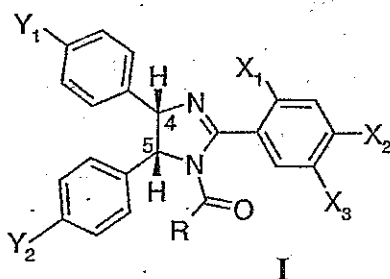


CIS-2,4,5-TRIARYL-IMIDAZOLINES AND THEIR USE AS ANTI-CANCER MEDICAMENTS

Novel Cis-2,4,5-triaryl-imidazolines

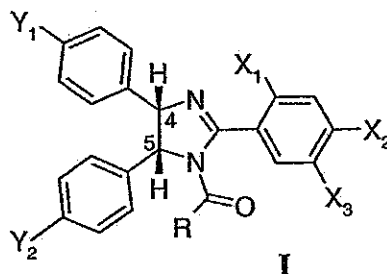
The present disclosure provides chiral cis-imidazolines which are small molecule inhibitors of the MDM2-p53 interaction. In cell-free and cell-based assays, compounds of the present invention are shown to inhibit the interaction of MDM2 protein with a p53-like peptide with a potency that is approximately 100 fold greater than a p53-derived peptide. In cell-based assays, these compounds demonstrate mechanistic activity. Incubation of cancer cells with wild-type p53 leads to accumulation of p53 protein, induction of p53-regulated p21 gene, and cell cycle arrest in G1 and G2 phase, resulting in potent antiproliferative activity against wild-type p53 cells in vitro. In contrast, these activities were not observed in cancer cells with mutant p53 at comparable compound concentrations. Therefore, the activity of MDM2 antagonists is likely linked to its mechanism of action. These compounds can be potent and selective anticancer agents.

This disclosure relates to at least one compound of the formula I



or pharmaceutically acceptable salts or esters thereof, wherein Y_1, Y_2, X_1, X_2, X_3 and R are as described in this application. These compounds are believed to inhibit MDM2-p53 interaction and as such the compounds will have anti-hyperproliferative cellular activity.

The present disclosure provides at least one compound of formula I



and the pharmaceutically acceptable salts and esters thereof, wherein

X_1 is selected from the group consisting of:

lower alkoxy,

lower alkoxy substituted by trifluoromethyl or fluorine;

X_2 and X_3 are independently selected from the group consisting of:

hydrogen,

halogen,

cyano,

lower alkyl,

lower alkoxy,

piperidinyl,

$-NX_4X_5$,

$-SO_2NX_4X_5$,

$-C(O)NX_4X_5$,

$-C(O)X_6$,

$-SOX_6$, $-SO_2X_6$,

$-NC(O)$ -lower alkoxy

$-C\equiv C-X_7$,

with the proviso that X_2 and X_3 are both not hydrogen, lower alkyl, or lower alkoxy,

with the proviso that when X_2 or X_3 is hydrogen, the other is not lower alkyl, lower alkoxy, or halogen,

X_2 and X_3 can be taken together to form a ring selected from 5 to 7 membered unsaturated rings, and 5 to 7 membered unsaturated rings that contain at least one hetero atom selected from S, N, and O;

X_4 and X_5 are independently selected from the group consisting of:

hydrogen,

lower alkyl,

cycloalkyl,
lower alkoxy,
lower alkyl substituted with lower alkoxy,
-SO₂-lower alkyl,
5 -C(O)-piperazinyl-3-one;

X₆ is selected from the group consisting of:

lower alkyl,
morpholine,
piperidine,
10 pyrrolidine;

X₇ is selected from the group consisting of:

hydrogen,
lower alkyl,
trifluoromethyl;

15 Y₁ and Y₂ are independently selected from the group consisting of:

halogen,
acetylene;

R is selected from the group consisting of:

lower alkoxy,
20 piperidinyl substituted by five or six membered heterocycle,
piperidinyl substituted by hydroxy, -CH₂OH, or -C(O)NH₂,
piperazinyl substituted by R₁,
[1,4]diazepanyl substituted by R₁,

R₁ can be one or two substituents selected from the group consisting of:

25 hydrogen,
oxo,
lower alkyl substituted by R₂,
-C(O)R₃,
-SO₂-lower alkyl,
30 -SO₂-five membered heterocyclyl;

R₂ is selected from the group consisting of:

-SO₂-lower alkyl,
hydroxy,
lower alkoxy,
35 trifluoromethyl,

-NH-SO₂-lower alkyl,
-NH-C(O)-lower alkyl,
-C(O)-lower alkyl,
-cyano,
-C(O)R₄;

R₃ is selected from the group consisting of:

heterocyclyl,
lower alkyl,
lower alkenyl,
lower alkyl substituted by lower alkoxy or a five or six membered
heterocyclyl,
lower alkoxy,
cycloalkyl;

R₄ is selected from the group consisting of:

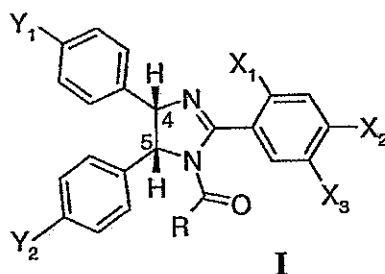
hydroxy,
lower alkoxy,
morpholine,
piperidine,
pyrrolidine,
aziridine,
4-acetyl-piperazinyl,
-NR₅R₆;

R₅ and R₆ are independently selected from the group consisting of:

hydrogen,
lower alkyl,
lower alkyl substituted by lower alkoxy or cyano,
lower alkoxy, and
cycloalkyl.

In one preferred embodiment, the present invention provides at least one compound of formula I

- 5 -



and the pharmaceutically acceptable salts and esters thereof, wherein

X_1 is selected from the group consisting of:

lower alkoxy,

lower alkoxy substituted by trifluoromethyl or fluorine;

X_2 and X_3 are independently selected from the group consisting of:

hydrogen,

halogen,

cyano,

lower alkyl,

lower alkoxy,

$-NX_4X_5$,

$-SO_2NX_4X_5$,

$-C(O)NX_4X_5$,

$-C(O)X_6$,

$-SOX_6$, $-SO_2X_6$,

$-NC(O)$ -lower alkoxy

$-C\equiv C-X_7$,

with the proviso that X_2 and X_3 are both not hydrogen, lower alkyl, or lower alkoxy,

with the proviso that when X_2 or X_3 is hydrogen, the other is not lower alkyl, lower alkoxy, or halogen,

X_2 and X_3 can be taken together to form a ring selected from 5 to 7 membered unsaturated rings, and 5 to 7 membered unsaturated rings that contain at least one hetero atom selected from S, N, and O;

X_4 and X_5 are independently selected from the group consisting of:

hydrogen,

lower alkyl,

cycloalkyl,

lower alkoxy,

lower alkyl substituted with lower alkoxy;

X₆ is selected from the group consisting of:

lower alkyl,

morpholine,

piperidine,

pyrrolidine;

X₇ is selected from the group consisting of:

hydrogen,

lower alkyl,

trifluoromethyl;

Y₁ and Y₂ are independently selected from the group consisting of:

halogen,

acetylene;

R is selected from the group consisting of:

lower alkoxy,

piperidinyl substituted by five or six membered heterocycle,

piperidinyl substituted by hydroxy, -CH₂OH, or -C(O)NH₂,

piperazinyl substituted by R₁,

[1,4]diazepanyl substituted by R₁,

R₁ can be one or two substituents selected from the group consisting of:

hydrogen,

oxo,

lower alkyl substituted by R₂,

-C(O)R₃,

-SO₂-lower alkyl;

R₂ is selected from the group consisting of:

-SO₂-lower alkyl,

hydroxy,

lower alkoxy,

trifluoromethyl,

-NH-SO₂-lower alkyl,

-NH-C(O)-lower alkyl,

-C(O)-lower alkyl,

-cyano,

-C(O)R₄;

R₃ is selected from the group consisting of:

five membered heterocycle,

lower alkyl,

lower alkyl substituted by lower alkoxy,

lower alkoxy;

R₄ is selected from the group consisting of:

hydroxy,

lower alkoxy,

morpholine,

piperidine,

pyrrolidine,

aziridine,

-NR₅R₆;

R₅ and R₆ are independently selected from the group consisting of:

hydrogen,

lower alkyl,

lower alkyl substituted by lower alkoxy or cyano, and

lower alkoxy.

Preferred compounds are compounds of formula I wherein Y₁ and Y₂ are each independently selected from -Cl and -Br.

Further preferred compounds are compounds of formula I wherein R is piperazinyl substituted by oxo or lower alkyl substituted by R₂.

Also preferred compounds are compounds in which the two hydrogen atoms of the imidazoline ring are in a *cis* configuration to each other. The compounds may be in a racemic form and may be optically active. The preferred absolute stereochemistry at the 4 and 5 position of the imidazoline ring are *S* and *R*, respectively.

In another preferred embodiment of the present disclosure, there is provided a compound of formula I, wherein

X₁ is ethoxy;

Y_1 and Y_2 are both $-Cl$;

R is piperazinyl substituted by R_1 ; and

the remaining substituents have the significances given above.

5 In yet another preferred embodiment of the present disclosure, there is provided a compound of formula I, wherein

Y_1 and Y_2 are both $-Cl$;

X_1 is ethoxy;

X_2 is halogen, cyano, $-SO_2NX_4X_5$, $-C(O)NX_4X_5$, $-C(O)X_6$, $-SO_2X_6$ or $-C\equiv C-X_7$;

10 X_3 is $-SO_2NX_4X_5$, $-C(O)NX_4X_5$, or $-SO_2X_6$;

R is piperazinyl substituted by R_1 ; and

the remaining substituents have the significances given above.

Especially preferred compounds are:

- 15 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide;
- 20 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
- 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-
- 25 benzenesulfonamide;
- 4-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 4-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 30 4-[4,5-Bis-(4-chloro-phenyl)-1-(4-pyrrolidin-1-yl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
- 3-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
- 35

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-pyrrolidin-1-yl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-3-oxo-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide;
5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide;
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;
5-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide;
4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-benzonitrile;
5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;
4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;
[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone;

5 [4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone;

1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-4-carboxylic acid amide;

10 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methyl-but-2-enoyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

15 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

20 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-cyano-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

25 1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-3-carboxylic acid amide;

4-[(4S,5R)-1-[4-[2-(4-Acetyl-piperazin-1-yl)-2-oxo-ethyl]-piperazine-1-carbonyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

2-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl-N-(2-methoxy-1-methyl-ethyl)-acetamide;

30 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-hydroxymethyl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-hydroxy-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;

5 4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-oxo-2-piperidin-1-yl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

10 1-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-4-carboxylic acid amide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

15 3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methyl-but-2-enoyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-

20 benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-cyano-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

25 3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methyl-acetamide;

30 1-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-3-carboxylic acid amide;

3-[1-{4-[2-(4-Acetyl-piperazin-1-yl)-2-oxo-ethyl]-piperazine-1-carbonyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-hydroxymethyl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(3-hydroxy-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-oxo-2-piperidin-1-yl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-

benzenesulfonamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;

3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methyl-acetamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;

2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;

3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;

2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide;

2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methyl-acetamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;

4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one;

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide;

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;

4-[2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-2-oxo-ethyl]-piperazin-2-one;

5 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone;

10 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methyl-acetamide;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone;

15 {4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-cyclopropanecarbonyl-piperazin-1-yl)-methanone;

3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-

20 benzenesulfonamide;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-

25 dihydro-1H-imidazol-2-yl]-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-

benzenesulfonamide;

3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide;

30 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-

35 benzenesulfonamide;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methyl-acetamide;

4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one;

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide;

4-[2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-2-oxo-ethyl]-piperazin-2-one;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone;

5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide;

5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-fluoro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;

5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-

benzenesulfonamide;

4-[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ 6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;

[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ 6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ 6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-fluoro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride;

5 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide hydrochloride;

10 4-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

4-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

15 4-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide

20 hydrochloride;

4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;

[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone

25 hydrochloride;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

30 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-benzonitrile hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-benzonitrile hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-benzonitrile hydrochloride;

2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N,N-dimethyl-acetamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride;

2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-1-morpholin-4-yl-ethanone hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(morpholine-4-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-{4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride;

2-{4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one hydrochloride;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one hydrochloride;

2-{4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-tert-butyl-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-cyanomethyl-N-methyl-acetamide;

5 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-cyclopropyl-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-ethyl)-acetamide;

10 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;

15 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-cyano-ethyl)-N-methyl-acetamide;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

20 4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one;

1-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-[1,4]diazepan-5-one;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-

25 methanone hydrochloride;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

30 4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-2-one hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(5-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile;

4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-2,5-diethoxy-benzonitrile hydrochloride;

4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-2,5-diethoxy-benzonitrile hydrochloride;

4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-2-one hydrochloride;

1-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-[1,4]diazepan-5-one hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylamino-5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carboxylic acid ethyl ester hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide

hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(5-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-benzonitrile;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-benzonitrile;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;

1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-[1,4]diazepan-5-one;

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone

hydrochloride;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-2-chloro-4-ethoxy-benzenesulfonamide

hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide;

N-tert-Butyl-2-{4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide;

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;

- 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide;
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-3-ethoxy-benzamide;
- 5 N-tert-Butyl-4-[(4S,5R)-1-(4-carbamoylmethyl-piperazine-1-carbonyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzamide;
- {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone;
- 10 2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone;
- 2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-acetamide;
- 15 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one;
- {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;
- 2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide;
- 20 2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;
- {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;
- 25 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide;
- 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide;
- 30 2-[4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-acetamide;

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;

5 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide;

N-tert-Butyl-2-{4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide;

10 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-

benzenesulfonamide;

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

15 2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-

acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide;

20 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-

isopropyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-

25 isopropyl-benzenesulfonamide;

N-tert-Butyl-2-{4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide;

30 N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-N-(3-oxo-piperazine-1-carbonyl)-

methanesulfonamide;

N-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-methanesulfonamide

35 hydrochloride;

N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-2,2-dimethyl-propionamide;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-benzenesulfonamide;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-benzenesulfonamide hydrochloride;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-benzenesulfonamide hydrochloride;
2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-isopropyl-N-methyl-acetamide hydrochloride;
N-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride;
N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-dimethylcarbamoylmethyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-2,2-dimethyl-propionamide hydrochloride;
N-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride;
2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-tert-butyl-acetamide hydrochloride;
2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-methoxy-N-methyl-acetamide hydrochloride;
N-(2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-ethyl)-methanesulfonamide hydrochloride;
[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-ethanesulfonyl-piperazin-1-yl]-methanone;
[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methoxy-ethyl)-piperazin-1-yl]-methanone hydrochloride;

1-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-ethanone;

3-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-propionitrile hydrochloride;

5 [(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone hydrochloride;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide hydrochloride;

10 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide hydrochloride;

3-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-propionic acid hydrochloride;

15 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;
[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

20 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide hydrochloride;

25 2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide;

30 2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl)-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone;

((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl)-(4-ethanesulfonyl-piperazin-1-yl)-methanone;
N-[2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-ethyl]-

methanesulfonamide;

((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl)-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone;

N-tert-Butyl-2-{4-[(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyanoethyl)-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;

N-[2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-ethyl]-methanesulfonamide;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-1-morpholin-4-yl-ethanone;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

4-[(4S,5R)-2-(4-Acetyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;

1-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-3-ethoxy-phenyl)-ethanone hydrochloride;

2-{4-[(4S,5R)-2-(4-Acetyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;
 1-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-

piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-ethanone
 5 hydrochloride;

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone
 hydrochloride;

N-tert-Butyl-2-{4-[(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-

15 acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-

20 acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-

25 acetamide;

3-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-acetamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;

N-[2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-ethyl]-methanesulfonamide;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

3-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[4-(3,3-dimethyl-but-1-ynyl)-2-ethoxy-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[4-(3,3-dimethyl-but-1-ynyl)-2-ethoxy-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;
N-tert-Butyl-2-{4-[(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

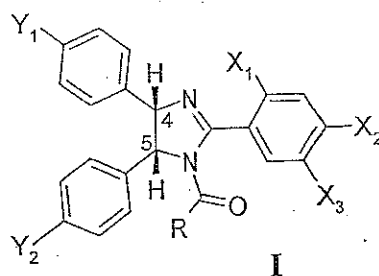
2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methoxy-benzenesulfonamide and

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methoxy-benzenesulfonamide.

In a first aspect, there is provided a compound of formula I



and the pharmaceutically acceptable salts and esters thereof, wherein X_1 is selected from the group consisting of:

lower alkoxy,

lower alkoxy substituted by trifluoromethyl or fluorine; and

in alternative A:

X_2 is independently selected from the group consisting of:

hydrogen,

halogen,

cyano,

lower alkyl,

lower alkoxy,

piperidinyl,

$-NX_4X_5$,

$-SO_2NX_4X_5$,

$-C(O)NX_4X_5$,

$-C(O)X_6$,

$-SOX_6$, $-SO_2X_6$,

$-NC(O)$ -lower alkoxy

$-C\equiv C-X_7$,

provided that X_3 is independently selected from the group consisting of:

cyano,
 piperidinyI,
 $-\text{SO}_2\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{X}_6$,
 $-\text{SOX}_6$, $-\text{SO}_2\text{X}_6$,
 $-\text{NC}(\text{O})$ -lower alkoxy
 $-\text{C}\equiv\text{C}-\text{X}_7$, or

in alternative B:

X_2 is independently selected from the group consisting of:

cyano,
 piperidinyI,
 $-\text{SO}_2\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{X}_6$,
 $-\text{SOX}_6$, $-\text{SO}_2\text{X}_6$,
 $-\text{NC}(\text{O})$ -lower alkoxy
 $-\text{C}\equiv\text{C}-\text{X}_7$,

provided that X_3 is independently selected from the group consisting of:

hydrogen,
 halogen,
 cyano,
 lower alkyl,
 lower alkoxy,
 piperidinyI,
 $-\text{NX}_4\text{X}_5$,
 $-\text{SO}_2\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{X}_6$,
 $-\text{SOX}_6$, $-\text{SO}_2\text{X}_6$,
 $-\text{NC}(\text{O})$ -lower alkoxy
 $-\text{C}\equiv\text{C}-\text{X}_7$,

X_2 and X_3 can be taken together to form a ring selected from 5 to 7 membered unsaturated rings, and 5 to 7 membered unsaturated rings that contain at least one hetero atom selected from S, N, and O;

X_4 and X_5 are independently selected from the group consisting of:

- 5 hydrogen,
- lower alkyl,
- cycloalkyl,
- lower alkoxy,
- lower alkyl substituted with lower alkoxy,
- 10 -SO₂-lower alkyl,
- C(O)-piperazinyl-3-one;

X_6 is selected from the group consisting of:

- lower alkyl,
- morpholine,
- 15 piperidine,
- pyrrolidine;

X_7 is selected from the group consisting of:

- hydrogen,
- lower alkyl,
- 20 trifluoromethyl;

Y_1 and Y_2 are independently selected from the group consisting of:

- halogen,
- acetylene;

R is selected from the group consisting of:

- 25 lower alkoxy,
- piperidinyl substituted by five or six membered heterocycle,
- piperidinyl substituted by hydroxy, -CH₂OH, or -C(O)NH₂,
- piperazinyl substituted by R₁,
- [1,4]diazepanyl substituted by R₁,

30 R₁ can be one or two substituents selected from the group consisting of:

- hydrogen,
- oxo,
- lower alkyl substituted by R₂,
- C(O)R₃,
- 35 -SO₂-lower alkyl,

-SO₂-five membered heterocyclyl;

R₂ is selected from the group consisting of:

-SO₂-lower alkyl,

hydroxy,

lower alkoxy,

trifluoromethyl,

-NH-SO₂-lower alkyl,

-NH-C(O)-lower alkyl,

-C(O)-lower alkyl,

-cyano,

-C(O)R₄;

R₃ is selected from the group consisting of:

heterocyclyl,

lower alkyl,

lower alkenyl,

lower alkyl substituted by lower alkoxy or a five or six membered heterocyclyl,

lower alkoxy,

cycloalkyl;

R₄ is selected from the group consisting of:

hydroxy,

lower alkoxy,

morpholine,

piperidine,

pyrrolidine,

aziridine,

4-acetyl-piperaziny,

-NR₅R₆;

R₅ and R₆ are independently selected from the group consisting of:

hydrogen,

lower alkyl,

lower alkyl substituted by lower alkoxy or cyano,

lower alkoxy, and

cycloalkyl.

In a second aspect, there is provided a compound as defined above for use as a medicament for treating a disease based on the interaction of MDM2 protein with a p53-like peptide.

In a third aspect, there is provided a compound of formula I as defined above, wherein X_1 is ethoxy; Y_1 and Y_2 are both $-Cl$; R is piperazinyl substituted by R_1 ; and the remaining substituents have the significances as defined above.

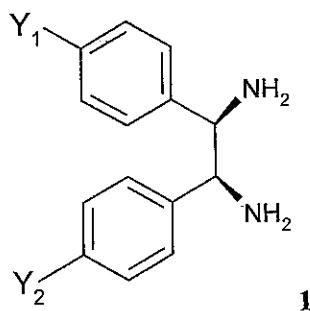
In a fourth aspect, there is provided a pharmaceutical composition comprising at least one compound of formula I as defined above, together with pharmaceutically acceptable adjuvants.

In a fifth aspect, there is provided a compound as defined above for the use as medicament, in particular as anti-cancer medicament.

In a sixth aspect, there is provided the use of a compound as defined above in the manufacture of medicaments for the treatment of cell proliferative disorders, in particular solid tumors.

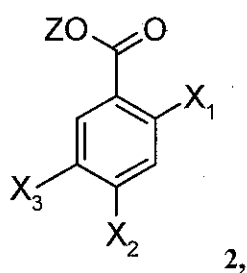
In a seventh aspect, there is provided the use of a compound as defined above in the manufacture of medicaments for the treatment of breast, colon, lung and prostate tumors.

In an eighth aspect, there is provided a process for the manufacture of a compound of formula I as defined above, wherein a) a compound of the formula **1**

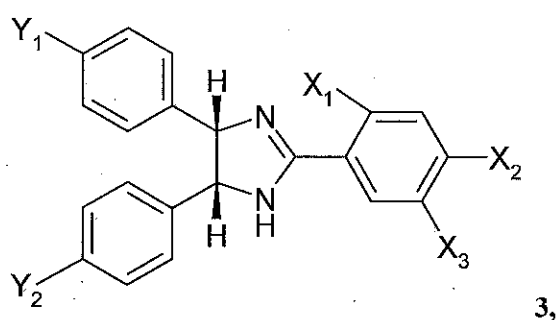


is reacted with a compound of formula 2,

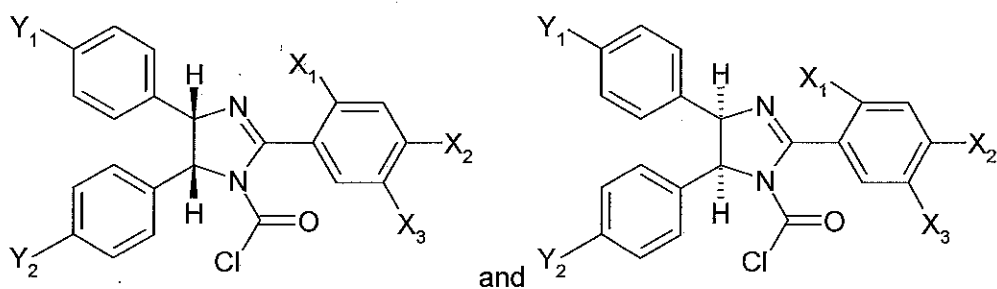
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in the presence of a catalyst, in particular trimethylaluminium, to give a compound of formula 3



b) said compound of formula 3 is further reacted in the presence of phosgene and a base, in particular triethylamine, to give the racemic mixture of the compounds of formula "enant-5A" and "enant-5B"



(enant-5A)

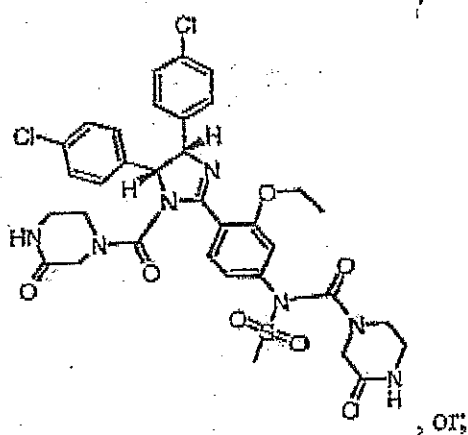
(enant-5B);

c) said compound of formula "enant-5A" is separated from said racemic mixture by chiral chromatography, and is further reacted in the presence of an amine substituted by the group R as defined as above, to give the compounds of formula I as defined above,

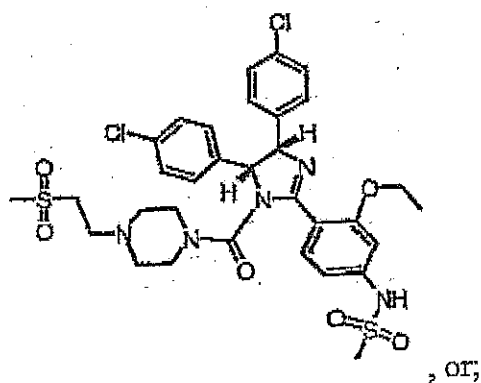
d) said compound of formula I as defined above is separated from the reaction mixture and, if desired, turned into a pharmaceutically acceptable salt or ester thereof; and R, Y₁, Y₂, X₁, X₂ and X₃ have the meanings given as defined above; and Z is lower alkyl.

In a ninth aspect, there is provided a compound selected from the group consisting of:

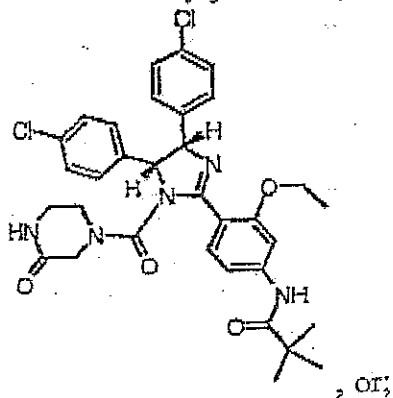
N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-N-(3-oxo-piperazine-1-carbonyl)-methanesulfonamide;



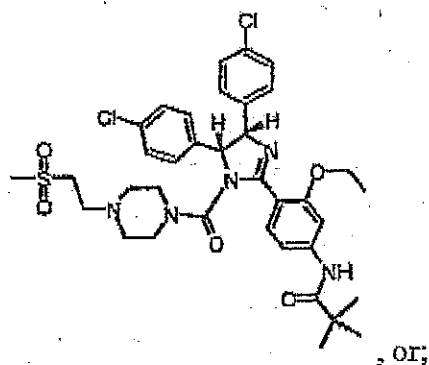
N-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-methanesulfonamide hydrochloride;



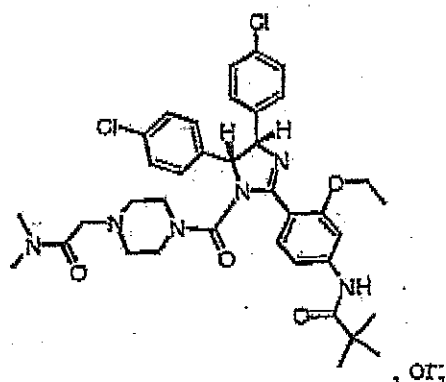
N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-2,2-dimethyl-propionamide;



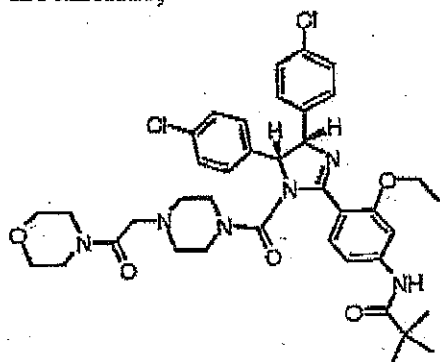
N-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride;



N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-dimethylcarbamoylmethyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-2,2-dimethyl-propionamide hydrochloride;



N-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride;



"Effective amount" means an amount that is effective to prevent, alleviate or ameliorate symptoms of disease or prolong the survival of the subject being treated.

"Halogen" means fluorine, chlorine, bromine or iodine.

"Hetero atom" means an atom selected from N, O and S.

"IC₅₀" refers to the concentration of a particular compound required to inhibit 50% of a specific measured activity. IC₅₀ can be measured, *inter alia*, as is described subsequently.

"Alkyl" denotes a straight-chained or branched saturated aliphatic hydrocarbon.

"Lower alkyl" groups denote C1-C6 alkyl groups and include methyl, ethyl, propyl, isopropyl, butyl, t-butyl, 2-butyl, pentyl, hexyl, and the like. Generally, lower alkyl is preferably C1-C4 alkyl, and more preferably C1-C3 alkyl.

"Alkoxy" denotes -O-alkyl. "Lower alkoxy" denotes -O-lower alkyl.

"Cycloalkyl" denotes a 3 to 6 membered, saturated, monocyclic hydrocarbon such as for example cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl, with cyclopropyl being especially preferred.

"heterocyclyl" denotes a 3 to 7 membered, saturated or unsaturated, monocyclic hydrocarbon, wherein 1 or 2 carbon-atoms are replaced by heteroatoms selected from N, S or O. Preferably said heterocyclic group is a 5 or 6 membered, saturated or unsaturated ring and the heteroatoms are N or S. Said heterocyclyl group can be optionally substituted one or two times. Examples of said heterocyclic group are piperidinyl; piperazinyl; 3-oxo-piperazinyl; [1,4]diazepanyl; isoxazolyl; 3,5-

dimethyl-isoxazolyl; morpholinyl; pyrrolidinyl; pyrrolyl; thiophenyl; aziridinyl; imidazolyl; thiazolyl; thiazolidinyl; imidazolidinyl and the like.

5 “Pharmaceutically acceptable ester” refers to a conventionally esterified compound of formula I having a carboxyl group, which esters retain the biological effectiveness and properties of the compounds of formula I and are cleaved *in vivo* (in the organism) to the corresponding active carboxylic acid.

10 Information concerning esters and the use of esters for the delivery of pharmaceutical compounds is available in Design of Prodrugs. Bundgaard H ed. (Elsevier, 1985). *See also*, H. Ansel et. al., Pharmaceutical Dosage Forms and Drug Delivery Systems (6th Ed. 1995) at pp. 108-109; Krogsgaard-Larsen, et. al., Textbook of Drug Design and Development (2d Ed. 1996) at pp. 152-191.

15 “Pharmaceutically acceptable salt” refers to conventional acid-addition salts or base-addition salts that retain the biological effectiveness and properties of the compounds of the present invention and are formed from suitable non-toxic organic or inorganic acids or organic or inorganic bases. Sample acid-addition salts include those derived from inorganic acids such as hydrochloric acid, hydrobromic acid, 20 hydroiodic acid, sulfuric acid, sulfamic acid, phosphoric acid and nitric acid, and those derived from organic acids such as p-toluenesulfonic acid, salicylic acid, methanesulfonic acid, oxalic acid, succinic acid, citric acid, malic acid, lactic acid, fumaric acid, and the like. Sample base-addition salts include those derived from ammonium, potassium, sodium and, quaternary ammonium hydroxides, such as for 25 example, tetramethylammonium hydroxide. Chemical modification of a pharmaceutical compound (i.e. drug) into a salt is a technique well known to pharmaceutical chemists to obtain improved physical and chemical stability, hygroscopicity, flowability and solubility of compounds. *See, e.g.*, H. Ansel et. al., Pharmaceutical Dosage Forms and Drug Delivery Systems (6th Ed. 1995) at pp. 196 30 and 1456-1457.

“Pharmaceutically acceptable”, such as pharmaceutically acceptable carrier, excipient, etc., means pharmacologically acceptable and substantially non-toxic to the subject to which the particular compound is administered.

“Substituted” means that the substitution can occur at one or more positions and, unless otherwise indicated, that the substituents at each substitution site are independently selected from the specified options.

5 “Therapeutically effective amount” means an amount of at least one designated compound, that significantly inhibits proliferation and/or prevents differentiation of a human tumor cell, including human tumor cell lines.

10 Compounds of the present invention as exemplified advantageously show IC_{50} s from about 0.005 μ M to about 1 μ M.

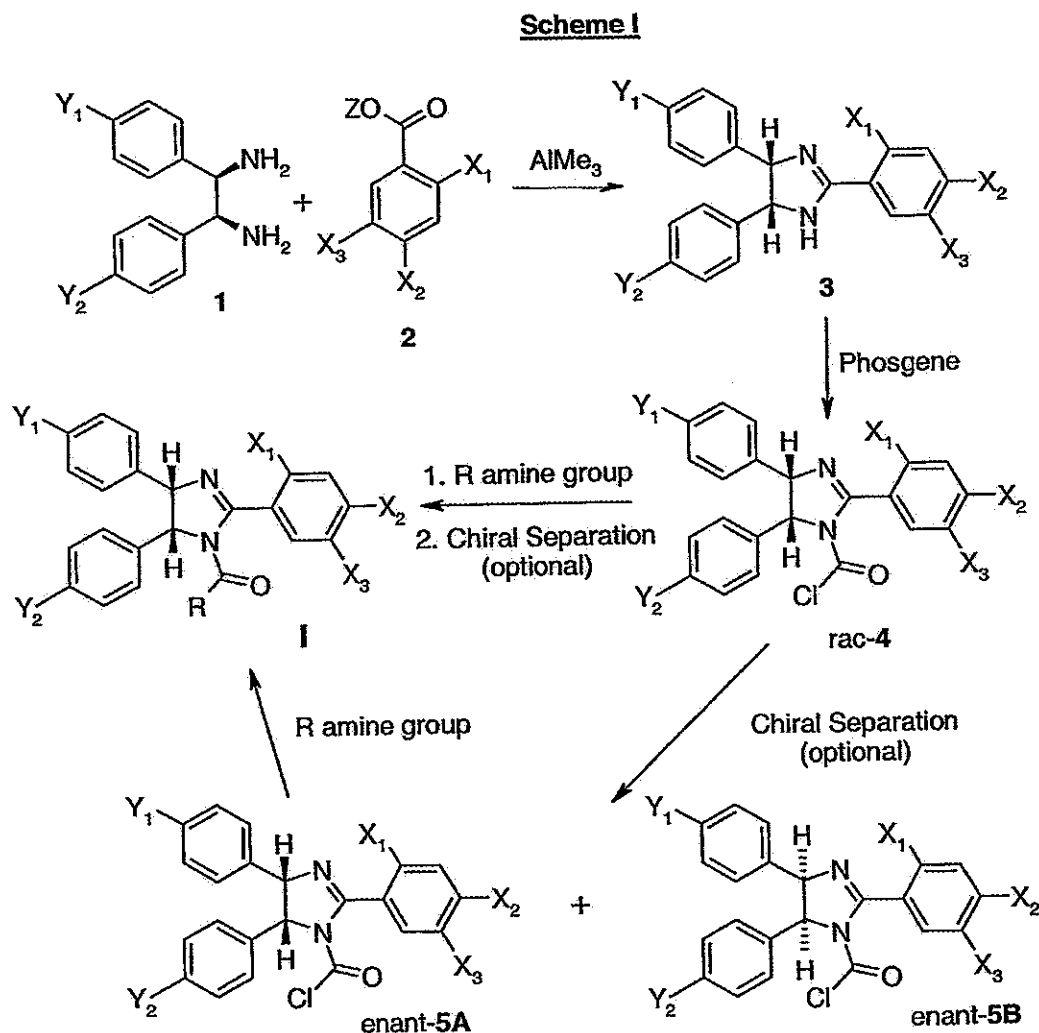
15 The compounds of the present invention are useful in the treatment or control of cell proliferative disorders, in particular oncological disorders. These compounds and formulations containing said compounds may be useful in the treatment or control of solid tumors, such as, for example, breast, colon, lung and prostate tumors.

20 A therapeutically effective amount of a compound in accordance with this invention means an amount of compound that is effective to prevent, alleviate or ameliorate symptoms of disease or prolong the survival of the subject being treated. Determination of a therapeutically effective amount is within the skill in the art.

25 The therapeutically effective amount or dosage of a compound according to this invention can vary within wide limits and may be determined in a manner known in the art. Such dosage will be adjusted to the individual requirements in each particular case including the specific compound(s) being administered, the route of administration, the condition being treated, as well as the patient being treated. In general, in the case of oral or parenteral administration to adult humans weighing approximately 70 Kg, a daily dosage of about 10 mg to about 10,000 mg, preferably from about 200 mg to about 1,000 mg, should be appropriate, although the upper
30 limit may be exceeded when indicated. The daily dosage can be administered as a single dose or in divided doses, or for parenteral administration, it may be given as continuous infusion.

The present invention also provides pharmaceutical compositions comprising at least one compound of formula I, or a pharmaceutically acceptable salt or ester thereof, and a pharmaceutically acceptable carrier or excipient.

The compounds of the present invention can be prepared according to the following scheme 1.



The synthesis commences with the coupling reaction of the benzoic acid ester **2** ($\text{Z} = \text{methyl, ethyl, etc.}$) with *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine **1** (prepared according to the procedure described by Jennerwein, M. et al. *Cancer Res. Clin. Oncol.* **1988**, *114*, 347-58; Vogtle, F.; Goldschmitt, E. *Chem. Ber.* **1976**, *109*, 1-40) using trimethylaluminum as a catalyst in a solvent such as toluene with heating at reflux (Moormann, A. E. et al *J. Med. Chem.* **1990**, *33*, 614-626). Benzoic acid esters **2** are prepared using the procedures known in the art. Treatment of the

imidazoline 3 with phosgene in the presence of a base such as triethylamine gives the racemic carbamoyl chloride 4. Coupling of the racemic carbamoyl chloride 4 with appropriate R amine groups provides the compounds of the formula I as racemic mixtures. Many R amine groups are commercially available. If it is desired, R amine groups can be prepared using synthetic methods known in the art. Suitable processes for making these R amine groups are provided in the examples.

