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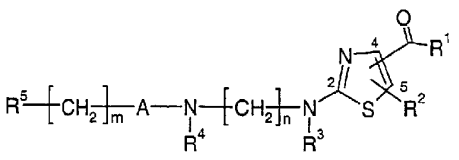
(54) Title: THIAZOLE DERIVATIVES AS NPY RECEPTOR ANTAGONISTS



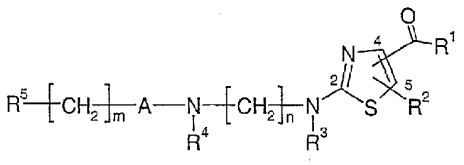
(I)

(57) Abstract: Compounds of formula (I) as well as pharmaceutically acceptable salts and esters thereof, wherein R^1 to R^5, n, m and A have the significance given in claim 1, can be used in the form of pharmaceutical preparations for the treatment or prevention of arthritis, cardiovascular diseases, diabetes, renal failure, eating disorders and obesity.

thiazole derivatives as NPY receptor antagonists

The present invention is concerned with novel thiazole derivatives useful as neuropeptide Y (NPY) receptor ligands, particularly neuropeptide Y (NPY) antagonists.

The invention is concerned especially with compounds of formula I and pharmaceutically acceptable salts and esters thereof



(I)

wherein

R^1 is aryl or heteroaryl;

R^2 is hydrogen, alkyl or cycloalkyl;

R^3 is hydrogen, alkyl or cycloalkyl;

R^4 is hydrogen, alkyl or cycloalkyl;

R^5 is alkyl, cycloalkyl, aryl, heteroaryl;

R^6 is hydrogen, alkyl or cycloalkyl;

A is -C(O)- ; $-S(O)_2-$; $-N(R^6)-C(O)-$ or -O-C(O)- ;

n is 2 to 6; and

m is zero to 2.

The compounds of formula I and their pharmaceutically acceptable salts and esters are novel and have valuable pharmacological properties. They are neuropeptide ligands, for example neuropeptide receptor antagonists and in particular, they are selective neuropeptides Y Y5 receptor antagonists.

Neuropetide Y is a 36 amino acid peptide that is widely distributed in the central and peripheral nervous systems. This peptide mediates a number of physiological effects through its various receptor subtypes. Studies in animals have shown that neuropeptide Y is a powerful stimulus of food intake, and it has been demonstrated that activation of neuropeptide Y Y5 receptors results in hyperphagia and decreased thermogenesis. Therefore compounds that antagonise neuropetide Y at the Y5 receptor subtype represent an approach to the treatment of eating disorders such as obesity and hyperphagia.

The current approach is aiming at medical intervention to induce weight loss or prevention of weight gain. This is achieved by interfering with appetite control, which is mediated by the Hypothalamus, an important brain region proven to control food intake. Herein, neuropeptide Y (NPY) has been proven to be one of the strongest central mediators of food intake in several animal species. Increased NPY levels result in profound food intake. Various receptors of neuropeptide Y (NPY) have been described to play a role in appetite control and weight gain. Interference with these receptors is likely to reduce appetite and consequently weight gain. Reduction and long-term maintenance of body weight can also have beneficial consequences on con associated risk factors such as arthritis, cardiovascular diseases, diabetes and renal failure.

Accordingly, the compounds of formula I, their salts and esters can be used in the prophylaxis or treatment of arthritis, cardiovascular diseases, diabetes, renal failure and particularly eating disorders and obesity.

Aspects of the present invention are the compounds of formula I and their aforementioned salts and esters per se and their use as therapeutically active substances, a process for the manufacture of the said compounds, intermediates, pharmaceutical compositions, medicaments comprising the said compounds, their pharmaceutically acceptable salts and esters, the use of the said compounds, salts and esters for the prophylaxis and/or therapy of illnesses, especially in the treatment or prophylaxis of arthritis, cardiovascular diseases, diabetes, renal failure and particularly eating disorders such as hyperphagia and particularly obesity, and the use of the said compounds, salts and esters for the production of medicaments for the treatment or prophylaxis of arthritis,

cardiovascular diseases, diabetes, renal failure and particularly eating disorders and obesity.

In the present description the term "alkyl", alone or in combination, signifies a straight-chain or branched-chain alkyl group with 1 to 8 carbon atoms, preferably a straight or branched-chain alkyl group with 1 to 6 carbon atoms and particularly preferred a straight or branched-chain alkyl group with 1 to 4 carbon atoms Examples of straight-chain and branched C_1-C_8 alkyl groups are methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert.-butyl, the isomeric pentyls, the isomeric hexyls, the isomeric heptyls and the isomeric octyls, preferably methyl and ethyl and most preferred methyl.

The term "cycloalkyl", alone or in combination, signifies a cycloalkyl ring with 3 to 8 carbon atoms and preferably a cycloalkyl ring with 3 to 6 carbon atoms. Examples of C_3-C_8 cycloalkyl are cyclopropyl, methyl-cyclopropyl, dimethylcyclopropyl, cyclobutyl, methyl-cyclobutyl, cyclopentyl, methyl-cyclopentyl, cyclohexyl, methyl-cyclohexyl, dimethyl-cyclohexyl, cycloheptyl and cyclooctyl, preferably cyclopropyl and cyclopentyl.

The term "alkoxy", alone or in combination, signifies a group of the formula alkyl-O- in which the term "alkyl" has the previously given significance, such as methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec. butoxy and tert.butoxy, 2-hydroxyethoxy, 2-methoxyethoxy, preferably methoxy and ethoxy and most preferred methoxy.

The term "aryl", alone or in combination, signifies a phenyl or naphthyl group, preferably a phenyl group which optionally carries one or more, preferably one to three substituents each independently selected from halogen, haloalkyl, amino, alkyl, alkoxy, aryloxy, alkylcarbonyl, cyano, carbamoyl, alkoxycarbamoyl, methylendioxy, carboxy, alkoxycarbonyl, aminocarbonyl, alkyaminocarbonyl, dialkylaminocarbonyl, hydroxy, nitro, haloalkoxy and the like. Preferred substituents of aryl, preferably phenyl are independently selected from halogen, trifluoromethyl, alkyl, alkoxy and haloalkoxy.

The term "aralkyl", alone or in combination, signifies an alkyl or cycloalkyl group as previously defined, preferably an alkyl group in which one hydrogen atom has been replaced by an aryl group as previously defined. Preferred are benzyl, benzyl substituted with hydroxy, alkoxy or halogen, preferably fluorine.

The term "heteroaryl", alone or in combination, signifies an aromatic 5- or 6-membered ring comprising 1 to 3 atoms independently selected from nitrogen, oxygen or sulfur. Optionally, the heteroaryl ring can be substituted on one or more carbon atoms

with halogen, alkyl, alkoxy and cyano. Examples of heteroaryl rings include furyl, pyridyl, 1,2-, 1,3- and 1,4-diazinyl or pyrazinyl, thienyl, isoxazolyl, oxazolyl, thiazolyl and pyrrolyl. Preferred heteroaryl rings are pyridinyl, thiophenyl and pyrazinyl which are optionally substituted with alkyl.

The term "amino", alone or in combination, signifies a primary, secondary or tertiary amino group bonded via the nitrogen atom, with the secondary amino group carrying an alkyl or cycloalkyl substituent and the tertiary amino group carrying two similar or different alkyl or cycloalkyl substituents or the two nitrogen substitutents together forming a ring, such as, for example, $-NH_2$, methylamino, ethylamino, dimethylamino, diethylamino, methyl-ethylamino, pyrrolidin-1-yl or piperidino etc., preferably amino, dimethylamino and diethylamino and particularly preferred primary amino.

The term "halogen", alone or in combination, signifies fluorine, chlorine, bromine or iodine and preferably fluorine, chlorine or bromine and particularly chlorine.

The term "haloalkyl", alone or in combination, signifies an alkyl group as previously defined, wherein one or several hydrogen atoms, preferably one to three hydrogen atoms have / has been replaced by halogen. Examples of haloalkyl groups are trifluoromethyl, pentafluoroethyl and trichloromethyl. Preferred examples are trifluoromethyl and difluoromethyl. Most preferred is trifluoromethyl.

The term "haloalkoxy", alone or in combination, signifies an alkoxy group as previously defined, wherein one or several hydrogen atoms, preferably one to three hydrogen atoms have / has been replaced by halogen. A preferred example is trifluoromethoxy.

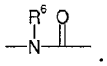
The term "cyano", alone or in combination, signifies a -CN group.

The term "nitro", alone or in combination, signifies a $-NO_2$ group.

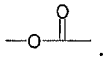
The term -C(O)- means a carbonyl group.

The term $-S(O)_2-$ means the following group:

The term -N(R^6)-C(O)- means the following group:



The term -O-C(O)- means the following group:



Examples of pharmaceutically acceptable salts of the compounds of formula I are salts with physiologically compatible mineral acids such hydrochloric acid, sulfuric acid or phosphoric acid; or with organic acids such as methanesulfonic acid, formic acid, acetic acid, trifluoroacetic acid, citric acid, fumaric acid, maleic acid, tartaric acid, succinic acid or salicylic acid. Preferred is oxalic acid. The compounds of formula I with free carboxy groups can also form salts with physiologically compatible bases. Examples of such salts are alkali metal, alkali earth metal, ammonium and alkylammonium salts such as the Na, K, Ca or tertramethylammonium salt. The compound of formula I can also be present in the form of zwitterions.

The compounds of formula I can also be solvated, e.g. hydrated. The solvation can be effected in the course of the manufacturing process or can take place e.g. as a consequence of hygroscopic properties of an initially anhydrous compound of formula I (hydration). The term pharmaceutically acceptable salts also includes pharmaceutically acceptable solvates.

The term pharmaceutically acceptable esters of the compounds of formula I means that compounds of general formula (I) may be derivatised at functional groups to provide derivatives which are capable of conversion back to the parent compounds in vivo. Examples of such compounds include physiologically acceptable and metabolically labile ester derivatives, such as methoxymethyl esters, methylthiomethyl esters and pivaloyloxymethyl esters. Additionally, any physiologically acceptable equivalents of the compounds of general formula (I), similar to the metabolically labile esters, which are capable of producing the parent compounds of general formula (I) in vivo, are within the scope of this invention.

In more detail, for example, the COOH groups of compounds according to formula I can be esterified. The alkyl and aralkyl esters are examples of suitable esters. The methyl, ethyl, propyl, butyl and benzyl esters are preferred esters. The methyl and ethyl esters are especially preferred. Further examples of pharmaceutically usable esters are compounds of formula I, wherein the hydroxy groups can be esterified. Examples of such esters are formate, acetate, propionate, butyrate, isobutyrate, valerate, 2-methylbutyrate, isovalerate and N,N-dimethylaminoacetate. Preferred esters are acetate and N,N-dimethylaminoacetate.

The term "lipase inhibitor" refers to compounds which are capable of inhibiting the action of lipases, for example gastric and pancreatic lipases. For example orlistat and lipstatin as described in U.S. Patent No. 4,598,089 are potent inhibitor of lipases. Lipstatin is a natural product of microbial origin, and orlistat is the result of a hydrogenation of lipstatin. Other lipase inhibitors include a class of compound commonly referred to as panclicins. Panclicins are analogues of orlistat (Mutoh et al, 1994). The term "lipase inhibitor" refers also to polymer bound lipase inhibitors for example described in International Patent Application WO99/34786 (Geltex Pharmaceuticals Inc.). These polymers are characterized in that they have been substituted with one or more groups that inhibit lipases. The term "lipase inhibitor" also comprises pharmaceutically acceptable salts of these compounds. The term "lipase inhibitor" preferably refers to orlistat.

Orlistat is a known compound useful for the control or prevention of obesity and hyperlipidemia. See, U.S. Patent No. 4,598,089, issued July 1, 1986, which also discloses processes for making orlistat and U.S. Patent No. 6,004,996, which discloses appropriate pharmaceutical compositions. Further suitable pharmaceutical compositions are described for example in International Patent Applications WO 00/09122 and WO 00/09123. Additional processes for the preparation of orlistat are disclosed in European Patent Applications Publication Nos. 185,359, 189,577, 443,449, and 524,495.

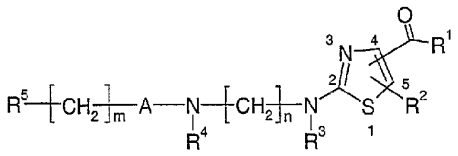
Orlistat is preferably orally administered from 60 to 720 mg per day in divided doses two to three times per day. Preferred is wherein from 180 to 360 mg, most preferably 360 mg per day of a lipase inhibitor is administered to a subject, preferably in divided doses two or, particularly, three times per day. The subject is preferably an obese or overweight human, i.e. a human with a body mass index of 25 or greater. Generally, it is preferred that the lipase inhibitor be administered within about one or two hours of ingestion of a meal containing fat. Generally, for administering a lipase inhibitor as defined above it is

preferred that treatment be administered to a human who has a strong family history of obesity and has obtained a body mass index of 25 or greater.

