oxygen that can act as a hydrogen bond acceptor;

Y is N or CH;

m is 0, 1, or 2;

R₃, R_{3A}, R₅, and R₆ are independently selected from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, and optionally substituted (cycloalkyl)alkyl;

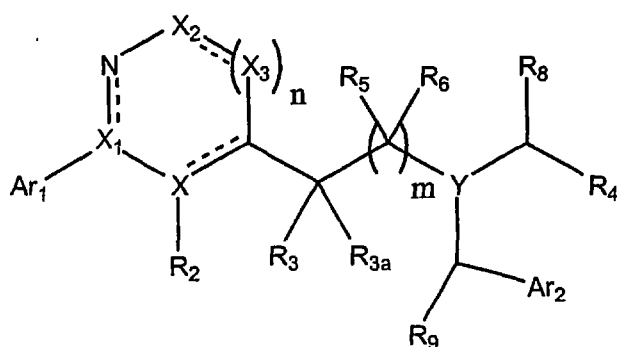
R₄ is alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl each of which may be optionally substituted; or

R_4 is optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms; and

Ar_1 and Ar_2 are independently optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms.

R_8 and R_9 are independently chosen from H or optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, (cycloalkyl)alkyl, haloalkyl, or the like.

6. A compound of the formula:



or a pharmaceutically acceptable salt, prodrug or hydrate thereof,

wherein:

m is 0, 1, or 2;

n is 0 or 1,

X and X_1 are independently chosen from C and N,

X_2 is C- R_1 or N,

X_3 is C-R or N,

R and R_1 are independently chosen from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted (cycloalkyl)alkyl,

optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms;

R₂, R₃, R_{3A}, R₅, and R₆ are independently selected from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, and optionally substituted (cycloalkyl)alkyl;

when n is 0, R₁ and R₃ may be joined to form a cycloalkyl or heterocycloalkyl ring, each of which may be optionally substituted;

when n is 1, R and R₃ may be joined to form a cycloalkyl or heterocycloalkyl ring, each of which may be optionally substituted;

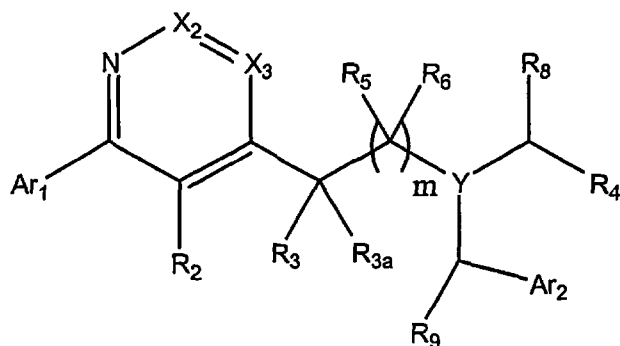
R₄ is alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl each of which may be optionally substituted; or

R₄ is optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms; and

Ar₁ and Ar₂ are independently optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms.

R₈ and R₉ are independently chosen from H or optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, (cycloalkyl)alkyl, haloalkyl, or the like.

7. A compound of the formula:



or a pharmaceutically acceptable salt, prodrug or hydrate thereof,

wherein:

m is 0, 1, or 2;

X_2 is C- R_1 or N,

X_3 is C-R or N,

R and R_1 are independently chosen from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted (cycloalkyl)alkyl, optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms;

R_2 , R_3 , R_{3A} , R_5 , and R_6 are independently selected from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, and optionally substituted (cycloalkyl)alkyl;

R and R_3 may be joined to form a cycloalkyl or heterocycloalkyl ring, each of which may be optionally substituted;

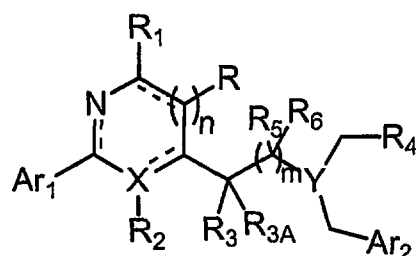
R_4 is alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl each of which may be optionally substituted; or

R_4 is optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms; and

Ar₁ and Ar₂ are independently optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms.

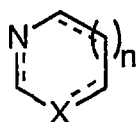
R₈ and R₉ are independently chosen from H or optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, (cycloalkyl)alkyl, haloalkyl, or the like.

8. A compound of the formula:



or a pharmaceutically acceptable salt, prodrug or hydrate thereof,
wherein:

the ring system represented by



is a 5 to 7 membered heterocycle that may be either aromatic or partially unsaturated;

X is N or C;

Y is N or CH;

n is 0, 1, or 2;

m is 0, 1, or 2;

R and R₁ are independently chosen from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted (cycloalkyl)alkyl,

optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms;

R₂, R₃, R_{3A}, R₅, and R₆ are independently selected from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, and optionally substituted (cycloalkyl)alkyl;

when n is 0, R₁ and R₃ may be joined to form a cycloalkyl or heterocycloalkyl ring, each of which may be optionally substituted;

when n is 1, R and R₃ may be joined to form a cycloalkyl or heterocycloalkyl ring, each of which may be optionally substituted;

R₄ is alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl each of which may be optionally substituted; or

R₄ is optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms; and

Ar₁ and Ar₂ are independently optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms.

9. A compound according to Claim 8, wherein

R and R₁ are independently selected from

i) hydrogen, halogen, hydroxy, amino, alkoxy, mono- or dialkylamino, cyano, nitro, haloalkyl, and

ii) alkyl, alkenyl, alkynyl, cycloalkyl, and (cycloalkyl)alkyl, each of which may be unsubstituted or substituted by one or more of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkoxy, amino, and mono- or dialkylamino,

iii) phenyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, and mono- or dialkylamino;

R₂ is hydrogen, hydroxy, halogen, amino, cyano, nitro, or haloalkyl, or

R₂ is alkoxy, mono- or dialkylamino, alkyl, alkenyl, alkynyl or (cycloalkyl)alkyl, each of which may be unsubstituted or substituted by one or more of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkoxy, amino, and mono- or dialkylamino;

R₃, R_{3A}, R₅, and R₆ are independently selected from

i) hydrogen, halogen, hydroxy, amino, alkoxy, mono- or dialkylamino, cyano, nitro, haloalkyl, and

ii) alkyl, alkenyl, alkynyl, cycloalkyl, and (cycloalkyl)alkyl, each of which may be unsubstituted or substituted by one or more of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkoxy, amino, and mono- or dialkylamino;

when n is 0, R₁ and R₃ may be joined to form a cycloalkyl or heterocycloalkyl ring, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, mono- or dialkylamino;

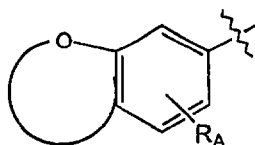
when n is 1, R and R₃ may be joined to form a cycloalkyl or heterocycloalkyl ring, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, and mono- or dialkylamino;

R₄ is alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, each of which may be unsubstituted or substituted with

one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, and mono- or dialkylamino; or

R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinolinyl, isoquinolinyl, cinnolinyl, quinazolinyl, or quinoxalinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, mono- or dialkylamino, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or dialkylaminocarbonyl, N-alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidinyl, and 1-piperidyl; or

R₄ is a bicyclic oxygen-containing group of the formula:



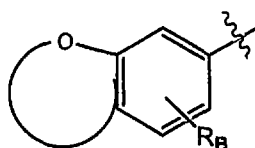
wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, and mono- or dialkylamino; and

Ar₁ and Ar₂ are independently chosen from

i) phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinolinyl, isoquinolinyl,

cinnolinyl, quinazolinyl, or quinoxalinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, mono- or dialkylamino, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or dialkylaminocarbonyl, N-alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidinyl, and 1-piperidyl, and

ii) bicyclic oxygen-containing groups of the formula:



wherein R_B represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, and mono- or dialkylamino.