If it is desired to prepare the optically active compounds of formula I, the enantiomers of the carbamoyl chloride rac-4 can be separated using chiral chromatography. The chiral stationary phase R,R-Whelk-O1, available through Regis Technologies, can be used. Coupling of the desired enantiomer 5A with appropriate R amine groups provides the compounds of the formula I.

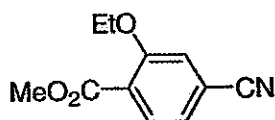
Also the optically active compounds of formula I can be obtained by chiral separation of the racemic mixtures of I. The chiral stationary phase Diacel ChiralPak OD or AD can be used.

The absolute stereochemistry of the preferred enantiomer of I is determined based on the crystal structure of its complex with the human MDM2 (Vassilev *et al.* Science, 2004, 303, 844-848).

The following examples and references are provided to aid the understanding of the present invention, the true scope of which is set forth in the appended claims.

Example 1

Methyl 4-cyano-2-ethoxybenzoate



Iron (16.19 g, 290 mmol) was added to a slurry mixture of 2-ethoxy-4-nitrobenzoic acid (24.00 g, 114 mmol) in ethanol (200 mL) and saturated aqueous solution of ammonium chloride (120 mL). The mixture was stirred at 80 °C for 2 h. The reaction mixture was cooled to room temperature and filtered through Celite. It was rinsed with methylene chloride and the combined filtrate diluted with water and extracted with methylene chloride and dried over anhydrous sodium sulfate. Evaporation of the solvent and chromatography of the brown residue over silica gel

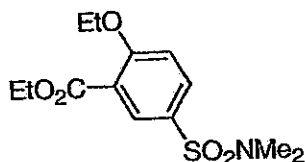
using 1-5% methanol in methylene chloride produced 4-amino-2-ethoxybenzoic acid as a yellow solid (14.94 g, 73%).

To a cooled solution of 4-amino-2-ethoxybenzoic acid (500 mg, 2.76 mmol) in 2 M hydrochloric acid (1.0 mL) was added a solution of sodium nitrite (192 mg, 2.8 mmol) in water (0.55 mL). The mixture was stirred for 15 min and neutralized by adding small amounts of solid sodium bicarbonate. This solution was then added to a freshly prepared solution of copper(I) cyanide at 0 °C (prepared from sodium cyanide and copper(I) chloride according to *Org. Syn. Coll. Vol VI*, p. 514) in water (7.5 mL) and benzene (2.5 mL). The reaction was allowed to warm up to room temperature and stirred for 2 h. The mixture was filtered through Celite and the filtrate extracted with ethyl acetate. The aqueous phase was acidified with 2 M hydrochloric acid and extracted with ethyl acetate. The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate and concentrated to give 4-cyano-2-ethoxybenzoic acid as a light brown solid (280 mg, 53%), which was used in the next step without further purification.

(Trimethylsilyl)diazomethane (2 M in hexanes, 1.47 mL, 2.93 mmol) was added to a solution of 4-cyano-2-ethoxybenzoic acid (280 mg, 1.47 mmol) in benzene (8.0 mL) and methanol (1.6 mL). The reaction was stirred for 1 h and then degassed with air for 30 min. Evaporation of the solvent and purification of the crude residue by flash column chromatography (silica gel, eluting with 0-20% ethyl acetate in hexanes) gave methyl 4-cyano-2-ethoxybenzoate as a yellow solid (218 mg, 71%).

Example 2

Ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate



To a cooled solution of chlorosulfonic acid (12.0 mL) and thionyl chloride (3.4 mL) was added ethyl 2-ethoxybenzoate (10.7 g, 59.0 mmol) dropwise over 30 min. The reaction was stirred overnight at room temperature and carefully added to ice (300 g). The resulting white solid was filtered, washed with water and dried *in*

vacuo overnight to give ethyl 2-ethoxy-5-(chlorosulfonyl) benzoate as a white solid (9.5 g, 59%).

To a cooled solution of ethyl 2-ethoxy-5-(chlorosulfonyl)benzoate (480 mg, 1.6 mmol) in methylene chloride (5.0 mL) was added triethylamine (0.35 mL, 2.5 mmol) and dimethylamine (2 M in tetrahydrofuran, 4.5 mL, 9.0 mmol). The reaction was stirred at room temperature for 2 h and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 20-50% ethyl acetate in hexanes) gave ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate as a off-white solid (210 mg, 43 %).

In an analogous manner, there were obtained:

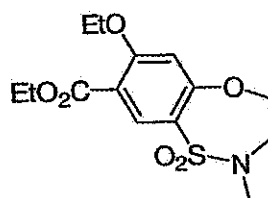
- ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate
- ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate
- ethyl 2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-benzoate
- ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate
- ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate
- ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate
- ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate
- ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate
- ethyl 2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate
- ethyl 2-ethoxy-5-isopropylsulfamoyl-4-methyl-benzoate
- ethyl 2-ethoxy-5-methylsulfamoyl-4-methyl-benzoate
- ethyl 4-chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-benzoate
- ethyl 4-chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate
- ethyl 4-chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate
- ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate
- ethyl 4-chloro-2-ethoxy-5-methylsulfamoyl-benzoate
- ethyl 4-chloro-5-dimethylsulfamoyl-2-ethoxy-benzoate

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-ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate
 -ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate
 -ethyl 5-dimethylsulfamoyl-2-ethoxy-4-methoxy-benzoate
 -ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-benzoate
 -ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate
 -ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate
 -ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate
 -ethyl 2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-benzoate.

Example 3

8-carboethoxy-7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-benzo[1,6-b][1,4,5]oxathiazepine



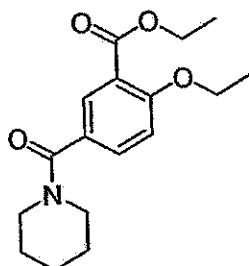
To a cooled solution of ethyl 4-fluoro-2-ethoxy-5-(chlorosulfonyl) benzoate (1.0 g, 3.2 mmol, example 2) in methylene chloride (25 mL) was added 2-(methylamine)ethanol (0.75 mL, 10.6 mmol). The reaction was stirred at room temperature for 1 h and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 30-70% ethyl acetate in hexanes) gave ethyl 4-fluoro-2-ethoxy-5-(*N*-methyl-*N*-(2-hydroxyethyl)sulfonamide)benzoate (0.76 g, 64 %).

Sodium hydride (89 mg, 2.2 mmol, 60% in mineral oil) was added to a solution of 4-fluoro-2-ethoxy-5-(*N*-methyl-*N*-(2-hydroxyethyl)sulfonamide)benzoate (520 mg, 1.5 mmol) in dimethylformamide (8.0 mL) at 0 °C. The reaction was stirred at 0 °C for 1 h. The reaction mixture was quenched with a dilute aqueous solution of ammonium chloride and extracted with diethyl ether. The organic extracts were washed with brine, dried over anhydrous sodium sulfate and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 25-50% ethyl

acetate in hexanes) gave 8-carboethoxy-7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-benzo[1,6-b][1,4,5]oxathiazepine as a white solid (430 mg, 88 %).

Example 4

2-Ethoxy-5-(piperidine-1-carbonyl)-benzoic acid ethyl ester



To a stirring solution of 2-ethoxy-5-formyl-benzoic acid ethyl ester (1.0 g, 4.5 mmol) in 10 mL of dimethylformamide was added dropwise sulfamic acid (610.4 mg, 6.3 mmol) in 5 mL of water. After addition of sulfamic acid, sodium chlorite (737.2 mg, 8.2 mmol) in 5 mL of water was added dropwise. Reaction was allowed to stir for 2 h at room temperature. Reaction was diluted with brine and extracted with ethyl acetate. Organic extract was dried with anhydrous magnesium sulfate, filtered and concentrated *in vacuo* to give 4-ethoxy isophthalic acid 3-ethyl ester as a white solid (900 mg, 84%). LC-MS: 239.2 [(M+H)⁺].

To a solution of 4-ethoxy isophthalic acid 3-ethyl ester (600 mg, 2.5 mmol) in 10 mL of acetonitrile was added piperidine (429 mg, 5.0 mmol). The resulting mixture was cooled to 0 °C. To this solution was added HBTU (1.4 g, 3.78 mmol, in 5 mL of acetonitrile) followed by N,N-diisopropylethylamine (2.2 mL, 12.6 mmol). The reaction was allowed to stir overnight at room temperature. The reaction mixture was concentrated *in vacuo*. The residue was diluted with 10% potassium carbonate and extracted with methylene chloride. The organic extract was concentrated and purification of the crude residue by flash column chromatography (silica gel, eluting with a gradient of ethyl acetate in hexanes) gave 632 mg (2.07 mmol, 82%) of pure 2-ethoxy-5-(piperidine-1-carbonyl)-benzoic acid ethyl ester. LC-MS: 306.3 [(M+H)⁺].

In an analogous manner, there were obtained:

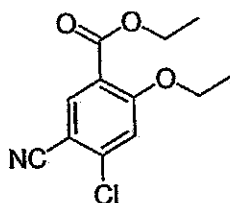
-6-ethoxy-N,N-dimethyl-isophthalamide acid ethyl ester

-2-ethoxy-5-(pyrrolidine-1-carbonyl)-benzoic acid ethyl ester

-2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid ethyl ester.

Example 5

4-Chloro-5-cyano-2-ethoxy-benzoic acid ethyl ester

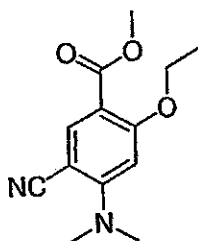


To a solution of 4-chloro-2-ethoxy-benzoic acid ethyl ester (1.46 g, 6.4 mmol) in 13 mL of water and methylene chloride (1:1 mixture) was added bromine (360 μ L, 7 mmol). The reaction was stirred for three days. The organic layer was separated. It was washed with brine, dried over anhydrous magnesium sulfate, and concentrated *in vacuo*. Purification of the crude residue by flash column chromatography (silica gel, eluting with a gradient of ethyl acetate in hexanes) gave 5-bromo-4-chloro-2-ethoxy-benzoic acid ethyl ester (1.26 g, 64%). LC-MS: 307.1 $[(M+H)^+]$.

To a solution of 5-bromo-4-chloro-2-ethoxy-benzoic acid ethyl ester (940 mg, 3.0 mmol) in 20 mL of dimethylformamide was added copper(I) cyanide (327 mg, 3.65 mmol). The reaction was stirred at reflux overnight. The reaction was diluted with methylene chloride. It was washed twice with brine, dried over anhydrous magnesium sulfate and concentrated *in vacuo*. Purification of the crude residue by flash column chromatography (silica gel, eluting with a gradient of ethyl acetate in hexanes) gave 4-chloro-5-cyano-2-ethoxy-benzoic acid ethyl ester (359 mg, 47%). LC-MS: 254.1 $[(M+H)^+]$.

Example 6

5-Cyano-4-dimethylamino-2-ethoxy-benzoic acid methyl ester

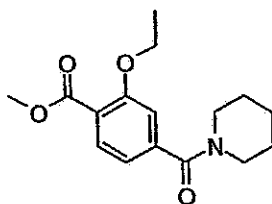


To a solution of 5-bromo-4-ethoxy-2-fluorobenzonitrile (2 g, 8.2 mmol) in 16.5 mL of dioxane was added dimethylamine (16.5 mL, 33 mmol, 2.0 M in tetrahydrofuran). The reaction was stirred at 80 °C overnight. The reaction mixture was concentrated *in vacuo*. Purification of the crude residue by flash column chromatography (silica gel, eluting with a gradient of ethyl acetate in hexanes) gave 5-bromo-2-dimethylamino-4-ethoxybenzonitrile (1.95 g, 88%). LC-MS: 269.2 [(M+H)⁺].

To a solution of 5-bromo-2-dimethylamino-4-ethoxybenzonitrile (1.95 g, 7.3 mmol) in 7.25 mL of dioxane was added sodium methoxide (581 mg, 10.77 mmol), methyl formate (1.85 mL, 30 mmol), and trans-dichlorobis(triphenylphosphine) palladium(II) (281 mg, 0.4 mmol). The mixture was agitated by bubbling nitrogen gas through the solution. To the opening of the vial is affixed a balloon. The reaction was cautiously warmed to 60 °C as gas evolution can cause a froth to enter the balloon. The reaction mixture was stirred for 20 h at 65 °C. It was diluted with dioxane and stirred for an additional 5 min. The warm solution was filtered through Celite, and the filtrate was concentrated *in vacuo*. Purification of the crude residue by flash column chromatography (silica gel, eluting with a gradient of ethyl acetate in hexanes) gave cyano-4-dimethylamino-2-ethoxybenzoic acid methyl ester (218 mg, 12%).

Example 7

2-Ethoxy-4-(piperidine-1-carbonyl)benzoic acid methyl ester



To a solution of 3-hydroxy-4-iodobenzoic acid (4 g, 15.15 mmol) in 2-butanone (100 mL) were added potassium carbonate (20 g, finely ground) and ethyl iodide (4.85 mL). The mixture was stirred at reflux overnight. Upon cooling to room temperature, the solids were filtered off, and the filtrate was concentrated. The residue was partitioned between ethyl acetate and water, and the organic layer was washed with 10% sodium carbonate solution, brine, and concentrated. The residue reconstituted in methanol. 1.0 M sodium hydroxide was added giving a cloudy

solution. Tetrahydrofuran was added to clarify and the mixture stirred at room temperature for one hour. The volatiles were evaporated and the residue was dissolved in water. It was washed once with diethyl ether and then acidified with 37% hydrochloric acid to precipitate a white solid. The solid was filtered, washed with water and dried in a vacuum oven to give 3-ethoxy-4-iodo-benzoic acid (2.73 g, 62%). LC-MS: 293 [(M+H)⁺].

3-Ethoxy-4-iodo-benzoic acid (2.73 grams, 9.36 mmol) was suspended in methylene chloride (25 mL), and oxalyl chloride (5.15 mL, 2.0 M in methylene chloride, 10.3 mmol) was added by pipette. Three drops of dimethylformamide was added and this mixture was stirred overnight at room temperature. The volatiles from the now homogeneous reaction were removed under vacuum and the residue reconstituted in methylene chloride. One half of this was treated with piperidine (2.0 mL, 20 mmol). The mixture was stirred at room temperature for 2 h. The reaction was diluted with methylene chloride, washed with 1.0 M hydrochloric acid, water, saturated sodium bicarbonate solution and brine. The organic layers was dried (magnesium sulfate), filtered and concentrated to give (3-ethoxy-4-iodo-phenyl)-piperidin-1-yl-methanone (1.69 g, 100%).

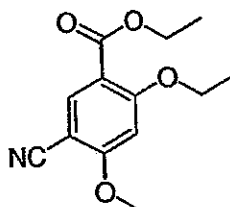
(3-Ethoxy-4-iodo-phenyl)-piperidin-1-yl-methanone was methoxycarbonylated using the procedure described in example 6 to give 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid methyl ester.

In an analogous manner, there was obtained:

-*N-tert*-butyl-2-ethoxy-terephthalamic acid methyl ester.

Example 8

5-Cyano-2-ethoxy-4-methoxy-benzoic acid ethyl ester

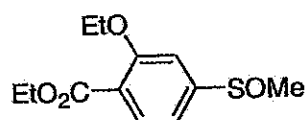


To a stirring solution of 2-ethoxy-4-methoxy-benzoic acid ethyl ester (1.5 g, 6.7 mmol) in 1,2-dichloroethane (2 mL) was added chlorosulfonyl isocyanate (0.923

mL, 10.6 mmol, in 1 mL of 1,2-dichloroethane) in several portions. The reaction was stirred at 50 °C overnight. Reaction was diluted with methylene chloride (2 mL) and water (1 mL), and extracted. The organic layer was washed with brine and concentrated *in vacuo*. Purification of the crude residue by flash column chromatography (silica gel, eluting with a gradient of ethyl acetate in hexanes) gave 900 mg (54% yield) of 5-cyano-2-ethoxy-4-methoxy-benzoic acid ethyl ester. LC-MS: 236.2 [(M+H-CH₃)⁺].

Example 9

a) Ethyl 4-methylsulfinyl-2-ethoxybenzoate

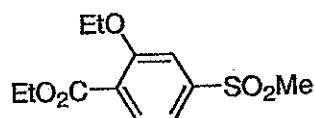


Potassium carbonate (14.0 g, 101 mmol) and iodoethane (3.3 mL, 40.4 mmol) were added to a stirred solution of 4-thiomethyl-2-ethoxy-benzoic acid (4.3 g, 20.2 mmol, prepared according to Robertson, D. et al. *J. Med. Chem.* **1985**, 28, 717-727) in acetone (203 mL). The resulting mixture was heated in a 60 °C oil bath for 16 h and then allowed to cool. The reaction mixture was washed with sodium bicarbonate solution (2x), dried over anhydrous magnesium sulfate, and evaporated to give ethyl 4-methylthio-2-ethoxybenzoate as a yellow oil (4.3 g, 90%). It was used without further purification.

To a cooled solution of ethyl 4-methylthio-2-ethoxybenzoate (1.1 g, 4.6 mmol) in methylene chloride (40 mL) was added 3-chloroperoxybenzoic acid (1.1 g, 4.5 mmol, 77%) in several portions. The reaction mixture was stirred at 0 °C for 15 min, after which TLC (50% ethyl acetate in hexanes) showed consumption of starting material. The reaction mixture was quenched with a solution of sodium thiosulfate and neutralize with a solution of sodium bicarbonate. The product was extracted three times with methylene chloride. The organic layer was washed with sodium bicarbonate, dried over magnesium sulfate, and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 50% ethyl acetate in hexanes) gave ethyl 2-ethoxy-4-methanesulfinylbenzoate as a yellow oil (0.70 g, 58%). LC-MS: 257.2 [(M+H)⁺].

b) Ethyl 4-methylsulfonyl-2-ethoxybenzoate

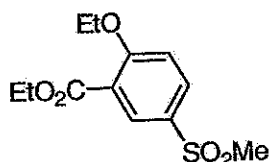
- 50 -



To a cooled solution of ethyl 4-methylthio-2-ethoxybenzoate (1.6 g, 6.7 mmol) in methylene chloride (60 mL) was added 3-chloroperoxybenzoic acid (3.0 g, 13.3 mmol, 77%). The reaction mixture was stirred at 0 °C for 15 min, quenched with a saturated solution of sodium thiosulfate, and neutralized with a saturated solution of sodium bicarbonate. The solution was extracted with methylene chloride. The combined organic phases were washed with sodium bicarbonate, brine and dried over magnesium sulfate and evaporated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 50% ethyl acetate in hexanes) gave ethyl 4-(methylsulfonyl)-2-ethoxy-benzoate as a clear oil (1.45 g, 83%).

Example 10

Ethyl 4-methylsulfonyl-2-ethoxybenzoate



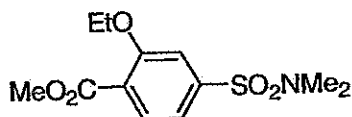
Potassium carbonate (22.0 g, 159 mmol) and iodoethane (9.0 mL, 112 mmol) were added to a stirred solution of 5-methylthio-2-hydroxy-benzoic acid (5.00 g, 27 mmol) in 2-butanone (125 mL). The resulting mixture was heated in an oil bath (80 °C) for 16 h and then allowed to cool. The solution was concentrated and redissolved in methylene chloride. The organic phase was washed with water and brine, dried over anhydrous magnesium sulfate and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 5-15% ethyl acetate in hexanes) gave ethyl 5-methylthio-2-ethoxybenzoate as a yellow oil (5.5 g, 85%).

To a cooled solution of ethyl 5-methylthio-2-ethoxybenzoate (1.1 g, 4.6 mmol) in methylene chloride (40 mL) was added 3-chloroperoxybenzoic acid (2.0 g, 9.0 mmol, 77%). The reaction mixture was stirred at 0 °C for 2 h, quenched with a saturated solution of sodium thiosulfate, and neutralized with a saturated solution of sodium bicarbonate. The solution was extracted with methylene chloride. The combined organic phases were washed with sodium bicarbonate, brine and dried over sodium sulfate and evaporated. Purification of the crude residue by flash column

chromatography (silica gel, eluting with 25-50% ethyl acetate in hexanes) gave ethyl 4-methylsulfonyl-2-ethoxybenzoate as a yellow oil (0.54 g, 43%).

Example 11

Methyl 4-dimethylsulfamoyl-2-ethoxybenzoate



2-Ethoxy-4-thiol-benzoic acid (1.6 g, 8.08 mmol, prepared according to Robertson, D. et al. *J. Med. Chem.* **1985**, 28, 717-727) was taken up in methanol (80 mL) and cooled to 0 °C. Thionyl chloride (1.2 mL, 16.2 mmol) was added slowly. The reaction mixture was allowed to warm slowly to room temperature and stirred overnight. Evaporation of the solvents afforded a mixture of sulfide and disulfide methyl ester (1.9 g, 100%) as a yellow oil, which was used without further purification. This crude sulfide/disulfide ester was taken up in acetic acid and cooled to 0 °C. A small amount of toluene was added to the reaction mixture to prevent the reaction mixture from freezing. Chlorine gas (Cl₂) was bubbled into the reaction mixture until TLC (50% ethyl acetate in hexanes) showed consumption of starting material. Argon gas (Ar) was bubbled into the reaction mixture to remove excess chlorine. The reaction mixture was concentrated to dryness *in vacuo* to give quantitative yield of the methyl 4-chlorosulfonyl-2-ethoxy-benzoate.

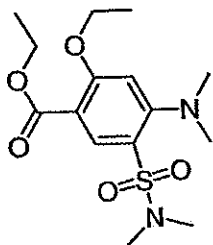
Methyl 4-chlorosulfonyl-2-ethoxy-benzoate (1.3 g, 4.55 mmol) was taken up in anhydrous methylene chloride (30 mL) and cooled to 0 °C. Dimethylamine (9.1 mL, 18.2 mmol) was added. The reaction mixture was allowed to slowly warm to room temperature and stirred at room temperature for 16 h. The reaction mixture was washed with water, dried over magnesium sulfate and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 20-50% ethyl acetate in hexanes) gave methyl 4-dimethylsulfamoyl-2-ethoxy-benzoate as a yellow solid (0.528 g, 41%). LC-MS: 288.1 [(M+H)⁺].

In an analogous manner, there was obtained:

-2-ethoxy-4-(morpholine-4-sulfonyl)-benzoic acid methyl ester

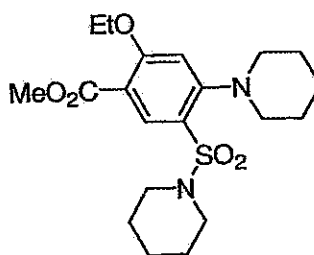
-2-ethoxy-4-(pyrrolidine-1-sulfonyl)-benzoic acid methyl ester.

Example 12

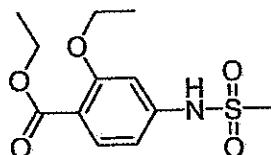
a) Ethyl 4-dimethylamino-5-dimethylsulfamoyl-2-ethoxybenzoate

Ethyl 5-chlorosulfonyl-2-ethoxy-4-fluorobenzoate (1.5 g, 4.84 mmol; prepared as described in example 2) was taken up in 36 mL of anhydrous methylene chloride and cooled to 0 °C. Dimethylamine (7.3 mL, 14.5 mmol) was added and the reaction mixture was stirred at 0 °C for 2 h and concentrated *in vacuo*. Purification of the crude residue by flash column chromatography (silica gel, eluting with 20-40% ethyl acetate in hexanes) gave ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate as a white solid (1.02 g, 68%). LC-MS: 320.2 [(M+H)⁺].

Ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (1.02 g, 3.20 mmol) was taken up in 5 mL of anhydrous dimethylformamide. Dimethylamine (8.0 mL, 15.9 mmol) was added and the reaction mixture was heated at 50 °C for 16 h. The reaction was allowed to cool to room temperature and diluted with water. The product was extracted three times with methylene chloride. The combined organics extracts were washed with brine, dried over magnesium sulfate and concentrated to give ethyl 4-dimethylamino-5-dimethylsulfamoyl-2-ethoxy-benzoate as a tan solid (1.05g, 95%). LC-MS: 345.3 [(M+H)⁺].

b) Ethyl 4-piperidino-5-piperidinosulfamoyl-2-ethoxybenzoate

To a cooled solution of ethyl 4-fluoro-2-ethoxy-5-(chlorosulfonyl)benzoate (1.3 g, 4.2 mmol; prepared as described in example 2) in methylene chloride (25 mL) was added piperidine (1.5 mL, 18 mmol). The reaction was stirred at room temperature for 2 h and concentrated. Purification of the crude residue by chromatography over silica gel using 25-50% ethyl acetate in hexanes gave ethyl 4-piperidino-5-piperidinosulfamoyl-2-ethoxybenzoate (1.25 g, 70 %).

Example 13Ethyl 4-methanesulfonylamino-2-ethoxybenzoate

Potassium carbonate (60.4 g, 437 mmol) and iodoethane (17.6 mL, 218.4 mmol) were added to a mechanically stirred solution of 2-hydroxy-4-nitro-benzoic acid (10.0 g, 54.6 mmol) in 2-butanone (165 mL). The resulting mixture was heated in an 80 °C oil bath for 16 h and then allowed to cool. TLC (20% ethyl acetate in hexanes) showed consumption of starting material. The reaction mixture was concentrated to dryness, and the residue was taken up in ethyl acetate and washed three times with a saturated solution of sodium thiosulfate, dried over magnesium sulfate and concentrated to give ethyl 2-ethoxy-4-nitro-benzoate as a yellow solid (12.7 g, 97%). LC-MS: 240.1 [(M+H)⁺].

To a solution of ethyl 2-ethoxy-4-nitro-benzoate (12.7 g, 53.1 mmol) in ethanol (94 mL) was added a solution of ammonium chloride (56 mL). The formation of a precipitate was observed. Iron (15.2 g, 271 mmol) was added and the reaction mixture was heated at 80 °C for 16 h. The reaction mixture was cooled and filtered through Celite, and the Celite was rinsed with methylene chloride. Water was added and the product was extracted three times with methylene chloride. The organic layers were dried over magnesium sulfate and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 0-3% methanol in chloroform) gave ethyl 4-amino-2-ethoxy-benzoate as a tan solid (10.3 g, 99%).

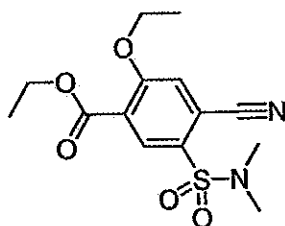
4-Amino-2-ethoxy-benzoic acid ethyl ester (1.0 g, 5.13 mmol) was taken up in pyridine (40 mL) and cooled to 0 °C. Methanesulfonyl chloride (1.5 mL, 15.3 mmol) was added and the reaction temperature was allowed to warm slowly to room temperature and stirred for 16 h. The reaction mixture was diluted with methylene chloride and washed with 1N hydrochloric acid until aqueous phase remained acidic. The organic layers were dried over magnesium sulfate and concentrated to give ethyl 4-dimethylamino-5-dimethylsulfamoyl-2-ethoxybenzoate as an orange solid (1.3 g, 87%). It was used without further purification.

In an analogous manner, there were obtained:

-2-ethoxy-4-[methanesulfonyl-(3-oxo-piperazine-1-carbonyl)-amino]-
benzoic acid ethyl ester
-4-(2,2-Dimethyl-propionylamino)-2-ethoxy-benzoic acid ethyl ester.

Example 14

Ethyl 4-cyano-5-dimethylsulfamoyl-2-ethoxybenzoate



Potassium carbonate (100 g, 724 mmol) and iodoethane (29.1 mL, 364 mmol) were added to a mechanically stirred solution of 2-hydroxy-4-iodobenzoic acid (16.0 g, 60.6 mmol, prepared according to Singh, S.; et al. *J. Med. Chem.* **1997**, *40*, 2472-2481) in 2-butanone (250 mL). The resulting mixture was heated at 80 °C for 16 h and then allowed to cool. Diethyl ether (250 mL) was added to the reaction vessel and the supernatant was transferred to a separatory funnel. Diethyl ether (250 mL) was again added to the reaction vessel to wash the remaining solid and the supernatant was again transferred to the separatory funnel. Water (400 mL) was added and the phases were separated. The aqueous phase was washed twice with diethyl ether (500 mL, 200 mL) and then the combined organic phases were washed with water (400 mL), brine (400 mL), dried over magnesium sulfate and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 10% ethyl acetate in hexanes) gave ethyl 2-ethoxy-4-iodobenzoate as an off-white solid (9.50 g, 49%).

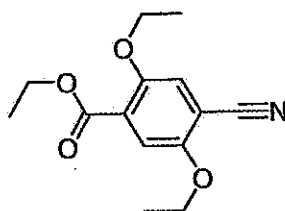
Chlorosulfonic acid (2.3 mL) and thionyl chloride (0.6 mL) were combined and stirred in an ice-salt bath. Ethyl 2-ethoxy-4-iodobenzoate (0.800 g, 2.50 mmol) was added in portions over approximately 2 m. The cooling bath was removed and the reaction mixture was stirred for 16 h at room temperature. The reaction mixture was then warmed to 60 °C for 1.75 h then allowed to cool and poured carefully into a vigorously stirred mixture of ice and water (~50 mL). The resulting mixture was washed with ethyl acetate (2 x 30 mL) and the combined organic phases were dried over magnesium sulfate and evaporated to yield the sulfonyl chloride which was used without further purification. This crude sulfonyl chloride was dissolved in methylene chloride (12 mL) and cooled in an ice-salt bath. Triethylamine (1.74 mL, 12.5 mmol)

and dimethylamine (12.5 mL, 25.0 mmol, 2.0 M in tetrahydrofuran) were added. The cooling bath was removed and the reaction mixture was stirred for 1.5 h and then concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 25% ethyl acetate in hexanes) gave ethyl 5-
5 dimethylsulfamoyl-2-ethoxy-4-iodobenzoate as a white solid (0.599 g, 56%). LC-MS: 427.9 [(M+H)⁺].

Ethyl 5-dimethylsulfamoyl-2-ethoxy-4-iodobenzoate (1.01 g, 2.36 mmol), zinc cyanide (0.167 g, 1.42 mmol) and tetrakis(triphenylphosphine)palladium(0) (0.191 g, 0.165 mmol) were combined under nitrogen in a flame-dried Schlenk tube.
10 Dimethylformamide (9 mL) was added and the reaction mixture was put through three freeze-pump-thaw cycles and then put under a nitrogen atmosphere and heated at 80 °C for 16 h. After cooling to room temperature, water (50 mL) was added and the resulting mixture was extracted with diethyl ether (100 mL, 2 x 50 mL). The
15 combined organic phases were washed with brine (3 x 50 mL) and then dried over magnesium sulfate and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 33% ethyl acetate in hexanes) gave ethyl 4-cyano-5-dimethylsulfamoyl-2-ethoxybenzoate as an off-white solid (0.708 g, 92%). LC-MS: 327.1 [(M+H)⁺].

Example 15

Ethyl 4-cyano-2,5-diethoxybenzoate

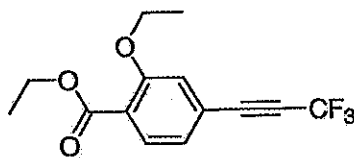


A solution of bromine (0.338 mL, 6.60 mmol) in acetic acid (6 mL) was
25 added dropwise to a mixture of ethyl 2,5-diethoxybenzoate (1.36 mL, 6.02 mmol) and acetic acid (24 mL) cooled in a water bath. After stirring 1 h, more bromine (0.102 mL, 1.99 mmol) was added dropwise to the reaction mixture. After stirring an additional 4 h, the reaction mixture was concentrated under reduced pressure and the residue was purified by flash column chromatography (eluting with 10% diethyl ether
30 in hexanes) to give ethyl 4-bromo-2,5-diethoxybenzoate as an off-white solid (0.610 g, 32%).

Ethyl 4-bromo-2,5-diethoxybenzoate (0.615 g, 1.94 mmol), zinc cyanide (0.137 g, 1.17 mmol) and tetrakis(triphenylphosphine)palladium(0) (0.157 g, 0.136 mmol) were combined under nitrogen in a flame-dried Schlenk tube. Dimethylformamide (7 mL) was added and the reaction mixture was put through three freeze-pump-thaw cycles and then put under a nitrogen atmosphere and heated at 80 °C for 16 h. After cooling to room temperature, water (50 mL) was added and the resulting mixture was extracted with diethyl ether (100 mL, 2 x 50 mL). The combined organic phases were washed with brine (3 x 50 mL) and then dried over magnesium sulfate and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 33% ethyl acetate in hexanes) gave ethyl 4-cyano-2,5-diethoxybenzoate as an off-white solid (0.416 g, 81%).

Example 16

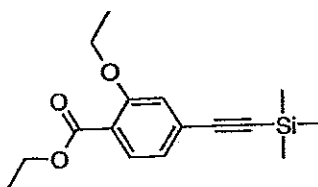
Ethyl 4-trifluoroprop-1-ynylbenzoate



3,3,3-Trifluoro-1-propyne (approx. 0.6 mL, approx. 6 mmol) was condensed in a flame-dried Schlenk tube immersed in a dry ice/acetone bath. Tetrahydrofuran (3 mL) was added followed by a solution of butyllithium in hexanes (2.15 mL, 3.44 mmol, 1.6 M). After stirring 2.5 h at -78 °C, zinc chloride (6.87 mL, 3.44 mmol, 0.5 M solution in tetrahydrofuran) was added to the reaction mixture and the reaction vessel was transferred to an ice-water bath and maintained at 0 °C for 30 min. Ethyl 2-ethoxy-4-iodobenzoate (1.00 g, 3.12 mmol, prepared as described in example 14) and tetrakis(triphenylphosphine)palladium(0) (0.18 g, 0.16 mmol) were then added. The reaction vessel was allowed to warm to room temperature and then after 1 h warmed to 50 °C for 18 h. After cooling, the reaction mixture was partitioned between brine (70 mL) and methylene chloride (2 x 100 mL), dried over magnesium sulfate and evaporated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 1/1 mixture of methylene chloride and hexanes) gave ethyl 4-trifluoroprop-1-ynylbenzoate as an off-white solid (0.474 g, 53%).

Example 17

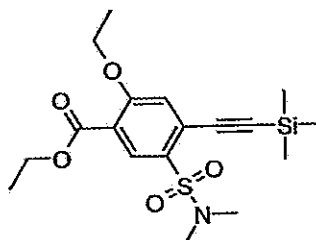
Ethyl 2-ethoxy-4-trimethylsilanylethynylbenzoate



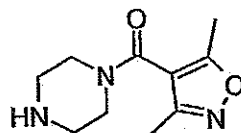
Ethyl 2-ethoxy-4-iodobenzoate (0.763 g, 2.38 mmol, example 14), copper(I) iodide (0.0227 g, 0.119 mmol), dichlorobis(triphenylphosphine)palladium(II) (0.167 g, 0.238 mmol) and (trimethylsilyl)acetylene (0.404 mL, 2.86 mmol) were dissolved under nitrogen in a flame-dried flask in a mixture of triethylamine (3.5 mL) and dimethylformamide (3.5 mL). The reaction mixture was heated at 50 °C for 2 h and then allowed to cool. Water (100 mL) was added and the mixture was extracted with diethyl ether (3 x 100 mL). The combined organic phases were washed with water (100 mL), brine (100 mL), dried over Sodium sulfate and evaporated. Purification of the crude residue by flash column chromatography (silica gel, eluting with 10% ethyl acetate in hexanes) gave ethyl 2-ethoxy-4-trimethylsilanylethynylbenzoate as a yellow oil (0.624 g, 90%).

Example 18

15 Ethyl 5-dimethylsulfamoyl-2-ethoxy-4-trimethylsilanylethynylbenzoate



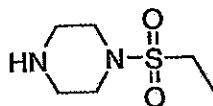
Ethyl 5-dimethylsulfamoyl-2-ethoxy-4-iodobenzoate (0.142 g, 0.332 mmol; prepared as described in example 14), dichlorobis(triphenylphosphine)palladium(II) (0.023 g, 0.032 mmol), copper(II) iodide (3.2 mg, 0.017 mmol) dimethylformamide (0.5 mL), triethylamine (0.5 mL) and (trimethylsilyl)acetylene (0.066 mL, 0.47 mmol) were added sequentially to a flame-dried Schlenk tube. The reaction mixture was heated at 50 °C oil bath for 2 h, allowed to cool to room temperature overnight and then partitioned between water (20 mL) and diethyl ether (3 x 20 mL), dried over magnesium sulfate and evaporated. The residue was purified by flash column chromatography (eluting with 20% ethyl acetate in hexanes) to give ethyl 5-dimethylsulfamoyl-2-ethoxy-4-trimethylsilanylethynylbenzoate as an off-white glass (0.111 g, 84%). LC-MS: 398.3 [(M+H)⁺].

Example 19(3,5-Dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone

5 A solution of 1-tert-butyloxycarbonyl-piperazine (4.581 mmol) and diisopropylethylamine (5.09 mmol) in methylene chloride (5 mL) was added to a 40 mL vial. 3,5-Dimethyl-isoxazole-4-carbonyl chloride (5.09 mmol) was added to the vial and the reaction was shaken overnight at room temperature. When the reaction was complete, it was diluted with methylene chloride (5 mL) and washed with 4 mL of 1N hydrochloric acid followed by 4 mL of 10% potassium carbonate. The organic layer was concentrated *in vacuo*. The crude residue was dissolved in 5 mL of dioxane and 5 mL of 4M hydrochloric acid in dioxane. The reaction mixture was shaken overnight at room temperature then centrifuged. The supernatant was removed and the remaining solid was shaken with hexanes then centrifuged. The supernatant was removed, and the solids were collected and dried *in vacuo* to give (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone. LR-MS: 210.2 [(M+H)⁺].

In an analogous manner, there were obtained:

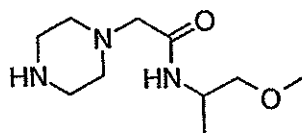
- cyclopropyl-piperazin-1-yl-methanone
- 3-methyl-1-piperazin-1-yl-but-2-en-1-one.