Orlistat can be administered to humans in conventional oral compositions, such as, tablets, coated tablets, hard and soft gelatin capsules, emulsions or suspensions. Examples of carriers which can be used for tablets, coated tablets, dragées and hard gelatin capsules are lactose, other sugars and sugar alcohols like sorbitol, mannitol, maltodextrin, or other fillers; surfactants like sodium lauryle sulfate, Brij 96, or Tween 80; disintegrants like sodium starch glycolate, maize starch or derivatives thereof; polymers like povidone, crospovidone; talc; stearic acid or its salts and the like. Suitable carriers for soft gelatin capsules are, for example, vegetable oils, waxes, fats, semi-solid and liquid polyols and the like. Moreover, the pharmaceutical preparations can contain preserving agents, solubilizers, stabilizing agents, wetting agents, emulsifying agents, sweetening agents, coloring agents, flavoring agents, salts for varying the osmotic pressure, buffers, coating agents and antioxidants. They can also contain still other therapeutically valuable substances. The formulations may conveniently be presented in unit dosage form and may be prepared by any methods known in the pharmaceutical art. Preferably, orlistat is administered according to the formulation shown in the Examples and in U.S. Patent No. 6,004,996, respectively.

The compounds of formula I can contain several asymmetric centers and can be present in the form of optically pure enantiomers, mixtures of enantiomers such as, for example, racemates, optically pure diastereioisomers, mixtures of diastereoisomers, diastereoisomeric racemates or mixtures of diastereoisomeric racemates.

In the nomenclature used in the present application the ring atoms of the thiazole ring are numbered as follows:



(I)

wherein R^1 to R^5, m, n and A are defined as before. In a preferred embodiment of the present invention R^2 is attached to the 5-position and the substituent -C(O)-R^1 is attached to the 4-position of the thiazole ring. Particularly preferred are the compounds of formula

I, wherein the substituent -C(O)-R^1 is attached to the 5-position and R^2 is attached to the 4-position of the thiazole ring.

Preferred are compounds of formula I, wherein R^2 is hydrogen or alkyl. Particularly preferred are compounds of formula I, wherein R^2 is hydrogen or methyl. Most preferred are compounds according to formula I, wherein R^2 is hydogen.

A further preferred object of the present invention are compounds of formula I, wherein R^3 is hydrogen or alkyl. Particularly preferred are those compounds of formula I, wherein R^3 is hydrogen.

Also a preferred object of the present invention are compounds of formula I, wherein R^4 is hydrogen or alkyl. Particularly preferred are compounds according to formula I, wherein R^4 is hydrogen.

Another preferred object of the present invention are compounds of formula I, wherein R^5 is alkyl, cycloalkyl, phenyl, phenyl substituted with one to three substituents independently selected from halogen, alkyl, alkoxy and haloalkyl, or R^5 is thiophenyl or thiophenyl substituted with alkyl, or R^5 is pyridinyl or pyridinyl substituted with alkyl or R^5 is pyrazinyl or pyrazinyl substituted with alkyl. Particularly preferred are compounds according to formula I, wherein R^5 is n-butyl, tert. butyl, cyclohexyl, thiophenyl, phenyl or phenyl substituted with one to three substituents independently selected from methyl, ethyl, methoxy, fluoro, chloro and trifluoromethyl. Further particularly preferred are compounds according to formula I, wherein R^5 is thiophenyl or phenyl optionally substituted with one to three substituents independently selected from alkyl, alkoxy, halogen and haloalkyl.

Preferred are compounds according to formula I, wherein R^5 is thiophenyl or phenyl both optionally substituted with one to three substituents independently selected from alkyl, alkoxy, halogen, haloalkyl, haloalkoxy and nitro.

A further preferred object of the present invention are compounds according to formula I, wherein R^6 is hydrogen.

Also preferred are compounds of formula I, wherein R^1 is pyridinyl or pyridinyl substituted with alkyl, or R^1 is thiophenyl or thiophenyl substituted with alkyl or R^1 is phenyl or phenyl substituted with one to three substituents independently selected from alkyl, halogen, haloalkyl or R^1 is pyrazinyl or pyrazinyl substituted with alkyl. Particularly preferred are compound according to formula I, wherein R^1 is pyridinyl, phenyl or phenyl

substituted with one to three substituents independently selected from alkyl, alkoxy, halogen and haloalkyl. Very preferred are compounds of formula I, wherein R^1 is pyridinyl or phenyl substituted with one to three substituents independently selected from alkyl, alkoxy, halogen and haloalkyl.

A further particularly preferred object of the present invention are compounds according to formula I, wherein A is $-S(O)_2-$.

Another preferred emodiment of the present invention are compounds of formula I, wherein A is -C(O)- .

Further preferred are compounds of formula I, wherein A is $-N(R^6)-C(O)-$.

Also preferred are compounds of formula I, wherein A is -O-C(O)- .

Likewise preferred are compounds of formula I, wherein n is 3 to 5. Particularly preferred are compounds of formula I, wherein n is 3. Further particularly preferred are compounds of formula I, wherein n is 5.

Preferred compounds of formula I are those, wherein m is zero or 1. Particularly preferred are those compounds of formula I, wherein m is zero. A further very preferred embodiment of this invention are compounds of formula I, wherein A is $-S(O)_2-$ and m is zero.

Examples of preferred compounds of formula I are:

1. 2-Fluoro-N-{3-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide
2. 2-Methoxy-5-methyl-N-{3-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide
3. 2-Methoxy-5-methyl-N-{3-[5-(pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide
4. 2-Methoxy-5-methyl-N-{3-[5-(pyridine-4-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide
5. 2-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

6. 4-Methoxy-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

7. Thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

8. 2-Methoxy-5-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide

9. 4-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

10. 2-Methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

11. 3-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

12. 2-Chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide

13. N-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

14. 3-Methoxy-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

15. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-fluoro-benzenesulfonamide

16. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-4-methoxy-benzenesulfonamide

17. Thiophene-2-sulfonic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide

18. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-methoxy-5-methyl-benzene sulfonamide

19. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-4-fluoro-benzenesulfonamide

20. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-methyl-benzenesulfonamide

21. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-fluoro-benzenesulfonamide

22. 2-Chloro-N-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl-benzenesulfonamide

23. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

24. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-methoxy-benzenesulfonamide

25. {3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester

26. {3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester

27. {3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester

28. {3-[5-(2-Trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester

29. Cyclohexanecarboxylic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

30. Cyclohexanecarboxylic acid {3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

31. Pentanoic acid [3-(5-benzoyl-thiazol-2-ylamino)-propyl]-amide

32. Pentanoic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

33. Pentanoic acid {3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

34. Pentanoic acid {3-[5-(2-fluoro-benzoyl)-thiazol-2-ylamino]-propyl}-amide

35. Pentanoic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide

36. N-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-2-(4-chloro-phenyl)-acetamide

37. 2-(4-Chloro-phenyl)-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-acetamide

38. 2-(4-Chloro-phenyl)-N-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-acetamide

39. Thiophene-2-carboxylic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

40. Thiophene-2-carboxylic acid {3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

41. Thiophene-2-carboxylic acid {3-[5-(2-fluoro-benzoyl)-thiazol-2-ylamino]-propyl}-amide

42. Thiophene-2-carboxylic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide

43. N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-2-fluoro-benzamide

44. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-fluoro-benzamide

45. 3-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

46. N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-fluoro-benzamide

47. 3-Fluoro-N-{3-[5-(2-fluoro-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

48. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-fluoro-benzamide

49. 4-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

50. N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-fluoro-benzamide

51. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-4-fluoro-benzamide

52. N-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

53. N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

54. N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

55. N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-methoxy-benzamide

56. N-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-2-methoxy-benzamide

57. N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-2-methoxy-benzamide

58. 4-Chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

59. 4-Chloro-N-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

60. Cyclohexanecarboxylic acid {3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

61. Cyclohexanecarboxylic acid {3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-amide

62. Pentanoic acid {3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

63. Pentanoic acid {3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-amide

64. Pentanoic acid {3-[5-(2-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-amide

65. Pentanoic acid {3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-amide

66. 2-(4-Chloro-phenyl)-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-acetamide

67. 2-(4-Chloro-phenyl)-N-{3-[5-(3-methyl-pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-acetamide

68. 2-(4-Chloro-phenyl)-N-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-acetamide

69. Thiophene-2-carboxylic acid {3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino] -propyl}-amide

70. Thiophene-2-carboxylic acid {3-[5-(3-methyl-pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-amide

71. 2-Fluoro-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

72. 2-Fluoro-N-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

73. 3-Fluoro-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

74. 3-Fluoro-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

75. 3-Fluoro-N-{3-[5-(3-methyl-pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

76. 3-Fluoro-N-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

77. 4-Fluoro-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

78. 4-Fluoro-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

79. 4-Fluoro-N-{3-[5-(3-methyl-pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

80. 4-Fluoro-N-{3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

81. N-{3-[5-(2-Trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

82. N-{3-[5-(4-Methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

83. 4-Methoxy-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

84. 4-Methoxy-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

85. 2-Methoxy-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

86. 4-Chloro-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

87. 4-Chloro-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

88. 4-Chloro-N-{3-[5-(2-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide

89. 1-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-3-cyclohexyl-urea

90. 1-Cyclohexyl-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

91. 1-Cyclohexyl-3-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

92. 1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-cyclohexyl-urea

93. 1-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-3-butyl-urea

94. 1-Butyl-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

95. 1-Butyl-3-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

96. 1-Butyl-3-{3-[5-(2-fluoro-benzoyl)-thiazol-2-ylamino]-propyl}-urea

97. 1-Butyl-3-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-urea

98. 1-(2-Methoxy-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

99. 1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-methoxy-phenyl)-urea

100. 1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-methoxy-phenyl)-urea

101. 1-(2-Fluoro-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

102. 1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-fluoro-phenyl)-urea

103. 1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-fluoro-phenyl)-urea

104. 1-(3-Fluoro-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

105. 1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-(3-fluoro-phenyl)-urea

106. 1-(4-Fluoro-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

107. 1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-(4-fluoro-phenyl)-urea

108. 1-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-3-(2-chloro-benzyl)-urea

109. 1-(2-Chloro-benzyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

110. 1-(2-Chloro-benzyl)-3-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

111. 1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-chloro-benzyl)-urea

112. 1-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-phenyl-urea

113. 1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-phenyl-urea

114. 1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-phenyl-urea

115. 1-Butyl-3-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-urea

116. 1-{3-[5-(4-Methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-3-phenyl-urea

117. 1-Cyclohexyl-3-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-urea

118. 1-Cyclohexyl-3-{3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-urea

119. 4-Fluoro-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benze nesulfonamide

120. 4-Fluoro-N-{3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-benz enesulfonamide

121. 2-Methoxy-5-methyl-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

122. 2-Methoxy-5-methyl-N-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

123. 2-Methoxy-5-methyl-N-{3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-pr opyl}-benzenesulfonamide

124. 1-(4-Methoxy-phenyl)-3-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-urea

125. {3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester

126. N-{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

127. 2-Fluoro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

128. 3,5-Dimethoxy-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide

129. Pentanoic acid {3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

130. 1-{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-thiophen-2-yl-urea

131. 1-(2-Fluoro-phenyl)-3-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea

132. 2-Methyl-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

133. 4-Fluoro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

134. 3-Methoxy-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

135. 4-Methoxy-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

136. N-{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

137. 2-Chloro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl -benzenesulfonamide

138. Thiophene-2-sulfonic acid {3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

139. 3-Fluoro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

140. 2-Methoxy-5-methyl-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide

141. 2,5-Dimethoxy-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfo namide

142. 2-Fluoro-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

143. 4-Methoxy-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfon amide

144. Thiophene-2-sulfonic acid {5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl} -amide

145. 2-Methoxy-5-methyl-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benz enesulfonamide

146. 4-Fluoro-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfona mide

147. 2-Methyl-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfona mide

148. 3-Fluoro-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

149. 2-Chloro-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl-benzenesulfonamide

150. N-{5-[5-(Pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

151. 3-Methoxy-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfon amide

152. 2-Fluoro-N-{5-[5-(pyridine-4-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfona mide

153. 2-Methoxy-5-methyl-N-{5-[5-(pyridine-4-carbonyl)-thiazol-2-ylamino]-pentyl}-benz enesulfonamide

154. 2-Fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

155. 4-Methoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

156. Thiophene-2-sulfonic acid {5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-amide

157. 2-Methoxy-5-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide

158. 4-Fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

159. 2-Methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

160. 3-Fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

161. 2-Chloro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl -benzenesulfonamide

162. N-{5-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

163. 3-Methoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

164. N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-fluoro-benzenesulfonamide

165. N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-4-methoxy-benzenesulfonamide

166. Thiophene-2-sulfonic acid {5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-amide

167. N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methoxy-5-methyl-benzene sulfonamide

168. N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-4-fluoro-benzenesulfonamide

169. N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methyl-benzenesulfonamide

170. N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-3-fluoro-benzenesulfonamide

171. 2-Chloro-N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl-benzenesulfonamide

172. N-{5-[4-Methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

173. 2-Methyl-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenes ulfonamide

174. 2-Fluoro-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenes ulfonamide

175. 3-Fluoro-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenes ulfonamide

176. 4-Fluoro-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenes ulfonamide

177. 2-Methoxy-5-methyl-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl }-benzenesulfonamide

178. 3-Methoxy-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide

179. 4-Methoxy-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide

180. Thiophene-2-sulfonic acid {2-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-ethyl}-amide

181. 2,5-Dimethoxy-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

182. Thiophene-3-sulfonic acid {4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-amide

183. 2,5-Dimethoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

184. Thiophene-3-sulfonic acid {2-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-ethyl}-amide

185. 2,5-Dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

186. 5-Chloro-2-methoxy-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

187. 2-Methyl-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

188. 5-Fluoro-2-methyl-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

189. 2-Chloro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-5-trifluoromethyl-benzenesulfonamide