10. A compound according to Claim 8, wherein

R and R_1 are independently selected from

- i) hydrogen, halogen, hydroxy, amino, C_1 - C_6 alkoxy, mono- or di(C_1 - C_6)alkylamino, cyano, nitro, haloalkyl, and
- ii) C_1 - C_8 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_8 cycloalkyl, and (C_3 - C_8)cycloalkyl) C_1 - C_3 alkyl, each of which may be unsubstituted or substituted by one or more of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkoxy, amino, and mono- or di(C_1 - C_6)alkylamino,
- iii) phenyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy,

C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino;

when n is 0, R₁ and R₃ may be joined to form a C₃-C₈ cycloalkyl or C₃-C₈ heterocycloalkyl ring, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

when n is 1, R and R₃ may be joined to form a C₃-C₈ cycloalkyl or C₃-C₈ heterocycloalkyl ring, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino;

R₂ is hydrogen, hydroxy, halogen, amino, cyano, nitro, or haloalkyl,

R₂ is alkoxy, mono- or di(C₁-C₆)alkylamino, C₁-C₆ alkyl, C₂-C₆alkenyl, C₂-C₆ alkynyl or (C₃-C₈cycloalkyl) C₁-C₃alkyl, each of which may be unsubstituted or substituted by one or more of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino;

R₃, R_{3A}, R₅, and R₆ are independently selected from

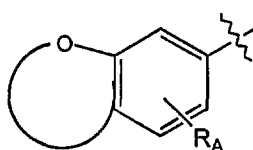
- i) hydrogen, halogen, hydroxy, amino, C₁-C₆ alkoxy, mono- or di(C₁-C₆)alkylamino, cyano, nitro, haloalkyl, and
- ii) C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl, and (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted by one or more of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

R₄ is C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl, (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted with

one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino; or

R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenyl(C₁-C₄)alkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinoliny, isoquinoliny, cinnoliny, quinazoliny, or quinoxaliny, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C₁-C₆)alkylaminocarbonyl, N-(C₁-C₆)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, and 1-piperidyl; or

R₄ is a bicyclic oxygen-containing group of the formula:

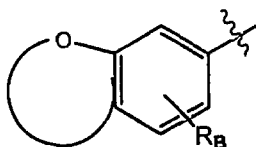


wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino; and

Ar₁ and Ar₂ are independently chosen from phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenyl(C₁-C₄)alkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl,

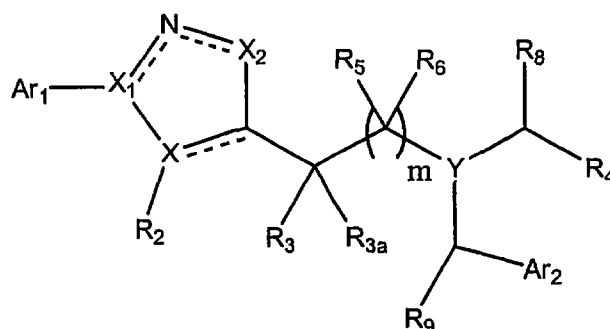
naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinoliny, isoquinoliny, cinnoliny, quinazoliny, or quinoxaliny, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C₁-C₆)alkylaminocarbonyl, N-(C₁-C₆)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, and 1-piperidyl; and

ii) bicyclic oxygen-containing groups of the formula:



wherein R_B represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

11. A compound according to Claim 8 of the formula:



wherein:

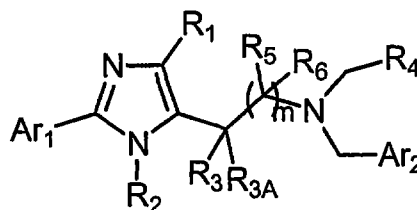
X and X₁ are independently chosen from C and N;

X₂ is C-R₁ or N;

m, Ar₁, Ar₂, R₁, R₂, R₃, R_{3A}, R₄, R₅, and R₆ are as defined in Claim 8;

R₈ and R₉ are independently chosen from H or optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, (cycloalkyl)alkyl, haloalkyl, or the like.

12. A compound of the formula:



wherein:

m is 0, 1, or 2;

R₁ is chosen from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted (cycloalkyl)alkyl, optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms;

R₂ is chosen from optionally substituted C₁-C₈ alkyl, optionally substituted C₃-C₈ cycloalkyl, optionally substituted C₃-C₈ cycloalkyl(C₁-C₈)alkyl, optionally substituted C₂-C₈ alkenyl, optionally substituted C₂-C₈ alkynyl, haloalkyl, aminoalkyl, each of which may be unsubstituted or preferably substituted with one or more substituents selected from oxo (e.g. carbonyl), hydroxy, alkoxy, amide, ester, cyano, acetoxy or nitro.

R₃, R_{3A}, R₅, and R₆ are independently selected from hydrogen, hydroxy, halogen, amino, cyano, nitro, haloalkyl, alkoxy, mono- or dialkylamino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, and optionally substituted (cycloalkyl)alkyl;

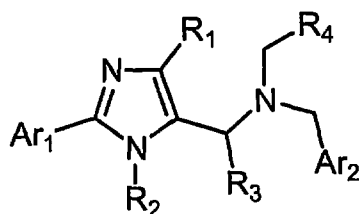
R₁ and R₃ may be joined to form a cycloalkyl or heterocycloalkyl ring, each of which may be optionally substituted;

R₄ is alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl each of which may be optionally substituted; or

R₄ is optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms; and

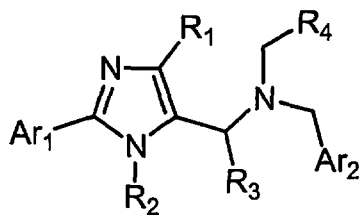
Ar₁ and Ar₂ are independently optionally substituted carbocyclic aryl, optionally substituted arylalkyl, optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms.

13. A compound according to Claim 12 of the formula:



wherein m, Ar₁, Ar₂, R₁, R₂, R₃, and R₄ are as defined in Claim 12.