Example 201-Ethanesulfonyl-piperazine

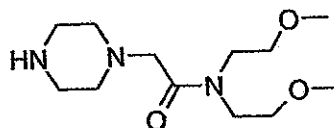
25 The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine and ethylsulfonyl chloride using the procedure described in example 12.

In an analogous manner, there was obtained:

- 1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperazine from 3,5-dimethylisoxazole-4-sulfonyl chloride (Oakwood Products).

Example 21N-(2-Methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide

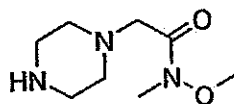
2-Methoxy-1-methyl-ethylamine (15 mmol) and diisopropylethylamine (17
5 mmol) were diluted with methylene chloride to give a total volume of 8 mL. The
amine solution was added in a portion-wise fashion via a syringe to a solution of
chloroacetylchloride (13 mmol) in methylene chloride (10 mL) cooled to
approximately -40°C in a sealed 40 mL vial. The reaction mixture was stirred for 1 h
at reduced temperature. The solution was then made acidic with 1N hydrochloric acid
10 and then diluted with 10 mL of methylene chloride. The vial was agitated and
centrifuged. The organic layer was transferred to 40 mL vials and concentrated *in*
vacuo. The residue (1.69 g, 10.21 mmol) was diluted with 10 mL of
dimethylformamide. Piperazine-1-carboxylic acid tert-butyl ester (8.67 mmol) and
diisopropylethylamine (13.27 mmol) were added. The reaction mixture was shaken at
15 65 °C overnight and concentrated *in vacuo*. The crude residue was dissolved in 10
mL of dioxane and 10 mL of 4 M hydrochloric acid in dioxane. The solution was
shaken overnight at room temperature then centrifuged. The supernatant was
removed, and the remaining solids were shaken with hexanes then centrifuged. The
supernatant was removed, and the solids was collected and dried *in vacuo* to give N-
20 (2-methoxy-1-methylethyl)-2-piperazin-1-yl-acetamide. LR-MS: 216.4 [(M+H)⁺].

Example 22a) N,N-Bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide

25 The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine,
chloroacetylchloride and N,N-bis-(2-methoxy-ethyl)amine in an analogous manner as
described in example 21.

b) N-Methoxy-N-methyl-2-piperazin-1-yl-acetamide

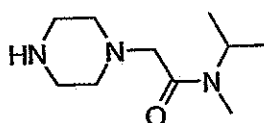
- 60 -



The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine, chloroacetylchloride and N-methoxy-N-methylamine in an analogous manner as described in example 21.

5

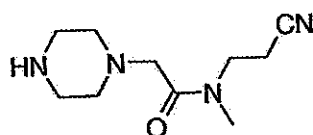
c) N-Isopropyl-N-methyl-2-piperazin-1-yl-acetamide



10

The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine, chloroacetylchloride and N-isopropyl-N-methylamine in an analogous manner as described in example 21.

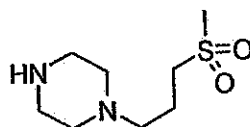
d) N-(2-Cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide



15

The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine, chloroacetylchloride and N-(2-cyanoethyl)-N-methylamine in an analogous manner as described in example 21.

e) 1-(3-Methanesulfonyl-propyl)-piperazine

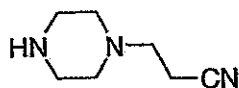


20

The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine and methanesulfonic acid 3-methanesulfonyl-propyl ester (prepared according to Baerlocher, F.J. et al. *Aust. J. Chem.* **1999**, 52, 167-172) in an analogous manner as described in example 21.

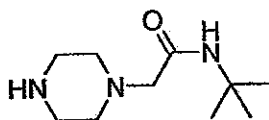
25

f) 3-Piperazin-1-yl-propionitrile



The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine and 3-bromopropionitrile in an analogous manner as described in example 21.

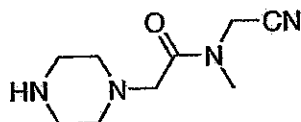
5 g) N-tert-Butyl-2-piperazin-1-yl-acetamide



The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine, chloroacetyl-chloride and N-tert-butylamine in an analogous manner as described in example 21.

10

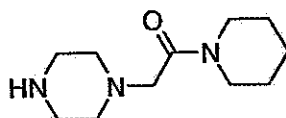
h) N-Cyanomethyl-N-methyl-2-piperazin-1-yl-acetamide



The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine, chloroacetylchloride and N-cyanomethyl-N-methylamine in an analogous manner as described in example 21.

15

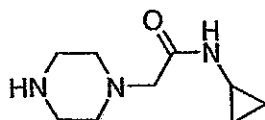
i) 2-piperazin-1-yl-1-piperidin-1-yl-ethanone



The title compound was prepared from 1-tert-butyloxycarbonyl-piperazine, chloroacetylchloride and piperidine in an analogous manner as described in example 21.

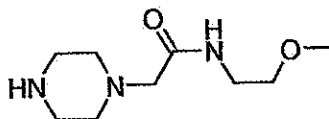
20

25 j) N-cyclopropyl-2-piperazin-1-yl-acetamide



The title compound was prepared from 1-*tert*-butyloxycarbonyl-piperazine, chloroacetyl-chloride and cyclopropylamine in an analogous manner as described in example 21.

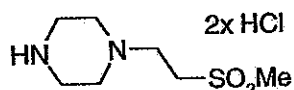
5 k) N-(2-Methoxy-ethyl)-2-piperazin-1-yl-acetamide



The title compound was prepared from 1-*tert*-butyloxycarbonyl-piperazine, chloroacetylchloride and 2-methoxyethylamine in an analogous manner as described in example 21.

10 Example 23

1-(2-Methanesulfonylethyl)piperazine bishydrochloride

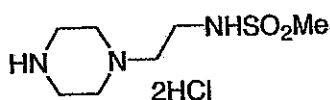


15 Methyl vinyl sulfone (1.8 mL, 20.1 mmol) was added to a solution of 1-(*tert*-butyloxycarbonyl)piperazine (1.50 g, 8 mmol) in methanol (84 mL). The reaction mixture was stirred at room temperature for 4 h and concentrated to a white solid. Purification of the solid by flash column chromatography (silica gel, eluting with 1-5% methanol in methylene chloride) gave 1-*tert*-butyloxycarbonyl-4-(2-methanesulfonylethyl)piperazine as a white solid (2.29 g, 95%).

20 Hydrochloric acid (42 mL, 168 mmol, 4 M in 1,4-dioxane) was added to a cooled solution of 1-*tert*-butyloxycarbonyl-4-(2-methanesulfonylethyl)piperazine (2.29 g, 7.8 mmol) in 1,4-dioxane (42 mL). The mixture was stirred at room temperature overnight then concentrated to give 1-(2-methanesulfonylethyl)piperazine bishydrochloride as a white solid (2.05 g).

25 Example 24

N-(2-methanesulfonylethyl)-piperazine hydrochloride

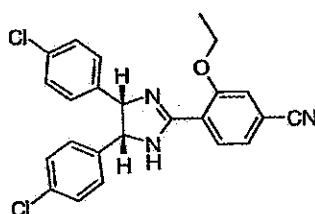


Methanesulfonyl chloride (0.7 mL, 9.0 mmol) was added to a cooled solution of 4-(2-amino-ethyl)-piperazine-1-carboxylic acid *tert*-butyl ester (1.33 g, 5.8 mmol) in pyridine (25.0 mL). The reaction was stirred for 12 h and partitioned between partitioned between aqueous sodium bicarbonate and methylene chloride. The organic phase was washed with 1M hydrochloric acid, aqueous sodium bicarbonate, and brine, dried over anhydrous magnesium sulfate and concentrated. Purification of the crude residue by chromatography over silica gel using 0-5% methanol in methylene chloride gave 4-(2-methanesulfonylamino-ethyl)-piperazine-1-carboxylic acid *tert*-butyl ester (0.70 g, 70%).

To a cooled solution of 4-(2-methanesulfonylamino-ethyl)-piperazine-1-carboxylic acid *tert*-butyl ester (0.64 g, 0.2 mmol) in dioxane (20 mL) was added hydrochloric acid (4M in dioxane, 10 mL) and the reaction was stirred at room temperature for 12 h and concentrated to give *N*-(2-methanosulfonylethyl)-piperazine hydrochloride as a white solid (0.55 g, 95%).

Example 25

4-[4,5-Bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

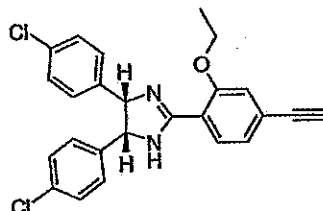


To a solution of *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine (1.7 g, 6.04 mmol) in toluene (60 mL) was added dropwise trimethylaluminum (2.62 mL, 2.0 M solution in toluene, diluted with 2.2 mL of toluene). At the end of addition, the mixture was stirred at 80 °C until the gas evolution was completed. The reaction was cooled to room temperature and methyl 4-cyano-2-ethoxybenzoate (1.2 g, 5.75 mmol, example 1) in toluene (6 mL) was added. The reaction was at 100 °C for 7 h and cooled back to room temperature. Water (1.9 mL) was added dropwise, followed by methylene chloride (5 mL) and methanol (5 mL). This mixture was refluxed for 20 min, cooled to room temperature and filtered through a small pad of sodium sulfate. The solids were washed with toluene, methylene chloride, and methanol. The filtrate was concentrated, and the residue was reconstituted in ethyl acetate. It was refluxed for 30 min, and the hot solution was filtered through sodium sulfate and concentrated. Purification of the crude residue by flash column chromatography (silica gel, eluting

with a gradient of ethyl acetate in hexanes) gave 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (1.25 g, 48%).

Example 26

4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole



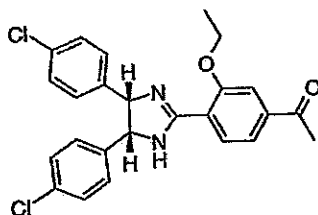
A solution of *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine (3.60 g, 12.8 mmol) in toluene (41 mL) was added dropwise to a solution of trimethylaluminum (6.4 mL, 2 M in toluene) in toluene (36 mL) cooled in an ice-salt bath. After addition was complete, the cooling bath was removed and the reaction mixture was stirred for 45 min. A solution of ethyl 2-ethoxy-4-trimethylsilanylethynylbenzoate (2.48 g, 8.54 mmol, example 17) in toluene (37 mL) was added and the reaction mixture was heated to reflux for 6 h and then allowed to cool to room temperature and stirred for 10 h more. Water (4.31 mL), methanol (11.3 mL) and methylene chloride (11.3 mL) were added and the reaction mixture was heated at 100 °C for 15 min. After cooling to room temperature, the reaction mixture was dried over sodium sulfate and concentrated. The residue was dissolved in ethyl acetate (100 mL) and heated at 100 °C for 15 min and then concentrated. The residue was purified by flash column chromatography (silica gel, eluting with 2% methanol in chloroform) to give 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-trimethylsilanylethynylphenyl)-4,5-dihydro-1H-imidazole as a faintly yellow glass (3.96 g, 91%). LC-MS: 507.3 [(M+H)⁺].

A solution of tetrabutylammonium fluoride in tetrahydrofuran (3.45 mL, 3.45 mmol) was added dropwise to a solution of methanol (1.33 mL) and 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-trimethylsilanylethynylphenyl)-4,5-dihydro-1H-imidazole (3.50 g, 6.90 mmol) in tetrahydrofuran (70 mL) cooled in an ice bath. After stirring for 30 min, the reaction mixture was poured into saturated aqueous ammonium chloride (100 mL) and extracted with methylene chloride (300 mL, 2 x 100 mL). The combined organic phases were dried over magnesium sulfate and evaporated. The residue was purified by flash column chromatography (silica gel, eluting with 2% methanol in chloroform) to give 4,5-bis-(4-chlorophenyl)-2-(2-

ethoxy-4-ethynylphenyl)-4,5-dihydro-1*H*-imidazole as a yellow foam (2.66 g, 89%).
LC-MS: 435.2 [(M+H)⁺].

Example 27

1-[4-[4,5-Bis-(4-chlorophenyl)-4,5-dihydro-1*H*-imidazol-2-yl]-3-ethoxyphenyl]ethanone



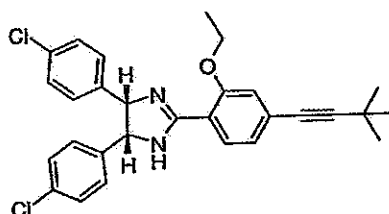
A solution of *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine (1.58 g, 5.62 mmol) in toluene (18 mL) was added dropwise to a solution of trimethylaluminum (2.81 mL, 5.62 mmol, 2 M in toluene) in toluene (12 mL) cooled in an ice-salt bath. After addition was complete, the cooling bath was removed and the reaction mixture was stirred for 45 min. A solution of ethyl 2-ethoxy-4-iodobenzoate (1.20 g, 3.75 mmol; example 14) in toluene (18 mL) was added and the reaction mixture was heated to reflux for 6 h and then allowed to cool to room temperature and stirred 12 h more. Water (1.9 mL), methanol (5.0 mL) and methylene chloride (5.0 mL) were added and the reaction mixture was heated at 100 °C for 15 min. After cooling to room temperature, the reaction mixture was dried over sodium sulfate and evaporated. The residue was dissolved in ethyl acetate (50 mL) and heated at 100 °C for 15 min, then dried over sodium sulfate, evaporated. The residue was purified by column chromatography (silica gel, eluting with 1% methanol in chloroform) to give 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-iodophenyl)-4,5-dihydro-1*H*-imidazole as a faintly yellow glass (1.80 g, 90%). LC-MS: 537.2 [(M+H)⁺].

4,5-Bis-(4-chlorophenyl)-2-(2-ethoxy-4-iodophenyl)-4,5-dihydro-1*H*-imidazole (0.900 g, 1.68 mmol), tributyl-(1-ethoxyvinyl)tin (0.679 mL, 2.01 mmol) and tetrakis(triphenyl-phosphine)-palladium(0) (0.194 g, 0.168 mmol) were combined in toluene (10 mL) in a flame-dried Schlenk tube then heat at 120 °C for 20 h. After evaporation of volatiles, the residue was purified by flash column chromatography (silica gel, eluting with a gradient of 2-5% methanol in chloroform) to give 4,5-bis-(4-chlorophenyl)-2-[2-ethoxy-4-(1-ethoxyvinyl)phenyl]-4,5-dihydro-1*H*-imidazole as a faintly green foam (0.639 g, 79%). LC-MS: 481.4 [(M+H)⁺].

An aqueous solution of hydrochloric acid (2 M, 18 mL) was sparged for 10 min with nitrogen and then used to slurry 4,5-bis-(4-chlorophenyl)-2-[2-ethoxy-4-(1-ethoxyvinyl)phenyl]-4,5-dihydro-1*H*-imidazole (0.638 g, 1.33 mmol).
5 Tetrahydrofuran (18 mL) was added to create a homogeneous solution. After stirring 1 h, the reaction mixture was poured into a mixture of 2 M sodium hydroxide (16 mL) and 10% sodium carbonate solution (50 mL) and extracted with methylene chloride (2 x 100 mL). The combined organic extracts were dried over sodium sulfate and concentrated. The residue was purified by flash column chromatography (silica
10 gel, eluting with a gradient of 1-3% methanol in chloroform) to give 1-{4-[4,5-bis-(4-chlorophenyl)-4,5-dihydro-1*H*-imidazol-2-yl]-3-ethoxyphenyl}ethanone as a faintly yellow foam (0.392 g, 65%). LC-MS: 453.3 [(M+H)⁺].

Example 28

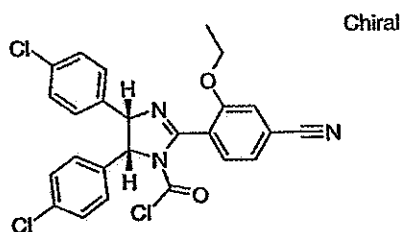
15 4,5-Bis-(4-chlorophenyl)-2-[4-(3,3-dimethylbut-1-ynyl)-2-ethoxyphenyl]-4,5-dihydro-1*H*-imidazole



4,5-Bis-(4-chlorophenyl)-2-(2-ethoxy-4-iodophenyl)-4,5-dihydro-1*H*-imidazole (566 mg, 1.05 mmol, example 27), copper(I) iodide (9.5 mg, 0.11 mmol),
20 dichlorobis(triphenylphosphine)-palladium(II) (148 mg, 0.211 mmol) and 3,3-dimethyl-1-butyne (0.182 mL, 1.48 mmol) were dissolved under nitrogen in a flame-dried Schlenk tube in a mixture of triethylamine (1.7 mL) and dimethylformamide (1.7 mL). The reaction mixture was warmed in a 50 °C oil bath for 20 h, allowed to cool to room temperature and then partitioned between 2% sodium carbonate solution
25 (70 mL) and diethyl ether (2 x 100 mL). The combined organic phases were washed with water (2 x 50 mL) and brine (50 mL), then dried over sodium sulfate and evaporated. The residue was purified by flash column chromatography (silica gel, eluting with 1% methanol in methylene chloride) to give 4,5-bis-(4-chlorophenyl)-2-[4-(3,3-dimethylbut-1-ynyl)-2-ethoxyphenyl]-4,5-dihydro-1*H*-imidazole as an off-
30 white foam (0.432 g, 83%). LC-MS: 491.3 [(M+H)⁺].

Example 29

(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl chloride



5 4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole (1.25 g, 2.88 mmol, example 25) was dissolved in methylene chloride and diisopropylethylamine (2.41 mL, 13.8 mmol) was added. The mixture was cooled in an ice bath and phosgene (6.09 mL, 11.52 mmol, 20% in toluene) was added. The reaction mixture was stirred for 30 min at ice temperature and concentrated.

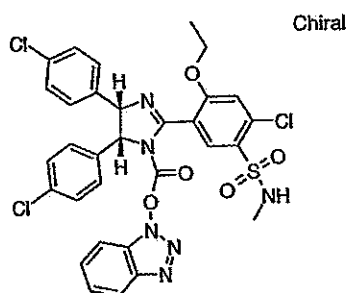
10 Purification of the crude residue by flash column chromatography (silica gel, eluting with a gradient of ethyl acetate in hexanes) gave 4,5-bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl chloride (1.35 g, 94%).

15 The enantiomers of 4,5-bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl chloride were separated by chiral chromatography using a R,R-Whelk-01 column (25 cm x 2 in). Eluent: 35% methylene chloride in hexanes. Flow rate: 85 mL/min. The first peak coming off the column is the desired (4S,5R)-4,5-bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl chloride (retention time: ~19 min).

20 The unwanted isomer, (4R,5S)-4,5-bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl chloride (retention time: ~28 min), was isolated and reconstituted in methylene chloride. This was stirred biphasically with 5% sodium carbonate and 5% dimethylaminopyridine to yield the parent imidazoline, 4,5-bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole, which could be treated again with phosgene to give the racemic 4,5-bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl chloride.

Example 30

30 (4S,5R)-2-(4-Chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carboxylic acid benzotriazol-1-yl ester

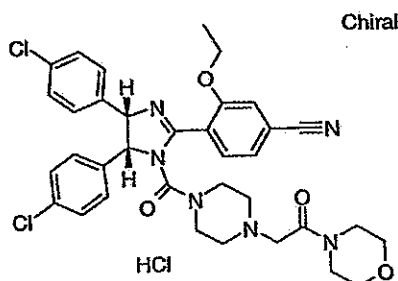


In an analogous manner as described in example 29, 5-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1*H*-imidazol-2-yl]-2-chloro-4-ethoxy-*N*-methyl-benzenesulfonamide was treated with phosgene and diisopropylethylamine in methylene chloride to give 2-(4-chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl chloride. The crude carbamoyl chloride was then reacted with 1-hydroxybenzotriazole hydrate and diisopropylethylamine in methylene chloride to give the racemic 2-(4-chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carboxylic acid benzotriazol-1-yl ester after purification by flash column chromatography (silica gel, eluting with a gradient of ethyl acetate in hexanes).

The enantiomers of 2-(4-chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carboxylic acid benzotriazol-1-yl ester were separated by chiral chromatography using a R,R-Whelk-01 column (25 cm x 10 mm), eluting with 15% *iso*-propanol in hexanes. The first peak coming off the column is the desired (4*S*,5*R*)-2-(4-chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carboxylic acid benzotriazol-1-yl ester.

Example 31

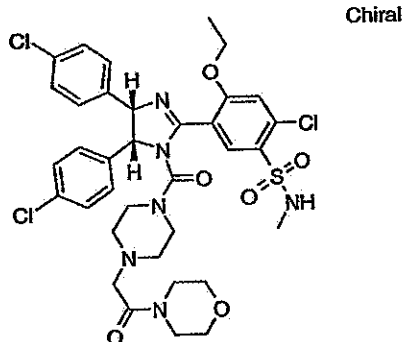
4-[(4*S*,5*R*)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1*H*-imidazol-2-yl]-3-ethoxy-benzonitrile hydrochloride



A solution of morpholin-4-yl-2-piperazin-1-yl-ethanone (17 mg, 0.081 mmol, Oakwood Products) and diisopropylethylamine (10 mg, 0.077 mmol) in 0.5 mL of methylene chloride was added to a solution of (4S,5R)-4,5-bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl chloride (35 mg, 0.070 mmol, example 29) in 0.5 mL of methylene in a 4-mL vial. The vial was then capped and shaken for 1 h at room temperature. The reaction mixture was diluted with 1 mL of methylene chloride and 1 mL of water. The vial was agitated and centrifuged. The organic layer was transferred to a 4-mL vial and concentrated *in vacuo*. The crude was dissolved in 0.5 mL of acetonitrile, and then 0.6 mL of water and 0.492 mL of 0.5 M hydrochloric acid (2.46 mmol) were added to the sample. The sample was frozen with liquid nitrogen and lyophilized to give 4-[(4S,5R)-4,5-bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile hydrochloride (47.6 mg, 91% yield). LC-MS: 675.3 [(M+H)⁺].

Example 32

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide

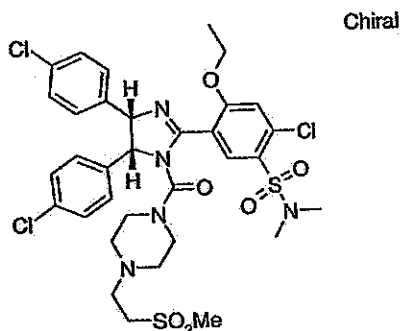


To a solution of (4S,5R)-2-(4-chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carboxylic acid benzotriazol-1-yl ester (9 mg, 0.0124 mmol) in 0.5 mL of dimethylformamide was added a solution of 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (5.3 mg, 0.026 mmol) and diisopropylethylamine (4.53 μ L). The resulting mixture was heated to 40 °C for 1 h. The solution was concentrated *in vacuo* then extracted from 1 mL of water with 1 mL of methylene chloride. The organic extract was applied to a 4 gram silica gel cartridge. The product was eluted using a gradient of methanol in methylene chloride to give 5-[(4S,5R)-4,5-bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-

piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide (9.69 mg, 97%). LC-MS: 777.3 [(M+H)⁺].

Example 33

5 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide

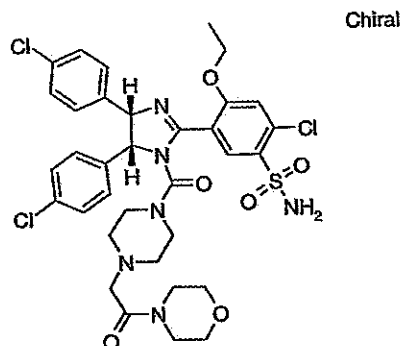


10 The racemic 5-{4,5-bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-5-dimethylsulfamoyl-2-ethoxybenzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29
15 and 31.

20 The enantiomers of 5-{4,5-bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide (105 mg) were separated by chiral chromatography using a Diacel Chiralpak AD column (21 mm x 250 mm) eluting with *i*-propanol/ethanol (7:3) containing 0.05% trifluoroacetic acid at a flow rate of 30 mL/min. Injection volume: 3.0 mL (10-15 mg of the racemic compound). Retention time: 3.35 min and 5.50 min. After the volatiles were evaporate, the resulting trifluoroacetate salts were lyophilized with hydrochloric acid to give the two
25 enantiomers as white solids (40 mg).

Example 34

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide



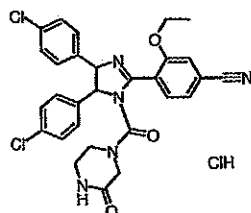
5 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-2-chloro-4-ethoxy-benzenesulfonamide was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxybenzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood
10 Products) following successively the procedures described for examples 25, 29 and 31.

15 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-2-chloro-4-ethoxy-benzenesulfonamide (~10 mg) was dissolved in 2 mL of trifluoroacetic acid and heated to 80 °C for 30 min. The reaction mixture was diluted with 2 mL of methylene chloride and 1.5 mL of 10% potassium carbonate solution then agitated. The organic layer was separated and concentrated *in vacuo* to give 5-[(4S,5R)-4,5-bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-
20 4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide as trifluoroacetate salt. LC-MS: 763.3 [(M+H)⁺].

Example 35

25 4-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

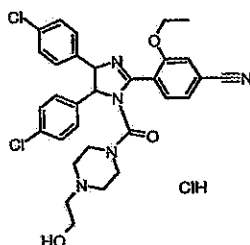
- 72 -



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 29 and 31. LC-MS: 562.4 [(M+H)⁺].

Example 36

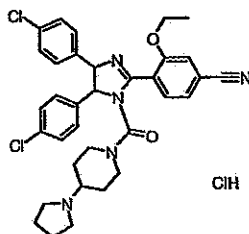
4-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 592.4 [(M+H)⁺]

Example 37

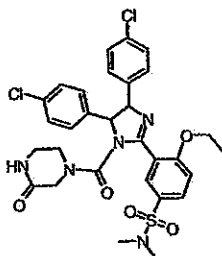
4-[4,5-Bis-(4-chloro-phenyl)-1-(4-pyrrolidin-1-yl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 4-pyrrolidin-1-yl-piperidine (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 616.4 [(M+H)⁺].

Example 38

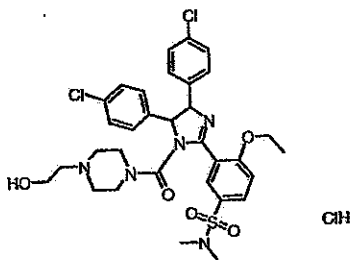
3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 644.3 [(M+H)⁺]

Example 39

3-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide

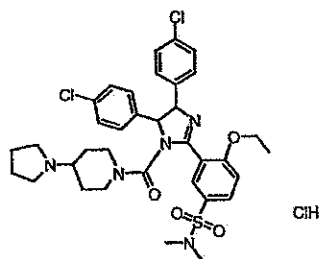


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 674.3 [(M+H)⁺].

Example 40

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-pyrrolidin-1-yl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide

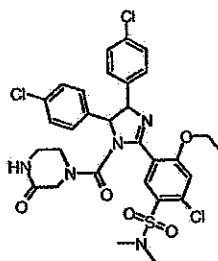
- 74 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and 4-pyrrolidin-1-yl-piperidine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 698.5 [(M+H)⁺].

Example 41

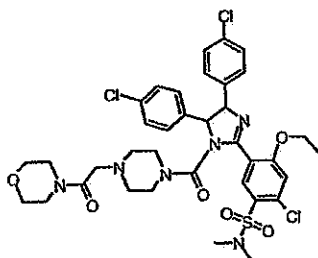
5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-5-dimethylsulfamoyl-2-ethoxy-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 678.4 [(M+H)⁺].

Example 42

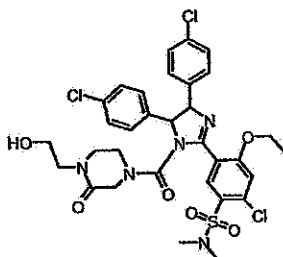
5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-5-dimethylsulfamoyl-2-ethoxy-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 791.5 [(M+H)⁺].

Example 43

5-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-3-oxo-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide



Sodium hydride (0.35 g, 8.6 mmol, 60% in mineral oil) was added to a solution of 4-*tert*-butoxycarbonyl-piperazin-2-one (0.86 g, 4.3 mmol) in dimethylformamide (20.0 mL) at 0 °C. The reaction was stirred at 0 °C for 0.5 h. To this mixture was added 2-bromoethoxy-*tert*-butyldimethylsilane (2.3 mL, 10.8 mmol) and the reaction was stirred for 2 h at room temperature. The reaction mixture was quenched with a dilute aqueous solution of sodium bicarbonate and extracted with methylene chloride. The organic extracts were washed with brine and dried over anhydrous sodium sulfate. Purification of the crude residue by flash column chromatography (silica gel, eluting with 20% ethyl acetate in hexanes) gave 4-*tert*-butoxycarbonyl-1-[2-(*tert*-butyldimethylsilyloxy)ethyl]-piperazin-2-one (1.17 g, 76 %).

To a solution of 4-*tert*-butoxycarbonyl-1-[2-(*tert*-butyldimethylsilyloxy)ethyl]-piperazin-2-one (0.88 g, 2.5 mmol) in tetrahydrofuran

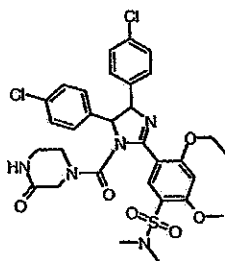
(30 mL) was added tetrabutylammonium fluoride (3.6 mL, 3.6 mmol, 1.0 M in tetrahydrofuran) and the reaction mixture was stirred at room temperature for 2 h. The reaction mixture was quenched with a dilute aqueous solution of sodium bicarbonate and extracted with ethyl acetate. The organic extracts were washed with
5 brine and dried over anhydrous sodium sulfate. Purification of the crude residue by flash column chromatography (silica gel, eluting with 30% ethyl acetate in hexanes) gave 4-*tert*-butoxycarbonyl-1-(2-hydroxyethyl)-piperazin-2-one (0.45 g, 75 %).

Hydrochloric acid (1.8 mL, 7.4 mmol, 4 M in 1,4-dioxane) was added to a
10 solution of 4-*tert*-butoxycarbonyl-1-(2-hydroxyethyl)-piperazin-2-one (0.45 g, 1.8 mmol) in 1,4-dioxane (5.0 mL). The mixture was stirred overnight and concentrated to give 1-(2-hydroxyethyl)-piperazin-2-one hydrochloride as an off-white solid (0.30 g, 91%).

5- $\{4,5\text{-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-3-oxo-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}\}$ -2-chloro-4-ethoxy-*N,N*-dimethyl-
15 benzenesulfonamide was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-5-dimethylsulfamoyl-2-ethoxy-benzoate (example 2) and 1-(2-hydroxyethyl)-piperazin-2-one hydrochloride in an analogous manner using the
20 procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 722.1 $[(M+H)^+]$.

Example 44

5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-*N,N*-dimethyl-benzenesulfonamide

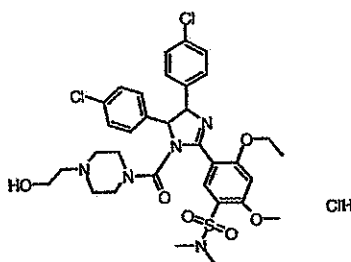


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures

described for examples 25, 29 and 31 (except chiral purification). LC-MS: 674.3 [(M+H)⁺].

Example 45

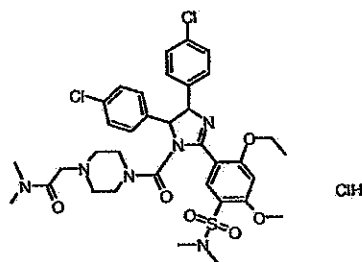
5 5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 704.3 [(M+H)⁺].

Example 46

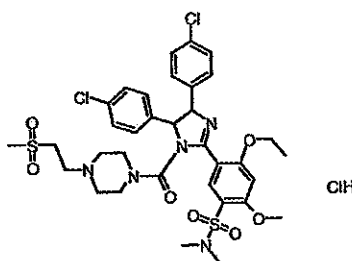
15 2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 745.3 [(M+H)⁺].

Example 47

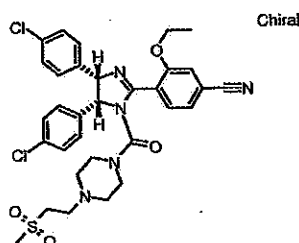
5-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 766.3 [(M+H)⁺].

Example 48

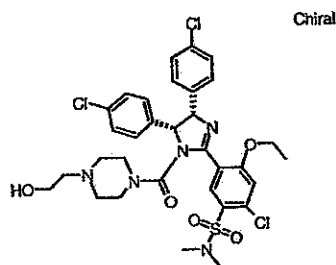
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 29 and 31. LC-MS: 654.2 [(M+H)⁺].

Example 49

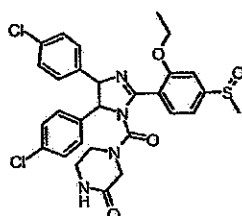
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-5-dimethylsulfamoyl-2-ethoxybenzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) following successively the procedures described for examples 25, 29 and 31. The enantiomers were separated using the procedure described in example 33. LC-MS: 708.3 [(M+H)⁺].

Example 50

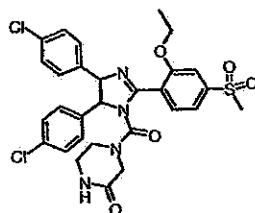
4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methylsulfinyl-2-ethoxybenzoate (example 9a) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: [(M+H)⁺].

Example 51

4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one

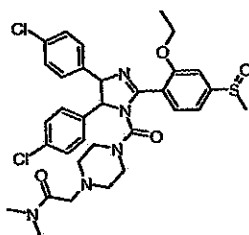


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methylsulfonyl-2-ethoxybenzoate (example 9b) and 2-

piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: $[(M+H)^+]$.

Example 52

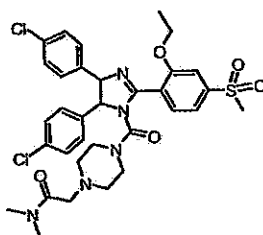
5 2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methylsulfinyl-2-ethoxybenzoate (example 9a) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: $[(M+H)^+]$.

Example 53

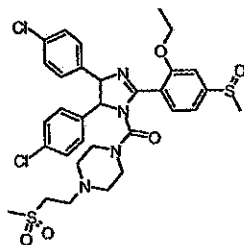
15 2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methylsulfonyl-2-ethoxybenzoate (example 9b) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: $[(M+H)^+]$.

Example 54

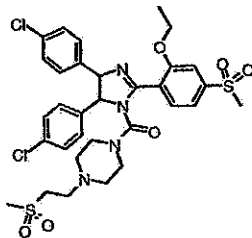
25 [4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methylsulfinyl-2-ethoxybenzoate (example 9a) and 1-(2-methanesulfonyl-ethyl)-piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: $[(M+H)^+]$.

Example 55

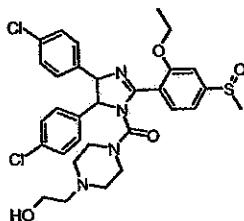
[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methylsulfinyl-2-ethoxybenzoate (example 9b) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: $[(M+H)^+]$.

Example 56

[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone

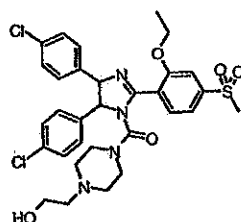


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methylsulfinyl-2-ethoxybenzoate (example 9a) and 1-(2-

hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: $[(M+H)^+]$.

Example 57

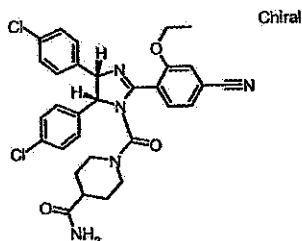
[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methylsulfonyl-2-ethoxybenzoate (example 9b) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: $[(M+H)^+]$.

Example 58

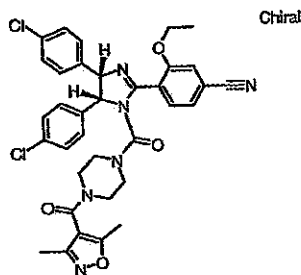
1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-4-carboxylic acid amide



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and piperidine-4-carboxylic acid amide (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 590.3 $[(M+H)^+]$.

Example 59

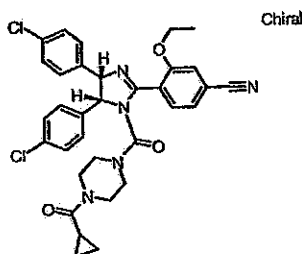
4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 29 and 31. LC-MS: 671.4 [(M+H)⁺].

Example 60

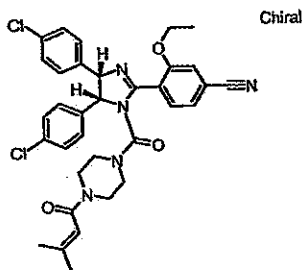
4-[4-(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and cyclopropyl-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 29 and 31. LC-MS: 616.3 [(M+H)⁺].

Example 61

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methyl-but-2-enoyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

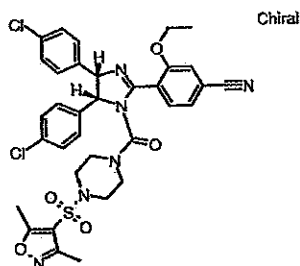


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 3-methyl-1-

piperazin-1-yl-but-2-en-1-one (example 19) following successively the procedures described for examples 29 and 31. LC-MS: 630.3 [(M+H)⁺].

Example 62

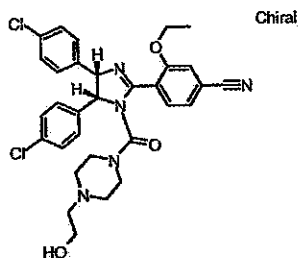
5 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 1-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperazine (example 20) following successively the procedures described for examples 29 and 31. LC-MS: 707.2 [(M+H)⁺].