190. 2,5-Dimethyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

191. N-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-trifluoromethoxy-benzenesulfonamide

192. 4-Fluoro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

193. 2,4-Difluoro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

194. N-{5-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-4-trifluoromethoxy-benzenesulfonamide

195. 2-Chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-trifluoromethyl-benzenesulfonamide

196. 2-Fluoro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

197. 5-Chloro-thiophene-2-sulfonic acid {4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-amide

198. 2-Chloro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-4-trifluoromethyl-benzenesulfonamide

199. Thiophene-3-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

200. 5-Fluoro-2-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

201. 3-Fluoro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

202. 2-Methoxy-5-methyl-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

203. Thiophene-3-sulfonic acid {5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-amide

204. 5-Fluoro-2-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

205. 5-Chloro-2-methoxy-N-{2-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-ethyl}-benzenesulfonamide

206. 2,4-Difluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

207. 2,5-Dimethyl-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

208. 2,5-Dimethoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

209. 2,4-Difluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

210. 5-Chloro-thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

211. 4-Methoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

212. 5-Chloro-2-methoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

213. 5-Chloro-thiophene-2-sulfonic acid {5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-amide

214. Thiophene-2-sulfonic acid {4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-amide

215. 3-Methoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide

216. N-{4-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-butyl}-4-trifluoromethoxy-benzenesulfonamide

217. Thiophene-2-sulfonic acid methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

218. 3-Methoxy-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

219. 2-Chloro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-trifluoromethyl-benzenesulfonamide

220. 2,N-Dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

221. 5-Fluoro-2,N-dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

222. 2-Chloro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl-benzenesulfonamide

223. 4-Fluoro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

224. 2,4-Difluoro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

225. 2-Fluoro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

226. 5-Chloro-thiophene-2-sulfonic acid methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide

227. 3-Fluoro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

228. 2-Methoxy-5,N-dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

229. 4-Chloro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

230. 2,5,N-Trimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

231. N-Methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-nitro-benzenesulfonamide

232. 4-Methoxy-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

233. 5-Chloro-2-methoxy-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

Examples of particularly preferred compounds of formula I are:

Thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

2-methoxy-5-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide;

2-chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide;

thiophene-2-sulfonic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

N-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-methoxy-5-methyl-benzene sulfonamide;

2-chloro-N-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide;

2-chloro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide;

2-methoxy-5-methyl-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide;

2-methoxy-5-methyl-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benz enesulfonamide;

4-methoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

2-methoxy-5-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide;

2-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

3-fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

2-chloro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl - benzenesulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-fluoro-benzenesulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methoxy-5-methyl-benzene sulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methyl-benzenesulfonamide;

2-methoxy-5-methyl-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl }-benzenesulfonamide;

2-chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-trifluoromethyl-benzenesulfonamide;

5-fluoro-2-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide;

2,5-dimethoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide;

5-chloro-thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

thiophene-2-sulfonic acid {4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-amide;

thiophene-2-sulfonic acid methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

2,N-dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide;

5-chloro-thiophene-2-sulfonic acid methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

4-chloro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide and

N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-nitro-benzenesulfonamide.

Examples of particularly preferred compounds of formula I are:

Thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

2-methoxy-5-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide;

2-chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide;

thiophene-2-sulfonic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

N-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-methoxy-5-methyl-benzene sulfonamide;

2-chloro-N-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide;

2-chloro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide;

2-methoxy-5-methyl-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide;

2-methoxy-5-methyl-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benz enesulfonamide;

4-methoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

2-methoxy-5-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide;

2-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

3-fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

2-chloro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl - benzenesulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-fluoro-benzenesulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methoxy-5-methyl-benzene sulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methyl-benzenesulfonamide; and

2-methoxy-5-methyl-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl }-benzenesulfonamide.

Processes for the manufacture of compounds of formula I are an object of the invention.

The preparation of compounds of formula I of the present invention may be carried out in sequential or convergent synthetic routes. Syntheses of the invention are shown in the following Schemes. The skills required for carrying out the reaction and purification of the resulting products are known to those in the art. The substituents and indices used in the following description of the processes have the significance given above unless indicated to the contrary.

Compounds of general formula IH (R^2 means hydrogen) can be prepared according to scheme 1 as follows:

a) Bis amino derivatives IA, either commercially available or prepared from commercially available precursors by methods taught in the art, are mono-protected with a suitable protecting group (PG i.e. Boc, Fmoc , and the like), provided that PG has no adverse effect on the reaction or on the reagents involved in the synthetic route, by reaction of IA with preferably Boc_2O, preferably in the presence or the absence of a base such as triethylamine, diisopropylethylamine, and the like, preferably in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, or dioxane, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice. (literature: J. Med. Chem., 32(2), 391-6; 1989).

b) Thioureas can be prepared from suitable starting materials according to methods known in the art. The elaboration of the thiourea-moiety in ID starting from an amino functionality, like in IB can be affected by methods described in literature. For example mono-protected derivatives IB are condensed with benzoyl isothiocyanate in a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can

dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, or dioxane, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield the protected urea derivatives IC. (literature: Organic Letters, 2(20), 3237-3240;2000). The urea derivatives IC are subjected to basic cleavage conditions such as. K_2CO_3 aq., and the like, in a solvent such as methanol, and the like, to liberate the urea functionality and access ureas ID. (for reaction conditions described in literature affecting such a reaction see for example: J. Med. Chem., 32(8), 1963-70; 1989).

c) The conversion of the liberated ureas ID to Dimethylaminomethylene-thioureido derivatives IE (R2 means hydrogen) was affected by reaction of derivatives ID with N,N-Dimethylformamide dimethyl acetal either neat or in a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, or dioxane, DMF and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield the protected urea derivatives IC. For reaction conditions described in literature affecting such a reaction see for example: Heterocycles, 11,, 313-18; 1978.

d) Dimethylaminomethylene-thioureido derivatives IE can be converted to thiazole derivatives IF (R2 means hydrogen) by reaction of IE with □-bromoketones (a known compound or compound prepared by known methods. The source for □-Bromoketones employed is indicated as appropriate) in a solvent such as ethanol, and the like, in the presence or the absence of a base. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, or dioxane, methanol, ethanol and the like. There is no particular restriction on the nature of the base used in this stage, and any base commonly used in this type of reaction may equally be employed here. Examples of such bases include triethylamine

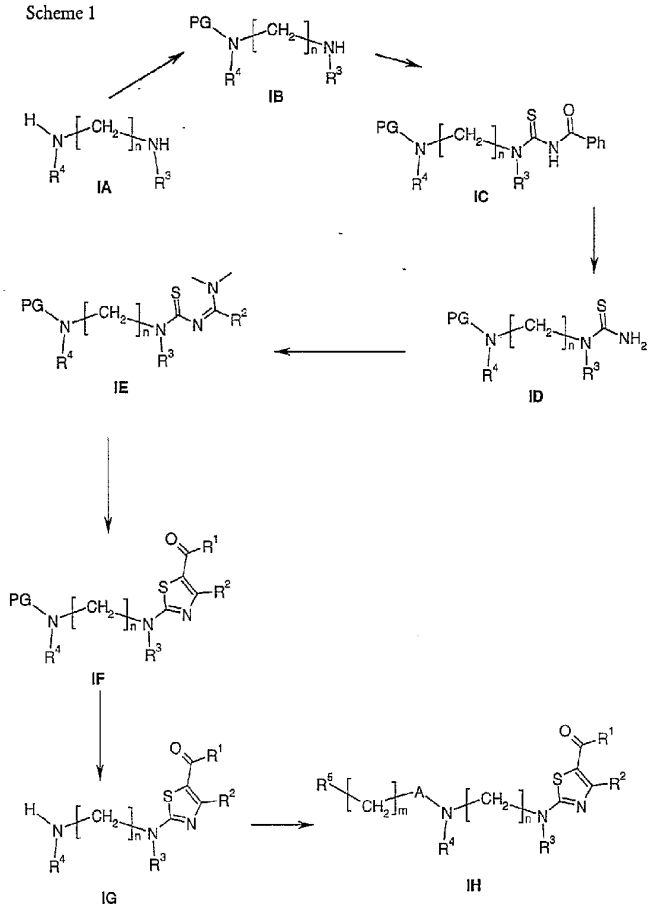
and diisopropylethylamine, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield the protected thiazole derivatives IF. For reaction conditions described in literature affecting such a reaction see for example: J. Heterocycl. Chem., 16(7), 1377-83; 1979. The resulting compound of formula IF is a compound of the present invention and may be the desired product; alternatively it may be subjected to consecutive reactions.

e) Cleavage of the protecting group PG such as Boc, Fmoc, and the like from thiazole derivatives IF to access free amines IG or various salts thereof, IF is in the case PG means Boc subjected to suitable reaction conditions like acidic cleavage. There is no particular restriction on the nature of the acid used in this stage, and any acid commonly used in this type of reaction may equally be employed here. Examples of such acids include: HCl, TFA, and the like in a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dioxane, water, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield thiazole derivatives IG. For conditions described in literature affecting the cleavage of a protecting group see for example: Protecting Groups, Kocienski, P. Thieme Verlag New York 1994.

f) Sulfonamides, amides, carbamates and ureas can be prepared from suitable starting materials according to methods known in the art. The conversion of the amino-moiety in IG to access sulfonamides, amides, carbamates and can be affected by methods described in literature. For example the conversion of the amine derivatives IG or their respective salts to access compounds of the general formula IH is affected by reaction of IG with suitable acid chlorides, sulfonyl chlorides, isocyanates, chloroformates, or carbonate esters (compounds known or compound prepared by known methods) respectively in a solvent like dichloromethane and in the presence or the absence of a base. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that

it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: chloroform, or dioxane, THF, and the like. There is no particular restriction on the nature of the base used in this stage, and any base commonly used in this type of reaction may equally be employed here. Examples of such bases include triethylamine and diisopropylethylamine, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield thiazole derivatives IH. For reaction conditions described in literature affecting such reactions see for example: Comprehensive Organic Transformations: A Guide to Functional Group Preparations, 2nd Edition, Richard C. Larock. John Wiley & Sons, New York, NY. 1999.

Scheme 1



Compounds of general formula IIE (R^2 means alkyl or cycloalkyl) can be prepared according to scheme 2 as follows:

a) Thioisocyanates can be prepared from suitable starting materials according to methods known in the art. The elaboration of the thioisocyanate-moiety in IIA (R^3 means hydrogen) starting from an amino functionality, can be affected by methods described in literature. For example compounds of the general formula IB (PG for example Boc, Fmoc, and such like) are condensed with carbondisulfide, neat or in a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it

has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, or dioxane, THF and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield an intermediate which is reacted with cyanamide in one-pot or after isolation of the intermediate. Elaboration of the thioisocanate derivatives IIA (R3 means hydrogen) is affected by addition of a base such as pyridine, or the like. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, or dioxane, THF and the like. There is no particular restriction on the nature of the base used in this stage, and any base commonly used in this type of reaction may equally be employed here. Examples of such bases include pyridine, triethylamine and diisopropylethylamine, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield the thioisocyanate derivatives IIA. For reaction conditions described in literature affecting such a reaction see for example: Journal of Organic Chemistry, 65(19), 6069-6072; 2000.

b) Thioureido derivatives can be prepared from suitable starting materials according to methods known in the art. The elaboration of the thioisocyanate-moiety in IIA (R3 means hydrogen) to a thioureido-moiety can be affected by methods described in literature. For example compounds of the general formula IIA are condensed with an amidine or their salts (R2 means alkyl, cycloakly), a known compound or compound prepared by known methods, in a solvent such as THF, or the like, and a base, such as NaOH, or the like. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, dioxane, THF and the like. There is no particular restriction on the nature of the base used in this stage, and any base commonly used in this type of reaction may equally be employed here. Examples

of such bases include NaOHaq., KOHaq, NEt_3, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction from 0°C to heating to reflux temperature of the solvent. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield the thioureido derivatives IIB. For reaction conditions described in literature affecting such a reaction see for example: C. R. Seances Acad. Sci., Ser. 2, 294(19), 1183-6; 1982.

c) Dimethylaminomethylene-thioureido derivatives IIB can be converted to thiazole derivatives IIC (R2 means alkyl, cycloalkyl) by reaction of IIB with □-bromoketones (a known compound or compound prepared by known methods) in a solvent such as ethanol, and the like, in the presence or the absence of a base. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, DMF, dioxane, methanol, ethanol and the like. There is no particular restriction on the nature of the base used in this stage, and any base commonly used in this type of reaction may equally be employed here. Examples of such bases include triethylamine and diisopropylethylamine, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield the protected thiazole derivatives IIC (R3 means H). For reaction conditions described in literature affecting such a reaction see for example: Org. Chem., 65(21), 7244-7247; 2000. The resulting compound of formula IIC (R3 means H) is a compound of the present invention and may be the desired product; alternatively it may be subjected to consecutive reactions. Introduction of R3 means alkyl or cycloalky can be affected by reductive amination of IIC with the respective aldehyde under reducing conditions in a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, dioxane, THF, and the like. There is no particular restriction on the nature of the reducing agent used in this stage, and any reducing agent commonly used in this type of reaction may equally be employed here. Examples of such reducing agents include $NaBH_4$, $NaCNBH_3$, and the like. The