14. A compound according to Claim 12 of the formula:



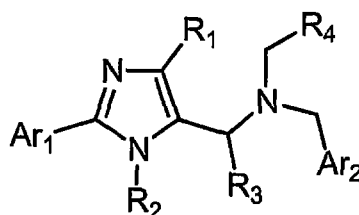
wherein:

R₁ is hydrogen, C₁-C₇ alkyl, halogen or phenyl optionally substituted with C₁-C₆ alkyl, C₁-C₆ alkoxy, halogen, hydroxy, amino, or mono- or di(C₁-C₆)alkylamino;

R₂ is C₁-C₈ alkyl or C₃-C₈ cycloalkyl; and

R₃ is hydrogen or C₁-C₇ alkyl.

15. A compound according to Claim 12 of the formula:



wherein:

Ar₁ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, thienyl, imidazolyl, pyridyl, pyrimidyl, benzodioxinyl, benzodioxolyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

Ar₂ is defined as in Claim 12;

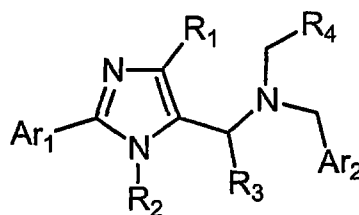
R₁ is hydrogen, C₁-C₇ alkyl, halogen or phenyl optionally substituted with C₁-C₆ alkyl, C₁-C₆ alkoxy, halogen, hydroxy, amino, or mono- or di(C₁-C₆)alkylamino;

R₂ is C₁-C₈ alkyl or C₃-C₈ cycloalkyl; and

R₃ is hydrogen or C₁-C₇ alkyl; and

R₄ is C₁-C₈ alkyl, C₃-C₈ cycloalkyl, or (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

16. A compound according to Claim 12 of the formula:



wherein:

Ar₁ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, thienyl, imidazolyl, pyridyl, pyrimidyl, benzodioxinyl, benzodioxolyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

Ar₂ is defined as in Claim 12;

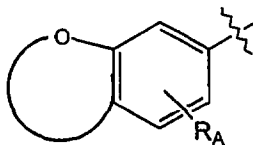
R₁ is hydrogen, C₁-C₇ alkyl, halogen or phenyl optionally substituted with C₁-C₆ alkyl, C₁-C₆ alkoxy, halogen, hydroxy, amino, or mono- or di(C₁-C₆)alkylamino;

R₂ is C₁-C₈ alkyl or C₃-C₈ cycloalkyl; and

R₃ is hydrogen or C₁-C₇ alkyl; and

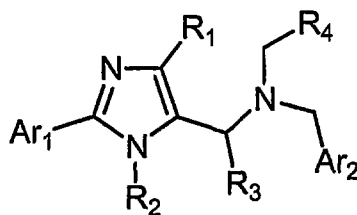
R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenyl(C₁-C₄)alkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinolinyl, isoquinolinyl, cinnolinyl, quinazolinyl, or quinoxalinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino; or

R₄ is a bicyclic oxygen-containing group of the formula:



wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

17. A compound according to Claim 12 of the formula:



wherein:

Ar₁ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, thienyl, or pyridyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

Ar₂ is defined as in Claim 12;

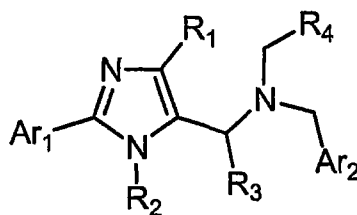
R₁ is hydrogen, methyl, ethyl, or phenyl;

R₂ is C₃-C₈ alkyl or C₃-C₈ cycloalkyl; and

R₃ is hydrogen or methyl; and

R₄ is C₁-C₈ alkyl, C₃-C₈ cycloalkyl, or (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

18. A compound according to Claim 12 of the formula:



wherein:

Ar₁ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, thienyl, or pyridyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

Ar₂ is defined as in Claim 12;

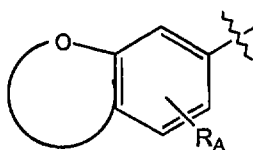
R₁ is hydrogen, methyl, ethyl, or phenyl;

R₂ is C₃-C₈ alkyl or C₃-C₈ cycloalkyl; and

R₃ is hydrogen or methyl; and

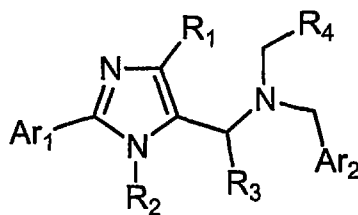
R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenyl(C₁-C₄)alkyl, thienyl, pyridyl, pyrimidyl, pyrazinyl, naphthyl, indolyl, indanyl, benzo[b]thiophenyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinolinyl, isoquinolinyl, quinazolinyl, or quinoxalinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino; or

R₄ is a bicyclic oxygen-containing group of the formula:



wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

19. A compound according to Claim 12 of the formula:

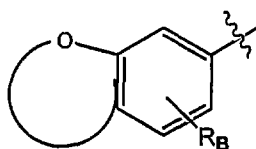


wherein:

Ar₁ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, thienyl, or pyridyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

Ar₂ is chosen from phenyl, phenyl(C₁-C₄)alkyl, thienyl, pyridyl, pyrimidyl, pyrazinyl, naphthyl, indolyl, indanyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinoliny, isoquinoliny, and quinoxaliny, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C₁-C₆)alkylaminocarbonyl, N-(C₁-C₆)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, and 1-piperidyl; or

Ar₂ is a bicyclic oxygen-containing groups of the formula:



wherein R_B represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino;

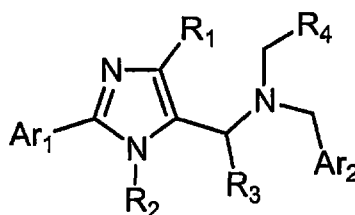
R₁ is hydrogen, methyl, ethyl, or phenyl;

R₂ is C₃-C₈ alkyl or C₃-C₈ cycloalkyl; and

R₃ is hydrogen or methyl; and

R₄ is C₁-C₈ alkyl, C₃-C₈ cycloalkyl, or (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

20. A compound according to Claim 12 of the formula:

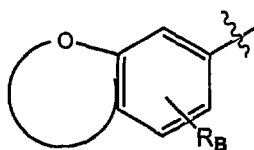


wherein:

Ar₁ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenyl(C₁-C₄)alkyl, thienyl, or pyridyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

Ar₂ is chosen from phenyl, phenyl(C₁-C₄)alkyl, thienyl, pyridyl, pyrimidyl, pyrazinyl, naphthyl, indolyl, indanyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinolinyl, isoquinolinyl, and quinoxalinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C₁-C₆)alkylaminocarbonyl, N-(C₁-C₆)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidinyl, and 1-piperidyl; or

Ar₂ is a bicyclic oxygen-containing groups of the formula:



wherein R_B represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino;

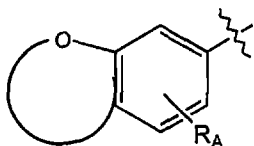
R₁ is hydrogen, methyl, ethyl, or phenyl;