Example 63

15 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

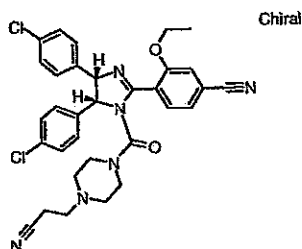


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 592.3 [(M+H)⁺].

Example 64

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-cyano-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

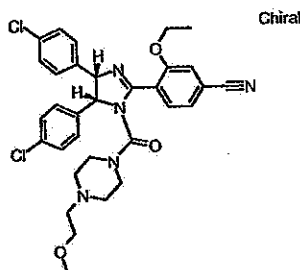
- 85 -



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 3-piperazin-1-yl-propionitrile (example 22f) following successively the procedures described for examples 29 and 31. LC-MS: 601.2 [(M+H)⁺].

Example 65

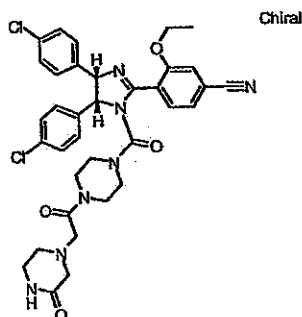
4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 606.2 [(M+H)⁺].

Example 66

4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-3-ethoxy-benzonitrile

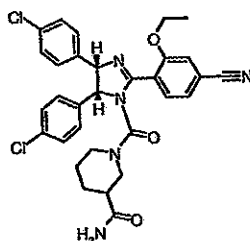


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 2-piperazinone

(Avocado Organics) following successively the procedures described for examples 29 and 31. LC-MS: 688.3 $[(M+H)^+]$.

Example 67

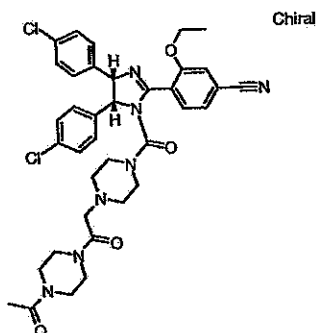
1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-3-carboxylic acid amide



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and piperidine-3-carboxylic acid amide (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 590.3 $[(M+H)^+]$.

Example 68

4-[(4S,5R)-1-{4-[2-(4-Acetyl-piperazin-1-yl)-2-oxo-ethyl]-piperazine-1-carbonyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

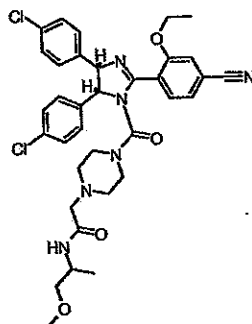


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 1-acetylpiperazine (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 716.2 $[(M+H)^+]$.

Example 69

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide

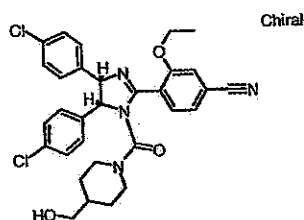
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The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 29 and 31. LC-MS: 677.3 [(M+H)⁺].

Example 70

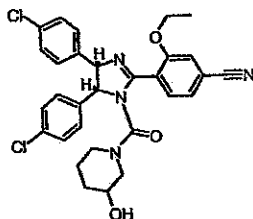
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-hydroxymethyl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 4-piperidinemethanol (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 577.2 [(M+H)⁺].

Example 71

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-hydroxy-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

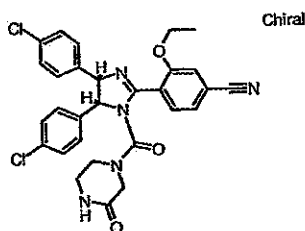


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 3-

hydroxypiperidine (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 563.3 [(M+H)⁺].

Example 72

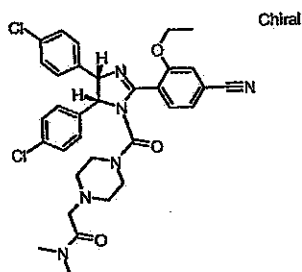
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 29 and 31. LC-MS: 562.3 [(M+H)⁺].

Example 73

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide

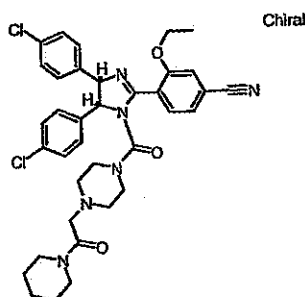


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) following successively the procedures described for examples 29 and 31. LC-MS: 633.2 [(M+H)⁺].

Example 74

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-oxo-2-piperidin-1-yl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

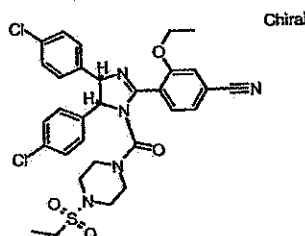
- 89 -



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 2-piperazin-1-yl-1-piperidin-1-yl-ethanone (example 22i) following successively the procedures described for examples 29 and 31. LC-MS: 673.3 [(M+H)⁺].

Example 75

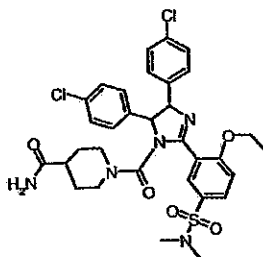
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 29 and 31. LC-MS: 640.1 [(M+H)⁺].

Example 76

1-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-4-carboxylic acid amide

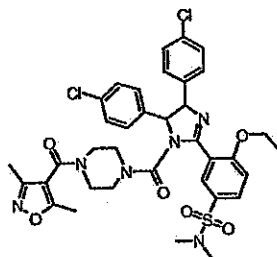


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and piperidine-4-carboxylic acid amide (Aldrich) in an analogous manner using the

procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 672.4 [(M+H)⁺].

Example 77

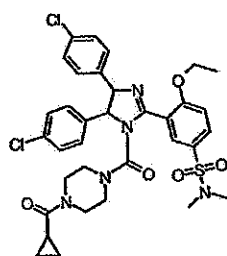
3-[4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 753.3 [(M+H)⁺].

Example 78

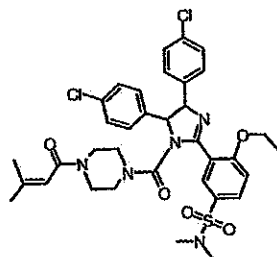
3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and cyclopropyl-piperazin-1-yl-methanone (example 19) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 698.4 [(M+H)⁺].

Example 79

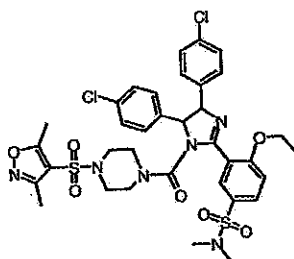
3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methyl-but-2-enoyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and 3-methyl-1-piperazin-1-yl-but-2-en-1-one (example 19) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 712.3 [(M+H)⁺].

Example 80

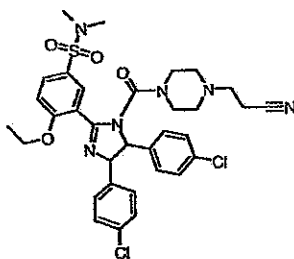
3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and 1-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperazine (example 20) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 789.3 [(M+H)⁺].

Example 81

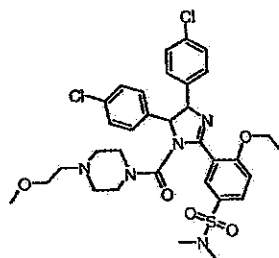
3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-cyano-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and 3-piperazin-1-yl-propionitrile (example 22f) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 683.3 [(M+H)⁺].

Example 82

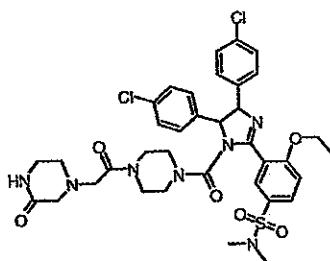
3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 688.2 [(M+H)⁺].

Example 83

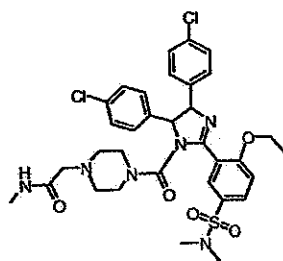
3-(4,5-Bis-(4-chloro-phenyl)-1-[4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 770.3 [(M+H)⁺].

Example 84

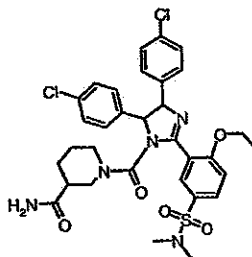
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and *N*-methyl-2-piperazin-1-yl-acetamide (example 22h) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 701.3 [(M+H)⁺].

Example 85

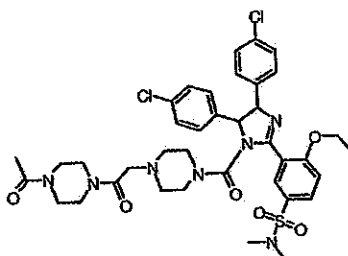
1-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-3-carboxylic acid amide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and piperidine-3-carboxylic acid amide (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 672.3 [(M+H)⁺].

Example 86

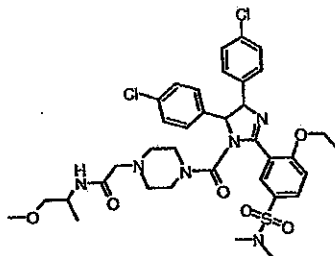
3-[1-{4-[2-(4-Acetyl-piperazin-1-yl)-2-oxo-ethyl]-piperazine-1-carbonyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and 1-acetylpiperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 798.3 [(M+H)⁺].

Example 87

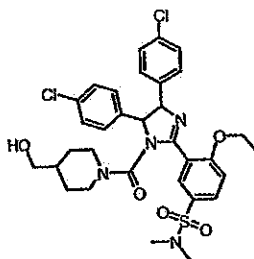
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and *N*-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 759.4 [(M+H)⁺].

Example 88

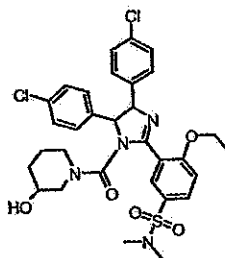
3-[4,5-Bis-(4-chloro-phenyl)-1-(4-hydroxymethyl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and 4-piperidinemethanol (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 659.2 [(M+H)⁺].

Example 89

3-[4,5-Bis-(4-chloro-phenyl)-1-(3-hydroxy-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide

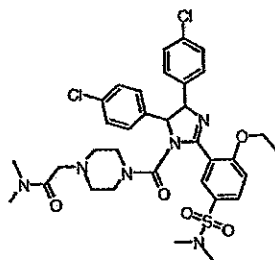


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(N,N-dimethylsulfonamide)benzoate (example 2) and 3-hydroxypiperidine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 645.3 [(M+H)⁺].

Example 90

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide

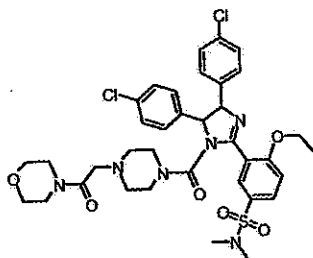
- 96 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and *N,N*-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 715.1 [(M+H)⁺].

Example 91

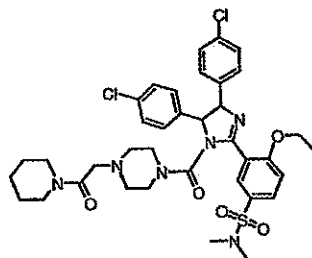
3-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-*N,N*-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 757.3 [(M+H)⁺].

Example 92

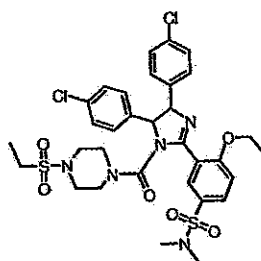
3-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-oxo-2-piperidin-1-yl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-*N,N*-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and 2-piperazin-1-yl-1-piperidin-1-yl-ethanone (example 22i) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 755.3 [(M+H)⁺].

Example 93

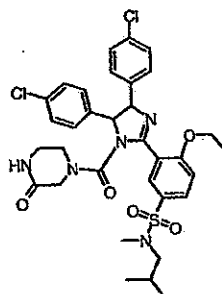
3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(*N,N*-dimethylsulfonamide)benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 722.3 [(M+H)⁺].

Example 94

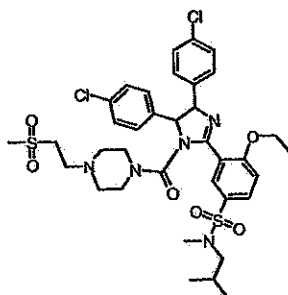
3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 686.2 [(M+H)⁺].

Example 95

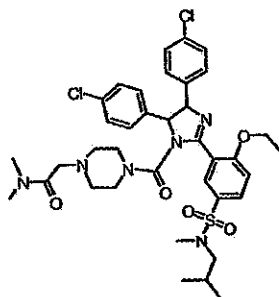
3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)-piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 778.5 [(M+H)⁺].

Example 96

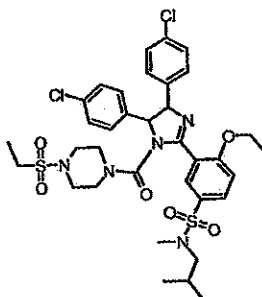
2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 757.3 [(M+H)⁺].

Example 97

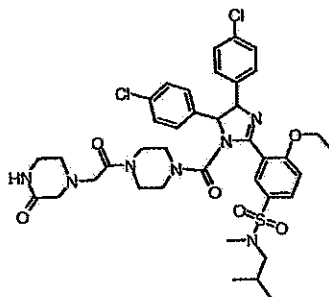
3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 764.4 [(M+H)⁺].

Example 98

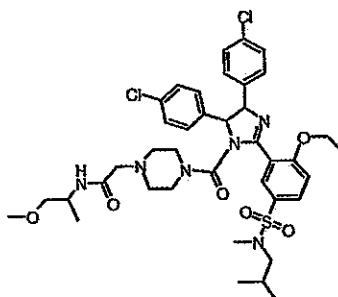
3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 812.3 [(M+H)⁺].

Example 99

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide



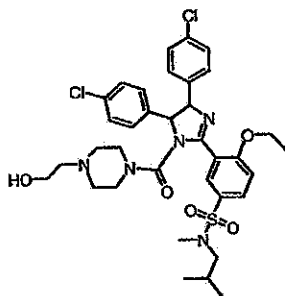
5

The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 801.4 [(M+H)⁺].

10

Example 100

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide



15

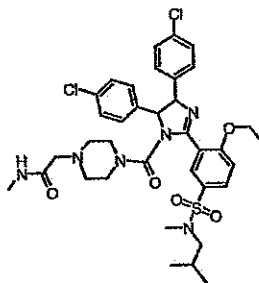
The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 716.2 [(M+H)⁺].

20

Example 101

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methyl-acetamide

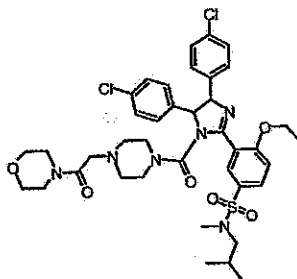
- 101 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and N-methyl-2-piperazin-1-yl-acetamide (example 22h) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 743.3 [(M+H)⁺].

Example 102

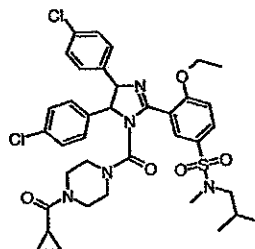
3-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 799.4 [(M+H)⁺].

Example 103

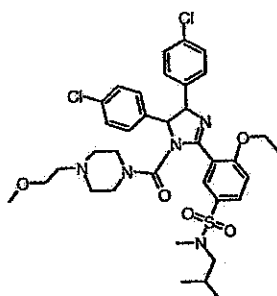
3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and cyclopropyl-piperazin-1-yl-methanone (example 19) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 740.3 [(M+H)⁺].

Example 104

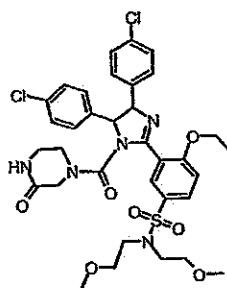
3-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 730.3 [(M+H)⁺].

Example 105

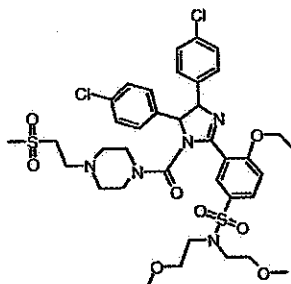
3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 732.3 [(M+H)⁺].

Example 106

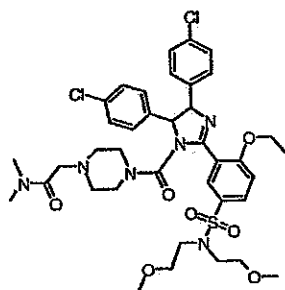
3-{[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide}



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 824.3 [(M+H)⁺].

Example 107

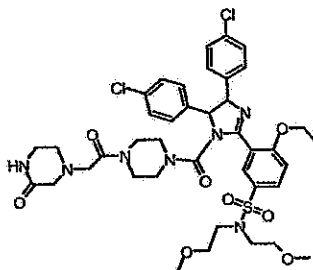
2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 803.5 [(M+H)⁺].

Example 108

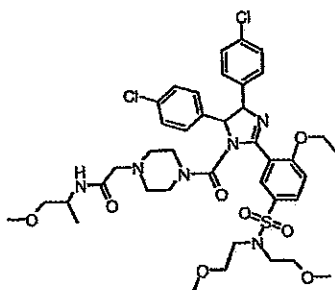
3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 858.5 [(M+H)⁺].

Example 109

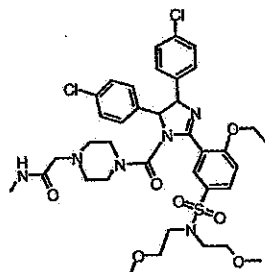
2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 847.4 [(M+H)⁺]

Example 110

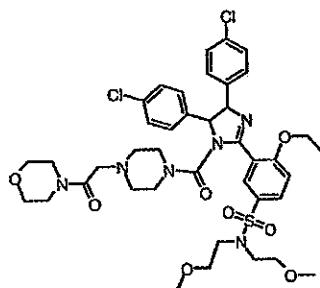
2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate (example 2) and N-methyl-2-piperazin-1-yl-acetamide (example 22h) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 789.4 [(M+H)⁺].

Example 111

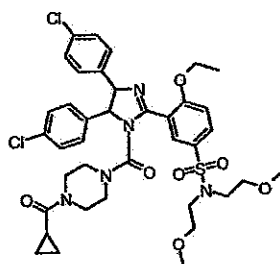
3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 845.4 [(M+H)⁺].

Example 112

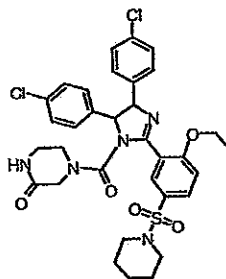
3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-[bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-benzoate (example 2) and cyclopropyl-piperazin-1-yl-methanone (example 19) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 786.3 [(M+H)⁺].

Example 113

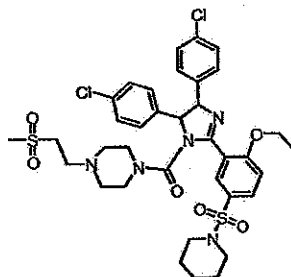
4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 684.3 [(M+H)⁺].

Example 114

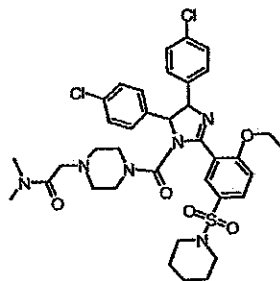
{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 776.4 [(M+H)⁺].

Example 115

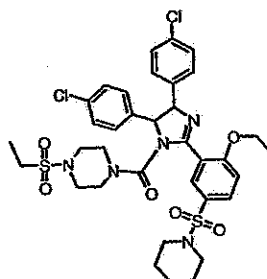
2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 755.4 [(M+H)⁺].

Example 116

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone

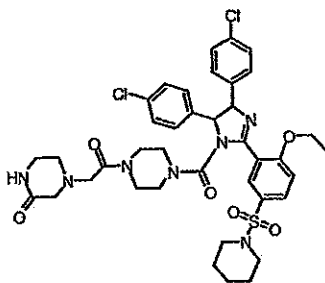


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 762.3 [(M+H)⁺].

Example 117

4-[2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-2-oxo-ethyl]-piperazin-2-one

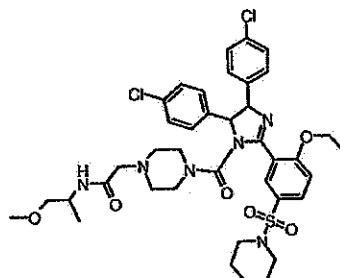
- 109 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 810.4 [(M+H)⁺].

Example 118

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide

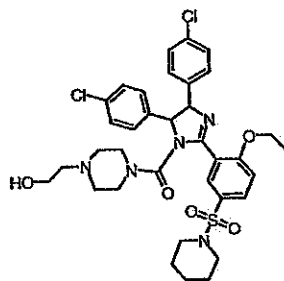


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 799.4 [(M+H)⁺].

Example 119

[4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl]-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone

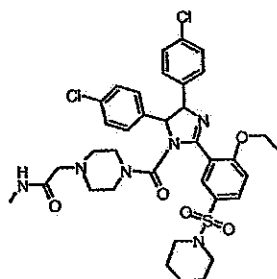
- 110 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 714.2 [(M+H)⁺].

Example 120

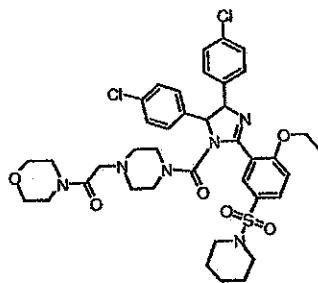
2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-methyl-2-piperazin-1-yl-acetamide (example 22h) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 741.3 [(M+H)⁺].

Example 121

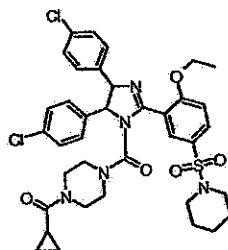
2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 797.3 [(M+H)⁺].

Example 122

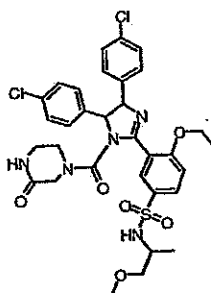
{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-cyclopropanecarbonyl-piperazin-1-yl)-methanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and cyclopropyl-piperazin-1-yl-methanone in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 738.4 [(M+H)⁺].

Example 123

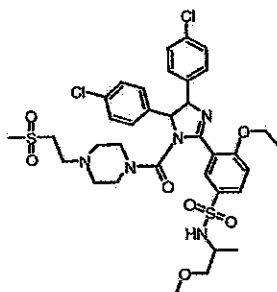
3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 688.2 [(M+H)⁺].

Example 124

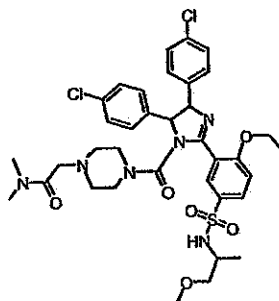
3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 780.3 [(M+H)⁺].

Example 125

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide

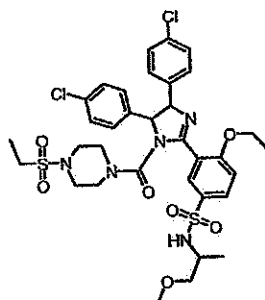


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an

analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 759.4 [(M+H)⁺].

Example 126

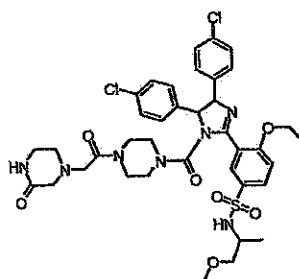
5 3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide



10 The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 766.3 [(M+H)⁺].

Example 127

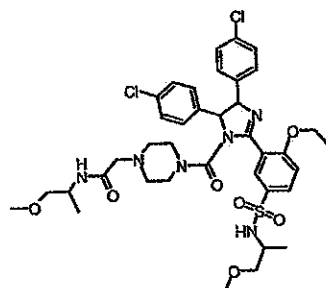
15 3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide



20 The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 814.3 [(M+H)⁺].

Example 128

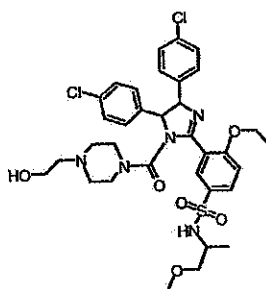
2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 803.5 [(M+H)⁺].

Example 129

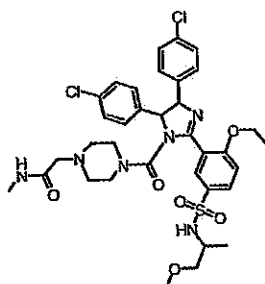
3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 718.3 [(M+H)⁺].

Example 130

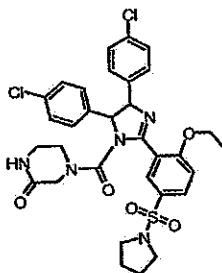
2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-benzoate (example 2) and N-methyl-2-piperazin-1-yl-acetamide (example 22h) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 745.3 [(M+H)⁺].

Example 131

4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one

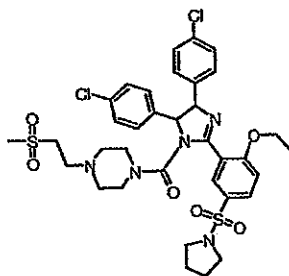


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 670.3 [(M+H)⁺].

Example 132

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone

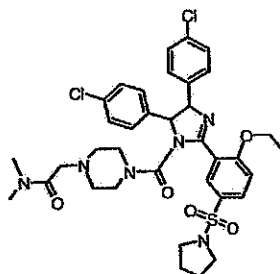
- 116 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 762.3 [(M+H)⁺].

Example 133

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide

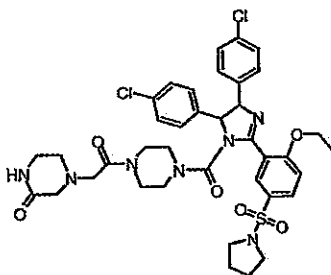


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 741.3 [(M+H)⁺].

Example 134

4-[2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-2-oxo-ethyl]-piperazin-2-one

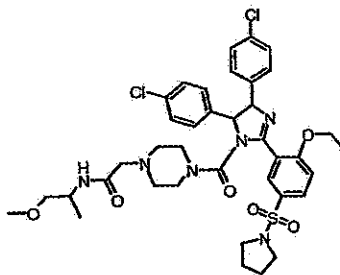
- 117 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 796.3 [(M+H)⁺].

Example 135

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide

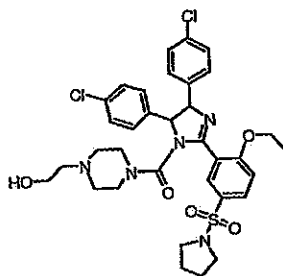


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 785.3 [(M+H)⁺].

Example 136

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone

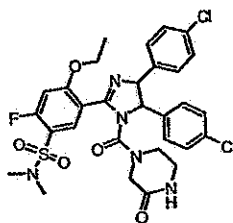
- 118 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 700.3 [(M+H)⁺].

Example 137

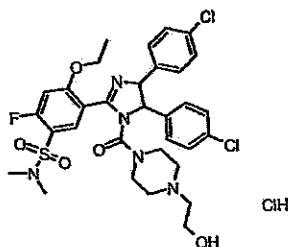
5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (example 2) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 662.2 [(M+H)⁺].

Example 138

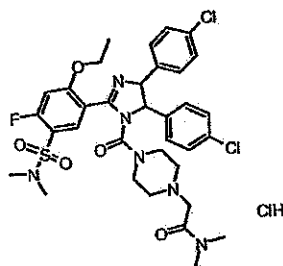
5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (example 2) and 1-(2-hydroxy-ethyl)-piperazine (Aldrich) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 692.3 [(M+H)⁺].

Example 139

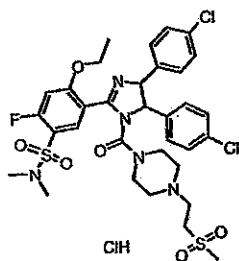
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-fluoro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 733.3 [(M+H)⁺].

Example 140

5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide

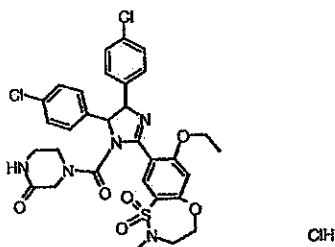


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)-piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 754.2 [(M+H)⁺].

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Example 141

4-[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ 6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one



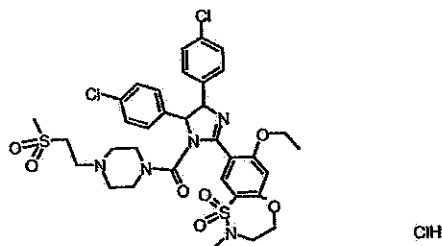
5

The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 8-carboethoxy-7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-benzo[1,6-b][1,4,5]oxathiazepine (example 3) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25,
 10 29 and 31 (except chiral purification). LC-MS: 672.2 [(M+H)⁺].

Example 142

[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ 6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone

15

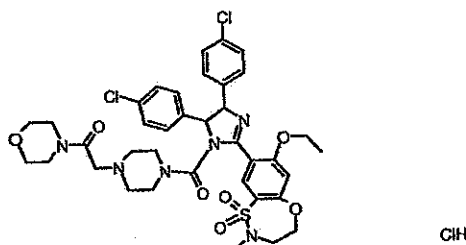


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 8-carboethoxy-7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-benzo[1,6-b][1,4,5]oxathiazepine (example 3) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous
 20 manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 764.1 [(M+H)⁺].

25

Example 143

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1λ6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone



5

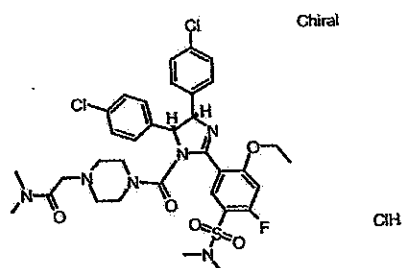
The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 8-carboethoxy-7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-benzo[1,6-b][1,4,5]oxathiazepine (example 3) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 785.2 [(M+H)⁺].

10

Example 144

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-fluoro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride

15



Chiral

CH

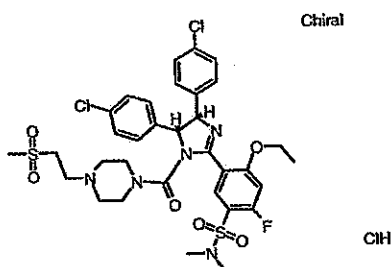
20

The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 733.3 [(M+H)⁺].

25

Example 145

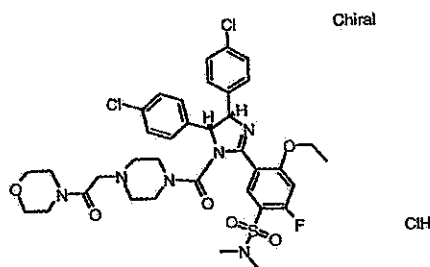
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 754.2 [(M+H)⁺].

Example 146

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide hydrochloride

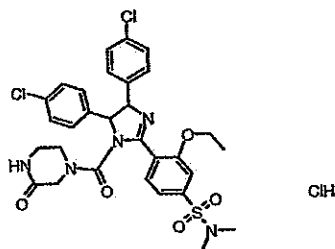


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 775.3 [(M+H)⁺].

Example 147

4-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride

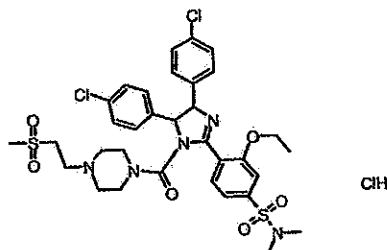
- 123 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, methyl 4-dimethylsulfamoyl-2-ethoxybenzoate (example 11) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 644.4 [(M+H)⁺].

Example 148

4-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride

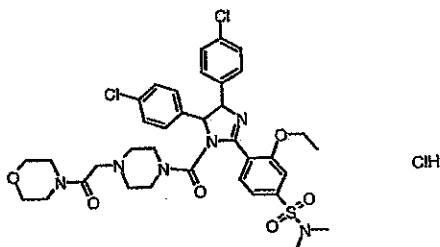


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, methyl 4-dimethylsulfamoyl-2-ethoxybenzoate (example 11) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 736.3 [(M+H)⁺].

Example 149

4-[4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride

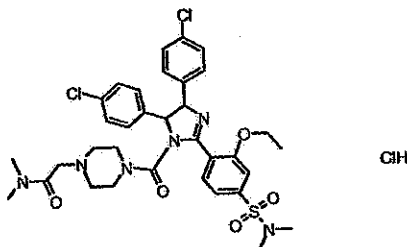
- 124 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, methyl 4-dimethylsulfamoyl-2-ethoxybenzoate (example 11) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 757.3 [(M+H)⁺].

Example 150

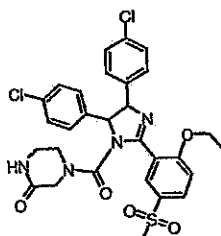
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide
hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, methyl 4-dimethylsulfamoyl-2-ethoxybenzoate (example 11) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 715.4 [(M+H)⁺].

Example 151

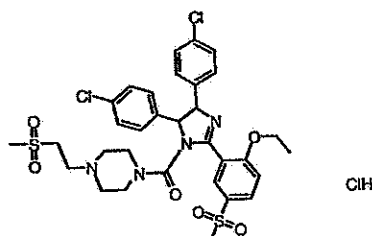
4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-methylsulfonyl-2-ethoxybenzoate (example 10) and 2-piperazinone (Avocado Organics) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 615.2 [(M+H)⁺].

Example 152

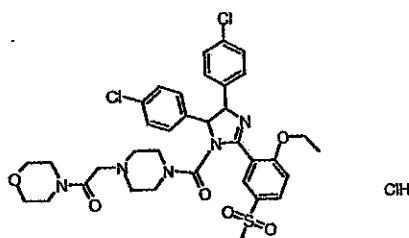
[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone
hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-methylsulfonyl-2-ethoxybenzoate (example 10) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 707.2 [(M+H)⁺].

Example 153

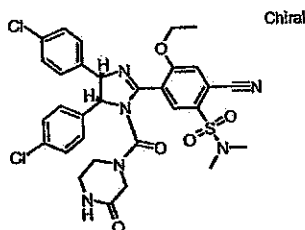
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone
hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-methylsulfonyl-2-ethoxybenzoate (example 10) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) in an analogous manner using the procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 728.3 [(M+H)⁺].

Example 154

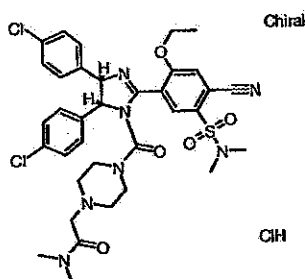
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-cyano-5-dimethylsulfamoyl-2-ethoxybenzoate (example 14) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 669.4 [(M+H)⁺].

Example 155

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride

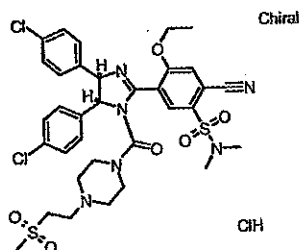


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-cyano-5-dimethylsulfamoyl-2-ethoxybenzoate (example 14) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 740.2 [(M+H)⁺].

Example 156

5-{ (4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride

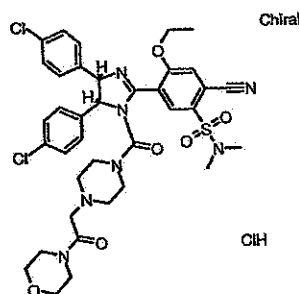
- 127 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-cyano-5-dimethylsulfamoyl-2-ethoxybenzoate (example 14) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 761.1 [(M+H)⁺].

Example 157

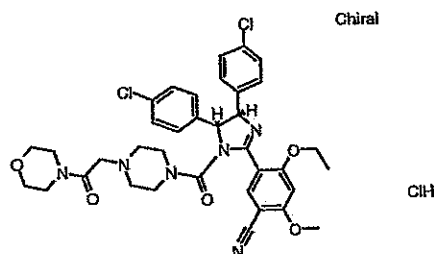
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-cyano-5-dimethylsulfamoyl-2-ethoxybenzoate (example 14) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 782.8 [(M+H)⁺].

Example 158

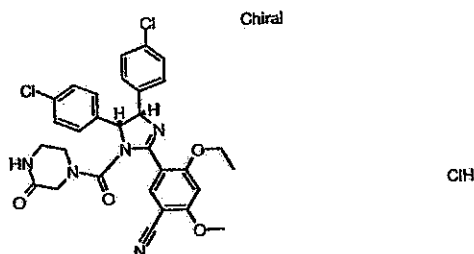
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-benzonitrile hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 5-cyano-2-ethoxy-4-methoxy-benzoic acid ethyl ester (example 8) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 705.3 [(M+H)⁺].

Example 159

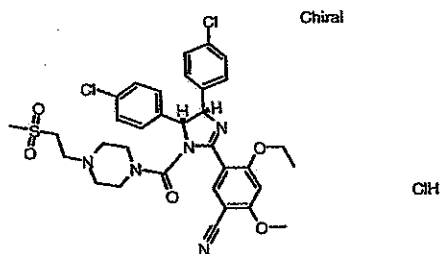
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-benzonitrile hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 5-cyano-2-ethoxy-4-methoxy-benzoic acid ethyl ester (example 8) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 592.3 [(M+H)⁺].