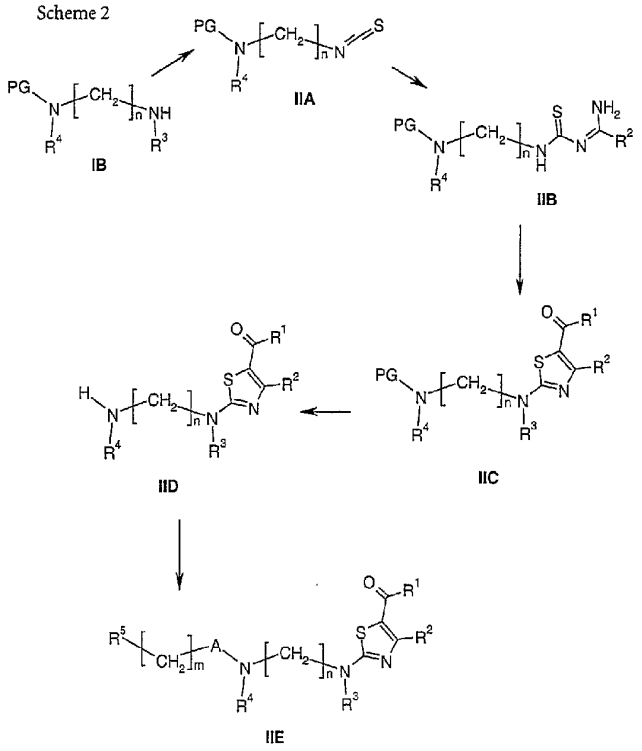
reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield the protected thiazole derivatives IIC (R3 means alkyl or cycloalkyl). For reaction conditions described in literature affecting a reductive amination see for example: Reductive amination in: A Guide to Functional Group Preparations, 2nd Edition, Richard C. Larock. John Wiley & Sons, New York, NY. 1999. The resulting compound of formula IIC (R3 means alkyl or cycloalkyl) is a compound of the present invention and may be the desired product; alternatively it may be subjected to consecutive reactions.

d) Cleavage of the protecting group such as Boc and Fmoc, and the like from thiazole derivatives IIC to access free amines IID or various salts thereof, IIC is subjected to suitable reaction conditions like for example acidic cleavage for the cleavage of the Boc-protecting group. There is no particular restriction on the nature of the acid used in this stage, and any acid commonly used in this type of reaction may equally be employed here. Examples of such acids include: HCl, TFA, and the like in a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dioxane, water, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield thiazole derivatives IID. For conditions described in literature affecting the cleavage of a protecting group see for example: Protecting Groups, Kocienski, P. Thieme Verlag New York 1994.

e) Sulfonamides, amides, carbamates and ureas can be prepared from suitable starting materials according to methods known in the art. The conversion of the amino-moiety in IID to access sulfonamides, amides, carbamates and ureas can be affected by methods described in literature. For example the conversion of the amine derivatives IID or their respective salts to access compounds of the general formula IIE is affected by reaction of IID with suitable acid chlorides, sulfonyl chlorides, isocyanates, chloroformates, or carbonate esters (compounds known or compound prepared by known methods) respectively in a solvent like dichloromethane and in the presence or

the absence of a base. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: chloroform, dioxane, THF, and the like. There is no particular restriction on the nature of the base used in this stage, and any base commonly used in this type of reaction may equally be employed here. Examples of such bases include triethylamine and diisopropylethylamine, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield thiazole derivatives IIE. For reaction conditions described in literature affecting such reactions see for example: Comprehensive Organic Transformations: A Guide to Functional Group Preparations, 2nd Edition, Richard C. Larock. John Wiley & Sons, New York, NY. 1999.

Scheme 2



Compounds of general formula IIID can be prepared according to scheme 3 as follows:

a) Aminothiazoles can be prepared from suitable starting materials according to methods known in the art. The conversion of a thiourea-moiety like in derivatives of the general formula ID can be affected by methods described in literature. For example thiourea derivatives of he general formula ID are reacted with a-bromo-diketones of the general formula IIIA (compounds known or compounds prepared by known methods) in a solvent such as methanol, or the like, in the presence or the absence of a base, such as triethylamine, or the like. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, dioxane, ethanol, THF, and the like. There is no particular restriction on the nature of the base used in this stage,

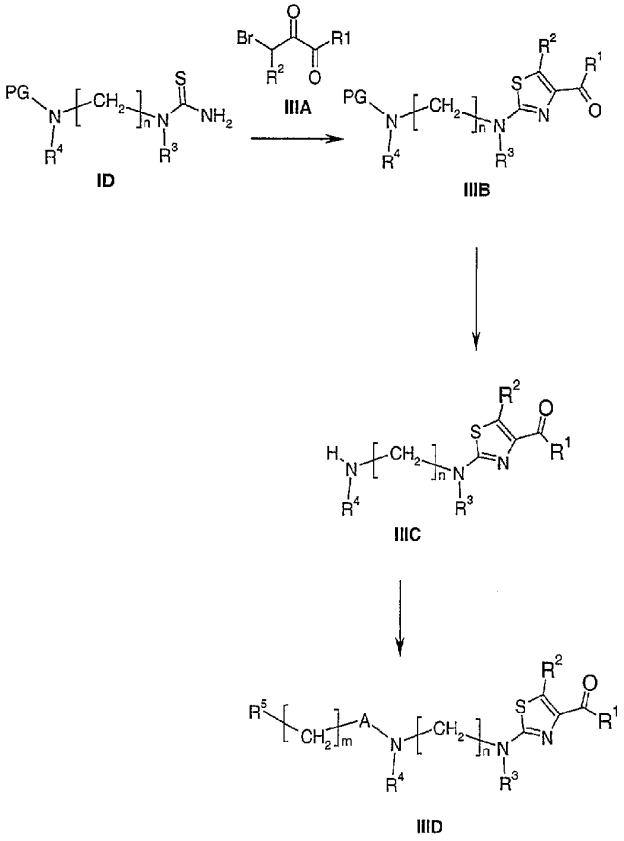
and any base commonly used in this type of reaction may equally be employed here. Examples of such bases include triethylamine and diisopropylethylamine, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield thiazole derivatives IIIB. For reaction conditions described in literature affecting such reactions see for example: J. Heterocycl. Chem., 16(7), 1377-83; 1979.

b) Cleavage of the protecting group such as Boc and Fmoc, and the like from thiazole derivatives IIIB to access free amines IIIC or various salts thereof, IIIB is subjected to suitable reaction conditions like for example acidic cleavage for the cleavage of the Boc-protecting group. There is no particular restriction on the nature of the acid used in this stage, and any acid commonly used in this type of reaction may equally be employed here. Examples of such acids include: HCl, TFA, and the like in a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dioxane, water, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield thiazole derivatives IIIC. For conditions described in literature affecting the cleavage of a protecting group see for example: Protecting Groups, Kocienski, P. Thieme Verlag New York 1994.

c) Sulfonamides, amides, carbamates and ureas can be prepared from suitable starting materials according to methods known in the art. The conversion of the amino-moiety in IIIC to access sulfonamides, amides, carbamates and ureas can be affected by methods described in literature. For example the conversion of the amine derivatives IIIC or their respective salts to access compounds of the general formula IIID is affected by reaction of IIIC with suitable acid chlorides, sulfonyl chlorides, isocyanates, chloroformates, or carbonate esters (compounds known or compound prepared by known methods) respectively in a solvent, such as dioxane and methanol, and such like, and in the presence or the absence of a base, such as triethylamine, or the like. There is no particular restriction on the nature of the solvent to be employed, provided

that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples for suitable solvents include: dichloromethane, chloroform, dioxane, THF, and the like. There is no particular restriction on the nature of the base used in this stage, and any base commonly used in this type of reaction may equally be employed here. Examples of such bases include triethylamine and diisopropylethylamine, and the like. The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. We find it convenient to carry out the reaction with heating from ambient temperature to reflux. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents. However, a period of from 0.5 h to several days will usually suffice to yield thiazole derivatives IIID. For reaction conditions described in literature affecting such reactions see for example: Comprehensive Organic Transformations: A Guide to Functional Group Preparations, 2nd Edition, Richard C. Larock. John Wiley & Sons, New York, NY. 1999.

Scheme 3



The conversion of a compound of formula I into a pharmaceutically acceptable salt can be carried out by treatment of such a compound with an inorganic acid, for example a hydrohalic acid, such as, for example, hydrochloric acid or hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid etc., or with an organic acid, such as, for example, acetic acid, citric acid, maleic acid, fumaric acid, tartaric acid, methanesulfonic acid or p-toluenesulfonic acid.

The conversion of compounds of formula I into pharmaceutically usable esters or amides can be carried out e.g. by treatment of suited amino or hydroxyl groups present in the molecules with an carboxylic acid such as acetic acid, with a condensating reagent such

as benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate (BOP) or N,N-dicylohexylcarbodiimide (DCC) to produce the carboxylic ester or carboxylic amide.

Preferred intermediates are:

Example H

[2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone; hydrochloride

Example I

[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride

Example J

[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride

Example K

[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-fluoro-phenyl)-methanone; hydrochloride

Example L

[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride

Example M

[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride

Example N

[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride

Example O

2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyridin-2-yl)-methanone; hydrochloride

Example P

[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-methyl-pyridin-3-yl)-methanone; hydrochloride

Example Q

[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyrazin-2-yl)-methanone; hydrochloride

Example R

[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-thiophen-2-yl)-methanone; hydrochloride

Example S

[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride

Example T

[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride

Example U

[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-4-yl-methanone; hydrochloride

Example V

[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride

Example Y

{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester

Example Z

[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride

Example AC

{5-[4-Methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-carbamic acid tert-butyl ester

Example AD

[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride.

Also an aspect of the invention are compounds described above for the production of medicaments for the prophylaxis and therapy of illnesses which are caused by disorders associated with the NPY receptor, particularly for the production of medicaments for the prophylaxis and therapy of arthritis, cardiovascular diseases, diabetes, renal failure and particularly eating disorders and obesity.

Likewise another aspect of the invention are pharmaceutical compositions containing a compound of formula I described above and a therapeutically inert carrier.

Another aspect of the invention is the use of the compounds described above for the production of medicaments, particularly for the treatment and prophylaxis of arthritis, cardiovascular diseases, diabetes, renal failure and particularly eating disorders and obesity.

A preferred aspect of the invention is the use of compounds as described before for the production of medicaments for the treatment of obesity.

A further aspect of the invention comprises compounds which are manufactured according to one of the described processes.

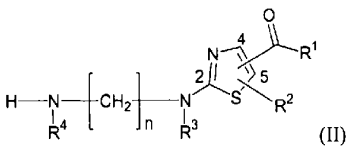
A further aspect of the invention is a method for the treatment and prophylaxis of arthritis, cardiovascular diseases, diabetes, renal failure and particularly eating disorders and obesity whereby an effective amount of a compound described above is administered.

Particularly preferred is a method for the treatment of obesity whereby an effective amount of a compound as mentioned above is administered.

According to a further aspect of the invention there is provided a method of treatment of obesity in a human in need of such treatment which comprises administration to the human a therapeutically effective amount of a compound according to formula I and a therapeutically effective amount of a lipase inhibitor, particularly preferred, wherein the lipase inhibitor is orlistat. Also subject of the present invention is the mentioned method, wherein the administration is simultaneous, separate or sequential.

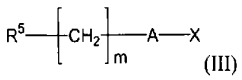
A further preferred embodiment of the present invention is the use of a compound of the formula I in the manufacture of a medicament for the treatment and prevention of obesity in a patient who is also receiving treatment with a lipase inhibitor, particularly preferred, wherein the lipase inhibitor is orlistat.

A preferred process for the preparation of a compound of formula I comprises the reaction of a compound of formula (II)



(II)

in the presence of a compound of formula (III)



(III)

wherein R^1 to R^5, A, m and n are defined as before and, wherein X means e.g. chloro or bromo.

The compounds of formula I described above for use as therapeutically active substances are a further aspect of the invention.

Also an aspect of the invention are the use of compounds described above for the production of medicaments for the prophylaxis and therapy of illnesses which are caused by disorders associated with the NPY receptor, particularly for the production of medicaments for the prophylaxis and therapy of arthritis, cardiovascular diseases, diabetes, renal failure and particularly eating disorders and obesity.

Likewise an aspect of the invention is a pharmaceutical composition comprising a compound of formula I described above and a therapeutically inert carrier. Preferred is this composition comprising further a therapeutically effective amount of a lipase inhibitor. Particularly preferred is the above composition, wherein the lipase inhibitor is orlistat.

Assay Procedures

Cloning of mouse NPY5 receptor cDNAs:

The full-length cDNA encoding the mouse NPY5 (mNPY5) receptor was amplified from mouse brain cDNA using specific primers, designed based on the published sequence, and Pfu DNA-Polymerase. The amplification product was subcloned into the mammalian expression vector pcDNA3 using Eco RI and XhoI restriction sites. Positive clones were sequenced and one clone, encoding the published sequence was selected for generation of stable cell clones.