R₂ is C₃-C₈ alkyl or C₃-C₈ cycloalkyl; and

R₃ is hydrogen or methyl; and

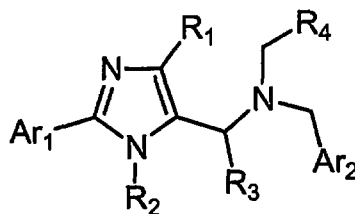
R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenyl(C₁-C₄)alkyl, thienyl, pyridyl, pyrimidyl, pyrazinyl, naphthyl, indolyl, indanyl, benzo[b]thiophenyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinolinyl, isoquinolinyl, quinazolinyl, or quinoxalinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino; or

R₄ is a bicyclic oxygen-containing group of the formula:



wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

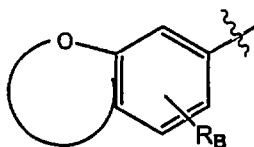
21. A compound according to Claim 12 of the formula:



or a pharmaceutically acceptable salt, prodrug or hydrate thereof, wherein:

Ar₁ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenyl(C₁-C₄)alkyl, thienyl, or pyridyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino;

Ar₂ is a bicyclic oxygen-containing groups of the formula:



wherein R_B represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino;

R₁ is selected from

- i) hydrogen, halogen, hydroxy, amino, C₁-C₆ alkoxy, mono- or di(C₁-C₆)alkylamino, cyano, nitro, haloalkyl, and
- ii) C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl, and (C₃-C₈)cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted by one or more of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkoxy, amino, and mono- or di(C₁-C₆)alkylamino; or

R₁ is selected from

phenyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl,

pyrazinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino;

R₂ and R₃ are independently selected from

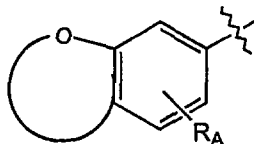
- i) hydrogen, halogen, hydroxy, amino, C₁-C₆ alkoxy, mono- or di(C₁-C₆)alkylamino, cyano, nitro, haloalkyl, and
- ii) C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl, and (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted by one or more of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino; and

R₄ is C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl, (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino; or

R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenyl(C₁-C₄)alkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinoliny, isoquinoliny, cinnoliny, quinazoliny, or quinoxaliny, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy,

amino, mono- or di(C₁-C₆)alkylamino, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C₁-C₆)alkylaminocarbonyl, N-(C₁-C₆)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, and 1-piperidyl; or

R₄ is a bicyclic oxygen-containing group of the formula:



wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

22. A compound according to Claim 21, wherein the compound exhibits an IC₅₀ of 1 μM or less in an assay of C5a mediated chemotaxis or calcium mobilization.

23. A compound according to Claim 21, wherein:

R₁ is hydrogen, methyl, ethyl, or phenyl;

R₂ is C₃-C₈ alkyl or C₃-C₈ cycloalkyl;

R₃ is hydrogen or methyl; and

R₄ is C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl, (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

24. A compound according to Claim 21, wherein:

R₁ is hydrogen, methyl, ethyl, or phenyl;

R₂ is C₃-C₈ alkyl or C₃-C₈ cycloalkyl;

R₃ is hydrogen or methyl; and

R₄ is C₁-C₈ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₈ cycloalkyl, (C₃-C₈ cycloalkyl) C₁-C₃ alkyl, each of which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

25. A compound according to Claim 21, wherein:

R₁ is hydrogen, methyl, ethyl, or phenyl;

R₂ is C₃-C₈ alkyl or C₃-C₈ cycloalkyl;

R₃ is hydrogen or methyl; and

R₄ is phenyl, phenyl(C₁-C₄)alkyl, thienyl, pyridyl, pyrimidyl, pyrazinyl, naphthyl, indolyl, indanyl, benzo[b]thiophenyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinoliny, isoquinoliny, quinazoliny, or quinoxaliny, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino.

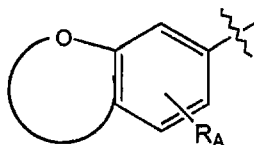
26. A compound according to Claim 21, wherein:

R₁ is hydrogen, methyl, ethyl, or phenyl;

R₂ is C₃-C₈ alkyl or C₃-C₈ cycloalkyl;

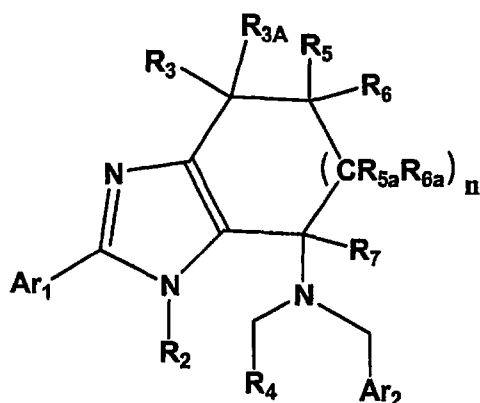
R₃ is hydrogen or methyl; and

R₄ is a bicyclic oxygen-containing group of the formula:



wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino.

27. A compound of the formula:



or a pharmaceutically acceptable salt, prodrug or hydrate thereof, wherein:

n is an integer from 0 to 3; and

R_2 is chosen from optionally substituted C_1 - C_8 alkyl, optionally substituted C_3 - C_8 cycloalkyl, optionally substituted C_3 - C_8 cycloalkyl(C_1 - C_8)alkyl, optionally substituted C_2 - C_8 alkenyl, optionally substituted C_2 - C_8 alkynyl, haloalkyl, aminoalkyl, each of which may be unsubstituted or preferably substituted with one or more substituents selected from oxo (e.g. carbonyl), hydroxy, alkoxy, amide, ester, cyano, acetoxy or nitro.

R_4 is hydrogen or

alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, each of which may be substituted or unsubstituted; or

R_4 is optionally substituted carbocyclic aryl, optionally substituted arylalkyl, or an optionally substituted heteroaromatic or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 hetero atoms,

R_3 and R_{3A} are the same or different and represent hydrogen or alkyl; or

R_3 and R_{3A} , taken together with the carbon atom to which they are attached, form a cycloalkyl ring;

R_5 and R_6 are the same or different and represent hydrogen, halogen, hydroxy, alkyl, or alkoxy; or

R₅ and R₆, taken together with the carbon atom to which they are attached form a cycloalkyl ring;

R_{5a} and R_{6a} are the same or different, and are independently selected at each occurrence from hydrogen, halogen, hydroxy, alkyl, and alkoxy;

R₇ represents hydrogen or alkyl;

Ar₁ is ethylenedioxyphenyl, methylenedioxyphenyl, or;

Ar₁ and Ar₂ are independently optionally substituted carbocyclic aryl, optionally substituted arylalkyl, or an optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 hetero atoms.