Example 160

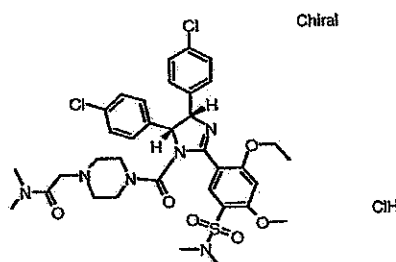
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-benzonitrile hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 5-cyano-2-ethoxy-4-methoxy-benzoic acid ethyl ester (example 8) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 684.3 [(M+H)⁺].

Example 161

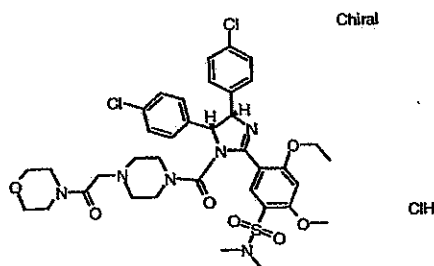
2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 745.3 [(M+H)⁺].

Example 162

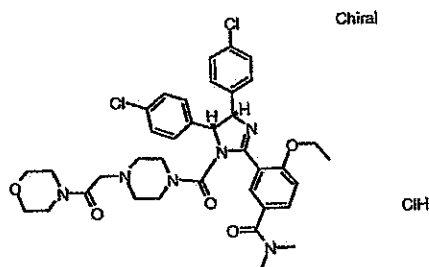
5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 787.3 [(M+H)⁺].

Example 163

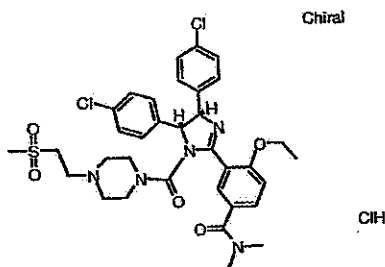
3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 6-ethoxy-N,N-dimethyl-isophthalamide acid ethyl ester (example 4) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 721.3 [(M+H)⁺].

Example 164

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride

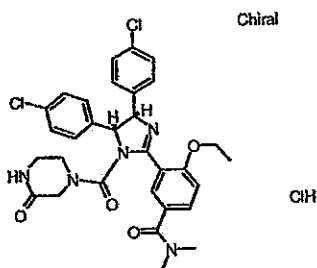


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 6-ethoxy-N,N-dimethyl-isophthalamide acid ethyl ester (example 4) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 700.3 [(M+H)⁺].

Example 165

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride

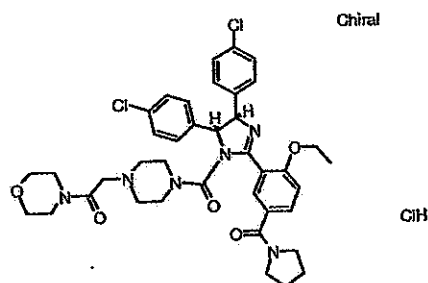
- 131 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 6-ethoxy-*N,N*-dimethyl-isophthalamic acid ethyl ester (example 4) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 608.1 [(M+H)⁺].

Example 166

2-(4-[(4*S*,5*R*)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride

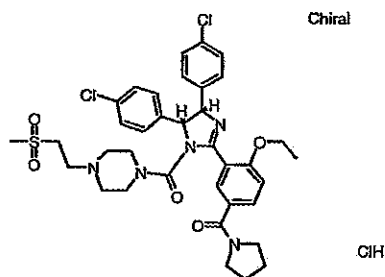


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-5-(pyrrolidine-1-carbonyl)-benzoic acid ethyl ester (example 4) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 747.3 [(M+H)⁺].

Example 167

{(4*S*,5*R*)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

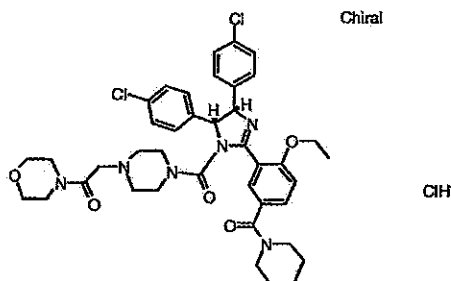
- 132 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-5-(pyrrolidine-1-carbonyl)-benzoic acid ethyl ester (example 4) and 1-(2-methanesulfonyl)ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 726.3 [(M+H)⁺].

Example 168

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride

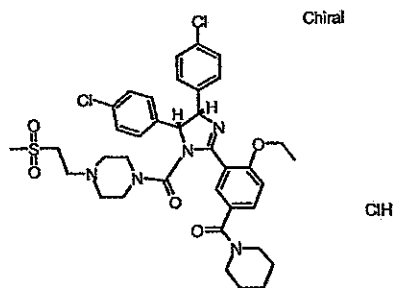


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-5-(piperidine-1-carbonyl)-benzoic acid ethyl ester (example 4) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 761.3 [(M+H)⁺].

Example 169

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

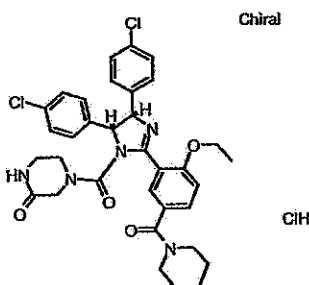
- 133 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-5-(piperidine-1-carbonyl)-benzoic acid ethyl ester (example 4) and 1-(2-methanesulfonyl)ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 740.3 [(M+H)⁺].

Example 170

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one hydrochloride

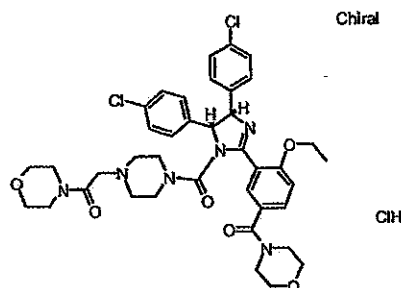


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-5-(piperidine-1-carbonyl)-benzoic acid ethyl ester (example 4) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 648.2 [(M+H)⁺].

Example 171

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(morpholine-4-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride

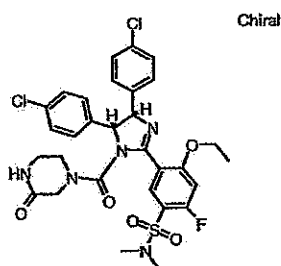
- 134 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-5-(morpholine-1-carbonyl)-benzoic acid ethyl ester (example 4) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 763.3 [(M+H)⁺].

Example 172

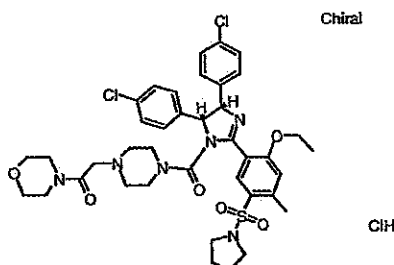
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-fluoro-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 662.2 [(M+H)⁺].

Example 173

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride

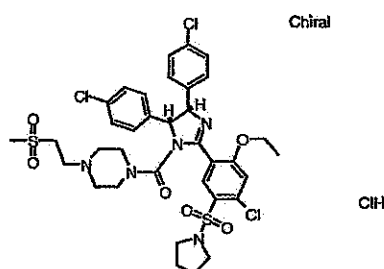


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-benzoic acid ethyl ester (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS:

797.3 [(M+H)⁺].

Example 174

[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chlorophenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

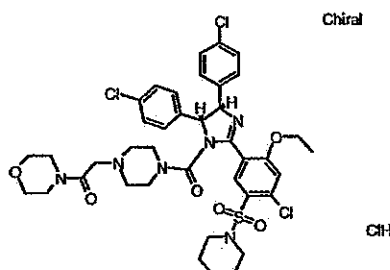


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS:

796.2 [(M+H)⁺].

Example 175

2-[4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chlorophenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-1-morpholin-4-yl-ethanone hydrochloride

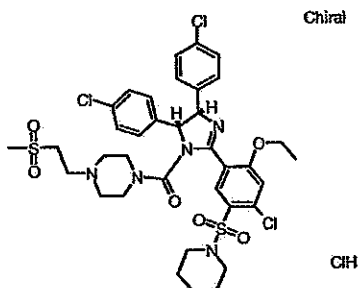


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following

successively the procedures described for examples 25, 29 and 31. LC-MS: 831.2 $[(M+H)^+]$.

Example 176

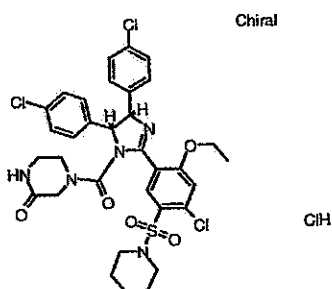
5 [(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride



10 The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 810.2 $[(M+H)^+]$.

Example 177

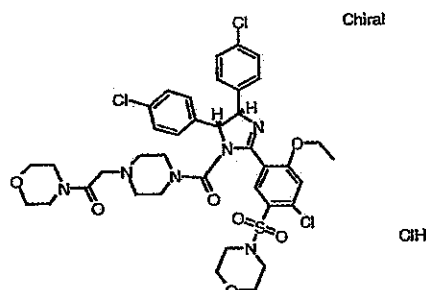
15 4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride



20 The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 718.1 $[(M+H)^+]$.

Example 178

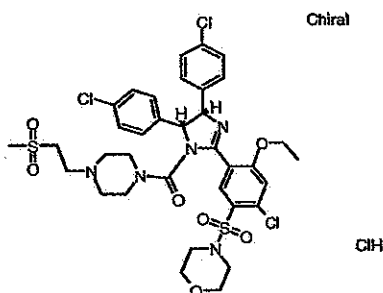
2-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-1-morpholin-4-yl-ethanone hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 833.2 [(M+H)⁺].

Example 179

[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

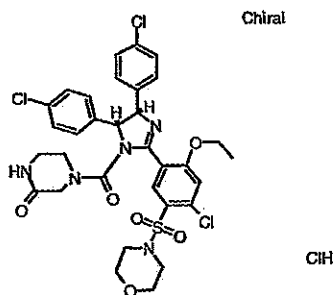


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 812.2 [(M+H)⁺].

Example 180

4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride

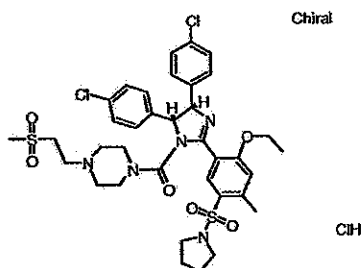
- 138 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 720 [(M+H)⁺].

Example 181

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

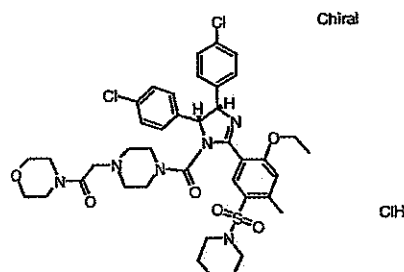


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-benzoic acid ethyl ester (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 776.3 [(M+H)⁺].

Example 182

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride

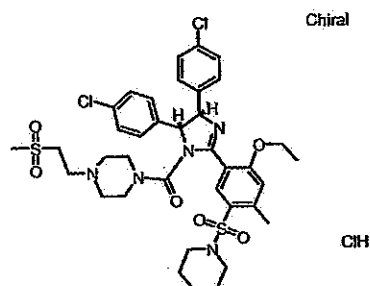
- 139 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 811.3 [(M+H)⁺].

Example 183

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

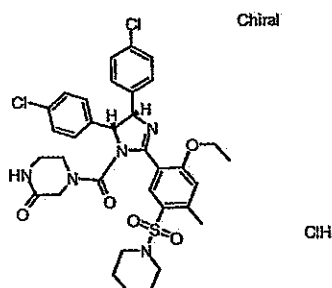


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonylethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 790.3 [(M+H)⁺].

Example 184

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one hydrochloride

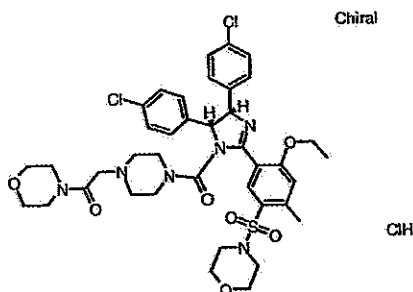
- 140 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 698.1 [(M+H)⁺].

Example 185

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride

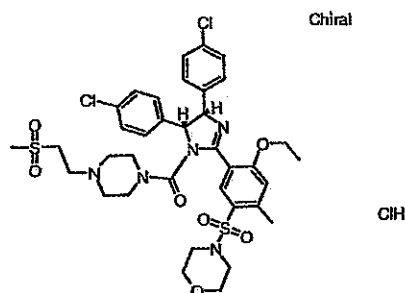


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 813.3 [(M+H)⁺].

Example 186

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

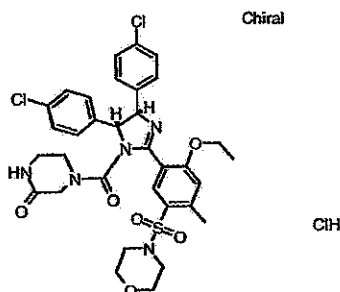
- 141 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl)ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 792.3 [(M+H)⁺].

Example 187

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride

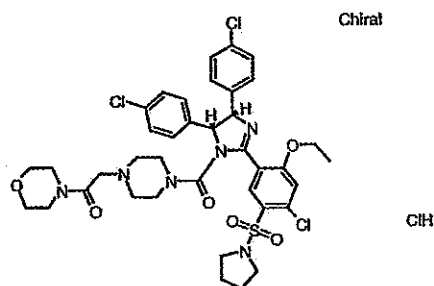


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 700.1 [(M+H)⁺].

Example 188

2-[4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-1-morpholin-4-yl-ethanone hydrochloride

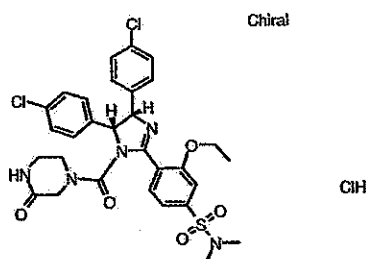
- 142 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 817.2 [(M+H)⁺].

Example 189

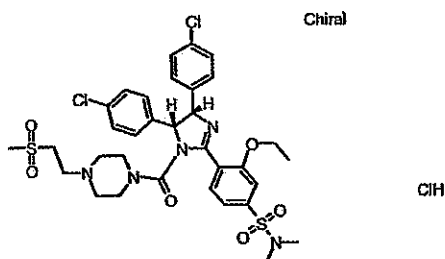
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, methyl 4-dimethylsulfamoyl-2-ethoxybenzoate (example 11) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 644.3 [(M+H)⁺].

Example 190

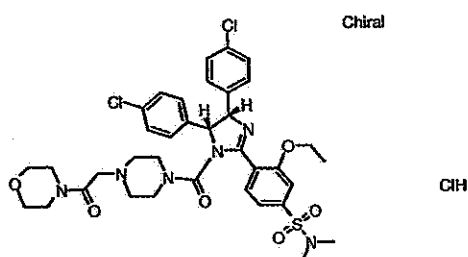
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, methyl 4-dimethylsulfamoyl-2-ethoxybenzoate (example 11) and 1-(2-methanesulfonylethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 736.3 [(M+H)⁺].

Example 191

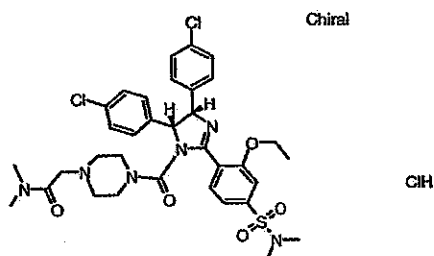
4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethylbenzenesulfonamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, methyl 4-dimethylsulfamoyl-2-ethoxybenzoate (example 11) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 754.3 [(M+H)⁺].

Example 192

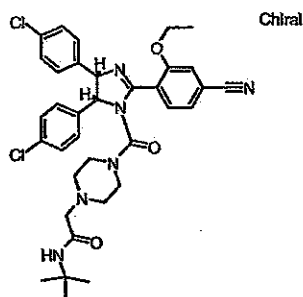
2-{4-[4-(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, methyl 4-dimethylsulfamoyl-2-ethoxybenzoate (example 11) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 715.3 [(M+H)⁺].

Example 193

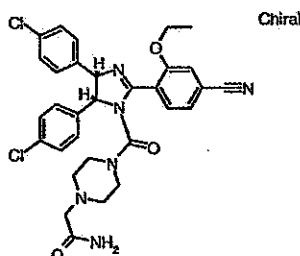
2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-tert-butyl-acetamide



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 29 and 31. LC-MS: 661.3 [(M+H)⁺].

Example 194

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide

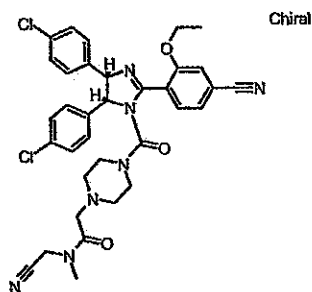


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 29 and 31. LC-MS: 605.2 [(M+H)⁺].

Example 195

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-cyanomethyl-N-methyl-acetamide

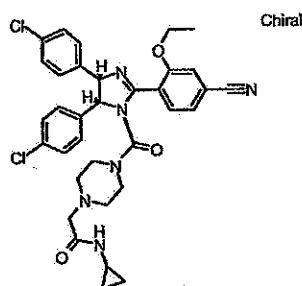
- 145 -



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N-cyanomethyl-N-methyl-2-piperazin-1-yl-acetamide (example 22h) following successively the procedures described for examples 29 and 31. LC-MS: 658.3 [(M+H)⁺].

Example 196

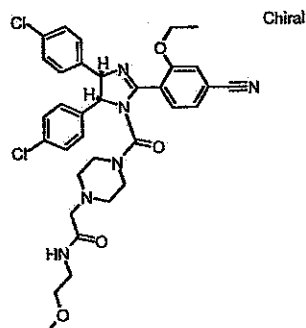
2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-cyclopropyl-acetamide



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N-cyclopropyl-2-piperazin-1-yl-acetamide (example 22j) following successively the procedures described for examples 29 and 31. LC-MS: 645.3 [(M+H)⁺].

Example 197

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-ethyl)-acetamide

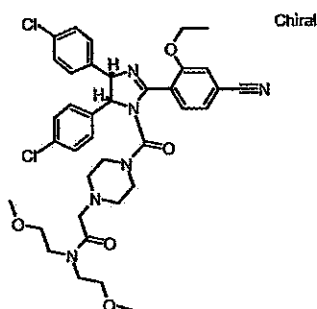


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22k) following successively the procedures described for examples 29 and 31. LC-MS: 663.3 [(M+H)⁺].

5

Example 198

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-acetamide



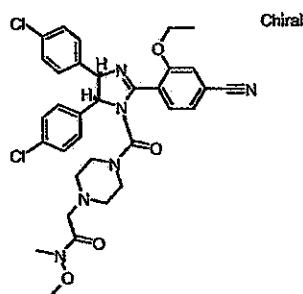
10

The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 29 and 31. LC-MS: 721.4 [(M+H)⁺].

15

Example 199

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide

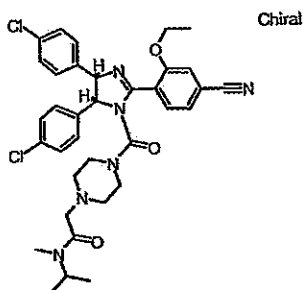


20

The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively the procedures described for examples 29 and 31. LC-MS: 649.3 [(M+H)⁺].

Example 200

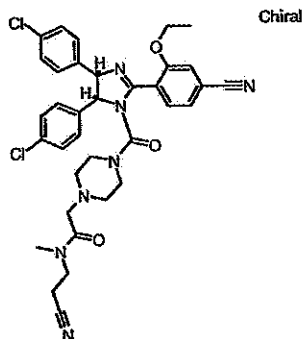
2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-isopropyl-N-methyl-acetamide



The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 29 and 31. LC-MS: 661.3 [(M+H)⁺].

Example 201

2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-(2-cyano-ethyl)-N-methyl-acetamide

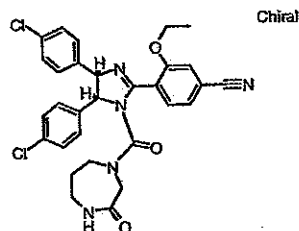


The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and N-(2-cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide (example 22d) following successively the procedures described for examples 29 and 31. LC-MS: 672.3 [(M+H)⁺].

Example 202

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile

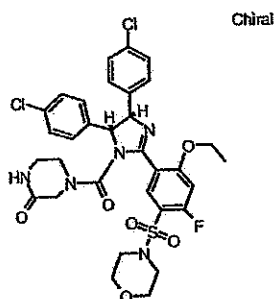
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The title compound was prepared from 4-[4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile (example 25) and [1,4]diazepan-5-one (Oakwood Products) following successively the procedures described for examples 29 and 31. LC-MS: 576.2 [(M+H)⁺].

Example 203

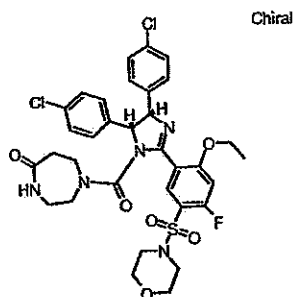
4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 704.3 [(M+H)⁺]

Example 204

1-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-[1,4]diazepan-5-one

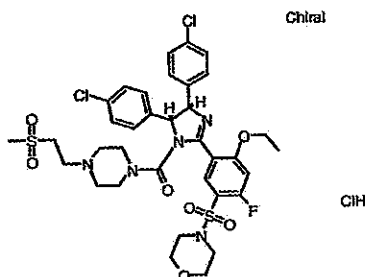


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-benzoate (example

2) and [1,4]diazepan-5-one (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 718.2 [(M+H)⁺].

Example 205

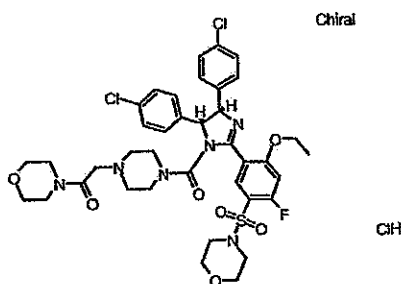
{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 796.2 [(M+H)⁺].

Example 206

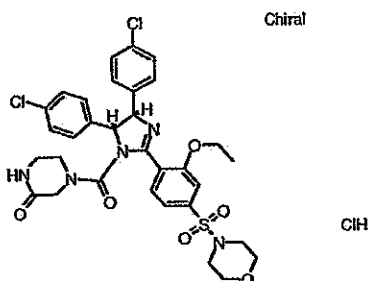
2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 817.2 [(M+H)⁺].

Example 207

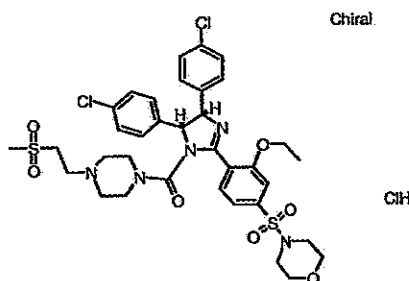
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(morpholine-4-sulfonyl)-benzoic acid methyl ester (example 11) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 686.3 [(M+H)⁺].

Example 208

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

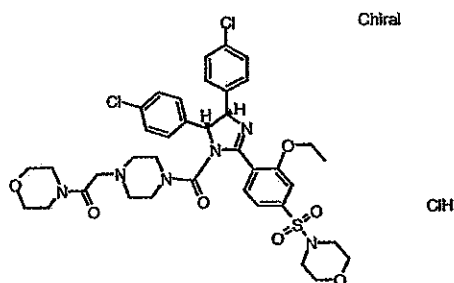


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(morpholine-4-sulfonyl)-benzoic acid methyl ester (example 11) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 778.3 [(M+H)⁺].

Example 209

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride

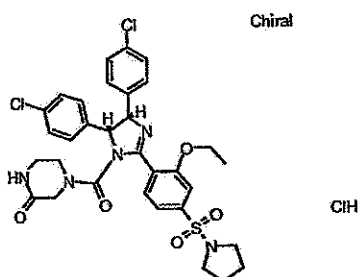
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(morpholine-4-sulfonyl)-benzoic acid methyl ester (example 11) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 799.4 [(M+H)⁺].

Example 210

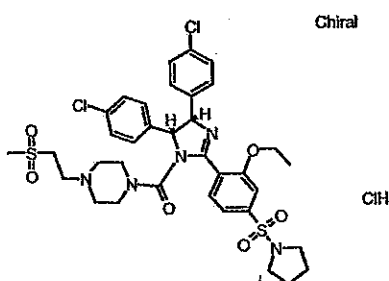
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(pyrrolidine-1-sulfonyl)-benzoic acid methyl ester (example 11) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 670.3 [(M+H)⁺].

Example 211

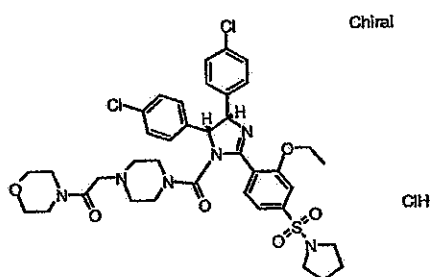
{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(pyrrolidine-1-sulfonyl)-benzoic acid methyl ester (example 11) and 1-(2-methanesulfonylethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 762.4 [(M+H)⁺].

Example 212

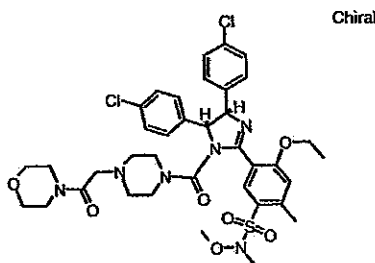
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(pyrrolidine-1-sulfonyl)-benzoic acid methyl ester (example 11) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 783.4 [(M+H)⁺].

Example 213

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide

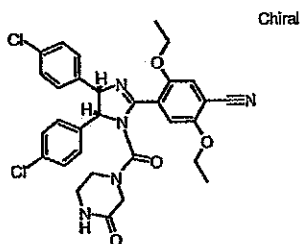


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products)

following successively the procedures described for examples 25, 30 and 32. LC-MS: 787.3 [(M+H)⁺].

Example 214

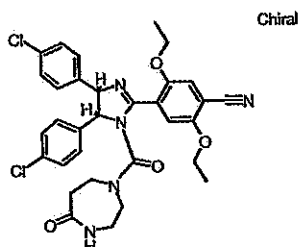
5 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-cyano-2,5-diethoxy-benzoate (example 15) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 606.3 [(M+H)⁺].

Example 215

15 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(5-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile

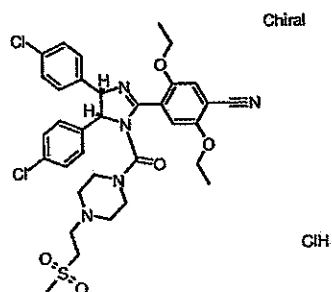


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-cyano-2,5-diethoxy-benzoate (example 15) and [1,4]diazepan-5-one (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 620.3 [(M+H)⁺].

Example 216

20 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile hydrochloride

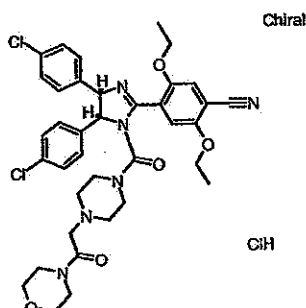
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-cyano-2,5-diethoxy-benzoate (example 15) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 698.3 $[(M+H)^+]$.

Example 217

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile hydrochloride

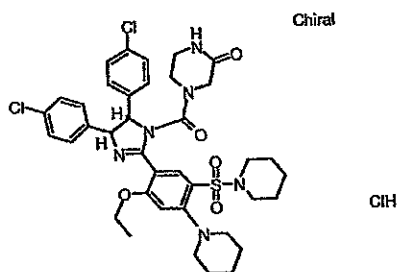


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-cyano-2,5-diethoxy-benzoate (example 15) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 719.4 $[(M+H)^+]$.

Example 218

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride

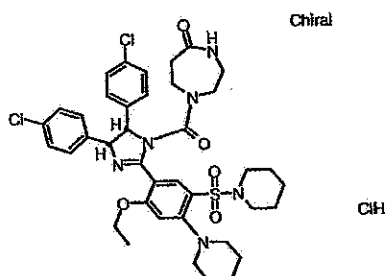
- 155 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-piperidino-5-piperidinosulfamoyl-2-ethoxybenzoate (example 12b) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 767.3 [(M+H)⁺].

Example 219

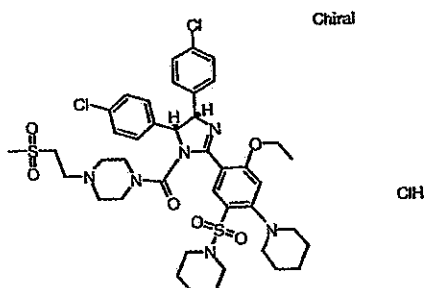
1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-[1,4]diazepan-5-one hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-piperidino-5-piperidinosulfamoyl-2-ethoxybenzoate (example 12b) and [1,4]diazepan-5-one (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 781.4 [(M+H)⁺].

Example 220

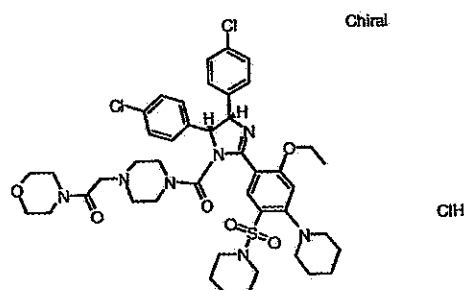
{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-piperidino-5-piperidinosulfamoyl-2-ethoxybenzoate (example 12b) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 859.4 [(M+H)⁺].

Example 221

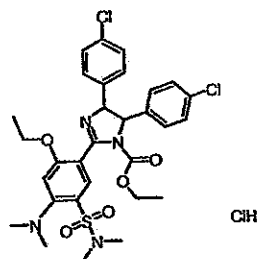
2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-piperidino-5-piperidinosulfamoyl-2-ethoxybenzoate (example 12b) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 879.3 [(M+H)⁺].

Example 222

4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylamino-5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carboxylic acid ethyl ester hydrochloride

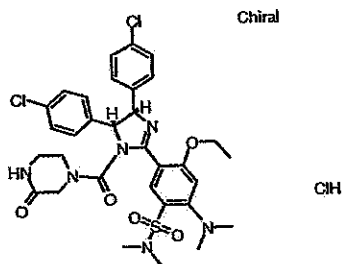


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-dimethylamino-5-dimethylsulfamoyl-2-ethoxybenzoate (example 12a) and ethyl chloroformate (Aldrich) in an analogous manner using the

procedures described for examples 25, 29 and 31 (except chiral purification). LC-MS: 633.2 [(M+H)⁺].

Example 223

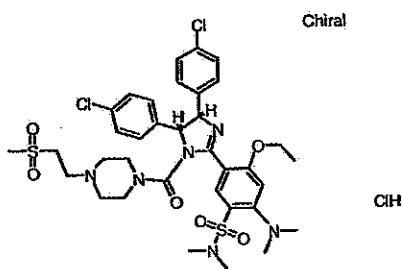
5 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride



10 The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-dimethylamino-5-dimethylsulfamoyl-2-ethoxybenzoate (example 12a) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 687.3 [(M+H)⁺].

Example 224

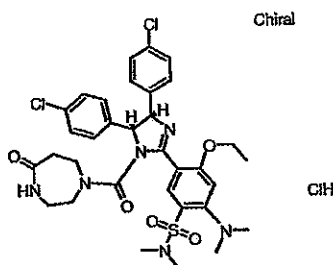
15 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride



20 The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-dimethylamino-5-dimethylsulfamoyl-2-ethoxybenzoate (example 12a) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 779.3 [(M+H)⁺].

Example 225

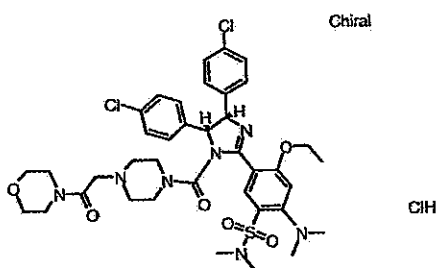
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(5-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-dimethylamino-5-dimethylsulfamoyl-2-ethoxybenzoate (example 12a) and [1,4]diazepan-5-one (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 701.3 [(M+H)⁺].

Example 226

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride

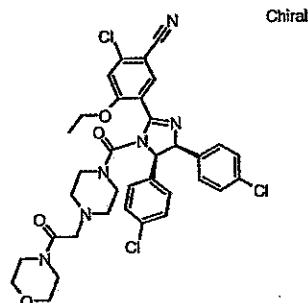


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-dimethylamino-5-dimethylsulfamoyl-2-ethoxybenzoate (example 12a) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 800.4 [(M+H)⁺].

Example 227

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile

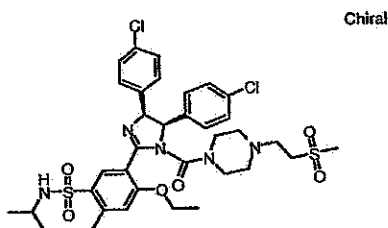
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 4-chloro-5-cyano-2-ethoxy-benzoic acid ethyl ester (example 5) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 709.3 [(M+H)⁺].

Example 228

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide

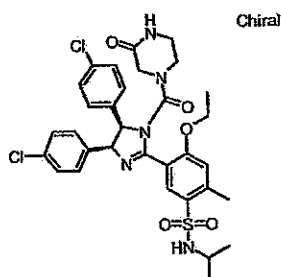


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-isopropylsulfamoyl-4-methyl-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 764.3 [(M+H)⁺].

Example 229

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide

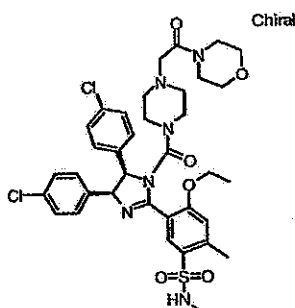
- 160 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-isopropylsulfamoyl-4-methyl-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 672.3 [(M+H)⁺].

Example 230

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide

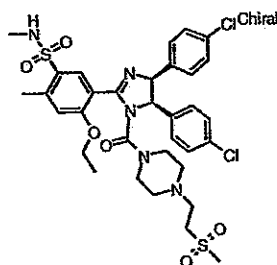


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-methylsulfamoyl-4-methyl-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 757.5 [(M+H)⁺].

Example 231

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide

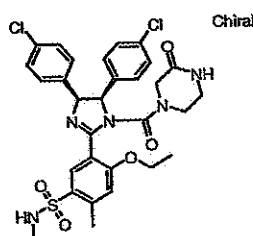
- 161 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-methylsulfamoyl-4-methyl-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 736.3 [(M+H)⁺].

Example 232

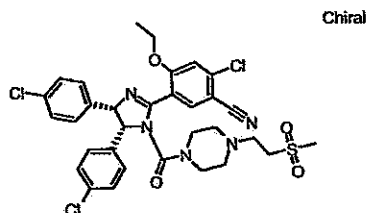
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-methylsulfamoyl-4-methyl-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 644.3 [(M+H)⁺].

Example 233

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile

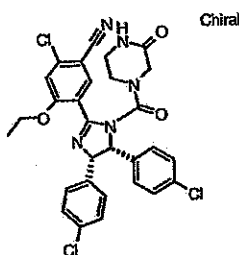


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 4-chloro-5-cyano-2-ethoxy-benzoic acid ethyl ester (example 5) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following

successively the procedures described for examples 25, 29 and 31. LC-MS: 688.3 [(M+H)⁺].

Example 234

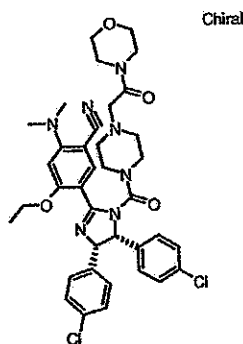
5 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile



10 The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 4-chloro-5-cyano-2-ethoxy-benzoic acid ethyl ester (example 5) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 596.1 [(M+H)⁺].

Example 235

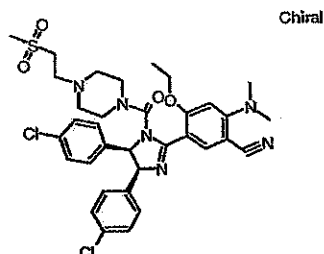
15 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-benzonitrile



20 The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 5-cyano-4-dimethylamino-2-ethoxy-benzoic acid methyl ester (example 6) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 718.4 [(M+H)⁺].

Example 236

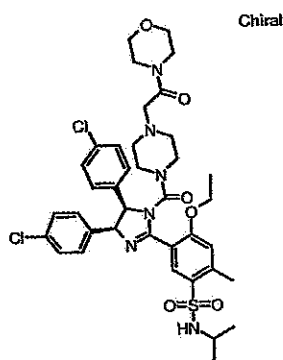
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-benzonitrile



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 5-cyano-4-dimethylamino-2-ethoxy-benzoic acid methyl ester (example 6) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 697.3 [(M+H)⁺].

Example 237

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide

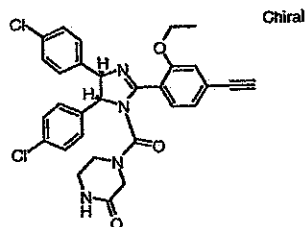


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-isopropylsulfamoyl-4-methyl-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 785.4 [(M+H)⁺].

Example 238

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one

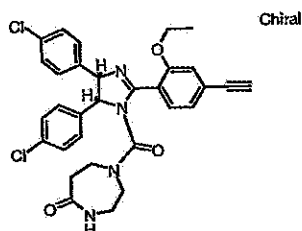
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The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 29 and 31. LC-MS: 561.3 [(M+H)⁺].

Example 239

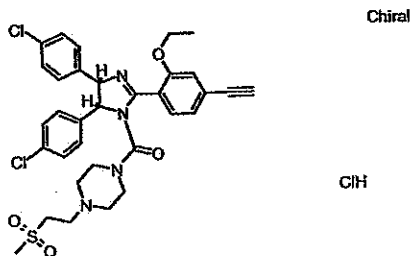
1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-[1,4]diazepan-5-one



The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and [1,4]diazepan-5-one (Oakwood Products) following successively the procedures described for examples 29 and 31. LC-MS: 575.3 [(M+H)⁺].