Stable transfection:

Human embryonic kidney 293 (HEK293) cells were transfected with10 μg mNPY5 DNA using the lipofectamine reagent (Gibco BRL) according to the manufacturer's

instruction. Two days after transfection, geneticin selection (1 mg/ml) was initiated and several stable clones were isolated. One clone was further used for pharmacological characterization.

Radioligand competition binding:

Human embryonic kidney 293 cells (HEK293), expressing recombinant mouse NPY5-receptor (mNPY5) were broken by three freeze/thawing cycles in hypotonic Tris buffer (5 mM, pH 7.4, 1 mM $MgCl_2$), homogenized and centrifuged at 72,000 x g for 15 min. The pellet was washed twice with 75 mM Tris buffer, pH 7.4, containing 25 mM $MgCl_2$ and 250 mM sucrose, 0.1 mM phenylmethylsulfonylfluoride and 0.1 mM 1,10-pheneanthrolin, resuspended in the same buffer and stored in aliquots at -80°C. Protein was determined according to the method of Lowry using bovine serum albumine (BSA) as a standard.

Radioligand competition binding assays were performed in 250 µl 25 mM Hepes buffer (pH 7.4, 2.5 mM $CaCl_2$, 1 mM $MgCl_2$, 1 % bovine serum albumine, and 0.01 % NaN_3 containing 5 µg protein, 100 pM [^{125}I]labelled peptide YY (PYY) and 10 µL DMSO containing increasing amounts of unlabelled test compounds. After incubation for 1 h at 22°C, bound and free ligand are separated by filtration over glass fibre filters. Non specific binding is assessed in the presence of 1 µM unlabelled PYY. Specific binding is defined as the difference between total binding and non specific binding. IC_{50} values are defined as the concentration of antagonist that displaces 50 % of the binding of [^{125}I]labelled neuropeptide Y. It is determined by linear regression analysis after logit/log transformation of the binding data.

Results obtained in the foregoing test using representative compounds of the invention as the test compounds are shown in the following table:

Compound	IC_{50}
Example No.1 2-Fluoro-N-{3-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	5.4nM
Example No. 140 2-Methoxy-5-methyl-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide	6nM

Compounds as described above have IC_{50} values below 1000 nM; more preferred compounds have IC_{50} values below 100 nM. Most preferred compounds have IC_{50} values below 10 nM. These results have been obtained by using the foregoing test.

The compounds of formula I and their pharmaceutically usable salts, solvates and esters can be used as medicaments (e.g. in the form of pharmaceutical preparations). The pharmaceutical preparations can be administered internally, such as orally (e.g. in the form of tablets, coated tablets, dragées, hard and soft gelatin capsules, solutions, emulsions or suspensions), nasally (e.g. in the form of nasal sprays) or rectally (e.g. in the form of suppositories). However, the administration can also be effected parentally, such as intramuscularly or intravenously (e.g. in the form of injection solutions).

The compounds of formula I and their pharmaceutically usable salts, solvates and esters can be processed with pharmaceutically inert, inorganic or organic adjuvants for the production of tablets, coated tablets, dragées and hard gelatin capsules. Lactose, corn starch or derivatives thereof, talc, stearic acid or its salts etc. can be used, for example, as such adjuvants for tablets, dragées and hard gelatin capsules.

Suitable adjuvants for soft gelatin capsules, are, for example, vegetable oils, waxes, fats, semi-solid substances and liquid polyols, etc.

Suitable adjuvants for the production of solutions and syrups are, for example, water, polyols, saccharose, invert sugar, glucose, etc.

Suitable adjuvants for injection solutions are, for example, water, alcohols, polyols, glycerol, vegetable oils, etc.

Suitable adjuvants for suppositories are, for example, natural or hardened oils, waxes, fats, semi-solid or liquid polyols, etc.

Moreover, the pharmaceutical preparations can contain preservatives, solubilizers, viscosity-increasing substances, stabilizers, wetting agents, emulsifiers, sweeteners, colorants, flavorants, salts for varying the osmotic pressure, buffers, masking agents or antioxidants. They can also contain still other therapeutically valuable substances.

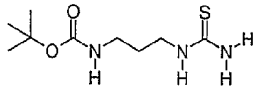
In accordance with the invention the compounds of formula I and their pharmaceutically usable salts, solvates and esters can be used for the prophylaxis and treatment of arthritis, cardiovascular diseases, diabetes, renal failure and particularly eating disorders and obesity. The dosage can vary in wide limits and will, of course, be fitted to the individual requirements in each particular case. In general, in the case of oral administration a daily dosage of about 0.1 mg to 20 mg per kg body weight, preferably about 0.5 mg to 4 mg per kg body weight (e.g. about 300 mg per person), divided into preferably 1-3 individual doses, which can consist, for example, of the same amounts, should be appropriate. It will, however, be clear that the upper limit given above can be exceeded when this is shown to be indicated.

The invention is illustrated hereinafter by the examples, which have no limiting character.

Examples

Example A

(3-Thioureido-propyl)-carbamic acid tert-butyl ester



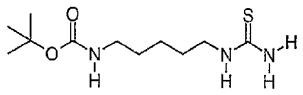
A solution of 2 g (11.47 mmol) (3-Amino-propyl)-carbamic acid tert-butyl ester in 20 ml THF was treated with 1.62 ml (11.47 mmol) Benzoyl isothiocyanate and stirred for 1 h at room temperature. After removal of the volatiles the residue was suspended in 50 ml methanol and 4.8 g (34.4 mmol) K_2CO_3 in 50 ml water was added. The mixture was stirred at room temperature for 16 h, concentrated, and extracted with ethyl acetate. The combined organic layers were washed with $NaHCO_3$ sat., brine, dried with $MgSO_4$ and evaporated under reduced pressure. The residue was purified by flash column chromatography on silica eluting with ethyl acetate/ heptane. The combined product fractions were evaporated under reduced pressure to yield 1.48 g (74%) of the title compound.

1-H-NMR (300 MHz, DMSO-d6) δ= 7.57 (s, br, 2H, NH_2), 6.93 (s, br, 1H, NH), 6.80 (s, br, 1H, NH), 3.33 (m, 2H, CH_2), 2.93 (m, 2H, CH_2), 1.54 (m, 2H, CH_2), 1.37 (s, 9H, CH_3).

MS (m/e): 234.3 (MH^+, 100%)

Example B

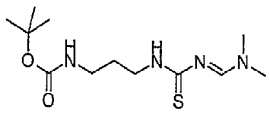
(5-Thioureido-pentyl)-carbamic acid tert-butyl ester



The title compound was synthesised from (5-Amino-pentyl)-carbamic acid tert-butyl ester according to the procedure described for Example A (MS (m/e): 262.4 (MH^+, 100%).

Example C

[3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester



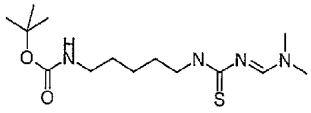
A mixture of 1.48 g (6.35 mmol) (3-Thioureido-propyl)-carbamic acid tert-butyl ester and 15 ml Dimethylformamide dimethyl acetal was heated to 100°C for 16 h. The mixture was concentrated and the residue was purified by flash column chromatography on silica eluting with ethyl acetate/n-hexane 1/1 to yield 1.65 g (90%) of the title compound.

1-H-NMR (300 MHz, DMSO-d6) δ= 8.68 (s, 1H, CH), 8.63 (s, br, 1H, NH), 6.77 (s, br, 1H, NH), 3.44 (m, 2H, CH_2), 3.11 (s, 3H, CH_3), 2.97 (s, 3H, CH_3), 2.87 (m, 2H, CH_2), 1.57 (t, J = 5.1Hz, 2H, CH_2), 1.37 (s, 9H, CH_3).

MS (m/e): 289.3 (MH^+, 100%)

Example D

[5-(3-Dimethylaminomethylene-thioureido)-pentyl]-carbamic acid tert-butyl ester



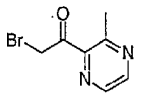
The title compound was synthesised from (5-Thioureido-pentyl)-carbamic acid tert-butyl ester and Dimethylformamide dimethyl acetal according to the procedure described for Example C in 54% yield.

1-H-NMR (300 MHz, DMSO-d6) δ= 8.68 (s, 1H, CH), 8.66 (s, br, 1H, NH), 6.75 (s, br, 1H, NH), 3.45 (m, 2H, CH_2), 3.11 (s, 3H, CH_3), 2.97 (s, 3H, CH_3), 2.90 (m, 2H, CH_2), 1.50 (m, 2H, CH_2), 1.20 (m, 2H, CH_2), 1.36 (s, 9H, CH_3).

MS (m/e): 317.4 (MH^+, 100%)

Example E

2-Bromo-1-(3-methyl-pyrazin-2-yl)-ethanone dihydrobromide



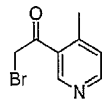
A solution of 5.4 g (40 mmol) 1-Pyrazin-2yl-ethanone in 21 ml HBr (33%) and 7 ml methanol was treated with 2.05 ml (40 mmol) bromine and heated to 60°C for 7 h. The precipitate was filtered off, washed with ethyl acetate / diethyl ether 1/1 and dried to obtain 8.3 g (55%) of the title compound as grey solid.

1-H-NMR (400 MHz, DMSO-d6) δ= 8.78 (d, J = 2 Hz, 1H, H-5), 8.66 ((d, J = 2 Hz, 1H, H-6), 5.01 (s, 2H, CH_2), 2.75 (s, 3H, CH_3).

MS (m/e): 215.0 (M+H, 100%).

Example F

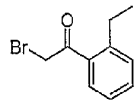
2-Bromo-1-(4-methyl-pyridin-3-yl)-ethanone hydrobromide



The title compound was synthesised according to Example E 1-(4-methyl-pyridin-3-yl)-ethanone and HBr / bromine in 85% yield as grey solid. MS (m/e): 214.0 (M+H, 100%).

Example G

2-Bromo-1-(2-ethyl-phenyl)-ethanone

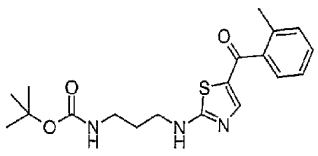


To a solution of 15.2 g (88 mmol) dibromethane in 120 ml THF at –75°C was added 44 ml (88 mmol) of a 2M solution of LDA in THF and subsequently 6.57 g (40 mmol) ethyl-benzoic acid methyl ester in 80 ml THF. 37.5 ml of a 1.6 M n-butyl lithium solution in n-hexane was added and after 30 min the mixture was treated carefully below –65°C with 35 ml HCl (37%). The mixture was washed with water and $NaHCO_3$ aq. and the organic phase was dried with MgSO4, filtered and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica eluting with ethyl acetate /

hexane 1:9 twice to afford 3.8 g (41%) of the title compound as yellow oil. MS (m/e): 227.1 (M+H, 100%).

Example 25

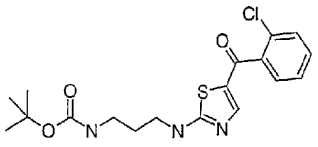
{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester



A mixture of 613 mg (2.9 mmol) 2-methyl phenacylbromide (literature: WO9907666), 691 mg (2.4 mmol) [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 1 ml (7.2 mmol) NEt_3 in 20 ml ethanol was heated to 100°C for 16 h. The mixture was concentrated and purified by flash column chromatography on silica eluting with ethyl acetate/n-hexane 1/1. The combined product fractions were evaporated and 693 mg (77%%) of the title compound (MS (m/e): 375.9 (MH^+, 100%)) were obtained.

Example 26

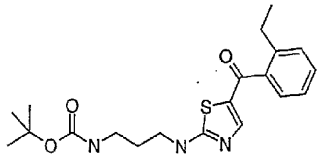
{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-chloro phenacylbromide (commercially available) according to the procedure described for Example 25. MS (m/e): 395.8 (MH^+, 100%).

Example 27

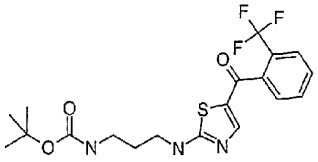
{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-ethyl phenacylbromide according to the procedure described for Example 25. MS (m/e): 389.9 (MH^+, 100%).

Example 28

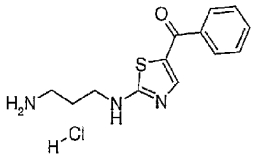
{3-[5-(2-Trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-trifluoromethyl phenacylbromide (literature: EP 432040) according to the procedure described for Example 25. MS (m/e): 429.9 (MH^+, 100%).

Example H

[2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone; hydrochloride



A mixture of 0.5 g (1.73 mmol) [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester, 0.448 g (2.25 mmol) phenacyl bromide (commercially available) and 0.723 ml (5.2 mmol) NEt_3 in 20 ml EtOH was heated to 100°C for 16 h. After cooling to room temperature 3 ml of a 4N HCl solution in dioxane was added and

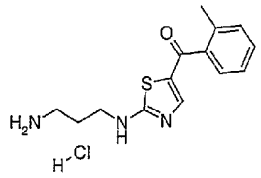
the mixture was stirred for 2 h at 60°C. The mixture was concentrated, the precipitate was filtered off, washed with diethyl ether and dried to yield 0.505 g (81%) of the title compound.

1-H-NMR (300 MHz, DMSO-d6) δ= 9.13 (s, br, 1H, NH), 8.04 (s, br, 2H, NH_2), 7.60 (m, 6H, Ph/thiazole), 3.43 (m, 2H, CH_2), 2.85 (m, 2H, CH_2), 1.85 (m, 2H, CH_2).