28. A compound according to Claim 27, wherein:

n, R₂, R₃, R_{3A}, R₅, R₆, R_{5a}, R_{6a}, and R₇ are defined as in Claim 27, and

R₄ is hydrogen or

alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, each or which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino and mono- or dialkylamino,

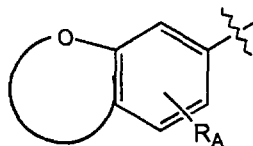
R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinolinyl, isoquinolinyl, cinnolinyl, quinazolinyl, or quinoxalinyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, mono- or dialkylamino, aminoalkoxy, carboxylic acid, esters of carboxylic acids,

aminocarbonyl, mono or dialkylaminocarbonyl, N-

alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, 1-piperidyl and -

X_4R_B , wherein X_4 and R_B are as defined below; or

R_4 is a bicyclic oxygen-containing group of the formula:



wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano,

trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl,

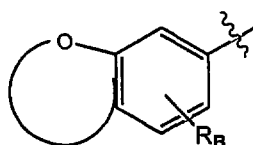
alkynyl, alkoxy, amino, and mono- or dialkylamino;

Ar_1 is ethylenedioxyphenyl, methylenedioxyphenyl, or;

Ar_1 and Ar_2 are independently chosen from

- i) phenyl, phenylalkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinoliny, isoquinoliny, cinnoliny, quinazoliny, or quinoxaliny, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, mono- or dialkylamino, aminoalkoxy, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or dialkylaminocarbonyl, N-alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, 1-piperidyl and - X_4R_B , wherein X_4 and R_B are as defined below;, and

- ii) bicyclic oxygen-containing groups of the formula:



wherein R_B represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, alkyl, alkenyl, alkynyl, alkoxy, amino, and mono- or dialkylamino;

X_4 is independently selected at each occurrence from the group consisting of $-\text{CH}_2-$, $-\text{CHR}_C-$, $-\text{O}-$, $-\text{S}(\text{O})_m-$, $-\text{NH}-$, $-\text{NR}_C-$, $-\text{C}(=\text{O})\text{NH}-$, $-\text{C}(=\text{O})\text{NR}_C-$, $-\text{S}(\text{O})_m\text{NH}-$, $-\text{S}(\text{O})_m\text{NR}_C-$, $-\text{NHC}(=\text{O})-$, $-\text{NR}_C\text{C}(=\text{O})-$, $-\text{NHS}(\text{O})_m-$, $-\text{C}(=\text{O})\text{NHS}(\text{O})_m-$, and $-\text{NR}_C\text{S}(\text{O})_m-$ (where m is 0, 1, or 2); and

R_B and R_C , which may be the same or different, are independently selected at each occurrence from the group consisting of:

hydrogen, straight, branched, or cyclic alkyl groups, which may contain one or more double or triple bonds, each of which may unsubstituted or substituted with one or more substituent(s) selected from:

oxo, hydroxy, $-\text{O}(\text{alkyl})$, $-\text{NH}(\text{alkyl})$, $-\text{N}(\text{alkyl})(\text{alkyl})$, $-\text{NHC}(\text{O})(\text{alkyl})$, $-\text{N}(\text{alkyl})\text{C}(\text{O})(\text{alkyl})$, $-\text{NHS}(\text{O})_x(\text{alkyl})$, $-\text{S}(\text{O})_x(\text{alkyl})$, $-\text{S}(\text{O})_x\text{NH}(\text{alkyl})$, $-\text{S}(\text{O})_x\text{N}(\text{alkyl})(\text{alkyl})$, (where x is 0, 1, or 2).

29. A compound according to Claim 27, wherein:

n and R_2 are defined as in Claim 27, and

R_3 and R_{3A} are the same or different and represent hydrogen or $\text{C}_1\text{-C}_6$ alkyl; or

R_3 and R_{3A} , taken together with the carbon atom to which they are attached, form a C_{3-8} cycloalkyl ring;

R_5 and R_6 are the same or different and represent hydrogen, halogen, hydroxy, $\text{C}_1\text{-C}_6$ alkyl, or $\text{C}_1\text{-C}_6$ alkoxy; or

R_5 and R_6 , taken together with the carbon atom to which they are attached form a C_{3-8} cycloalkyl ring;

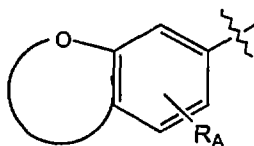
R_{5a} and R_{6b} are the same or different, and are independently selected at each occurrence from hydrogen, halogen, hydroxy, $\text{C}_1\text{-C}_6$ alkyl, and $\text{C}_1\text{-C}_6$ alkoxy;

R_4 is hydrogen or

C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₈cycloalkyl, (C₃₋₈ cycloalkyl)C₁₋₄alkyl, haloalkyl, each or which may be unsubstituted or substituted with one or more substituents selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_{1-C6} alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C_{1-C6} alkoxy, amino and mono- or di(C_{1-C6})alkylamino,

R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, phenylalkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinoliny, isoquinoliny, cinnoliny, quinazoliny, or quinoxaliny, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_{1-C6} alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C_{1-C6} alkoxy, amino, mono- or di(C_{1-C6})alkylamino, amino(C_{1-C6})alkoxy, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C_{1-C6})alkylaminocarbonyl, N-(C_{1-C6})alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, 1-piperidyl, -X₄R_B, wherein X₄ and R_B are as defined below; or

R₄ is a bicyclic oxygen-containing group of the formula:

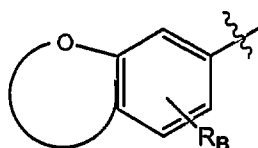


wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_{1-C6} alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C_{1-C6} alkoxy, amino, and mono- or di(C_{1-C6})alkylamino;

Ar₁ is ethylenedioxyphenyl, methylenedioxyphenyl;

Ar₁ and Ar₂ are independently chosen from

- i) phenyl, phenylalkyl, chromanyl, pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidyl, pyrazinyl, benzimidazolyl, naphthyl, indolyl, indanyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzo[b]thiophenyl, benzodioxanyl, benzodioxinyl, benzodioxolyl, benz[d]isoxazolyl, quinoliny, isoquinoliny, cinnoliny, quinazoliny, or quinoxaliny, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkoxy, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C₁-C₆)alkylaminocarbonyl, N-(C₁-C₆)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, 1-piperidyl, and -X₄R_B, wherein X₄ and R_B are as defined below; and
- ii) bicyclic oxygen-containing groups of the formula:



wherein R_B represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁-C₆ alkoxy, amino, and mono- or di(C₁-C₆)alkylamino;

X₄ is independently selected at each occurrence from the group consisting of -CH₂-, -CHR_C-, -O-, -S(O)_m-, -NH-, -NR_C-, -C(=O)NH-, -C(=O)NR_C-, -S(O)_mNH-, -S(O)_mNR_C-, -NHC(=O)-, -NR_CC(=O)-, -NHS(O)_m-, -C(=O)NHS(O)_m-, and -NR_CS(O)_m- (where m is 0, 1, or 2); and

R_B and R_C, which may be the same or different, are independently selected at each occurrence from the group consisting of:

hydrogen, straight, branched, or cyclic alkyl groups, which may contain one or more double or triple bonds, each of which may unsubstituted or

substituted with one or more substituent(s) selected from:

oxo, hydroxy, -O(C₁-C₆ alkyl), -NH(C₁-C₆ alkyl),
 -N(C₁-C₆ alkyl)(C₁-C₆ alkyl), -NHC(O)(C₁-C₆ alkyl), -N(C₁-C₆
 alkyl)C(O)(C₁-C₆ alkyl), -NHS(O)_x(C₁-C₆ alkyl), -S(O)_x(C₁-C₆ alkyl), -
 S(O)_xNH(C₁-C₆ alkyl), -S(O)_xN(C₁-C₆ alkyl)(C₁-C₆ alkyl), (where x is
 0, 1, or 2).