Example 240

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

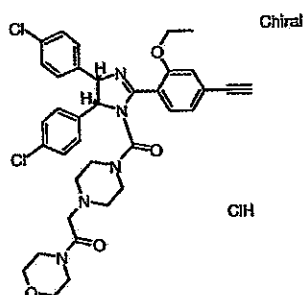


The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following

successively the procedures described for examples 29 and 31. LC-MS: 653.3
 $[(M+H)^+]$.

Example 241

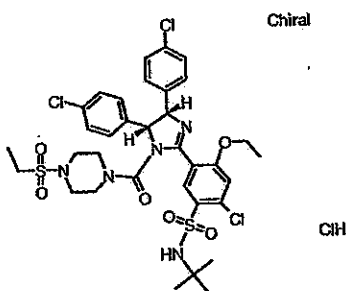
2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-
imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride



The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-
4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 1-morpholin-4-yl-2-
piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures
described for examples 29 and 31. LC-MS: 674.4 $[(M+H)^+]$.

Example 242

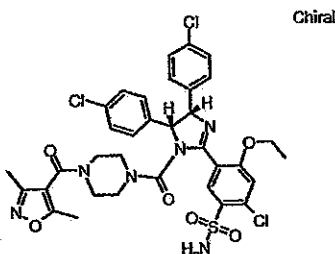
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-
4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-2-chloro-4-ethoxy-benzenesulfonamide
hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-
1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate (example 2) and
1-ethanesulfonyl-piperazine (example 20) following successively the procedures
described for examples 25, 29 and 31. LC-MS: 784.1 $[(M+H)^+]$.

Example 243

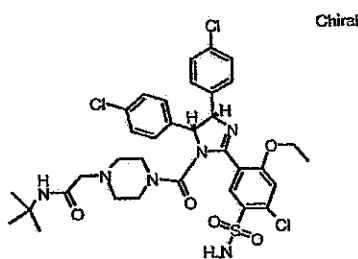
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate (example 2), and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29, 31 and 34. LC-MS: 759.2 [(M+H)⁺].

Example 244

N-tert-Butyl-2-[4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-acetamide

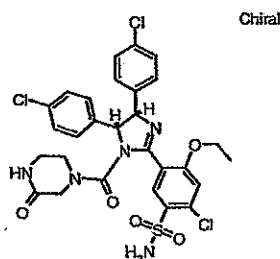


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29, 31 and 34. LC-MS: 749.3 [(M+H)⁺].

Example 245

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide

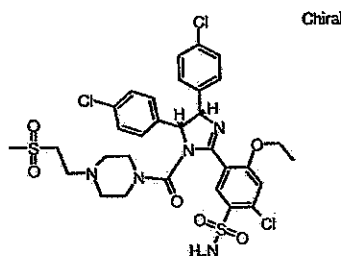
- 167 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29, 31 and 34. LC-MS: 650.1 [(M+H)⁺].

Example 246

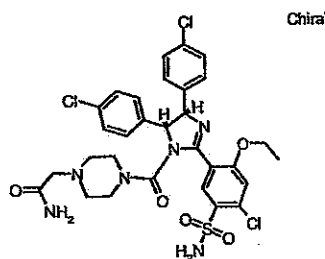
5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29, 31 and 34. LC-MS: 742.3 [(M+H)⁺].

Example 247

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide

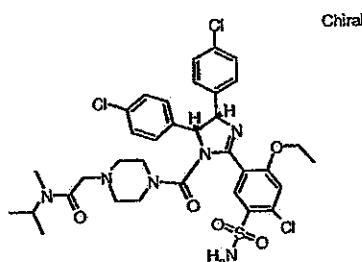


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate (example 2) and

2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29, 31 and 34. LC-MS: 693.2 [(M+H)⁺].

Example 248

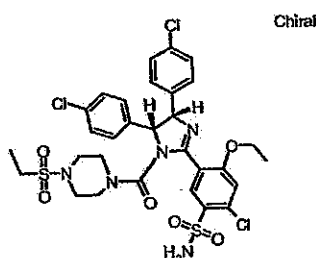
2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29, 31 and 34. LC-MS: 749.3 [(M+H)⁺].

Example 249

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide

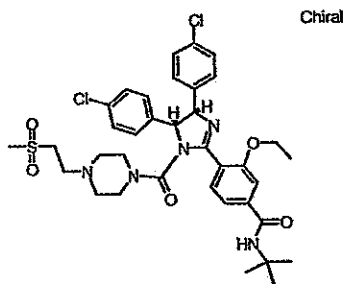


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-4-chloro-2-ethoxy-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29, 31 and 34. LC-MS: 728.2 [(M+H)⁺].

Example 250

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-3-ethoxy-benzamide

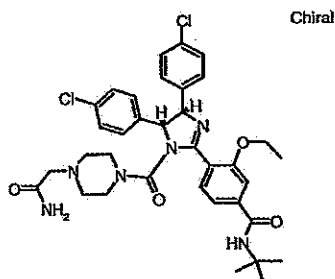
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, N-tert-butyl-2-ethoxy-terephthalamic acid methyl ester (example 7) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 728.2 [(M+H)⁺].

Example 251

N-tert-Butyl-4-[(4S,5R)-1-(4-carbamoylmethyl-piperazine-1-carbonyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzamide

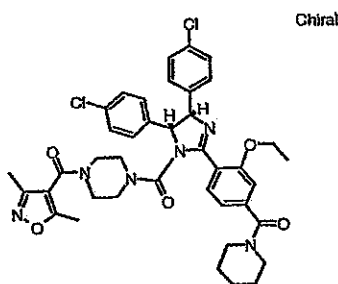


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, N-tert-butyl-2-ethoxy-terephthalamic acid methyl ester (example 7) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 679.2 [(M+H)⁺].

Example 252

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone

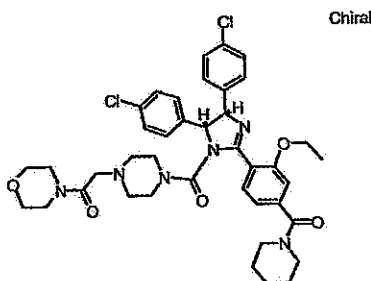
- 170 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid methyl ester (example 7) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 757.2 [(M+H)⁺].

Example 253

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-1-morpholin-4-yl-ethanone

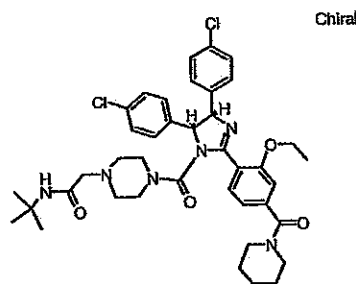


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid methyl ester (example 7) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 761.3 [(M+H)⁺].

Example 254

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-tert-butyl-acetamide

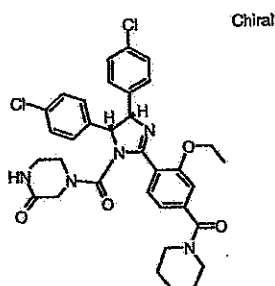
- 171 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid methyl ester (example 7) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 747.3 [(M+H)⁺].

Example 255

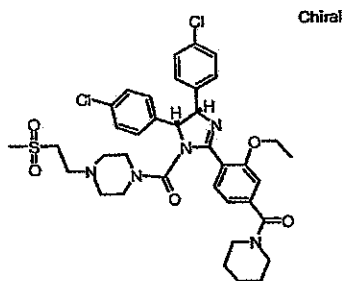
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid methyl ester (example 7) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 648.1 [(M+H)⁺].

Example 256

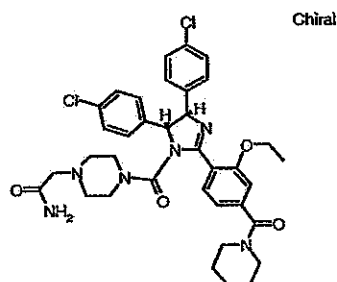
{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid methyl ester (example 7) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 740.3 [(M+H)⁺].

Example 257

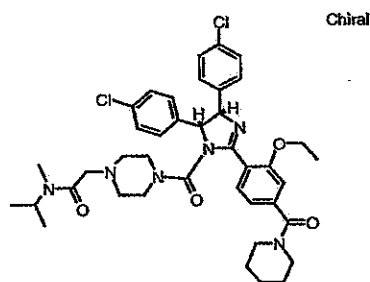
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid ethyl ester (example 4) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 691.2 [(M+H)⁺].

Example 258

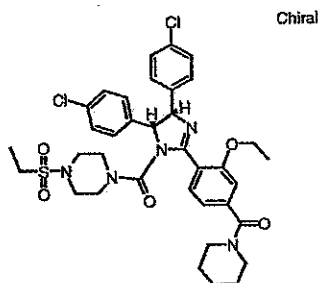
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid methyl ester (example 7) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 747.3 [(M+H)⁺].

Example 259

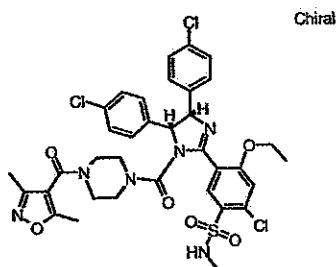
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl]-(4-ethanesulfonyl-piperazin-1-yl)-methanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-(piperidine-1-carbonyl)-benzoic acid methyl ester (example 7) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 726.2 [(M+H)⁺].

Example 260

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide

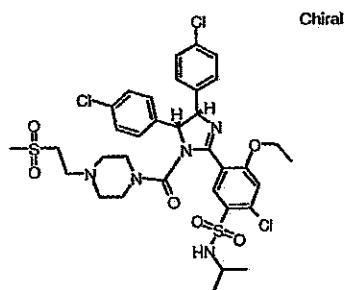


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-methylsulfamoyl-4-methyl-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 30 and 32. LC-MS: 773.2 [(M+H)⁺].

Example 261

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide

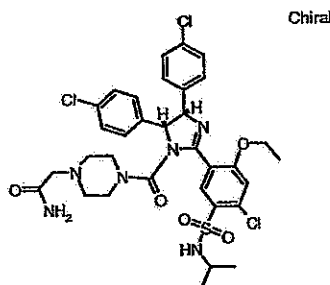
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 30 and 32. LC-MS: 784.2 [(M+H)⁺].

Example 262

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide

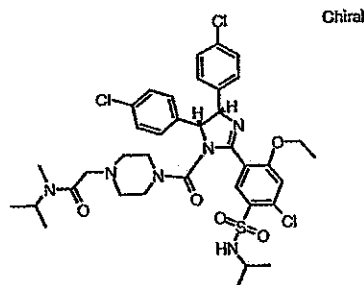


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 30 and 32. LC-MS: 735.1 [(M+H)⁺].

Example 263

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide

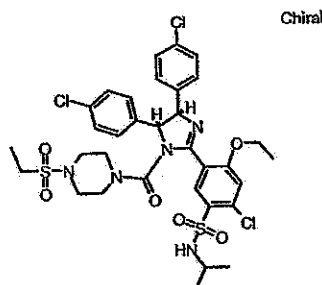
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 30 and 32. LC-MS: 791.3 [(M+H)⁺].

Example 264

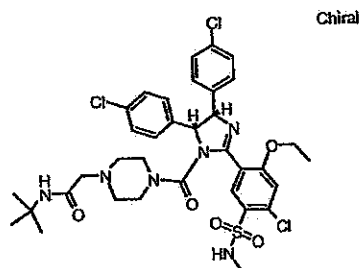
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 30 and 32. LC-MS: 770.2 [(M+H)⁺].

Example 265

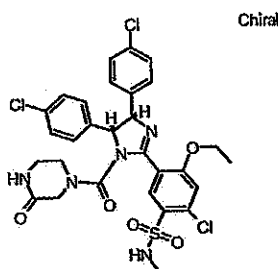
N-tert-Butyl-2-{4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-methylsulfamoyl-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 30 and 32. LC-MS: 763.2 [(M+H)⁺].

Example 266

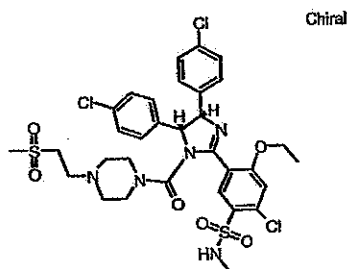
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-methylsulfamoyl-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 30 and 32. LC-MS: 664 [(M+H)⁺].

Example 267

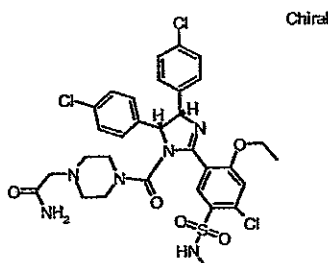
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-methylsulfamoyl-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 30 and 32. LC-MS: 756.2 [(M+H)⁺].

Example 268

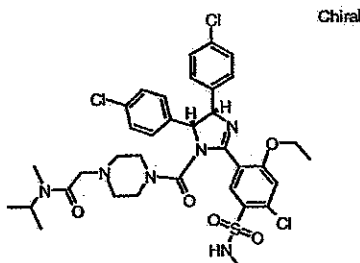
2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-methylsulfamoyl-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 30 and 32. LC-MS: 707.1 [(M+H)⁺].

Example 269

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide

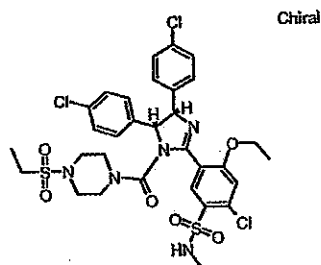


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-methylsulfamoyl-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 30 and 32. LC-MS: 763.2 [(M+H)⁺].

Example 270

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide

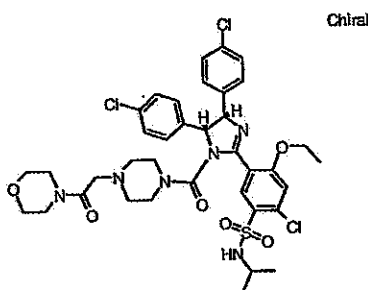
- 178 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-methylsulfamoyl-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 30 and 32. LC-MS: 742.2 [(M+H)⁺].

Example 271

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide

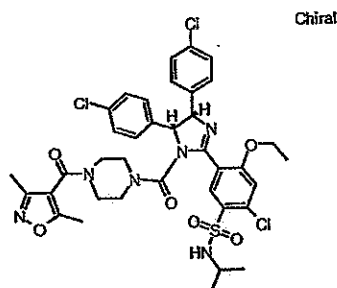


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 30 and 32. LC-MS: 805.3 [(M+H)⁺].

Example 272

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide

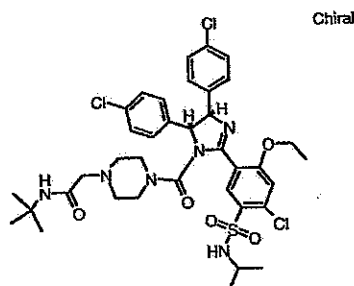
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 30 and 32. LC-MS: 801.2 [(M+H)⁺].

Example 273

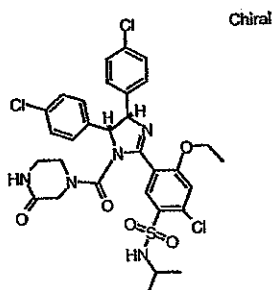
N-tert-Butyl-2-{4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 30 and 32. LC-MS: 791.3 [(M+H)⁺].

Example 274

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide

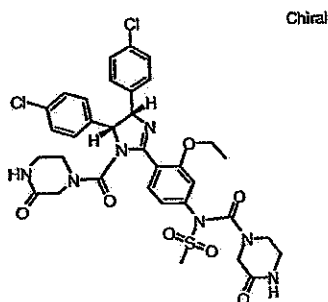


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-chloro-2-ethoxy-5-isopropylsulfamoyl-benzoate (example 2) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 30 and 32. LC-MS: 692.1 [(M+H)⁺].

5

Example 275

N-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl]-N-(3-oxo-piperazine-1-carbonyl)-methanesulfonamide



10

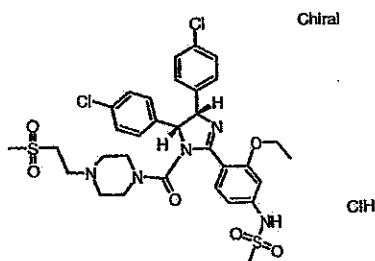
The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 2-ethoxy-4-[methanesulfonyl-(3-oxo-piperazine-1-carbonyl)-amino]-benzoic acid ethyl ester (example 13) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 756.4 [(M+H)⁺].

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Example 276

N-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-methanesulfonamide hydrochloride

20

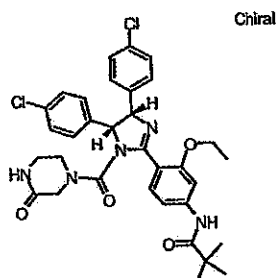


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-methanesulfonylamino-2-ethoxybenzoate (example 13) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following

successively the procedures described for examples 25, 29 and 31. LC-MS: 940.4 [(M+H)⁺].

Example 277

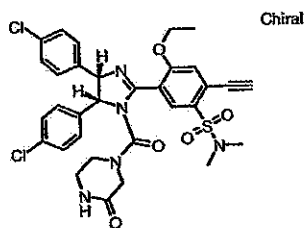
N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-2,2-dimethyl-propionamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 4-(2,2-dimethyl-propionylamino)-2-ethoxy-benzoic acid ethyl ester (example 13) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 636.4 [(M+H)⁺].

Example 278

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-benzenesulfonamide

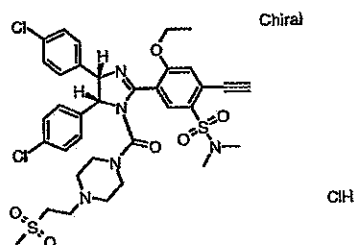


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-trimethylsilanylethynylbenzoate (example 18) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 668.3 [(M+H)⁺].

Example 279

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-benzenesulfonamide hydrochloride

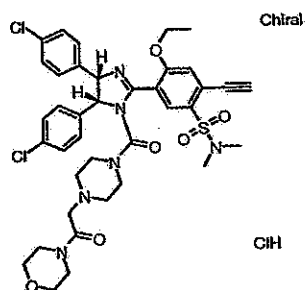
- 182 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-trimethylsilanylethynylbenzoate (example 18) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 760.4 [(M+H)⁺].

Example 280

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-benzenesulfonamide hydrochloride

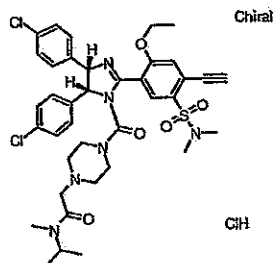


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-trimethylsilanylethynylbenzoate (example 18) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 781.4 [(M+H)⁺].

Example 281

2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-isopropyl-N-methyl-acetamide hydrochloride

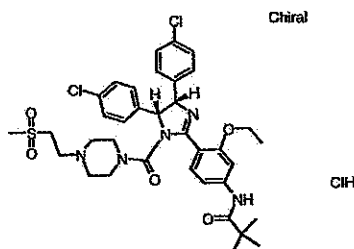
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-dimethylsulfamoyl-2-ethoxy-4-trimethylsilanyl-ethynyl-benzoate (example 18) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 767.5 [(M+H)⁺].

Example 282

N-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride

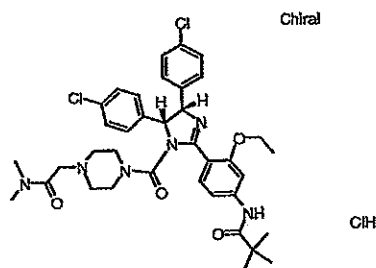


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 4-(2,2-dimethyl-propionylamino)-2-ethoxy-benzoic acid ethyl ester (example 13) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 728.4 [(M+H)⁺].

Example 283

N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-dimethylcarbamoylmethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl}-2,2-dimethyl-propionamide hydrochloride

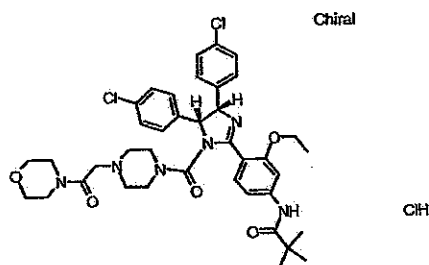
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 4-(2,2-dimethyl-propionylamino)-2-ethoxy-benzoic acid ethyl ester (example 13) and N,N-dimethyl-2-piperazin-1-yl-acetamide (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 707.5 [(M+H)⁺].

Example 284

N-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride

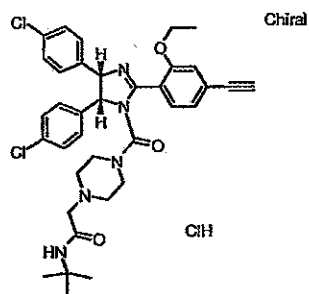


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, 4-(2,2-dimethyl-propionylamino)-2-ethoxy-benzoic acid ethyl ester (example 13) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 749.5 [(M+H)⁺].

Example 285

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-tert-butyl-acetamide hydrochloride

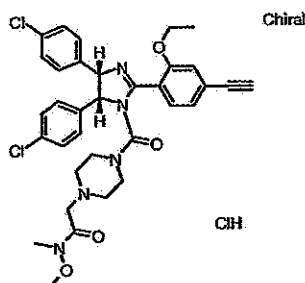
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The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 29 and 31. LC-MS: 660.4 [(M+H)⁺].

Example 286

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide
hydrochloride

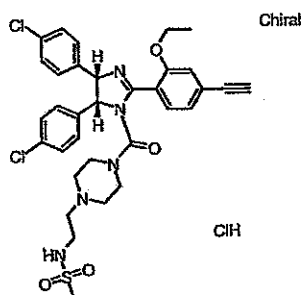


The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively the procedures described for examples 29 and 31. LC-MS: 648.4 [(M+H)⁺].

Example 287

N-(2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-ethyl)-methanesulfonamide
hydrochloride

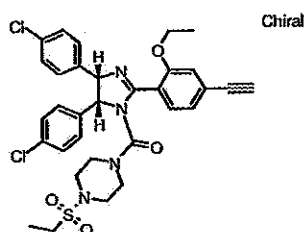
- 186 -



The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and N-(2-methanesulfonylethyl)-piperazine hydrochloride (example 24) following successively the procedures described for examples 29 and 31. LC-MS: 668.4 [(M+H)⁺].

Example 288

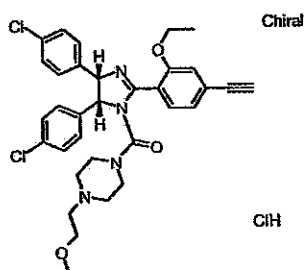
[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-ethanesulfonyl-piperazin-1-yl]-methanone



The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 29 and 31. LC-MS: 639.4 [(M+H)⁺].

Example 289

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methoxy-ethyl)-piperazin-1-yl]-methanone hydrochloride

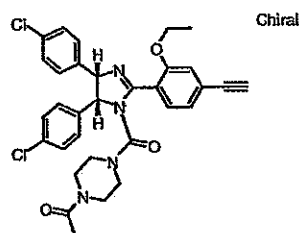


The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 1-(2-hydroxy-ethyl)-

piperazine (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 605.4 [(M+H)⁺].

Example 290

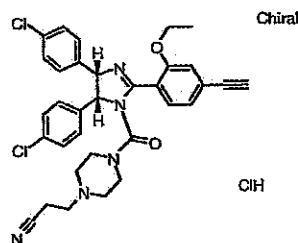
1-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-ethanone



The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 1-acetylpiperazine (Aldrich) following successively the procedures described for examples 29 and 31. LC-MS: 589.4 [(M+H)⁺].

Example 291

3-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-propionitrile hydrochloride

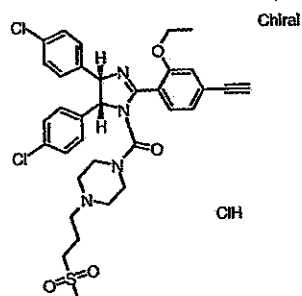


The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 3-piperazin-1-yl-propionitrile (example 22f) following successively the procedures described for examples 29 and 31. LC-MS: 600.4 [(M+H)⁺].

Example 292

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone hydrochloride

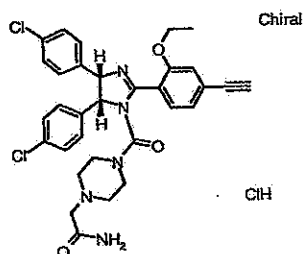
- 188 -



The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 29 and 31. LC-MS: 667.4 [(M+H)⁺].

Example 293

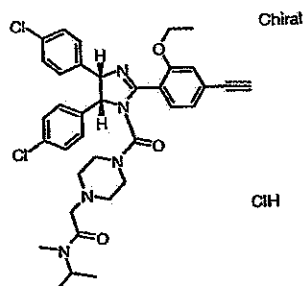
2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-acetamide hydrochloride



The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 29 and 31. LC-MS: 604.4 [(M+H)⁺].

Example 294

2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-isopropyl-N-methyl-acetamide hydrochloride

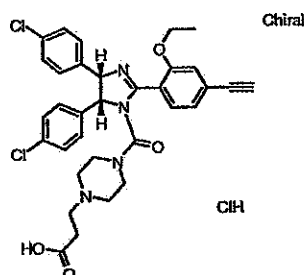


The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 29 and 31. LC-MS: 660.4 [(M+H)⁺].

5

Example 295

3-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-propionic acid hydrochloride



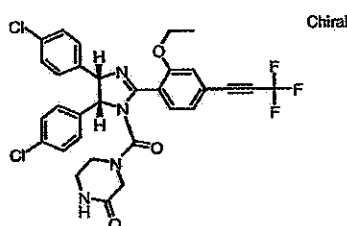
10

The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-(2-ethoxy-4-ethynylphenyl)-4,5-dihydro-1H-imidazole (example 26) and 3-piperazinyl-propionic acid (Oakwood Products) following successively the procedures described for examples 29 and 31. LC-MS: 619.4 [(M+H)⁺].

15

Example 296

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one



20

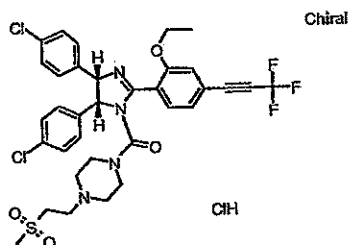
The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-trifluoroprop-1-ynylbenzoate (example 16) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 25, 29 and 31. LC-MS: 629.4 [(M+H)⁺].

Example 297

25

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

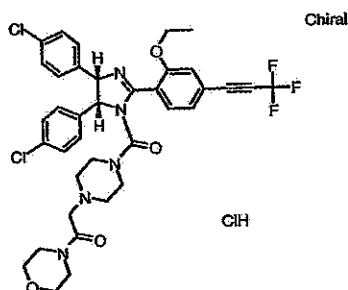
- 190 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-trifluoroprop-1-ynylbenzoate (example 16) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 721.3 [(M+H)⁺].

Example 298

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride

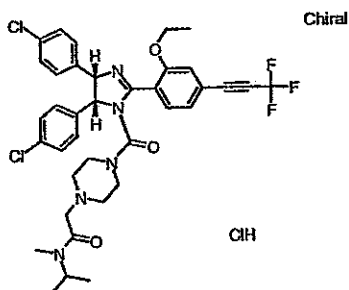


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-trifluoroprop-1-ynylbenzoate (example 16) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 742.4 [(M+H)⁺].

Example 299

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide hydrochloride

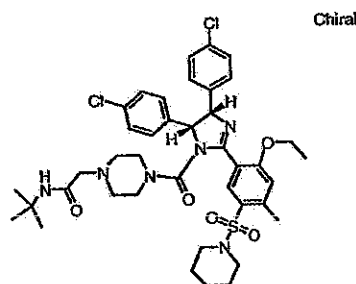
- 191 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-trifluoroprop-1-ynylbenzoate (example 16) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 728.4 [(M+H)⁺].

Example 300

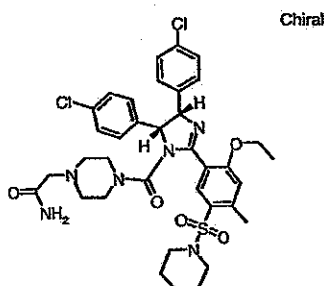
2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 797.3 [(M+H)⁺].

Example 301

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide

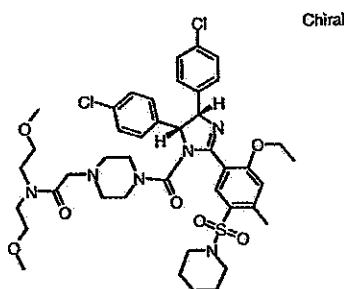


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 741.2 [(M+H)⁺].

5

Example 302

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide



10

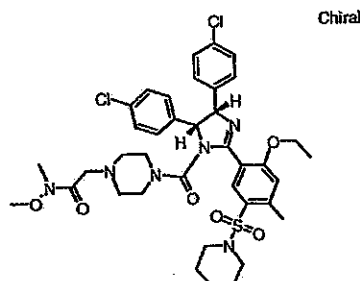
The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 25, 29 and 31. LC-MS: 857.4 [(M+H)⁺].

15

Example 303

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-methoxy-N-methyl-acetamide

20

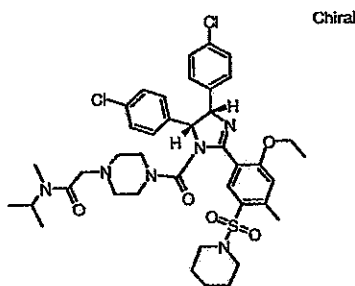


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following

successively the procedures described for examples 25, 29 and 31. LC-MS: 785.2 [(M+H)⁺].

Example 304

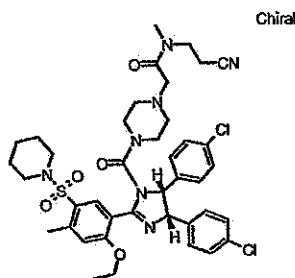
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 797.3 [(M+H)⁺].

Example 305

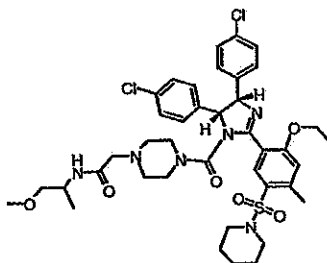
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide (example 22d) following successively the procedures described for examples 25, 29 and 31. LC-MS: 808.3 [(M+H)⁺].

Example 306

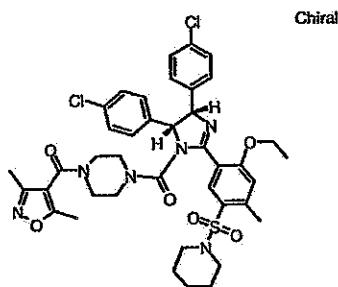
2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 25, 29 and 31. LC-MS: 813.3 [(M+H)⁺].

Example 307

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone

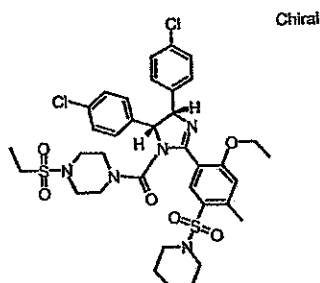


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 807.3 [(M+H)⁺].

Example 308

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone

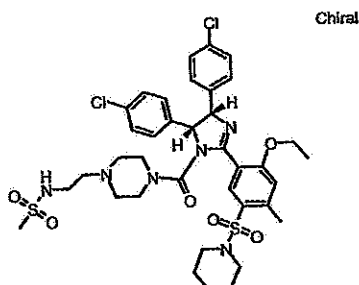
- 195 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 776.3 [(M+H)⁺].

Example 309

N-[2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-ethyl]-methanesulfonamide

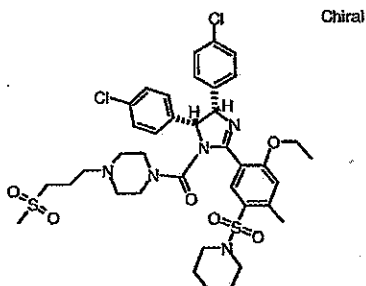


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-methanesulfonyl-ethyl)-piperazine hydrochloride (example 24) following successively the procedures described for examples 25, 29 and 31. LC-MS: 805.3 [(M+H)⁺].

Example 310

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone

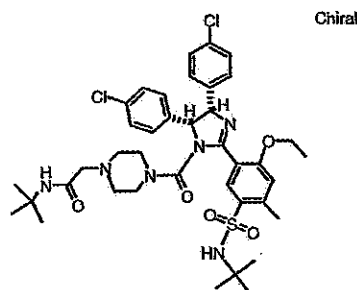
- 196 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively
 5 the procedures described for examples 25, 29 and 31. LC-MS: 804.3 [(M+H)⁺].

Example 311

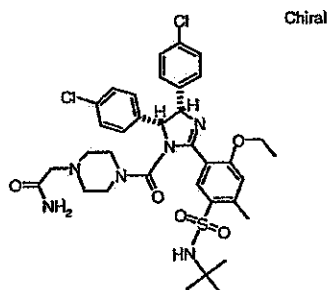
N-tert-Butyl-2-{4-[(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively
 10 the procedures described for examples 25, 29 and 31. LC-MS: 785.4 [(M+H)⁺]

Example 312

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide

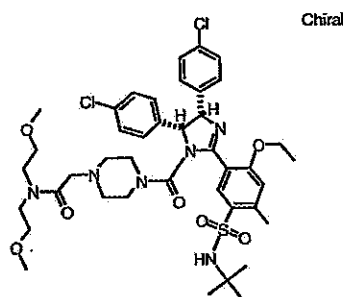


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 729.3 [(M+H)⁺].

5

Example 313

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-acetamide



10

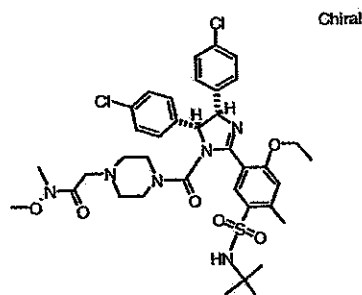
The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 25, 29 and 31. LC-MS: 845.4 [(M+H)⁺].

15

Example 314

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide

20

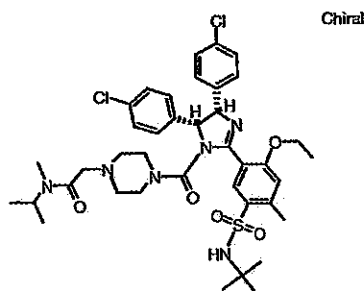


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following

successively the procedures described for examples 25, 29 and 31. LC-MS: 773.3 [(M+H)⁺].

Example 315

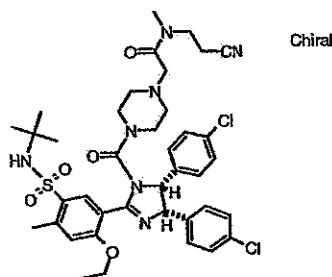
2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 785.4 [(M+H)⁺].

Example 316

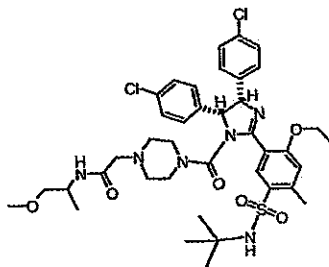
2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-cyano-ethyl)-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and N-(2-cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide (example 22d) following successively the procedures described for examples 25, 29 and 31. LC-MS: 796.3 [(M+H)⁺].

Example 317

2-[4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-(2-methoxy-1-methyl-ethyl)-acetamide



5

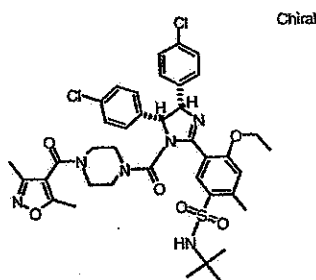
The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 25, 29 and 31. LC-MS: 801.4 [(M+H)⁺].

10

Example 318

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide

15



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 795.3 [(M+H)⁺].

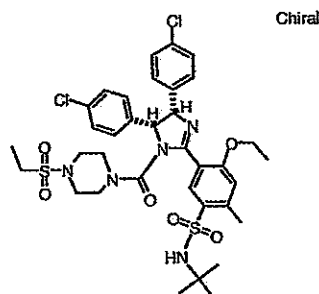
20

Example 319

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide

25

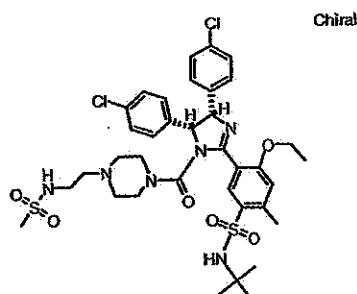
- 200 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 764.3 [(M+H)⁺].

Example 320

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide

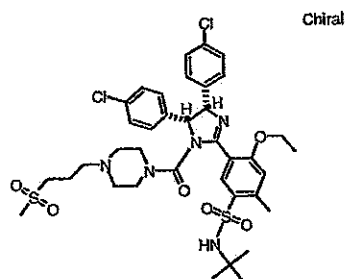


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and N-(2-methanesulfonyl-ethyl)-piperazine hydrochloride (example 24) following successively the procedures described for examples 25, 29 and 31. LC-MS: 793.4 [(M+H)⁺].

Example 321

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide

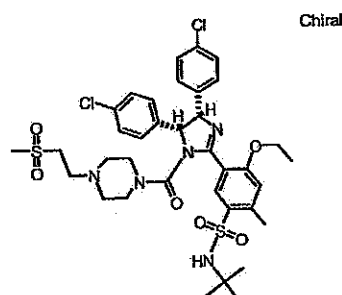
- 201 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively
5 the procedures described for examples 25, 29 and 31. LC-MS: 792.4 [(M+H)⁺].