MS (m/e): 262.2 (MH^+, 100%)

Example I

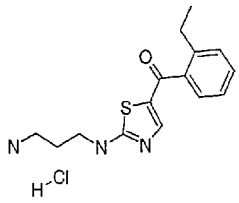
[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-methyl phenacyl bromide (literature: WO9907666) according to the procedure described for Example H. MS (m/e): 276.3 (MH^+, 100%).

Example J

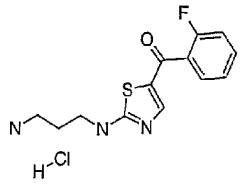
[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-ethyl phenacyl bromide according to the procedure described for Example H. MS (m/e): 290.3 (MH^+, 100%).

Example K

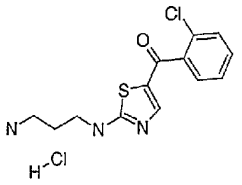
[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-fluoro-phenyl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester 2-Fluoro-phenacyl bromide (commercially available) according to the procedure described for Example H. MS (m/e): 280.3 (MH^+, 100%).

Example L

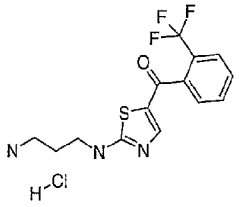
[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester 2-Chloro-phenacyl bromide (commercially available) according to the procedure described for Example H. MS (m/e): 296.4 (MH^+, 100%).

Example M

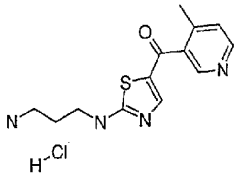
[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester 2-Trifluoromethyl-phenacyl bromide (literature: EP432040) according to the procedure described for Example H. MS (m/e): 330.4 (MH^+, 100%).

Example N

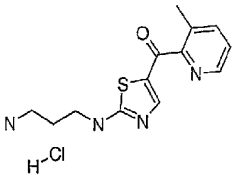
[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-Bromo-1-(4-methyl-pyridin-3-yl)-ethanone according to the procedure described for Example H. MS (m/e): 277.3 (MH^+, 100%).

Example O

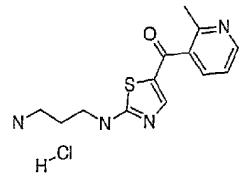
2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyridin-2-yl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-Bromo-1-(3-methyl-pyridin-2-yl)-ethanone (literature: WO9935130) according to the procedure described for Example H. MS (m/e): 277.3 (MH^+, 100%).

Example P

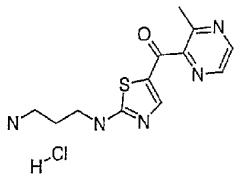
[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-methyl-pyridin-3-yl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-Bromo-1-(2-methyl-pyridin-3-yl)-ethanone (Literature: J. Heterocycl. Chem. 1978, 15, 217) according to the procedure described for Example H. MS (m/e): 277.3 (MH^+, 100%).

Example Q

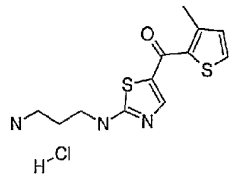
[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyrazin-2-yl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-Bromo-1-(3-methyl-pyrazin-2-yl)-ethanone according to the procedure described for Example H. MS (m/e): 278.3 (MH^+, 100%).

Example R

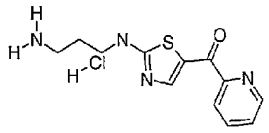
[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-thiophen-2-yl)-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-Bromo-1-(3-methyl-thiophen-2-yl)-ethanone (Literature: EP432040) according to the procedure described for Example H. MS (m/e): 282.2 (MH^+, 100%).

Example S

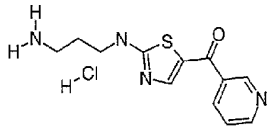
[2-(3-Amino-propylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-(bromoacetyl)pyridine hydrobromide (commercially available) according to the procedure described for Example H. MS (m/e): 263.2 (MH^+, 100%).

Example Z

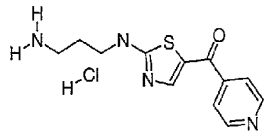
[2-(3-Amino-propylamino)-thiazol-5-yl]-pyridin-3-yl-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 3-(bromoacetyl)pyridine hydrobromide (commercially available) according to the procedure described for Example H. MS (m/e): 263.2 (MH^+, 100%).

Example U

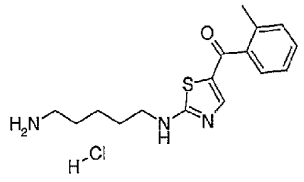
[2-(3-Amino-propylamino)-thiazol-5-yl]-pyridin-4-yl-methanone; hydrochloride



The title compound was synthesised from [3-(3-Dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-bromo-1-(4-pyridinyl)-1-ethanone hydrobromide (commercially available) according to the procedure described for Example H. MS (m/e): 263.2 (MH^+, 100%).

Example V

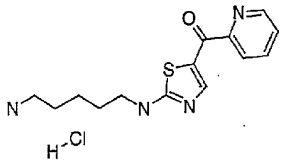
[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride



A mixture of 396 mg (1.25 mmol) [5-(3-Dimethylaminomethylene-thioureido)-pentyl]-carbamic acid tert-butyl ester, 388 mg (1.82 mmol) 2-methyl phenacylbromide (Literature: WO9907666) and 0.7 ml NEt_3 in 8 ml ethanol was heated to 100°C for 16h. After evaporation to dryness the residue was taken up in 6 ml dioxane and treated with 3ml of a 4N HCl in dioxane and stirred for 16 h at room temperature. After concentration the residue taken up in diethyl ether, the precipitate was filtered of and dried to yield 320 mg (75%) of the title compound. MS (m/e): 304.5 (MH^+, 100%)

Example W

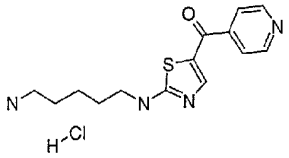
[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride



The title compound was synthesised from [5-(3-Dimethylaminomethylene-thioureido)-pentyl]-carbamic acid tert-butyl ester and 2-Bromo-1-pyridin-2-yl-ethanone (commercially available) according to the procedure described for Example V. MS (m/e): 291.4 (MH^+, 100%).

Example X

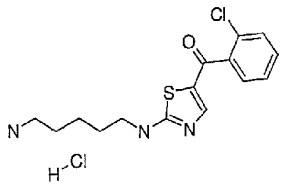
[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-4-yl-methanone; hydrochloride



The title compound was synthesised from [5-(3-Dimethylaminomethylene-thioureido)-pentyl]-carbamic acid tert-butyl ester and 2-Bromo-1-pyridin-4-yl-ethanone (commercially available) according to the procedure described for Example V. MS (m/e): 291.3 (MH^+, 100%).

Example Y

[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride

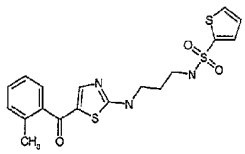


The title compound was synthesised from [5-(3-Dimethylaminomethylene-thioureido)-pentyl]-carbamic acid tert-butyl ester and 2-Bromo-1-(2-chloro-phenyl)-ethanone

(commercially available) according to the procedure described for Example V. MS (m/e): 324.2 (MH^+, 100%).

Example 7

Thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide



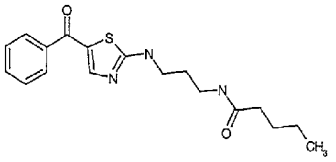
A mixture of 31.1 mg (0.1 mmol) [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone hydrochloride in 1 ml methanol, 18.2 mg (0.1 mmol) Thiophene-2-sulfonyl chloride in 1 ml DCM and 0.15 ml NEt_3 was stirred for 16 h at 50°C. After evaporation to dryness the residue was taken up in 1.5 ml MeOH/formic acid 1/1 and subjected to preparative HPLC separation on reversed phase eluting with an acetonitrile / water gradient. Evaporation of product fractions yielded 11.4 mg (27%) of the title compound.

MS (m/e): 386.3 ((M-H), 100%).

According to the procedure described for the synthesis of Example 7 further sulfonamides have been synthesised from [2-(3-Amino-propylamino)-thiazolyl- or [2-(5-Amino-pentylamino)-thiazolyl derivatives and sulfonyl chlorides. The results are shown in table 1 and comprise Example 1 to Example 24 , Example 119 to Example 123 and Example 142 to Example 171, and Examples 180 to Example 233.

Example 31

Pentanoic acid [3-(5-benzoyl-thiazol-2-ylamino)-propyl]-amide



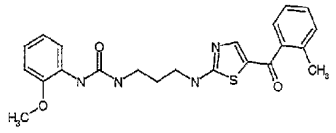
A mixture of 11.9 mg (0.04 mmol) [2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone hydrochloride, 5.8 mg (0.048 mmol) pentanoyl chloride and 39 ul (0.28 mmol) NEt_3 in 1 ml methanol and 0.5 ml DCM was stirred at room temperature for 16 h. After evaporation to dryness the residue was taken up in 1.5 ml MeOH/formic acid 1/1 and subjected to preparative HPLC separation on reversed phase eluting with an acetonitrile / water gradient. Evaporation of product fractions yielded 6 mg (43%) of the title compound.

MS (m/e): 345.5 (MH^+, 100%).

According to the procedure described for the synthesis of Example 31 further amides have been synthesised from [2-(3-Amino-propylamino)-thiazolyl derivatives and acid chlorides. The results are shown in table 1 and comprise Example 29 to Example 88.

Example 98

1-(2-Methoxy-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea



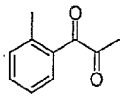
A mixture of 12.5 mg (0.04 mmol) [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone hydrochloride, 7.6 mg (0.05 mmol) 2-Methoxyphenyl isocyanate and 39 ul NEt_3 in 1 ml methanol was stirred for 16 h at roomtemperature. After evaporation to dryness the residue was taken up in 1.5 ml MeOH/formic acid 1/1 and subjected to preparative HPLC separation on reversed phase eluting with an acetonitrile / water gradient. Evaporation of product fractions yielded 7.9 mg (47%) of the title compound.

MS (m/e): 424.3 (M^+, 100%)

According to the procedure described for the synthesis of Example 98 further ureas have been synthesised from [2-(3-Amino-propylamino)-thiazolyl derivatives and isocyanates. The results are shown in table 1 and comprise Example 89 to Example 118.

Example Z

1-o-Tolyl-propane-1,2-dione



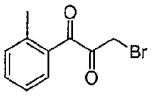
A mixture of 7 g (47.23 mmol) 1-o-Tolyl-propan-2-one, 30.5 g (0.141 mol) pyridinium chlorochromate and 11.2 g (0.141 mol) pyridine in 200 ml DCM was heated to reflux for 16 h. The mixture was filtered through a pad of silica and the filtrate was evaporated under reduced pressure. The residue was purified by flash column chromatography on silica eluting with ethyl acetate/n-hexane 1:4. The product fractions were evaporated to yield 1.178 g (15%) of the title compound.

1-H-NMR (300 MHz, DMSO-d6) δ= 7.64 d, J = 6 Hz, 1H, phenyl), 7.52 (d, J = 6 Hz, 1H, phenyl), 7.38 (d, J = 6 Hz, 2H, phenyl), 2.52 (s, 3H, CH_3), 2.49 (s, 3H, CH_3).

MS (m/e): 162 (M^+, 100%)

Example AA

3-Bromo-1-o-tolyl-propane-1,2-dione



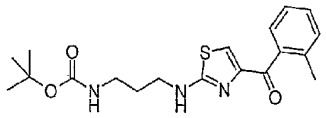
A mixture of 3 g (18.49 mmol) 1-o-Tolyl-propane-1,2-dione and 1.05 ml (20.34 mmol) bromine in 30 ml $CHCl_3$ and 0.53 ml acetic acid was heated to 70°C for 16 h. The mixture was evaporated under reduced pressure to yield 4.35 g (98%) of the title compound.

1-H-NMR (300 MHz, DMSO-d6) δ= 7.60 (m, 4H, phenyl), 2.52 (s, 2H, CH_2), 2.51 (s, 3H, CH_3).

MS (m/e): 234.3 (MH^+, 100%)

Example AB

{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester



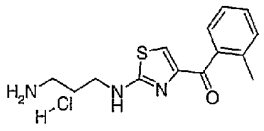
A mixture of 2.55 g (10.6 mmol) 3-Bromo-1-o-tolyl-propane-1,2-dione, 1.9 g (8.1 mmol) (3-thioureido-propyl)-carbamic acid tert-butyl ester and 5.66 ml (40.6 mmol) NEt_3 in 100 ml methanol was heated to 80°C for 2 h. The reaction mixture was evaporated under reduced pressure and the residue was purifier by flash column chromatography on silica eluting with a gradient of heptane and ethyl acetate. Evaporation of the product fractions yielded 2.17 g (71%) of the title compound as dark red oil.

1-H-NMR (300 MHz, DMSO-d6) δ= 7.82 (s, br, 1H, NH), 7.38-7.27 (m, 5H, phenyl / thiazole), 6.84 (s, br, 1H, NH), 3.19 (m, 2H, CH_2), 2.97 (m, 2H, CH_2), 2.25 (s, 3H, CH_3), 1.65 (m, 2H, CH_2), 1.37 (s, 9H, CH_3).