30. A compound according to Claim 27, wherein

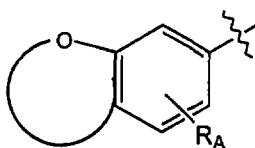
n, R₂, R₃, R_{3A}, R₅, R₆, R_{5a}, R_{6a}, and R₇ are as defined in Claim 27,

R₄ is hydrogen or

C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₈cycloalkyl, (C₃-C₈cycloalkyl)
 C₁-C₄alkyl, haloalkyl, each or which may be unsubstituted or substituted
 with one or more substituents selected from halogen, nitro, cyano,
 trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl,
 C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino and mono- or di(C₁-
 C₆)alkylamino,

R₄ is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, naphthyl, thienyl, pyridyl,
 pyrimidyl, dihydrobenzofuranyl, furanyl, benzodioxanyl, indolyl, each of
 which may be optionally substituted or substituted with up to four groups
 independently selected from halogen, nitro, cyano, trifluoromethyl,
 trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-
 C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino, amino(C₁-
 C₆)alkoxy, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono
 or di(C₁-C₆)alkylaminocarbonyl, N-(C₁-C₆)alkylsulfonylaminocarbonyl, 1-
 azetidiny, 1-pyrrolidinyl, 1-piperidyl, -X₄R_B, wherein X₄ and R_B are as
 defined below; or

R₄ is a bicyclic oxygen-containing group of the formula:



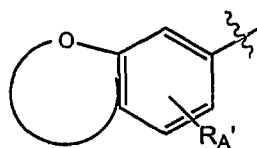
wherein R_A represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkoxy, amino, and mono- or di(C_1 - C_6)alkylamino;

Ar_1 is phenyl, ethylenedioxyphenyl, methylenedioxyphenyl, thienyl, or pyridyl, pyrimidyl, dihydrobenzofuranyl, furanyl, benzodioxanyl, indolyl, each of which is unsubstituted or substituted with up to four substituents independently selected from:

halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkoxy, amino, mono- or di(C_1 - C_6)alkylamino, amino(C_1 - C_6)alkoxy, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C_1 - C_6)alkylaminocarbonyl, N-(C_1 - C_6)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidinyl, 1-piperidyl, and $-X_4R_B$, wherein X_4 and R_B are as defined below;

Ar_2 is phenyl, naphthyl, thienyl, pyridyl, pyrimidyl, dihydrobenzofuranyl, furanyl, benzodioxanyl, indolyl, each of which may be optionally substituted or substituted with up to four groups independently selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkoxy, amino, mono- or di(C_1 - C_6)alkylamino, amino(C_1 - C_6)alkoxy, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C_1 - C_6)alkylaminocarbonyl, N-(C_1 - C_6)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidinyl, 1-piperidyl, and $-X_4R_B$, wherein X_4 and R_B are as defined below; or

Ar_2 is a bicyclic oxygen-containing group of the formula:



wherein R_A' represents 0 to 3 groups selected from halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkoxy, amino, and mono- or di(C_1 - C_6)alkylamino;

X_4 is independently selected at each occurrence from the group consisting of $-CH_2-$, $-CHRC-$, $-O-$, $-S(O)_m-$, $-NH-$, $-NRC-$, $-C(=O)NH-$, $-C(=O)NRC-$, $-S(O)_mNH-$, $-S(O)_mNRC-$, $-NHC(=O)-$, $-NRC(=O)-$, $-NHS(O)_m-$, $-C(=O)NHS(O)_m-$, and $-NRC(S(O)_m)-$ (where m is 0, 1, or 2); and

R_B and R_C , which may be the same or different, are independently selected at each occurrence from the group consisting of:

hydrogen, straight, branched, or cyclic alkyl groups, which may contain one or more double or triple bonds, each of which may unsubstituted or substituted with one or more substituent(s) selected from:

oxo, hydroxy, $-O(C_1-C_6 \text{ alkyl})$, $-NH(C_1-C_6 \text{ alkyl})$, $-N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$, $-NHC(O)(C_1-C_6 \text{ alkyl})$, $-N(C_1-C_6 \text{ alkyl})C(O)(C_1-C_6 \text{ alkyl})$, $-NHS(O)_x(C_1-C_6 \text{ alkyl})$, $-S(O)_x(C_1-C_6 \text{ alkyl})$, $-S(O)_xNH(C_1-C_6 \text{ alkyl})$, $-S(O)_xN(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$, (where x is 0, 1, or 2).

31. A compound according to Claim 30 wherein:

R_3 and R_4 are the same or different and represent hydrogen or methyl;

R_5 and R_6 are the same or different and represent hydrogen or methyl; and

R_{5a} and R_{6a} are the same or different, and are independently selected at each occurrence from hydrogen and methyl.

32. A compound according to Claim 30 wherein:

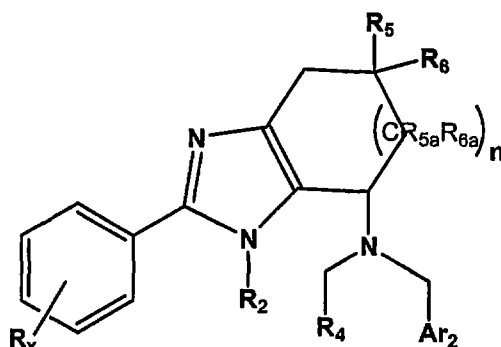
R_3 and R_4 are hydrogen;

R_5 and R_6 are the same or different and represent hydrogen or methyl; and

R_{5a} and R_{6a} are the same or different, and are independently selected at each

occurrence from hydrogen and methyl.

33. A compound according to Claim 30 of the formula:



or a pharmaceutically acceptable salt, prodrug or hydrate thereof, wherein:

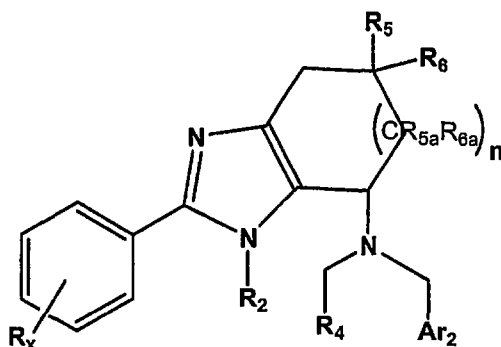
R_2 , R_4 , Ar_2 , and n are as defined for Claim 30;

R_5 and R_6 are the same or different and represent hydrogen or methyl;

R_{5a} and R_{6a} are the same or different, and are independently chosen at each occurrence from hydrogen and methyl; and

R_x represents up to four substituents independently chosen from hydrogen, halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkoxy, amino, mono- or di(C_1 - C_6)alkylamino, and amino(C_1 - C_6)alkoxy.