Example 322

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methyl-
10 benzenesulfonamide

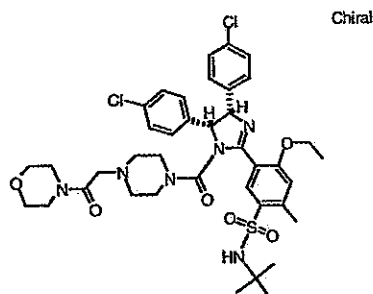


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following
15 successively the procedures described for examples 25, 29 and 31. LC-MS: 778.3 [(M+H)⁺].

Example 323

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-
20 methyl-benzenesulfonamide

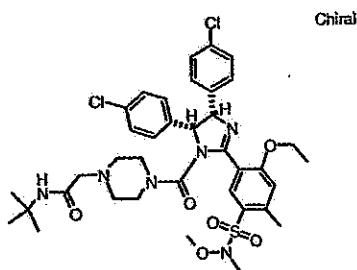
- 202 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-*tert*-butylsulfamoyl-2-ethoxy-4-methyl-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 799.3 [(M+H)⁺].

Example 324

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-*tert*-butyl-acetamide

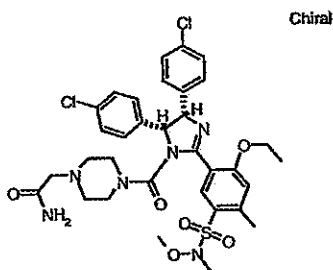


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and N-*tert*-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 773.3 [(M+H)⁺].

Example 325

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide

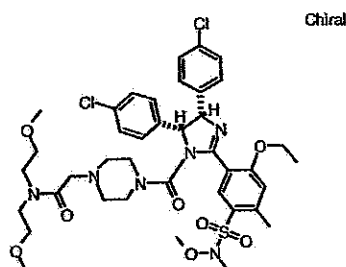
- 203 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 717.2 [(M+H)⁺].

Example 326

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide

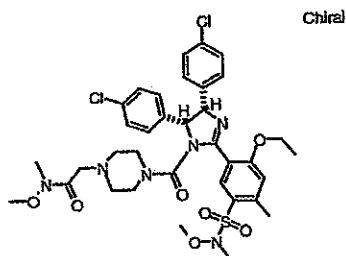


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 25, 29 and 31. LC-MS: 833.4 [(M+H)⁺].

Example 327

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methoxy-N-methyl-acetamide

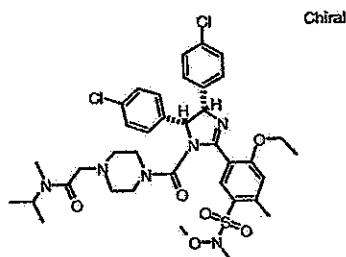
- 204 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively the procedures described for examples 25, 29 and 31. LC-MS: 761.3 [(M+H)⁺]

Example 328

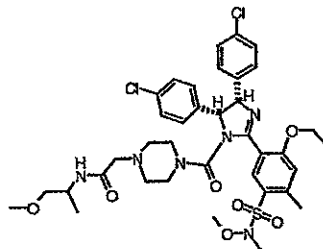
2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 773.3 [(M+H)⁺].

Example 329

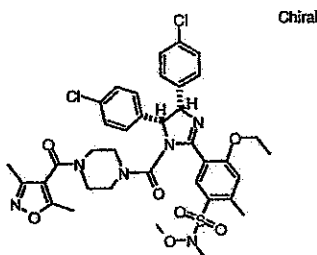
2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 25, 29 and 31. LC-MS: 789.3 [(M+H)⁺].

Example 330

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide

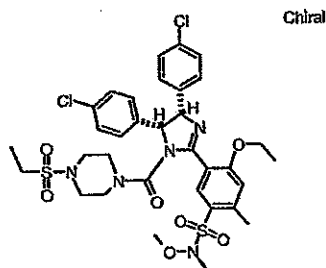


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 783.3 [(M+H)⁺].

Example 331

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide

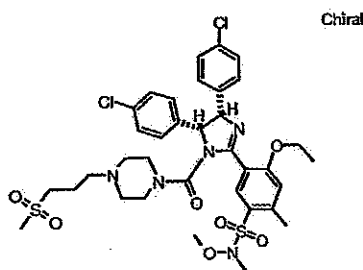
- 206 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 752.3 [(M+H)⁺].

Example 332

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide

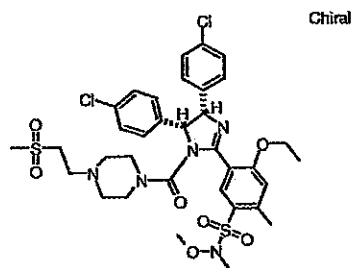


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 25, 29 and 31. LC-MS: 780.3 [(M+H)⁺].

Example 333

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide

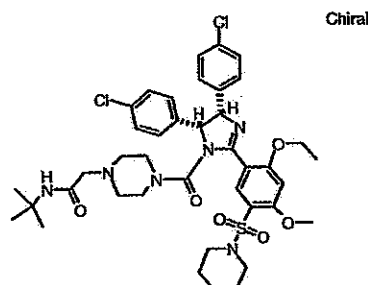
- 207 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 766.3 [(M+H)⁺].

Example 334

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-tert-butyl-acetamide

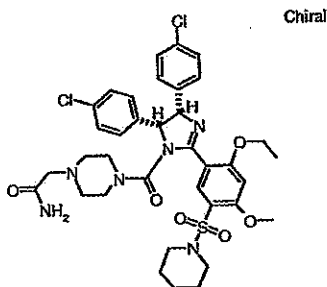


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 813.3 [(M+H)⁺].

Example 335

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide

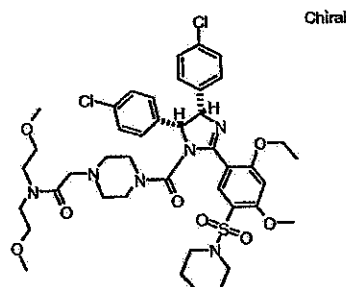
- 208 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 757.1 [(M+H)⁺].

Example 336

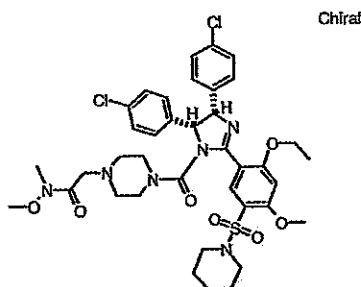
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 25, 29 and 31. LC-MS: 873.3 [(M+H)⁺].

Example 337

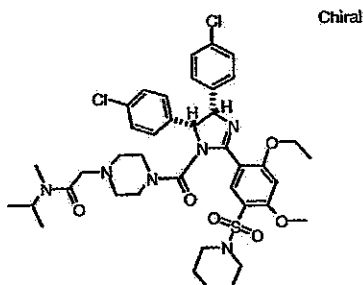
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively the procedures described for examples 25, 29 and 31. LC-MS: 801.2 [(M+H)⁺].

Example 338

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide

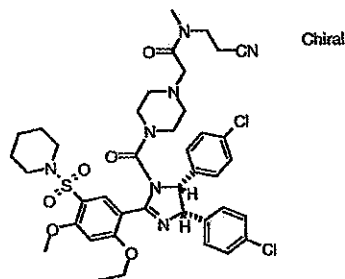


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 813.3 [(M+H)⁺].

Example 339

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide

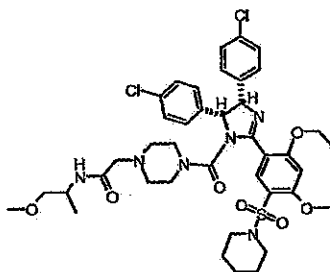
- 210 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide (example 22d) following successively the procedures described for examples 25, 29 and 31. LC-MS: 824.2 [(M+H)⁺].

Example 340

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide

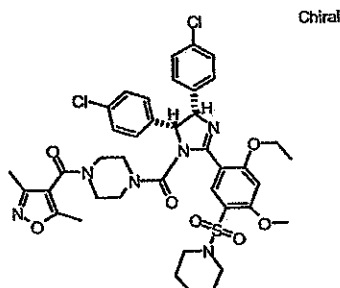


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 25, 29 and 31. LC-MS: 829.3 [(M+H)⁺].

Example 341

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone

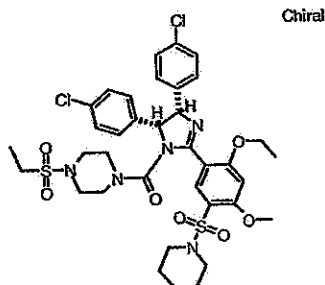
- 211 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 823.2 [(M+H)⁺].

Example 342

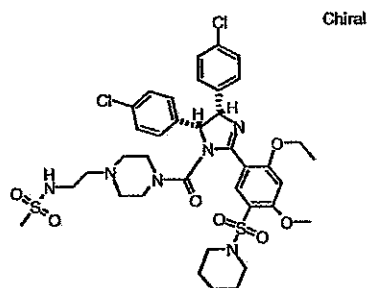
{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 792.2 [(M+H)⁺].

Example 343

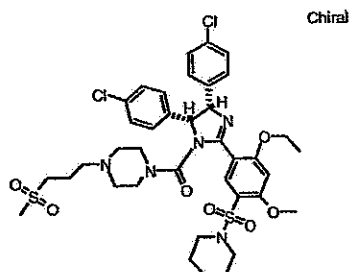
N-[2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-ethyl]-methanesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-methanesulfonyl-ethyl)-piperazine hydrochloride (example 24) following successively the procedures described for examples 25, 29 and 31. LC-MS: 821.2 [(M+H)⁺].

Example 344

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone

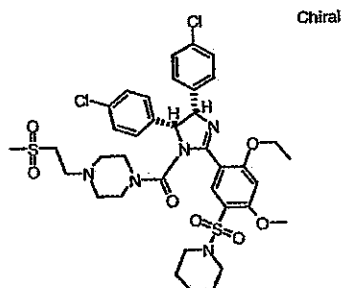


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 25, 29 and 31. LC-MS: 820.3 [(M+H)⁺].

Example 345

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone

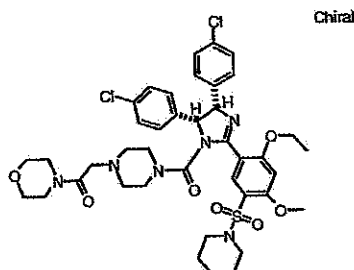
- 213 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl)ethylpiperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 806.2 [(M+H)⁺].

Example 346

2-(4-((4*S*,5*R*)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl)-ethanone

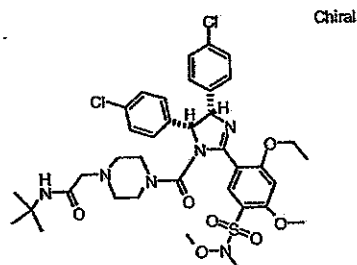


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 827.2 [(M+H)⁺].

Example 347

2-(4-((4*S*,5*R*)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-acetamide

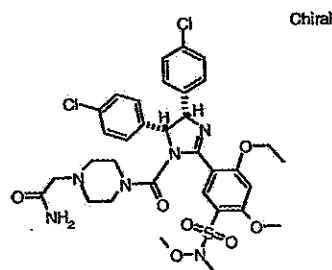
- 214 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 789.2 [(M+H)⁺].

Example 348

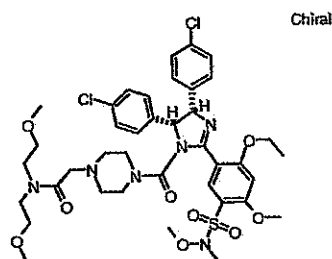
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 733.1 [(M+H)⁺].

Example 349

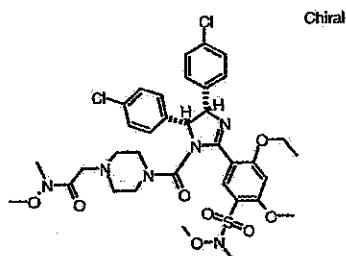
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 25, 29 and 31. LC-MS: 849.2 [(M+H)⁺].

Example 350

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methoxy-N-methyl-acetamide

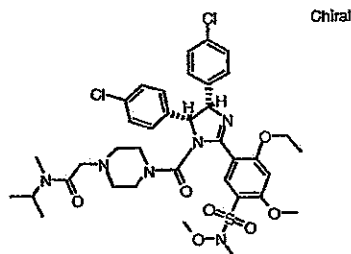


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively the procedures described for examples 25, 29 and 31. LC-MS: 777.1 [(M+H)⁺].

Example 351

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide

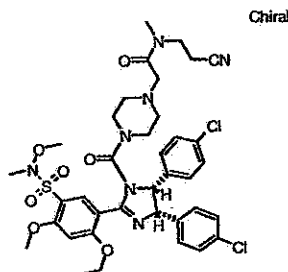
- 216 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 789.2 [(M+H)⁺].

Example 352

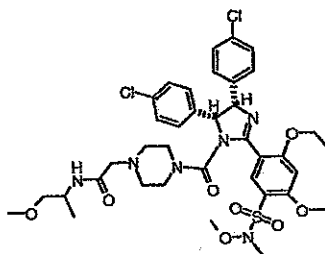
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-(2-cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide (example 22d) following successively the procedures described for examples 25, 29 and 31. LC-MS: 800.2 [(M+H)⁺].

Example 353

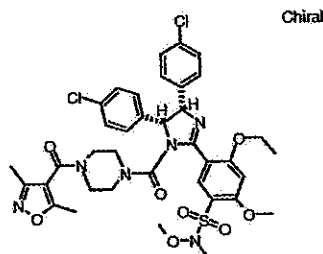
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 25, 29 and 31. LC-MS: 805.2 [(M+H)⁺].

Example 354

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide

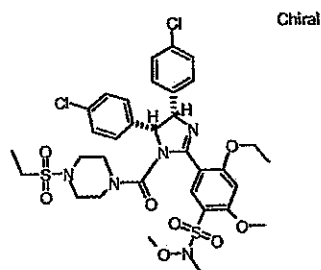


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 799.1 [(M+H)⁺].

Example 355

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide

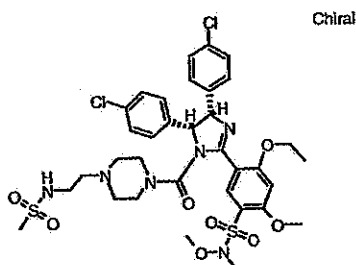
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The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 768.1 [(M+H)⁺]

Example 356

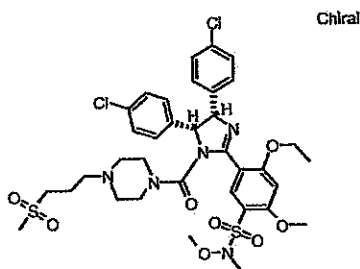
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-(2-methanesulfonyl-ethyl)-piperazine hydrochloride (example 24) following successively the procedures described for examples 25, 29 and 31. LC-MS: 797.2 [(M+H)⁺].

Example 357

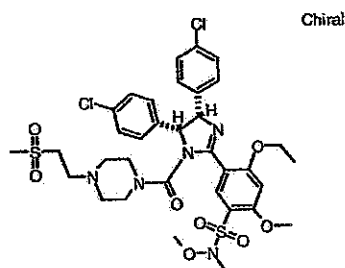
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 25, 29 and 31. LC-MS: 796.2 [(M+H)⁺].

Example 358

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide

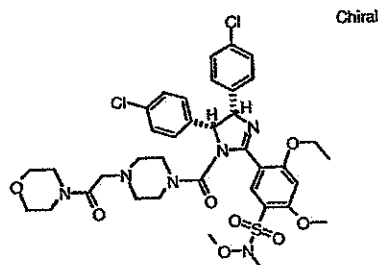


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 782.2 [(M+H)⁺].

Example 359

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide

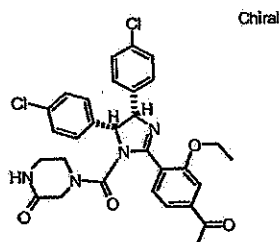
- 220 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 803.2 [(M+H)⁺].

Example 360

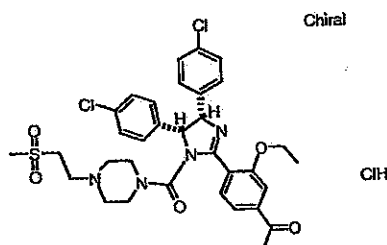
4-[(4S,5R)-2-(4-Acetyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one



The title compound was prepared from 1-[4-[4,5-bis-(4-chlorophenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxyphenyl]ethanone (example 27) and 2-piperazinone (Avocado Organics) following successively the procedures described for examples 29 and 31. LC-MS: 579.4 [(M+H)⁺]

Example 361

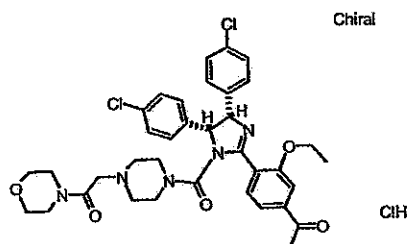
1-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-ethanone hydrochloride



The title compound was prepared from 1-{4-[4,5-bis-(4-chlorophenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxyphenyl}ethanone (example 27) and 1-(2-methanesulfonylethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 29 and 31. LC-MS: 671.4 [(M+H)⁺].

Example 362

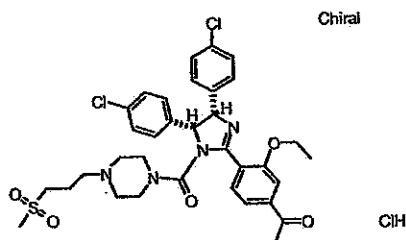
2-{4-[4,5-bis-(4-chlorophenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxyphenyl}-1-morpholin-4-yl-ethanone hydrochloride



The title compound was prepared from 1-{4-[4,5-bis-(4-chlorophenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxyphenyl}ethanone (example 27) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 29 and 31. LC-MS: 692.4 [(M+H)⁺].

Example 363

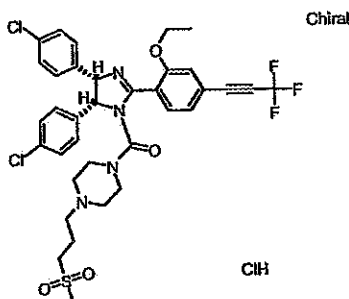
1-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-ethanone hydrochloride



The title compound was prepared from 1-{4-[4,5-bis-(4-chlorophenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxyphenyl}ethanone (example 27) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 29 and 31. LC-MS: 685.4 [(M+H)⁺].

Example 364

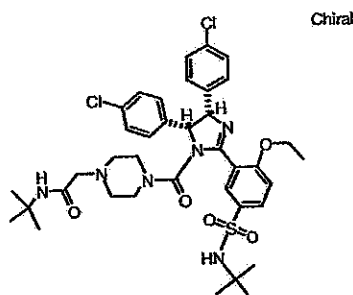
[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone hydrochloride



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 4-trifluoroprop-1-ynylbenzoate (example 16) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 25, 29 and 31. LC-MS: 735.4 [(M+H)⁺].

Example 365

N-tert-Butyl-2-{4-[(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide

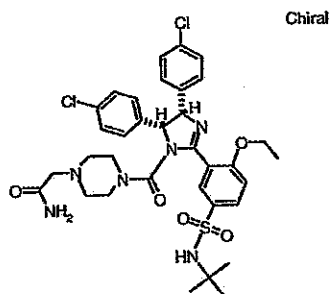


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 771.3 [(M+H)⁺].

Example 366

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide

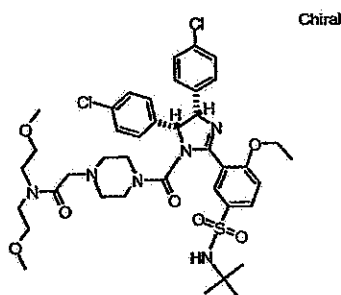
- 223 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 715.2 [(M+H)⁺].

Example 367

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-acetamide

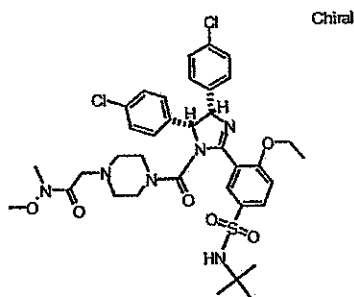


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 25, 29 and 31. LC-MS: 831.3 [(M+H)⁺].

Example 368

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide

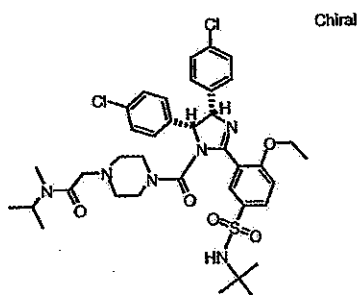
- 224 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively the procedures described for examples 25, 29 and 31. LC-MS: 759.2 [(M+H)⁺].

Example 369

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide

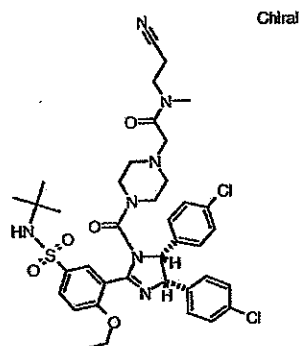


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 771.3 [(M+H)⁺].

Example 370

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-cyano-ethyl)-N-methyl-acetamide

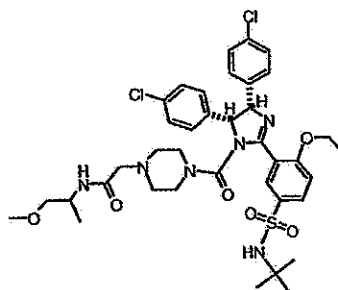
- 225 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and N-(2-cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide (example 22d) following successively the procedures described for examples 25, 29 and 31. LC-MS: 782.2 [(M+H)⁺].

Example 371

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide

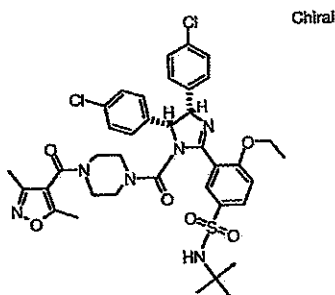


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 25, 29 and 31. LC-MS: 787.3 [(M+H)⁺].

Example 372

3-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-benzenesulfonamide

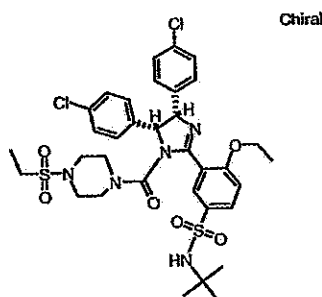
- 226 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 781.2 [(M+H)⁺].

Example 373

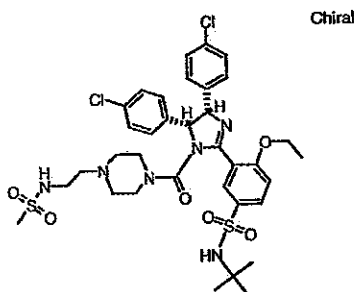
3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 750.2 [(M+H)⁺].

Example 374

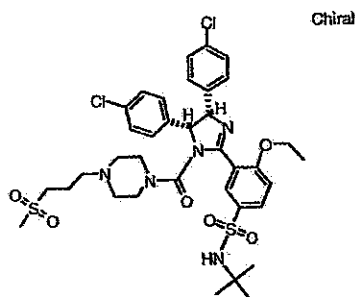
3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and N-(2-methanesulfonyl-ethyl)-piperazine hydrochloride (example 24) following successively the procedures described for examples 25, 29 and 31. LC-MS: 779.3 [(M+H)⁺].

Example 375

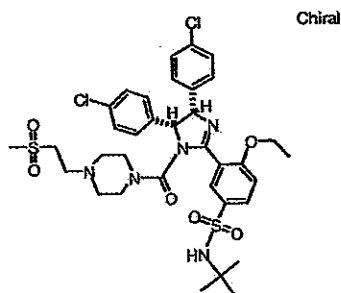
3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 25, 29 and 31. LC-MS: 778.3 [(M+H)⁺].

Example 376

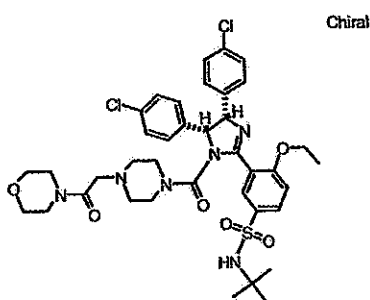
3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and 1-(2-methanesulfonylethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 764.2 [(M+H)⁺].

Example 377

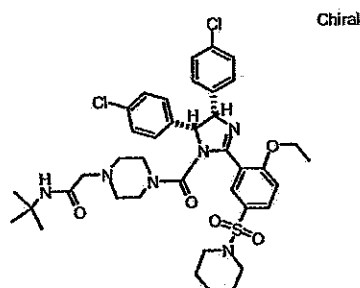
3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 785.2 [(M+H)⁺].

Example 378

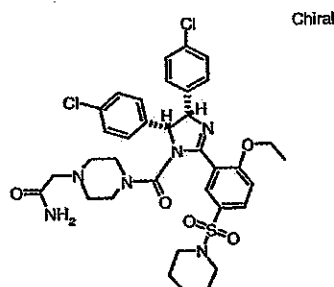
2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-tert-butyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 783.3 [(M+H)⁺].

Example 379

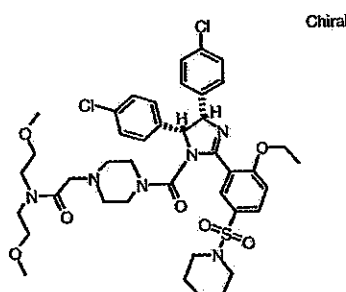
2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 727.2 [(M+H)⁺].

Example 380

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide

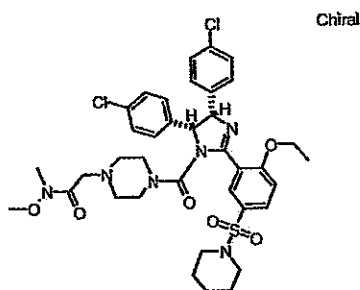


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 25, 29 and 31. LC-MS: 843.3 [(M+H)⁺].

Example 381

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-methoxy-N-methyl-acetamide

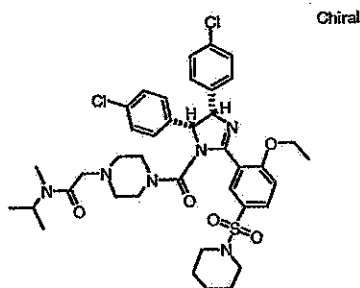
- 230 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively
 5 the procedures described for examples 25, 29 and 31. LC-MS: 771.2 [(M+H)⁺].

Example 382

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-isopropyl-N-methyl-
 10 acetamide

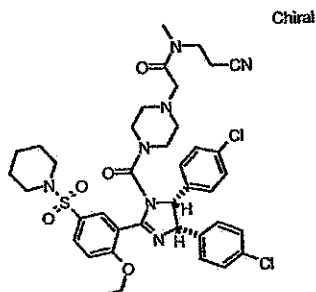


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following
 15 successively the procedures described for examples 25, 29 and 31. LC-MS: 783.3 [(M+H)⁺].

Example 383

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-cyano-ethyl)-N-
 20 methyl-acetamide

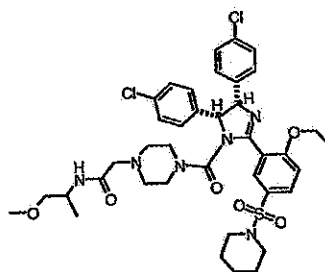
- 231 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide (example 22d) following successively the procedures described for examples 25, 29 and 31. LC-MS: 794.3 [(M+H)⁺].

Example 384

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide

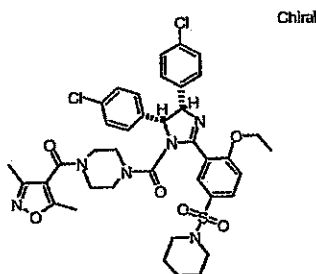


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 25, 29 and 31. LC-MS: 799.3 [(M+H)⁺].

Example 385

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone

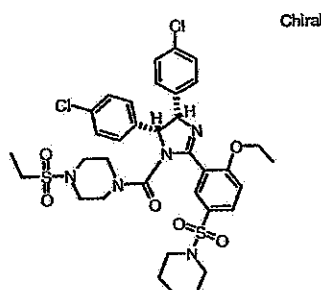
- 232 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 793.2 [(M+H)⁺].

Example 386

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone

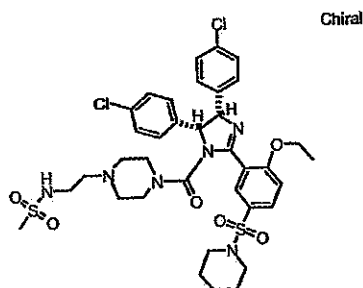


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 762.2 [(M+H)⁺].

Example 387

N-[2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-ethyl]-methanesulfonamide

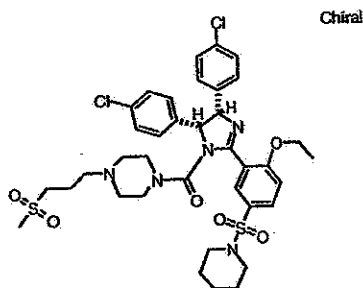
- 233 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and N-(2-methanesulfonyl-ethyl)-piperazine hydrochloride (example 24) following successively the procedures described for examples 25, 29 and 31. LC-MS: 791.3 [(M+H)⁺].

Example 388

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone

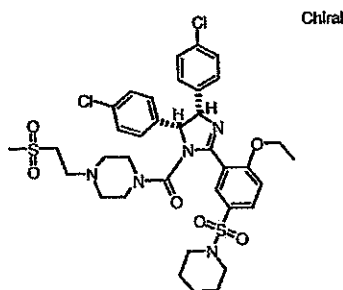


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 25, 29 and 31. LC-MS: 790.3 [(M+H)⁺].

Example 389

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone

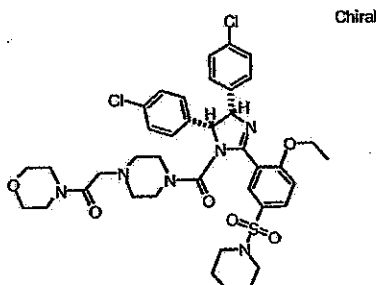
- 234 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following
 5 successively the procedures described for examples 25, 29 and 31. LC-MS: 776.2 [(M+H)⁺].

Example 390

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-
 10 phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-
ethanone

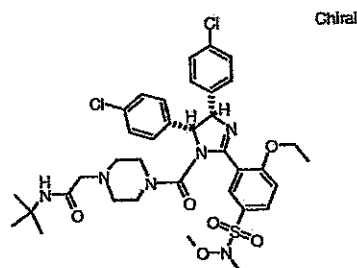


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(piperidine-1-sulfonyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following
 15 successively the procedures described for examples 25, 29 and 31. LC-MS: 797.2 [(M+H)⁺].

Example 391

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-
 20 sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-
acetamide

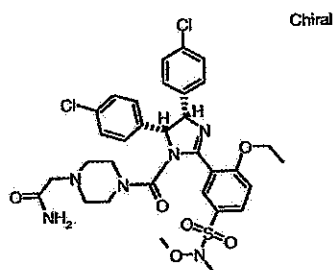
- 235 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 759.3 [(M+H)⁺].

Example 392

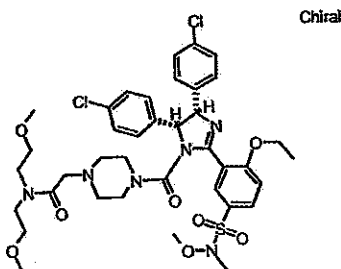
2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 703.2 [(M+H)⁺].

Example 393

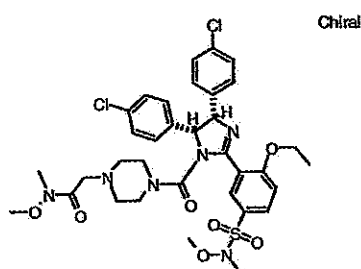
2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N,N-bis-(2-methoxy-ethyl)-2-piperazin-1-yl-acetamide (example 22a) following successively the procedures described for examples 25, 29 and 31. LC-MS: 819.4 [(M+H)⁺]

Example 394

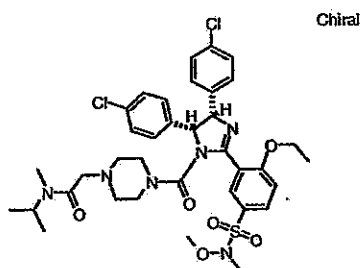
2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively the procedures described for examples 25, 29 and 31. LC-MS: 747.3 [(M+H)⁺].

Example 395

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide

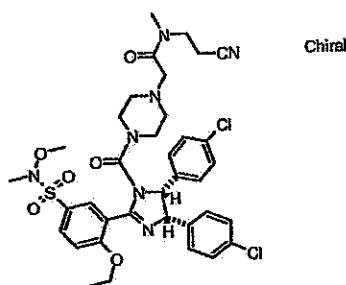


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following

successively the procedures described for examples 25, 29 and 31. LC-MS: 759.3 [(M+H)⁺].

Example 396

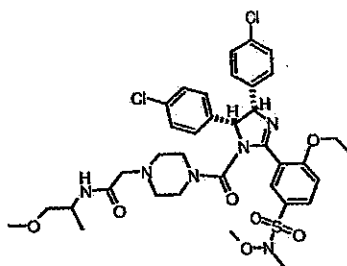
2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-(2-cyano-ethyl)-N-methyl-2-piperazin-1-yl-acetamide (example 22d) following successively the procedures described for examples 25, 29 and 31. LC-MS: 770.3 [(M+H)⁺].

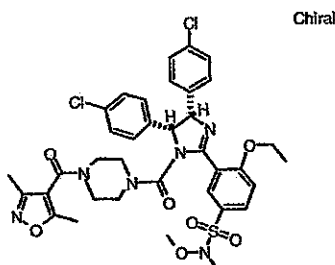
Example 397

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-(2-methoxy-1-methyl-ethyl)-2-piperazin-1-yl-acetamide (example 21) following successively the procedures described for examples 25, 29 and 31. LC-MS: 775.3 [(M+H)⁺].

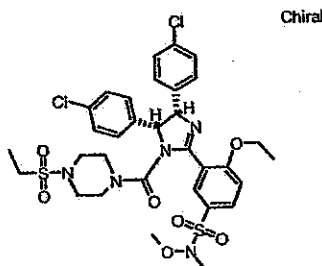
3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and (3,5-dimethyl-isoxazol-4-yl)-piperazin-1-yl-methanone (example 19) following successively the procedures described for examples 25, 29 and 31. LC-MS: 769.3 [(M+H)⁺].

Example 399

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide

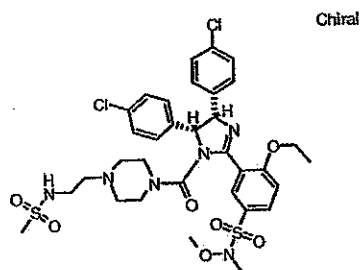


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 1-ethanesulfonyl-piperazine (example 20) following successively the procedures described for examples 25, 29 and 31. LC-MS: 738.3 [(M+H)⁺].

Example 400

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide

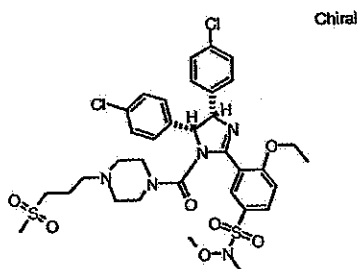
- 239 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and N-(2-methanesulfonyl-ethyl)-piperazine hydrochloride (example 24) following successively the procedures described for examples 25, 29 and 31. LC-MS: 767.3 [(M+H)⁺].

Example 401

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide

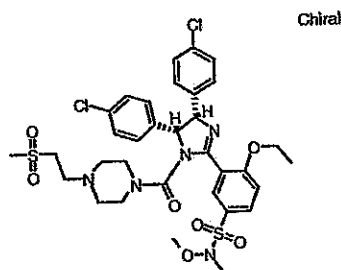


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 25, 29 and 31. LC-MS: 766.3 [(M+H)⁺].

Example 402

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide

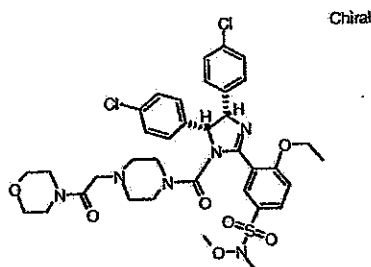
- 240 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 752.3 [(M+H)⁺].

Example 403

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide

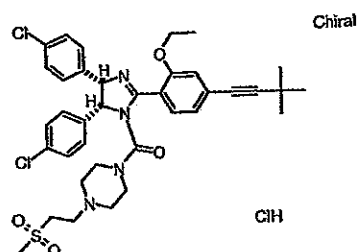


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 2-ethoxy-5-(methoxy-methyl-sulfamoyl)-benzoate (example 2) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 25, 29 and 31. LC-MS: 773.3 [(M+H)⁺].

Example 404

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[4-(3,3-dimethyl-but-1-ynyl)-2-ethoxy-phenyl]-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride

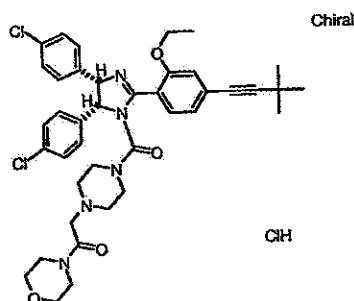
- 241 -



The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-[4-(3,3-dimethylbut-1-ynyl)-2-ethoxyphenyl]-4,5-dihydro-1H-imidazole (example 28) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 29 and 31. LC-MS: 709.4 [(M+H)⁺].