MS (m/e): 376.5 (MH^+, 100%)

Example AC

[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride



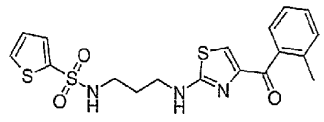
A mixture of 2.17 g (5.8 mmol) {3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester and 30 ml 4N HCl in dioxane and 20 ml ethanol was stirred at room temperature for 16 h. The mixture was concentrated to yield 1.8 g (quant.) of the title compound.

1-H-NMR (300 MHz, DMSO-d6) δ= 8.23 (s, br, 2H, NH_2), 7.45-7.35 (m, 5H, phenyl / thiazole), 6.0 (s, br, 1H, NH), 3.39 (m, 2H, CH_2), 2.86 (m, 2H, CH_2), 2.29 (s, 3H, CH_3), 1.91 (t, J = 6 Hz, 2H, CH_2).

MS (m/e): 276.3 (MH^+, 100%)

Example 138

Thiophene-2-sulfonic acid {3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide



A solution of 15.6 mg (0.5 mmol) [2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone hydrochloride in 1 ml methanol was treated with 11.9 mg (0.65 mmol) thiophene-2-sulfonyl chloride in 0.13 ml dioxane and 34.7 ul NEt_3. The mixture was stirred at 60°C for 16 h and after addition of 0.5 ml formic acid subjected to preparative HPLC separation on reversed phase eluting with an acetonitrile / water gradient. Evaporation of the product fractions yielded 6.2 mg (29%) of the title compound.

MS (m/e): 422.3 (MH^+, 100%)

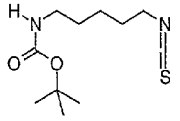
According to the procedure described for the synthesis of Example 138 further sulfonamides have been synthesised from thiazole derivatives and sulfonylchlorides. The results are shown in table 1 and comprise Example 132 to Example 141.

According to the procedure described for the synthesis of Example 138 amides have been synthesised with the temperature adjustment to room temperature from thiazole derivatives and acid chlorides. The results are shown in table 1 and comprise Example 126 to Example 129.

According to the procedure described for the synthesis of Example 138 ureas have been synthesised with the temperature adjustment to room temperature from thiazole derivatives and isocyanates. The results are shown in table 1 and comprise Example 130 and Example 131.

Example AD

(5-Isothiocyanato-pentyl)-carbamic acid tert-butyl ester



To a solution of 2 g (9.9 mmol) (5-Amino-pentyl)-carbamic acid tert-butyl ester in 40 ml THF at 0°C was added 896 µl (14.83 mmol) CS_2 and allowed to srirr at room temperature for 14 h. 623 mg (14.83 mmol) cyanamide and 4 drops NEt_3 was added and the mixture was heated to 4°C for 3 h. The mixture was extracted with diethyl ether and the combined organic layers were dried with $MgSO_4$. After filtration and removal of the volatiles the residue was purified by flash column chromatography on silica eluting with ethyl acetate /

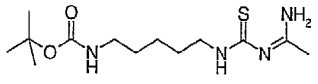
cyclohexane 1:1. The evaporation of the product fractions yielded 2.24 g (93%) of the title compound.

1-H-NMR (250 MHz, $CDCl_3$) δ= 4.58 (s, br, 1H, NH), 3.52 (t, J = 6.5Hz, 2H, NCH2), 3.13 (dd, J_1 = 6.5 Hz, J_2 = 4 Hz, 2H, $NHC\underline{H_2}$), 1.74 (m, 2H, CH_2), 1.50 (m, 4H, CH_2), 1.44 (s, 9H, CH_3).

MS (m/e): 262.3 ($M+NH_4$, 100%)

Example AE

{5-[3-(1-Amino-ethylidene)-thioureido]-pentyl}-carbamic acid tert-butyl ester

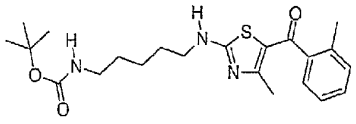


A solution of 245 mg (1 mmol) (5-Isothiocyanato-pentyl)-carbamic acid tert-butyl ester in 1 ml 1N NaOH at 0°C was treated with 94.5 mg (1 mmol) acetidine hydrochloride in 2 ml THF and allowed to stirr for 5 h at 0°C. The mixture was extracted three times with 15 ml diethyl ether, the combined organic layers were dried with $MgSO_4$ and after filtration evaporated under reduced pressure to yield 297 mg (98%) of the title compound.

MS (m/e): 303.4 (M+H, 100%)

Example AF

{5-[4-Methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-carbamic acid tert-butyl ester

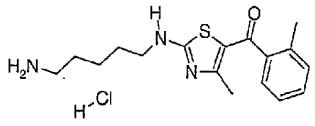


To a solution of 290 mg (0.96 mmol) {5-[3-(1-Amino-ethylidene)-thioureido]-pentyl}-carbamic acid tert-butyl ester in 5 ml ethanol was added 213 mg (1 mmol) o-Methylphenacyl bromide and 139 µl NEt3 and allowed to strirr for 5 h at room temperature. Afterwards the mixture was directly applied to preparative HPLC on reversed phase eluting with an acetonitrile / water gradient. The evaporation of the product fractions yielded 180 mg (45%) of the title compound.

MS (m/e): 418.3 (M+H, 100%)

Example AG

[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride



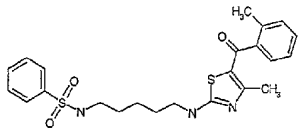
A solution of 170 mg (0.4 mmol) {5-[4-Methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-carbamic acid tert-butyl ester in 2 ml dioxane was treated with 1 ml 4N HCl in dioxane and allowed to react for 5 h at room temperature. The mixture was evaporated under reduced pressure to afford 143 mg (99%) of the title compound.

1-H-NMR (300 MHz, $CDCl_3$) δ= 8.72 (s, br, 1H, NH), 7.75 (m, 2H, H-3 / H-6), 7.30 (m, 2H, H-4 / H-5), 4.80 (s, br, 2H, NH_2), 3.68 (t, J = 6.4 Hz, 1H, NCH_2), 3.23 (m, 1H, NCH_2), 2.74 (m, 2H, NCH_2), 2.21 (s, 3H, CH_3), 1.91 (s, 3H, CH_3), 1.54 (m, 4H, CH_2), 1.37 (m, 2H, CH_2).

MS (m/e): 318.4 (M+H, 100%)

Example 172

N-{5-[4-Methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide

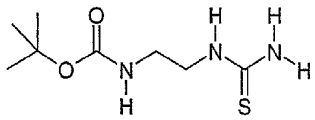


A solution of 18 mg (0.05 mmol) [2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride in 1 ml ethanol was treated with 10.6 mg (0.06 mmol) benzenesulfonylchloride and 21 ml NEt_3. The mixture was allowed to stirr for 15 h at room temperature and afterwards subjected to preparative HPLC seperation on reversed phase eluting with an acetonitrile / water gradient. Evaporation of the product fractions yielded 12.6 mg (55%) of the title compound.

MS (m/e): 458.3 (M+H, 100%)

AH

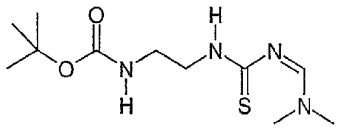
(2-Thioureido-ethyl)-carbamic acid tert-butyl ester



The title compound was synthesised from (2-Amino-ethyl)-carbamic acid tert-butyl ester. The compound is described in literature: WO0121623A1

Example AI

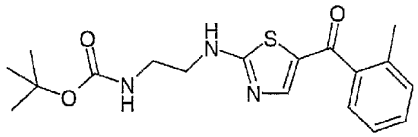
[2-(3-Dimethylaminomethylene-thioureido)-ethyl]-carbamic acid tert-butyl ester



The title compound was synthesised from (2-Thioureido-ethyl)-carbamic acid tert-butyl esterand Dimethylformamide dimethyl acetal according to the procedure described for Example C in 51% yield. MS (m/e): 275.4 (MH^+, 100%)

Example AJ

{2-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-ethyl}-carbamic acid tert-butyl ester

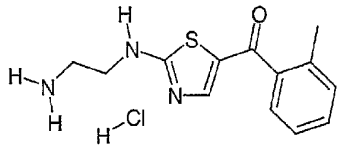


The title compound was synthesised from [2-(3-Dimethylaminomethylene-thioureido)-ethyl]-carbamic acid tert-butyl ester and 2-methyl phenacylbromide (Literature:

WO9907666) according to the procedure described for Example 25 in 75% yield. MS (m/e): 362.1 (MH^+, 100%).

Example AK

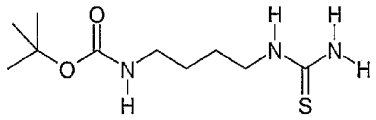
[2-(2-Amino-ethylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride



The title compound was synthesised from {2-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-ethyl}-carbamic acid tert-butyl ester according to the procedure described for Example AC in quantitative yield. MS (m/e): 261.7 (MH+, 100%).

Example AL

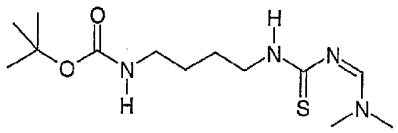
(4-Thioureido-butyl)-carbamic acid tert-butyl ester



The title compound was synthesised from (4-Amino-butyl)-carbamic acid tert-butyl ester. The compound is described in literature: WO0102379A1

Example AM

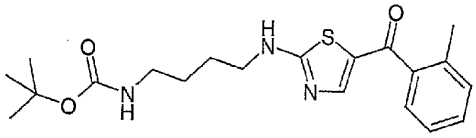
[4-(3-Dimethylaminomethylene-thioureido)-butyl]-carbamic acid tert-butyl ester



The title compound was synthesised from (4-Thioureido-butyl)-carbamic acid tert-butyl ester and Dimethylformamide dimethyl acetal according to the procedure described for Example C in 76% yield. MS (m/e): 303.3 (MH^+, 100%)

Example AN

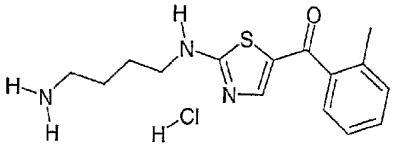
{4-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-butyl}-carbamic acid tert-butyl ester



The title compound was synthesised from [4-(3-Dimethylaminomethylene-thioureido)-butyl]-carbamic acid tert-butyl ester and 2-methyl phenacylbromide (Literature: WO9907666) according to the procedure described for Example 25 in 69% yield. MS (m/e): 390.2 (MH+, 100%).

Example AO

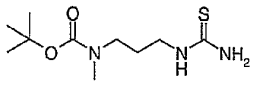
[2-(4-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride



The title compound was synthesised from {4-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-butyl}-carbamic acid tert-butyl ester according to the procedure described for Example AC in quantitative yield. MS (m/e): 289.7 (MH+, 100%).

Example AP

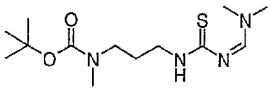
Methyl-(4-thioureido-propyl)-carbamic acid tert-butyl ester



The title compound was synthesised from (3-Amino-propyl)-methyl-carbamic acid tert-butyl ester according to the procedure described for Example A (MS (m/e): 234.3 (MH^+, 100%).

Example AQ

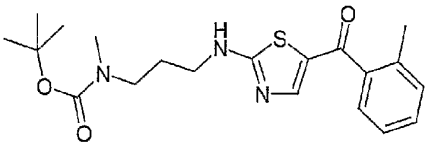
[4-(3-Dimethylaminomethylene-thioureido)-propyl]-methyl-carbamic acid tert-butyl ester



The title compound was synthesised from Methyl-(4-thioureido-butyl)-carbamic acid tert-butyl ester and Dimethylformamide dimethyl acetal according to the procedure described for Example C in 39% yield. MS (m/e): 328.9 (MH^+, 100%)

Example AR

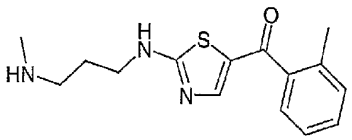
Methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester



The title compound was synthesised from [4-(3-Dimethylaminomethylene-thioureido)-butyl]-methyl-carbamic acid tert-butyl ester and 2-methyl phenacylbromide (Literature: WO9907666) according to the procedure described for Example 25 in 78% yield. MS (m/e): 390.3 (MH+, 100%).

Example AS

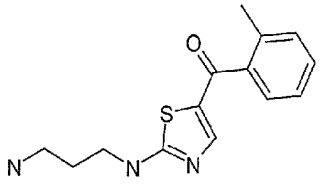
[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride



The title compound was synthesised from Methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester according to the procedure described for Example AC in quantitative yield. MS (m/e): 289.1 (MH+, 100%).

Example AT

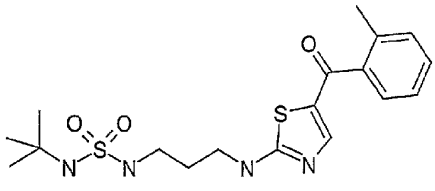
[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone



339mg {3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester was added to a solution of 25% aqueous hydrochloric acid in dioxane. The mixture was stirred 1h at room temperature and maintained overnight in the refrigerator. The mixture was poured into saturated aqueous sodium bicarbonate solution (50ml). The organics were extracted with dichloromethane (3x50ml), dried over magnesium sulfate and evaporated under reduced pressure to afford the title compound as yellow foam (254mg) which was used without further purification.