34. A compound according to Claim 32, of the formula:



or a pharmaceutically acceptable salt, prodrug or hydrate thereof, wherein:

R_4 , Ar_2 , and n are as defined for Claim 30;

R_2 is C_3 - C_8 straight or branched chain alkyl, C_2 - C_8 alkenyl, or C_2 - C_8 alkynyl;

R_5 and R_6 are the same or different and represent hydrogen or methyl

R_{5a} and R_{6a} are the same or different, and are independently chosen at each occurrence from hydrogen and methyl; and

R_X represents up to four substituents independently chosen from hydrogen, halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_1 - C_6 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_1 - C_6 alkoxy, amino, mono- or di(C_1 - C_6)alkylamino, and amino(C_1 - C_6)alkoxy.

35. A compound according to Claim 33,

or a pharmaceutically acceptable salt, prodrug or hydrate thereof, wherein:

Ar_2 , R_X , and n are as defined for Claim 30

R_2 is C_3 - C_8 straight or branched chain alkyl, C_2 - C_8 alkenyl, or C_2 - C_8 alkynyl; and

R_4 is C_1 - C_8 straight or branched chain alkyl, C_2 - C_8 alkenyl, or C_2 - C_8 alkynyl.

36. A compound according to Claim 33,

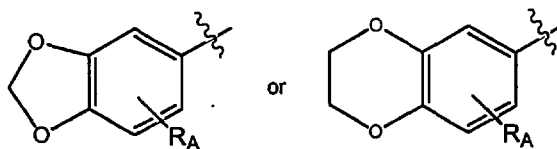
or a pharmaceutically acceptable salt, prodrug or hydrate thereof, wherein:

R_2 is C_3 - C_8 straight or branched chain alkyl, C_2 - C_8 alkenyl, or C_2 - C_8 alkynyl;

R_4 is phenyl, which may be unsubstituted or substituted with:

C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_8 cycloalkyl, (C_3 - C_8 cycloalkyl) C_1 - C_4 alkyl, haloalkyl, C_1 - C_6 alkoxy, halogen, hydroxy, amino, or mono- or di(C_1 - C_6)alkylamino; or

R_4 is a bicyclic oxygen containing group of the formula:

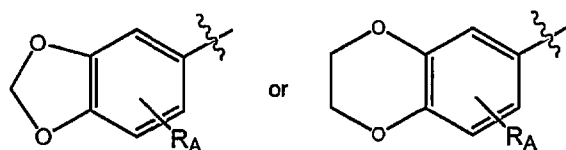


wherein R_A is hydrogen, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_8 cycloalkyl, (C_3 - C_8 cycloalkyl) C_1 - C_4 alkyl, haloalkyl, alkoxy, halogen, hydroxy, amino, or mono- or di(C_1 - C_6)alkylamino;

Ar_2 is phenyl which is unsubstituted or optionally substituted or substituted with up to four groups independently selected from:

halogen, C₁-C₇ alkyl, C₁-C₇ alkoxy, cyano, amino, mono- or di(C₁-C₆)alkylamino, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or dialkylaminocarbonyl, N-alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidinyl, 1-piperidyl, 1-morpholino, nitro, hydroxy, acetoxy, trifluoromethyl, and trifluoromethoxy or -X₄R_B, wherein X₄ and R_B are as defined for Claim 33; or

Ar₂ is a bicyclic oxygen-containing group of the formula:



wherein R_A, R_A', and n are as defined in Claim 33.

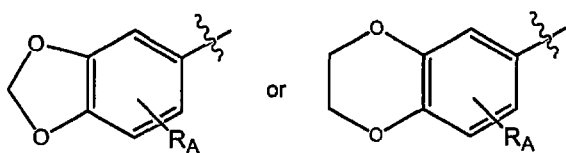
37. A compound according to Claim 33,

or a pharmaceutically acceptable salt, prodrug or hydrate thereof, wherein:

R₂ is C₃-C₈ straight or branched chain alkyl, C₂-C₈ alkenyl, or C₂-C₈ alkynyl;

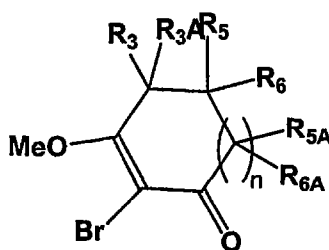
R₄ is C₁-C₈ straight or branched chain alkyl, C₂-C₈ alkenyl, or C₂-C₈ alkynyl;

Ar₂ is a bicyclic oxygen containing group of the formula:



wherein R_A' and n are as defined for Claim 33.

38. A compound of the formula:



wherein:

n is an integer from 0 to 3;

R₃ and R_{3A} are the same or different and represent hydrogen, halogen, hydroxy, alkyl, or alkoxy; or

R₃ and R_{3A}, taken together with the carbon atom to which they are attached, form a cycloalkyl ring;

R₅ and R₆ are the same or different and represent hydrogen, halogen, hydroxy, alkyl, or alkoxy; or

R₅ and R₆, taken together with the carbon atom to which they are attached form a cycloalkyl ring; and

R_{5A} and R_{6A} are the same or different and represent hydrogen, halogen, hydroxy, alkyl, or alkoxy.

39. A compound according to Claim 38, wherein:

R₃ and R_{3A} are the same or different and represent hydrogen or C₁-C₆ alkyl; or

R₃ and R_{3A}, taken together with the carbon atom to which they are attached, form a cycloalkyl ring of from three to six carbon atoms;

R₅ and R₆ are the same or different and represent hydrogen, halogen, hydroxy, C₁-C₆ alkyl, or C₁-C₆ alkoxy; or

R₅ and R₆, taken together with the carbon atom to which they are attached form a cycloalkyl ring of from three to six carbon atoms; and

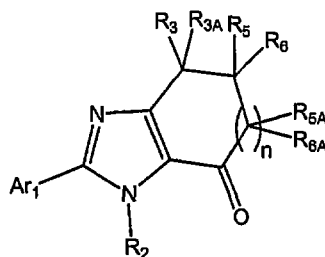
R_{5A} and R_{6A} are the same or different and represent hydrogen, halogen, hydroxy, C₁-C₆ alkyl, or C₁-C₆ alkoxy.

40. A compound according to Claim 38, wherein:

R₃ and R₄ are hydrogen; and

R₅, R₆, R_{5A}, and R_{6A} are the same or different and represent hydrogen or methyl.

41. A compound of the formula:



wherein:

n is an integer from 0 to 3;

R_2 is alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, or haloalkyl, each of which may be substituted or unsubstituted;

R_3 and R_4 are the same or different and represent hydrogen or alkyl; or

R_3 and R_{3a} , taken together with the carbon atom to which they are attached, form a cycloalkyl ring;

R_5 and R_6 are the same or different and represent hydrogen, halogen, hydroxy, alkyl, or alkoxy; or

R_5 and R_6 , taken together with the carbon atom to which they are attached, form a cycloalkyl ring;

R_{5A} and R_{6A} are the same or different and represent hydrogen, halogen, hydroxy, alkyl, or alkoxy; and

Ar_1 is ethylenedioxyphenyl, methylenedioxyphenyl, or;

Ar_1 is unsubstituted or substituted carbocyclic aryl, unsubstituted or substituted arylalkyl, or a unsubstituted or substituted heteroaromatic or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 hetero atoms.