Example 405

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[4-(3,3-dimethyl-but-1-ynyl)-2-ethoxy-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride

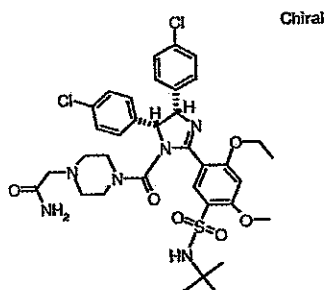


The title compound was prepared from 4,5-bis-(4-chlorophenyl)-2-[4-(3,3-dimethylbut-1-ynyl)-2-ethoxyphenyl]-4,5-dihydro-1H-imidazole (example 28) and 1-morpholin-4-yl-2-piperazin-1-yl-ethanone (Oakwood Products) following successively the procedures described for examples 29 and 31. LC-MS: 730.5 [(M+H)⁺].

Example 406

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide

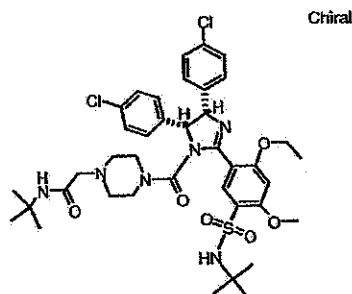
- 242 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and 2-piperazin-1-yl-acetamide (Matrix) following successively the procedures described for examples 25, 29 and 31. LC-MS: 745.1 [(M+H)⁺].

Example 407

N-tert-Butyl-2-{4-[(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide

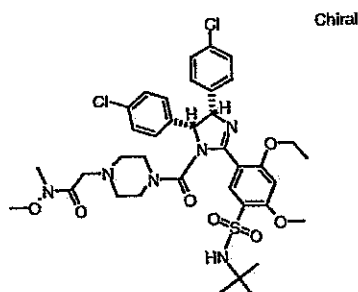


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and N-tert-butyl-2-piperazin-1-yl-acetamide (example 22g) following successively the procedures described for examples 25, 29 and 31. LC-MS: 801.5 [(M+H)⁺].

Example 408

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide

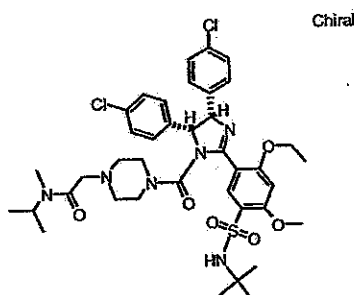
- 243 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and N-methoxy-N-methyl-2-piperazin-1-yl-acetamide (example 22b) following successively the procedures described for examples 25, 29 and 31. LC-MS: 789.4 [(M+H)⁺].

Example 409

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide

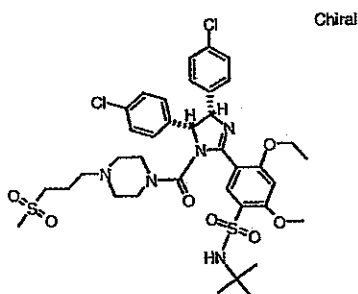


The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and N-isopropyl-N-methyl-2-piperazin-1-yl-acetamide (example 22c) following successively the procedures described for examples 25, 29 and 31. LC-MS: 801.5 [(M+H)⁺].

Example 410

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methoxy-benzenesulfonamide

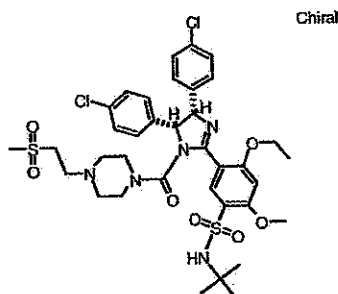
- 244 -



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and 1-(3-methanesulfonyl-propyl)-piperazine (example 22e) following successively the procedures described for examples 25, 29 and 31. LC-MS: 808.5 [(M+H)⁺].

Example 411

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methoxy-benzenesulfonamide



The title compound was prepared from *meso*-1,2-bis-(4-chlorophenyl)ethane-1,2-diamine, ethyl 5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-benzoate (example 2) and 1-(2-methanesulfonyl-ethyl)piperazine bishydrochloride (example 23) following successively the procedures described for examples 25, 29 and 31. LC-MS: 794.5 [(M+H)⁺].

Example 412

In Vitro Activity Assay

The ability of the compounds to inhibit the interaction between p53 and MDM2 proteins was measured by an HTRF (homogeneous time-resolved fluorescence) assay in which recombinant GST-tagged MDM2 binds to a peptide that resembles the MDM2-interacting region of p53 (Lane et al.). Binding of GST-MDM2 protein and p53-peptide (biotinylated on its N-terminal end) is registered by the

FRET (fluorescence resonance energy transfer) between Europium (Eu)-labeled anti-GST antibody and streptavidin-conjugated Allophycocyanin (APC).

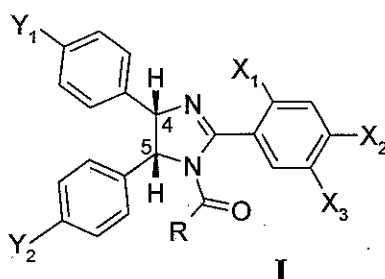
Test is performed in black flat-bottom 384-well plates (Costar) in a total volume of 40 μ L containing: 90 nM biotinylate peptide, 160 ng/ml GST-MDM2, 20 nM streptavidin-APC (PerkinElmerWallac), 2 nM Eu-labeled anti-GST-antibody (PerkinElmerWallac), 0.2% bovine serum albumin (BSA), 1 mM dithiothreitol (DTT) and 20 mM Tris-borate saline (TBS) buffer as follows: Add 10 μ L of GST-MDM2 (640 ng/ml working solution) in reaction buffer to each well. Add 10 μ L diluted compounds (1:5 dilution in reaction buffer) to each well, mix by shaking. Add 20 μ L biotinylated p53 peptide (180 nM working solution) in reaction buffer to each well and mix on shaker. Incubate at 37 °C for 1 h. Add 20 μ L streptavidin-APC and Eu-anti-GST antibody mixture (6 nM Eu-anti-GST and 60 nM streptavidin-APC working solution) in TBS buffer with 0.2% BSA, shake at room temperature for 30 minutes and read using a TRF-capable plate reader at 665 and 615 nm (Victor 5, Perkin ElmerWallac). If not specified, the reagents were purchased from Sigma Chemical Co.

IC₅₀s showing biological activity that applies to compounds of the subject matter of this invention ranges from about 0.005 μ M to about 1 μ M. Specific data for some examples are as follows:

Example	IC ₅₀ (μ M)
34	0.009
63	0.009
105	0.057
130	0.057
174	0.005
175	0.005
234	0.150
275	0.310
277	0.160
405	0.544

Claims

1. A compound of formula I



and the pharmaceutically acceptable salts and esters thereof, wherein

X₁ is selected from the group consisting of:

lower alkoxy,

lower alkoxy substituted by trifluoromethyl or fluorine; and

in alternative A:

X₂ is independently selected from the group consisting of:

hydrogen,

halogen,

cyano,

lower alkyl,

lower alkoxy,

piperidiny,

-NX₄X₅,

-SO₂NX₄X₅,

-C(O)NX₄X₅,

-C(O)X₆,

-SOX₆, -SO₂X₆,

-NC(O)-lower alkoxy

-C≡C-X₇,

provided that X_3 is independently selected from the group consisting of:

cyano,
piperidinyl,
 $-\text{SO}_2\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{X}_6$,
 $-\text{SOX}_6$, $-\text{SO}_2\text{X}_6$,
 $-\text{NC}(\text{O})$ -lower alkoxy
 $-\text{C}\equiv\text{C}-\text{X}_7$, **or**

in alternative B:

X_2 is independently selected from the group consisting of:

cyano,
piperidinyl,
 $-\text{SO}_2\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{X}_6$,
 $-\text{SOX}_6$, $-\text{SO}_2\text{X}_6$,
 $-\text{NC}(\text{O})$ -lower alkoxy
 $-\text{C}\equiv\text{C}-\text{X}_7$,

provided that X_3 is independently selected from the group consisting of:

hydrogen,
halogen,
cyano,
lower alkyl,
lower alkoxy,
piperidinyl,
 $-\text{NX}_4\text{X}_5$,
 $-\text{SO}_2\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{NX}_4\text{X}_5$,
 $-\text{C}(\text{O})\text{X}_6$,
 $-\text{SOX}_6$, $-\text{SO}_2\text{X}_6$,
 $-\text{NC}(\text{O})$ -lower alkoxy
 $-\text{C}\equiv\text{C}-\text{X}_7$,

X₂ and X₃ can be taken together to form a ring selected from 5 to 7 membered unsaturated rings, and 5 to 7 membered unsaturated rings that contain at least one hetero atom selected from S, N, and O;

X₄ and X₅ are independently selected from the group consisting of:

- 5 hydrogen,
- lower alkyl,
- cycloalkyl,
- lower alkoxy,
- lower alkyl substituted with lower alkoxy,
- 10 -SO₂-lower alkyl,
- C(O)-piperazinyl-3-one;

X₆ is selected from the group consisting of:

- lower alkyl,
- morpholine,
- 15 piperidine,
- pyrrolidine;

X₇ is selected from the group consisting of:

- hydrogen,
- lower alkyl,
- 20 trifluoromethyl;

Y₁ and Y₂ are independently selected from the group consisting of:

- halogen,
- acetylene;

R is selected from the group consisting of:

- 25 lower alkoxy,
- piperidinyl substituted by five or six membered heterocycle,
- piperidinyl substituted by hydroxy, -CH₂OH, or -C(O)NH₂,
- piperazinyl substituted by R₁,
- [1,4]diazepanyl substituted by R₁,

30 R₁ can be one or two substituents selected from the group consisting of:

- hydrogen,
- oxo,
- lower alkyl substituted by R₂,
- C(O)R₃,
- 35 -SO₂-lower alkyl,

-SO₂-five membered heterocyclyl;

R₂ is selected from the group consisting of:

-SO₂-lower alkyl,
hydroxy,
5 lower alkoxy,
trifluoromethyl,
-NH-SO₂-lower alkyl,
-NH-C(O)-lower alkyl,
-C(O)-lower alkyl,
10 -cyano,
-C(O)R₄;

R₃ is selected from the group consisting of:

heterocyclyl,
lower alkyl,
15 lower alkenyl,
lower alkyl substituted by lower alkoxy or a five or six membered
heterocyclyl,
lower alkoxy,
cycloalkyl;

20 R₄ is selected from the group consisting of:

hydroxy,
lower alkoxy,
morpholine,
piperidine,
25 pyrrolidine,
aziridine,
4-acetyl-piperazinyl,
-NR₅R₆;

R₅ and R₆ are independently selected from the group consisting of:

30 hydrogen,
lower alkyl,
lower alkyl substituted by lower alkoxy or cyano,
lower alkoxy, and
cycloalkyl.

2. A compound of formula I, according to claim 1, and the pharmaceutically acceptable salts and esters thereof, wherein

X₁ is selected from the group consisting of:

lower alkoxy,

lower alkoxy substituted by trifluoromethyl or fluorine; and

in alternative A:

X₂ is independently selected from the group consisting of:

hydrogen,

halogen,

cyano,

lower alkyl,

lower alkoxy,

-NX₄X₅,

-SO₂NX₄X₅,

-C(O)NX₄X₅,

-C(O)X₆,

-SOX₆, -SO₂X₆,

-NC(O)-lower alkoxy

-C≡C-X₇,

provided that X₃ is independently selected from the group consisting of:

cyano,

-SO₂NX₄X₅,

-C(O)NX₄X₅,

-C(O)X₆,

-SOX₆, -SO₂X₆,

-NC(O)-lower alkoxy

-C≡C-X₇, **or**

in alternative B:

X₂ is independently selected from the group consisting of:

cyano,

-SO₂NX₄X₅,

-C(O)NX₄X₅,

-C(O)X₆,

-SOX₆, -SO₂X₆,

-NC(O)-lower alkoxy

-C≡C-X₇,

provided that X_3 is independently selected from the group consisting of:

- hydrogen,
- halogen,
- cyano,
- lower alkyl,
- lower alkoxy,
- $-NX_4X_5$,
- $-SO_2NX_4X_5$,
- $-C(O)NX_4X_5$,
- $-C(O)X_6$,
- $-SOX_6$, $-SO_2X_6$,
- $-NC(O)$ -lower alkoxy
- $-C\equiv C-X_7$,

X_2 and X_3 can be taken together to form a ring selected from 5 to 7 membered unsaturated rings, and 5 to 7 membered unsaturated rings that contain at least one hetero atom selected from S, N, and O;

X_4 and X_5 are independently selected from the group consisting of:

- hydrogen,
- lower alkyl,
- cycloalkyl,
- lower alkoxy,
- lower alkyl substituted with lower alkoxy;

X_6 is selected from the group consisting of:

- lower alkyl,
- morpholine,
- piperidine,
- pyrrolidine;

X₇ is selected from the group consisting of:

hydrogen,
lower alkyl,
trifluoromethyl;

5 Y₁ and Y₂ are independently selected from the group consisting of:

halogen,
acetylene;

R is selected from the group consisting of:

10 lower alkoxy,
piperidiny1 substituted by five or six membered heterocycle,
piperidiny1 substituted by hydroxy, -CH₂OH, or -C(O)NH₂,
piperaziny1 substituted by R₁,
[1,4]diazepany1 substituted by R₁,

R₁ can be one or two substituents selected from the group consisting of:

15 hydrogen,
oxo,
lower alkyl substituted by R₂,
-C(O)R₃,
-SO₂-lower alkyl;

20 R₂ is selected from the group consisting of:

-SO₂-lower alkyl,
hydroxy,
lower alkoxy,
trifluoromethyl,
25 -NH-SO₂-lower alkyl,
-NH-C(O)-lower alkyl,
-C(O)-lower alkyl,
-cyano,
-C(O)R₄;

30 R₃ is selected from the group consisting of:

five membered heterocycle,
lower alkyl,
lower alkyl substituted by lower alkoxy,
lower alkoxy;

35 R₄ is selected from the group consisting of:

hydroxy,
 lower alkoxy,
 morpholine,
 piperidine,
 pyrrolidine,
 aziridine,
 -NR₅R₆;

R₅ and R₆ are independently selected from the group consisting of:

hydrogen,
 lower alkyl,
 lower alkyl substituted by lower alkoxy or cyano, and
 lower alkoxy.

3. The compound of claim 1 or 2 wherein the two hydrogen of the imidazoline ring are in the *cis* configuration to each other.

4. The compound of claim 1 or 2 wherein Y₁ and Y₂ are selected from -Cl or -Br.

5. The compound of claim 4 wherein X₁ is ethoxy, isopropoxy, -OCH₂CF₃ or -OCH₂CH₂F.

6. The compound of claim 5 wherein X₂ is halogen, cyano, -SO₂NX₄X₅, -C(O)NX₄X₅, -C(O)X₆, -SO₂X₆, or -C≡C-X₇.

7. The compound of claim 6 wherein X₃ is -SO₂NX₄X₅, -C(O)NX₄X₅, or -SO₂X₆.

8. The compound of claim 7 wherein R is piperazinyl substituted by oxo or lower alkyl substituted by R₂ wherein R₂ is -SO₂-lower alkyl or -C(O)R₄.

9. The compound of claim 1 selected from the group consisting of:
 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide;

4-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-benzonitrile;

4-[4,5-Bis-(4-chloro-phenyl)-1-(4-pyrrolidin-1-yl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide and

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-pyrrolidin-1-yl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide.

10. The compound of claim 1 selected from the group consisting of:

5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-3-oxo-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide;

5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide;

5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;

5 5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-benzonitrile;

10 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N,N-dimethyl-benzenesulfonamide and

4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one.

11. The compound of claim 1 selected from the group consisting of:

4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;

20 2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;

[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

25 [4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfinyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone;

[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone;

30 1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-4-carboxylic acid amide;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-benzonitrile and

35 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile.

12. The compound of claim 1 selected from the group consisting of:

- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methyl-but-2-enoyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 5 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-cyano-ethyl)-piperazine-1-carbonyl]-
- 10 4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 15 1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-3-carboxylic acid amide;
- 4-[(4S,5R)-1-{4-[2-(4-Acetyl-piperazin-1-yl)-2-oxo-ethyl]-piperazine-1-carbonyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-
- 20 imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide and
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-hydroxymethyl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile.

13. The compound of claim 1 selected from the group consisting of:

- 25 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-hydroxy-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-
- 30 imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-oxo-2-piperidin-1-yl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;
- 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

1-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-4-carboxylic acid amide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-

benzenesulfonamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methyl-but-2-enoyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide and

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide.

14. The compound of claim 1 selected from the group consisting of:

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-cyano-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methyl-acetamide;

1-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperidine-3-carboxylic acid amide;

3-[1-{4-[2-(4-Acetyl-piperazin-1-yl)-2-oxo-ethyl]-piperazine-1-carbonyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(4-hydroxymethyl-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

3-[4,5-Bis-(4-chloro-phenyl)-1-(3-hydroxy-piperidine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide and

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide.

15. The compound of claim 1 selected from the group consisting of:

- 5 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
- 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-oxo-2-piperidin-1-yl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-dimethyl-
- 10 benzenesulfonamide;
- 3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzenesulfonamide;
- 3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;
- 15 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;
- 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide;
- 3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-
- 20 dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;
- 3-(4,5-Bis-(4-chloro-phenyl)-1-[4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-isobutyl-N-methyl-
- benzenesulfonamide;
- 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-
- 25 4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide and
- 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide.

30 16. The compound of claim 1 selected from the group consisting of:

- 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(isobutyl-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methyl-acetamide;
- 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-
- 35 benzenesulfonamide;

- 3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;
 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methoxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-isobutyl-N-methyl-benzenesulfonamide;
 5 3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;
 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;
 10 2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;
 3-(4,5-Bis-(4-chloro-phenyl)-1-{4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl}-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;
 15 2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide and
 2-{4-[2-{5-[Bis-(2-methoxy-ethyl)-sulfamoyl]-2-ethoxy-phenyl}-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methyl-acetamide.

17. The compound of claim 1 selected from the group consisting of:

- 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;
 25 3-[4,5-Bis-(4-chloro-phenyl)-1-(4-cyclopropanecarbonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-bis-(2-methoxy-ethyl)-benzenesulfonamide;
 4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one;
 30 {4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;
 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide;

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;
 4-[2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-2-oxo-ethyl]-piperazin-2-one;
 5 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;
 {4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone;
 10 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-methyl-acetamide and
 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone.

15 18. The compound of claim 1 selected from the group consisting of:
 {4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-cyclopropanecarbonyl-piperazin-1-yl)-methanone;
 3-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide;
 20 3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide;
 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide;
 25 3-[4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide;
 3-(4,5-Bis-(4-chloro-phenyl)-1-[4-[2-(3-oxo-piperazin-1-yl)-acetyl]-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide;
 30 2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethylsulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

3-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-N-(2-methoxy-1-methyl-ethyl)-benzenesulfonamide;

2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(2-methoxy-1-methyl-ethyl)sulfamoyl]-phenyl}-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methyl-acetamide and

4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one.

10 19. The compound of claim 1 selected from the group consisting of:

{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;
2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N,N-dimethyl-acetamide;

15 4-[2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-2-oxo-ethyl]-piperazin-2-one;
2-(4-{4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

20 {4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-hydroxy-ethyl)-piperazin-1-yl]-methanone;
5-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide;

25 5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-hydroxy-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide;
2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-fluoro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide;
5-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-
30 benzenesulfonamide and
4-[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1λ6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one.

20. The compound of claim 1 selected from the group consisting of:

[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ 6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;
 2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(7-ethoxy-2-methyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ 6-benzo[b][1,4,5]oxathiazepin-8-yl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone;
 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-fluoro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride;
 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide hydrochloride;
 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide hydrochloride;
 4-[4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;
 4-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;
 4-{4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;
 2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride and
 4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one.

21. The compound of claim 1 selected from the group consisting of:

[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-{4-[4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-5-methanesulfonyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

5 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride;

10 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-cyano-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

15 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-methoxy-benzonitrile hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-benzonitrile hydrochloride;

20 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-4-ethoxy-2-methoxy-benzonitrile hydrochloride and

25 2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride.

22. The compound of claim 1 selected from the group consisting of:

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-methoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N,N-dimethyl-benzamide hydrochloride;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(pyrrolidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one hydrochloride and

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(morpholine-4-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride.

23. The compound of claim 1 selected from the group consisting of:

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-fluoro-N,N-dimethyl-benzenesulfonamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-[4-{(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl]-1-morpholin-4-yl-ethanone hydrochloride;

[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride;

2-{4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(morpholine-4-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride and

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride.

24. The compound of claim 1 selected from the group consisting of:

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one hydrochloride;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one hydrochloride;

2-{4-[(4S,5R)-2-[4-Chloro-2-ethoxy-5-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride and

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride.

25. The compound of claim 1 selected from the group consisting of:

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-dimethyl-acetamide hydrochloride;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-tert-butyl-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-cyanomethyl-N-methyl-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-cyclopropyl-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-ethyl)-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide and

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(4-cyano-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-cyano-ethyl)-N-methyl-acetamide.

26. The compound of claim selected from the group consisting of:

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;

1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-[1,4]diazepan-5-one;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-fluoro-5-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(morpholine-4-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride and

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride.

27. The compound of claim 1 selected from the group consisting of:

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(pyrrolidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(5-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile;

5 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile hydrochloride;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2,5-diethoxy-benzonitrile hydrochloride;

10 4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one hydrochloride;

1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-[1,4]diazepan-5-one hydrochloride;

15 [(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride and

20 2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-4-piperidin-1-yl-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-1-morpholin-4-yl-ethanone hydrochloride.

28. The compound of claim 1 selected from the group consisting of:

25 4,5-Bis-(4-chloro-phenyl)-2-(4-dimethylamino-5-dimethylsulfamoyl-2-ethoxy-phenyl)-4,5-dihydro-imidazole-1-carboxylic acid ethyl ester hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

30 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(5-oxo-[1,4]diazepane-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-N,N-dimethyl-benzenesulfonamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide
and
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide.

29. The compound of claim 1 selected from the group consisting of:

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethyl-benzenesulfonamide;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzonitrile;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-benzonitrile;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-2-dimethylamino-4-ethoxy-benzonitrile;
5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-isopropyl-2-methyl-benzenesulfonamide;
4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;

1-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-[1,4]diazepan-5-one;

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone

hydrochloride and

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride.

30. The compound of claim 1 selected from the group consisting of:

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-2-chloro-4-ethoxy-benzenesulfonamide hydrochloride;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-

benzenesulfonamide;

N-tert-Butyl-2-{4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-benzenesulfonamide;

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-sulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-

acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-benzenesulfonamide;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-3-ethoxy-benzamide and

N-tert-Butyl-4-[(4S,5R)-1-(4-carbamoylmethyl-piperazine-1-carbonyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-benzamide.

31. The compound of claim 1 selected from the group consisting of:

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-1-morpholin-4-yl-ethanone;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-acetamide;

4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-2-one;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-(piperidine-1-carbonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide and

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N-isopropyl-

benzenesulfonamide.

32. The compound of claim 1 selected from the group consisting of:

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide;

N-tert-Butyl-2-{4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;
 5 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide;
 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide;
 2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;
 10 2-{4-[(4S,5R)-2-(4-Chloro-2-ethoxy-5-methylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;
 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-methyl-benzenesulfonamide and
 15 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide.

33. The compound of claim 1 selected from the group consisting of:

20 5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide;
 N-tert-Butyl-2-{4-[(4S,5R)-2-(4-chloro-2-ethoxy-5-isopropylsulfamoyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;
 25 5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-2-chloro-4-ethoxy-N-isopropyl-benzenesulfonamide;
 N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-N-(3-oxo-piperazine-1-carbonyl)-methanesulfonamide;
 30 N-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-methanesulfonamide hydrochloride;
 N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-2,2-dimethyl-propionamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-

benzenesulfonamide hydrochloride;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2-ethynyl-N,N-dimethyl-benzenesulfonamide hydrochloride and

2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(5-dimethylsulfamoyl-2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-isopropyl-N-methyl-acetamide hydrochloride.

34. The compound of claim 1 selected from the group consisting of:

N-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride;

N-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-dimethylcarbamoylmethyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl]-2,2-dimethyl-propionamide hydrochloride;

N-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride;

2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-tert-butyl-acetamide hydrochloride;

2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-N-methoxy-N-methyl-acetamide hydrochloride;

N-(2-[4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl]-ethyl)-methanesulfonamide hydrochloride;

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-(4-ethanesulfonyl-piperazin-1-yl)-methanone;

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methoxy-ethyl)-piperazin-1-yl]-methanone hydrochloride;

1-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-ethanone and
 3-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-propionitrile hydrochloride.

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35. The compound of claim 1 selected from the group consisting of:

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone hydrochloride;

10

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide hydrochloride;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide hydrochloride;

15

3-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-ethynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-propionic acid hydrochloride;

4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one;

20

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

25

2-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide hydrochloride;

2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-N-tert-butyl-acetamide and

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2-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl)-acetamide.

36. The compound of claim 1 selected from the group consisting of:

- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;
- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;
- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;
- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;
- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;
- {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone;
- {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;
- N-[2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-ethyl]-methanesulfonamide;
- {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methyl-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone and
- N-tert-Butyl-2-{4-[(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide.

37. The compound of claim 1 selected from the group consisting of:

- 2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methyl-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide and

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide.

38. The compound of claim 1 selected from the group consisting of:

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

5-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-N-tert-butyl-4-ethoxy-2-methyl-benzenesulfonamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-4-methyl-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide and

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide.

39. The compound of claim 1 selected from the group consisting of:

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-2,N-dimethyl-benzenesulfonamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide;
 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;
 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;
 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;
 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;
 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide and
 {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone.

40. The compound of claim 1 selected from the group consisting of:

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;
 N-[2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-ethyl]-methanesulfonamide;
 {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone;
 {(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-1-morpholin-4-yl-ethanone;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide and

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide.

41. The compound of claim 1 selected from the group consisting of:

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-4-methoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-2,N-dimethoxy-N-methyl-benzenesulfonamide;

4-[(4S,5R)-2-(4-Acetyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one and

1-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl)-ethanone hydrochloride.

42. The compound of claim 1 selected from the group consisting of:

2-{4-[(4S,5R)-2-(4-Acetyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-1-morpholin-4-yl-ethanone hydrochloride;

1-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl)-ethanone hydrochloride;

[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-(2-ethoxy-4-trifluoroprop-1-ynyl-phenyl)-4,5-dihydro-imidazol-1-yl]-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-methanone hydrochloride;

N-tert-Butyl-2-{4-[(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-methoxy-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-isopropyl-N-methyl-acetamide;

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-cyano-ethyl)-N-methyl-acetamide and

2-{4-[(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl}-N-(2-methoxy-1-methyl-ethyl)-acetamide.

43. The compound of claim 1 selected from the group consisting of:

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-

benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-benzenesulfonamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N-tert-butyl-acetamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-acetamide;

2-(4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl]-piperazin-1-yl)-N,N-bis-(2-methoxy-

ethyl)-acetamide and

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide.

- 5 44. The compound of claim 1 selected from the group consisting of:
- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;
- 10 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;
- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;
- 15 {((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl)}-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazin-1-yl]-methanone;
- {((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl)}-(4-ethanesulfonyl-piperazin-1-yl)-methanone;
- 20 N-[2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-ethyl]-methanesulfonamide;
- {((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl)}-[4-(3-methanesulfonyl-propyl)-piperazin-1-yl]-
- 25 methanone;
- {((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazol-1-yl)}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone;
- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(piperidine-1-sulfonyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-1-morpholin-4-yl-
- 30 ethanone and
- 2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-tert-butyl-acetamide.

45. The compound of claim 1 selected from the group consisting of:

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N,N-bis-(2-methoxy-ethyl)-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-methoxy-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-isopropyl-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-cyano-ethyl)-N-methyl-acetamide;

2-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[2-ethoxy-5-(methoxy-methyl-sulfamoyl)-phenyl]-4,5-dihydro-imidazole-1-carbonyl)-piperazin-1-yl)-N-(2-methoxy-1-methyl-ethyl)-acetamide;

3-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3,5-dimethyl-isoxazole-4-carbonyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

3-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-ethanesulfonyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

3-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonylamino-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide and

3-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl)-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide.

46. The compound of claim 1 selected from the group consisting of:

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-

benzenesulfonamide;

3-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-4-ethoxy-N-methoxy-N-methyl-benzenesulfonamide;

{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[4-(3,3-dimethyl-but-1-ynyl)-2-ethoxy-phenyl]-4,5-dihydro-imidazol-1-yl}-[4-(2-methanesulfonyl-ethyl)-piperazin-1-yl]-methanone hydrochloride;

2-[4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-2-[4-(3,3-dimethyl-but-1-ynyl)-2-ethoxy-phenyl]-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl]-1-morpholin-4-yl-ethanone hydrochloride;

2-[4-{(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl]-acetamide;

N-tert-Butyl-2-[4-{(4S,5R)-2-(5-tert-butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl]-acetamide;

2-[4-{(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl]-N-methoxy-N-methyl-acetamide;

2-[4-{(4S,5R)-2-(5-tert-Butylsulfamoyl-2-ethoxy-4-methoxy-phenyl)-4,5-bis-(4-chloro-phenyl)-4,5-dihydro-imidazole-1-carbonyl}-piperazin-1-yl]-N-isopropyl-N-methyl-acetamide;

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(3-methanesulfonyl-propyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methoxy-benzenesulfonamide and

5-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl]-N-tert-butyl-4-ethoxy-2-methoxy-benzenesulfonamide.

47. A compound of claim 2 for use as a medicament for treating a disease based on the interaction of MDM2 protein with a p53-like peptide.

48. The compound of claim 47 wherein the disease is cancer.

49. A compound of formula I according to claim 1, wherein
X₁ is ethoxy;
Y₁ and Y₂ are both -Cl;
R is piperazinyl substituted by R₁; and
the remaining substituents have the significances given in claim 1.

50. A compound of formula I according to claim 2, wherein
X₁ is ethoxy;
Y₁ and Y₂ are both -Cl;
R is piperazinyl substituted by R₁; and
the remaining substituents have the significances given in claim 2.

51. A compound according to claim 50, wherein
Y₁ and Y₂ are both -Cl;
X₁ is ethoxy;
X₂ is halogen, cyano, -SO₂NX₄X₅, -C(O)NX₄X₅, -C(O)X₆, -SO₂X₆ or -C≡C-X₇;
X₃ is -SO₂NX₄X₅, -C(O)NX₄X₅ or -SO₂X₆;
R is piperazinyl substituted by R₁; and
the remaining substituents have the significances given in claim 2.

52. A pharmaceutical composition comprising at least one compound of formula I according to claim 1 or 2, together with pharmaceutically acceptable adjuvants.

53. A compound according to claim 1 or 2 for the use as medicament, in particular as anti-cancer medicament.

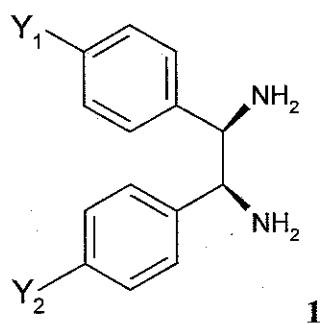
54. The use of a compound according to claim 1 or 2 in the manufacture of medicaments for the treatment of cell proliferative disorders, in particular solid tumors.

55. The use of a compound according to claim 1 or 2 in the manufacture of medicaments for the treatment of breast, colon, lung and prostate tumors.

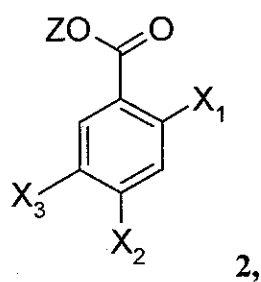
56. A process for the manufacture of a compound of formula I according to claim 1, wherein

a) a compound of the formula 1

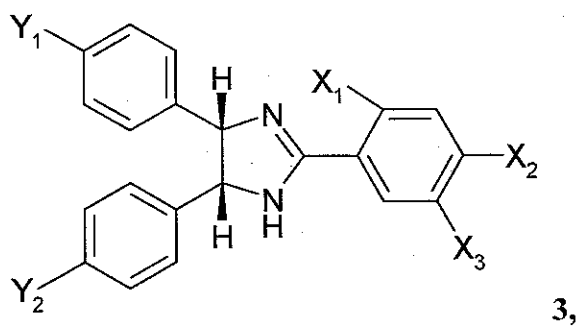
- 286 -



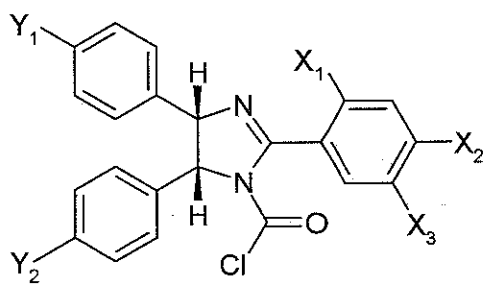
is reacted with a compound of formula 2,



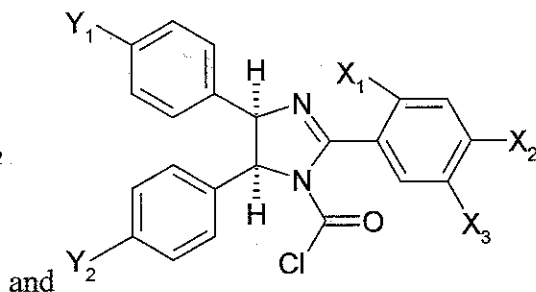
in the presence of a catalyst, in particular trimethylaluminium, to give a compound of formula 3



- b) said compound of formula 3 is further reacted in the presence of phosgene and a base, in particular triethylamine, to give the racemic mixture of the compounds of formula "enant-5A" and "enant-5B"



(enant-5A)



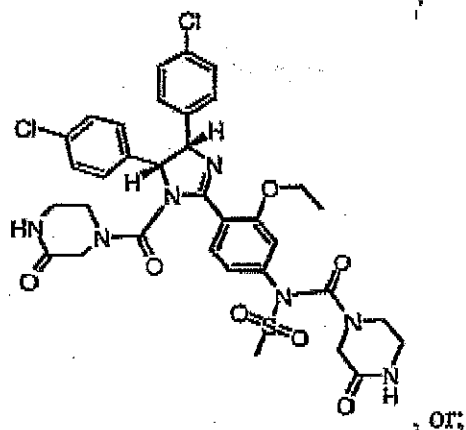
(enant-5B);

- c) said compound of formula “enant-5A” is separated from said racemic mixture by chiral chromatography, and is further reacted in the presence of an amine substituted by the group R as defined in claim 1, to give the compounds of formula I according to claim 1,
- d) said compound of formula I according to claim 1 is separated from the reaction mixture and, if desired, turned into a pharmaceutically acceptable salt or ester thereof; and

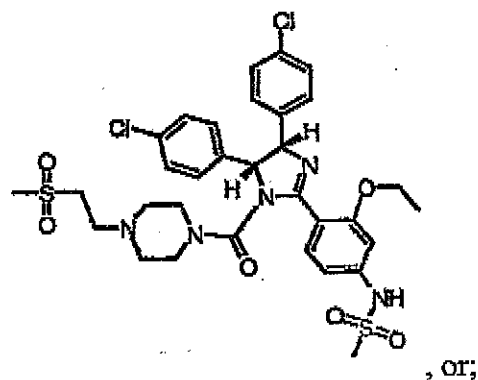
R, Y₁, Y₂, X₁, X₂ and X₃ have the meanings given in claim 1; and
Z is lower alkyl.

57. A compound selected from the group consisting of:

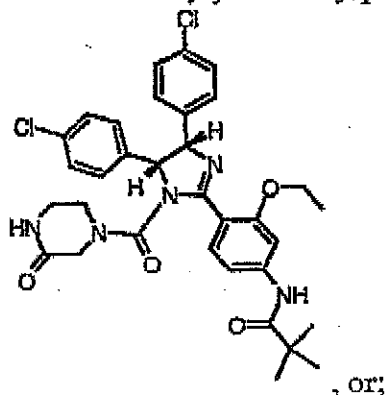
N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-N-(3-oxo-piperazine-1-carbonyl)-methanesulfonamide;



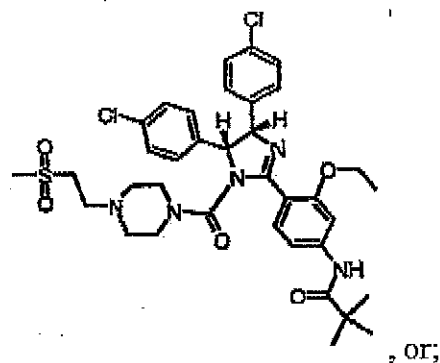
N-(4-{(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-methanesulfonamide hydrochloride;



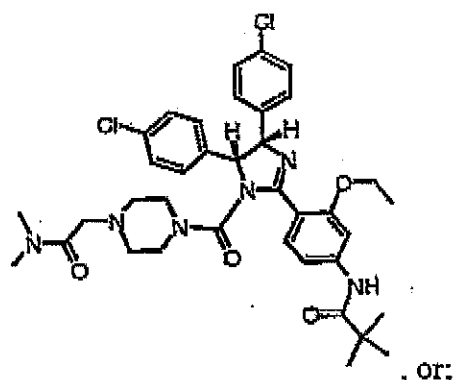
N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(3-oxo-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-2,2-dimethyl-propionamide;



N-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-methanesulfonyl-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride;



N-{4-[(4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-(4-dimethylcarbamoylmethyl-piperazine-1-carbonyl)-4,5-dihydro-1H-imidazol-2-yl]-3-ethoxy-phenyl}-2,2-dimethyl-propionamide hydrochloride;



N-(4-((4S,5R)-4,5-Bis-(4-chloro-phenyl)-1-[4-(2-morpholin-4-yl-2-oxo-ethyl)-piperazine-1-carbonyl]-4,5-dihydro-1H-imidazol-2-yl}-3-ethoxy-phenyl)-2,2-dimethyl-propionamide hydrochloride;