42. A compound according to Claim 41 in which:

R_2 is C_1 - C_8 straight or branched chain alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_8 cycloalkyl, C_2 - C_8 (cycloalkyl) C_1 - C_4 alkyl, or C_1 - C_8 haloalkyl;

R_3 and R_{3a} are the same or different and represent hydrogen or C_1 - C_6 alkyl; or

R_3 and R_{3a} , taken together with the carbon atom to which they are attached, form a cycloalkyl ring of from three to six carbon atoms; and

R₅ and R₆ are the same or different and represent hydrogen, halogen, hydroxy, C₁-C₆ alkyl, or C₁-C₆ alkoxy; or

R₅ and R₆, taken together with the carbon atom to which they are attached form a cycloalkyl ring of from three to six carbon atoms;

R_{5A} and R_{6A} are the same or different and represent hydrogen, halogen, hydroxy, C₁-C₆ alkyl, or C₁-C₆ alkoxy;

Ar₁ is ethylenedioxyphenyl, methylenedioxyphenyl, phenyl, thienyl, or pyridyl, pyrimidyl, dihydrobenzofuranyl, furanyl, benzodioxanyl, indolyl, each of which is unsubstituted or substituted with up to four substituents independently selected from:

halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₆ alkoxy, amino, mono- or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkoxy, carboxylic acid, esters of carboxylic acids, aminocarbonyl, mono or di(C₁-C₆)alkylaminocarbonyl, N-(C₁-C₆)alkylsulfonylaminocarbonyl, 1-azetidiny, 1-pyrrolidiny, 1-piperidyl, and -X₄R_B, wherein X₄ and R_B are as defined below;

X₄ is independently selected at each occurrence from the group consisting of -CH₂-, -CHR_C-, -O-, -S(O)_m-, -NH-, -NR_C-, -C(=O)NH-, -C(=O)NR_C-, -S(O)_mNH-, -S(O)_mNR_C-, -NHC(=O)-, -NR_CC(=O)-, -NHS(O)_m-, -C(=O)NHS(O)_m-, and -NR_CS(O)_m- (where m is 0, 1, or 2); and

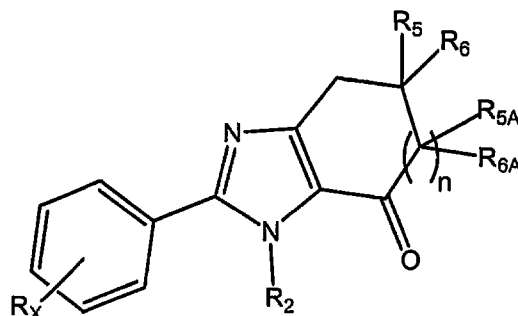
R_B and R_C, which may be the same or different, are independently selected at each occurrence from the group consisting of:

hydrogen, straight, branched, or cyclic alkyl groups, which may contain one or more double or triple bonds, each of which may unsubstituted or substituted with one or more substituent(s) selected from:

oxo, hydroxy, -O(C₁-C₆ alkyl), -NH(C₁-C₆ alkyl), -N(C₁-C₆ alkyl)(C₁-C₆ alkyl), -NHC(O)(C₁-C₆ alkyl), -N(C₁-C₆ alkyl)C(O)(C₁-C₆ alkyl), -NHS(O)_x(C₁-C₆ alkyl), -S(O)_x(C₁-C₆ alkyl), -

$S(O)_xNH(C_1-C_6 \text{ alkyl}), -S(O)_xN(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$, (where x is 0, 1, or 2).

43. A compound according to Claim 41 of the formula:



wherein:

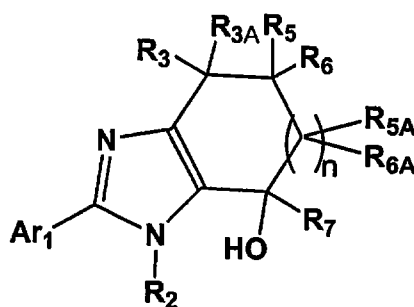
n is 0, 1, or 2:

R_2 is C_3-C_8 straight or branched chain alkyl, C_2-C_8 alkenyl, or C_2-C_8 alkynyl;

R_5 , R_6 , R_{5A} , and R_{6A} are the same or different and represent hydrogen or methyl; and

R_X represents up to four substituents independently chosen from hydrogen, halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_1-C_6 alkyl, C_2-C_8 alkenyl, C_2-C_8 alkynyl, C_1-C_6 alkoxy, amino, mono- or di(C_1-C_6)alkylamino, and amino(C_1-C_6)alkoxy.

44. A compound of the formula:



wherein:

n is an integer from 0 to 3; and

R_2 is alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, each or which may be substituted or unsubstituted;

R_3 and R_{3A} are the same or different and represent hydrogen or alkyl; or

R_3 and R_{3A} , taken together with the carbon atom to which they are attached, form a cycloalkyl ring;

R_5 and R_6 are the same or different and represent hydrogen or alkyl; or

R_5 and R_6 , taken together with the carbon atom to which they are attached, form a cycloalkyl ring;

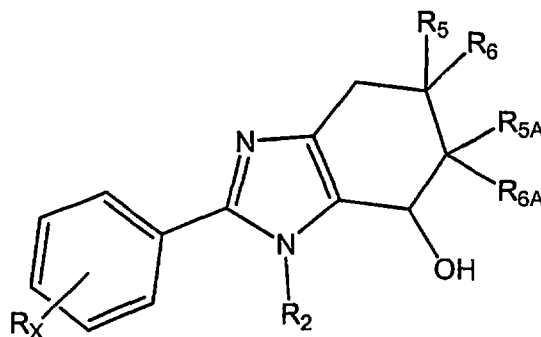
R_{5A} and R_{6A} are the same or different, and are independently selected at each occurrence from hydrogen, halogen, hydroxy, alkyl, and alkoxy;

R_7 represents hydrogen or alkyl; and

Ar_1 is ethylenedioxyphenyl, methylenedioxyphenyl, or;

Ar_1 is optionally substituted carbocyclic aryl, optionally substituted arylalkyl, or an optionally substituted heteroaryl or heteroalicyclic group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 hetero atoms.

45. A compound according to Claim 44, of the formula:



wherein:

n is an integer from 0 to 3;

R_2 is C_3 - C_8 straight or branched chain alkyl, C_2 - C_8 alkenyl, or C_2 - C_8 alkynyl;

R_5 , R_6 , R_{5A} , and R_{6A} are the same or different and represent hydrogen or methyl; and

R_X represents up to four substituents independently chosen from hydrogen, halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, haloalkyl, hydroxy, acetoxy, C_1 - C_6 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_1 - C_6 alkoxy, amino, mono- or di(C_1 - C_6)alkylamino, and amino(C_1 - C_6)alkoxy.