Example AU

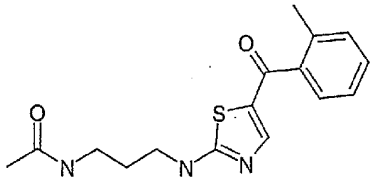
N-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-N'-(1,1-dimethylethyl)-sulfamide



900mg {3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester was dissolved in diethyl ether and hydrogen chloride in ether added dropwise with stirring. The mixture was stirred overnight at room temperature and poured into saturated aqueous sodium bicarbonate solution. The organics were extracted with dichloromethane (3x25ml), dried over magnesium sulfate and evaporated under reduced pressure to afford [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone as a yellow gum (579mg, 88%). A solution of 0.22ml tert-butanol in hexane (2ml) was cautiously added to a stirred solution of 0.2ml chlorosulfonyl isocyanate in hexane (5ml). The resulting white precipitate was stirred until it had dissolved (1.5h) and the mixture cooled to -78°C before the slow addition of a solution of [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone and 0.4ml triethylamine in dichloromethane. The cooling bath was removed and the yellow mixture allowed to reach room temperature and stirred 2h at room temperature. The mixture was poured into water and the organics extracted with ethyl acetate (2x25ml). The combined organics were washed with brine, dried over magnesium sulfate and evaporated. The resulting oil was purified by column chromatography on silica gel (150g, 2:1 ethyl acetate/hexane) to afford the title compound (241mg,24%) as a pale yellow oil. MS (m/e): 409.3 (M-H, 100%)

Example AV

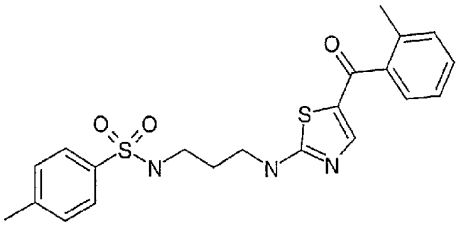
N-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-acetamide



25% Aqueous hydrochloric acid was added dropwise to a solution of RO4386677-000 in 2-propanol. The mixture was stirred 1h at room temperature and kept in the refrigerator over the week-end. The solvent was evaporated under reduced pressure and the residue triturated with diethyl ether. Ethyl acetate (40ml) was added and the hydrochloride quenched by the addition of saturated aqueous sodium bicarbonate solution (50ml). The aqueous phase was extracted with dichloromethane (5x75ml).The combined organic phases were dried over sodium sulfate and evaporated. The residue was purified by column chromatography on silica gel. The only product isolated was the title compound, as a yellow oil which solidified on standing. Recrystallisation from a mixture of ethyl acetate, dichloromethane and hexane afforded the pure product as a light yellow solid (275mg, 13%). MS (m/e): 318.3 (M+H, 100%)

Example AW

4-Methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide

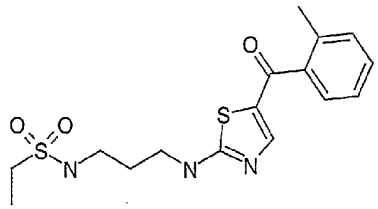


[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone was dissolved in dichloromethane and triethylamine and toluene-4-sulfonyl chloride added. The mixture

was stirred overnight at room temperature, poured into 1M pH4 phosphate buffer (5ml) and extracted with dichloromethane (3x10ml). The combined organic phases were dried over magnesium sulfate and evaporated. The oily residue was purified by column chromatography on silica gel (13:7 hexane/acetone eluant), using a small amount of dichloromethane to apply the mixture to the column. The title compound was isolated as an off-white solid. MS (m/e): 428.3 (M-H, 100%)

Example AX

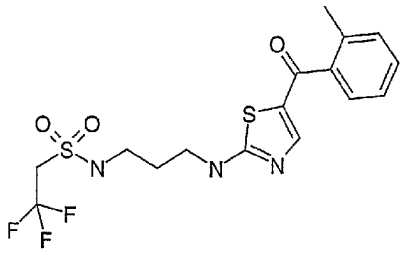
Ethanesulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide



The title compound was produced from[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone and ethanesulfonyl chloride according to the procedure described for Example AW. The product was isolated as an off-white solid. MS (m/e): 468.3 (M+H, 100%)

Example AY

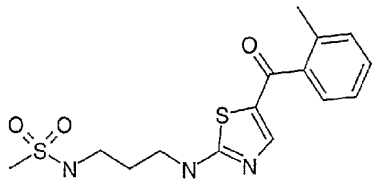
2,2,2-Trifluoro-ethanesulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide



The title compound was produced from [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone and 2,2,2-trifluoroethanesulfonyl chloride according to the procedure described for Example AW. The product was isolated as an orange gum. MS (m/e): 422.3 (M+H, 100%)

Example AZ

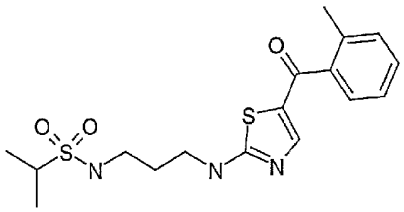
Methanesulfonic acid {3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide



The title compound was produced from [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone and methanesulfonyl chloride according to the procedure described for Example AW. The product was isolated as an orange gum. MS (m/e): 352.2 (M-H, 100%)

Example BA

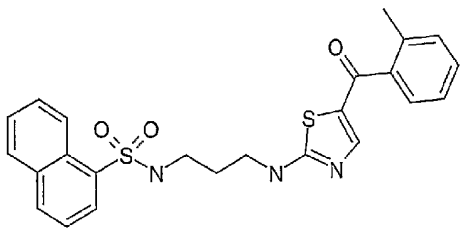
Propane-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide



The title compound was produced from [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone and 2-propanesulfonyl chloride according to the procedure described for Example AW. The product was isolated as an orange gum. MS (m/e): 380.2 (M-H, 100%)

Example BB

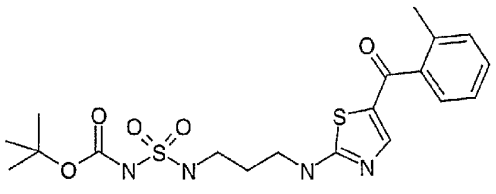
Naphthalene-1-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide



The title compound was produced from [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone and 1-naphthalenesulfonyl chloride according to the procedure described for Example AW. The product was isolated as an off-white gum. MS (m/e): 464.1 (M-H, 100%)

Example BC

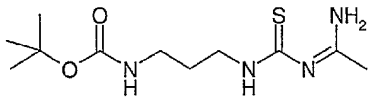
1,1-dimethylethyl {{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propylamino}sulfonyl]-carbamate



To a solution of 43mg [2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone in dichloromethane was added 49mg 4-(dimethylamino)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]-pyridinium (Organic Letters,2001,3,2241). The mixture was stirred overnight at room temperature. The solvent was evaporated under reduced pressure and the solid residue purified by column chromatography on silica gel (15g, 7:3 ethyl acetate/hexane eluant), using a small amount of dichloromethane to apply the mixture to the column. The product was isolated as an off-white solid (28mg, 40%). MS (m/e): 453.2 (M-H, 100%)

Example BD

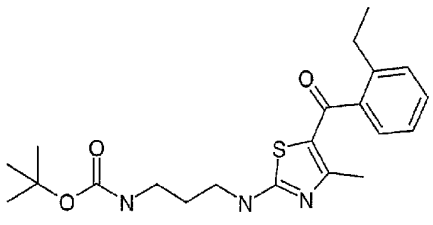
{3-[3-(1-Amino-ethylidene)-thioureido]-propyl}-carbamic acid tert-butyl ester



The title compound was prepared from (3-isothiocyanatopropyl)-carbamic acid tert-butyl ester and acetamidine hydrochloride as a colourless gum (quantitative yield) according to the procedure described for Example AE. MS (m/e): 275.2 (M+H, 100%)

Example BE

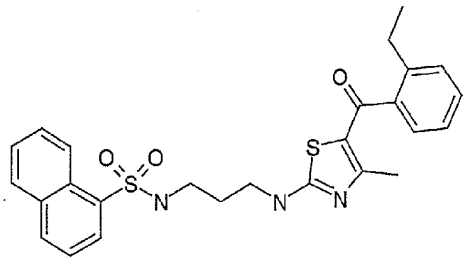
{3-[5-(2-Ethyl-benzoyl)-4-methyl-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester



A mixture of 0.60g {3-[3-(1-Amino-ethylidene)-thioureido]-propyl}-carbamic acid tert-butyl ester and 0.54g 2-bromo-1-(2-ethyl-phenyl)-ethanone (Example G) were dissolved in N,N-dimethylformamide and stirred overnight at room temperature. 0.33ml Triethylamine were added and the mixture stirred 72h at room temperature. The mixture was diluted with dichloromethane, washed twice with water and once with brine, dried over magnesium sulfate, filtered and evaporated to afford the title compound (435mg) as a pale yellow solid (49%). MS (m/e): 404.5 (M+H, 100%)

Example BF

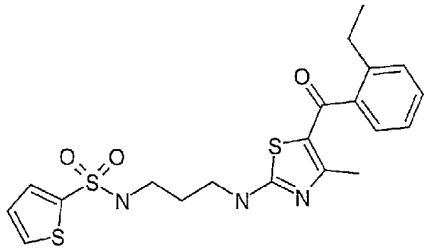
Naphthalene-1-sulfonic acid {3-[5-(2-ethyl-benzoyl)-4-methyl-thiazol-2-ylamino]-propyl}-amide



88mg {3-[5-(2-Ethyl-benzoyl)-4-methyl-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester was dissolved in dioxane and 0.15ml 25% aqueous hydrochloric acid added dropwise. The mixture was stirred 4h at room temperature and evaporated to dryness. Toluene was added and the mixture evaporated to dryness and dried overnight in vacuo. The residue was taken up in dichloromethane and 50mg naphthalene-1-sulfonyl chloride and 0.14ml triethylamine added. The mixture was stirred overnight at room temperature and partitioned between water and dichloromethane. The organic phase was dried over magnesium sulfate and evaporated to afford the title compound (77mg,71%) as a yellow oil. MS (m/e): 492.2 (M+H, 100%)

Example BG

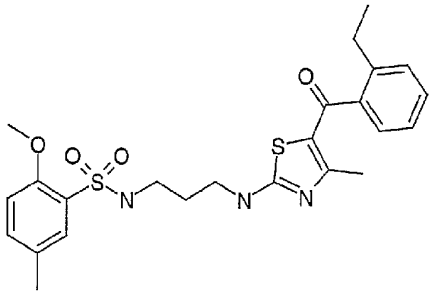
Thiophene-2-sulfonic acid {3-[5-(2-ethyl-benzoyl)-4-methyl-thiazol-2-ylamino]-propyl}-amide



The title compound was prepared from {3-[5-(2-Ethyl-benzoyl)-4-methyl-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester and thiophene-2-sulfonyl chloride according to example BF. Off-white oil, 55%. MS (m/e): 448.1 (M+H, 100%)

Example BH

N-{3-[5-(2-Ethyl-benzoyl)-4-methyl-thiazol-2-ylamino]-propyl}-2-methoxy-5-methyl-benzenesulfonamide



The title compound was prepared from {3-[5-(2-Ethyl-benzoyl)-4-methyl-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester and 6-methoxy-m-toluenesulfonyl chloride according to example XX . Light yellow oil, 67%. MS (m/e): 486.3 (M+H, 100%)

According to Example 172 further sulfonamide derivatives have been synthesised from the corresponding aminothiazole derivative and a sulfonylchloride. The results are compiled in the table and comprise Examples 172-179.

Ex.	Educts	Name	Mass analysis
1.	[2-(3-Amino-propylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 2-Fluorophenylsulfonyl chloride	2-Fluoro-N-{3-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	419.2 $(M-H^+)^-$
2.	[2-(3-Amino-propylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 2-methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5-methyl-N-{3-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	445.3 $(M-H^+)^-$
3.	[2-(3-Amino-propylamino)-thiazol-5-yl]-pyridin-3-yl-methanone; hydrochloride and 2-methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5-methyl-N-{3-[5-(pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	445.3 $(M-H^+)^-$
4.	[2-(3-Amino-propylamino)-thiazol-5-yl]-pyridin-4-yl-methanone; hydrochloride and 2-methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5-methyl-N-{3-[5-(pyridine-4-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	445.3 $(M-H^+)^-$
5.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-Fluorophenylsulfonyl chloride	2-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	432.3 $(M-H^+)^-$
6.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and and 4-methoxyphenylsulfonyl chloride	4-Methoxy-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	444.3 $(M-H^+)^-$

Ex.	Educts	Name	Mass analysis
7.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenylsulfonyl chloride	Thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	420.2 $(M-H^+)^-$
8.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide	458.3 $(M-H^+)^-$
9.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-fluorophenylsulfonyl chloride	4-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	432.3 $(M-H^+)^-$
10.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methylphenylsulfonyl chloride	2-Methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	428.4 $(M-H^+)^-$
11.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-fluorophenylsulfonyl chloride	3-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	432.4 $(M-H^+)^-$
12.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and and 2-chloro-5-(trifluoromethyl)phenylsulfonyl chloride	2-Chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide	516.1 $(M-H^+)^-$
13.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and phenylsulfonyl chloride	N-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	415.5 $(M-H^+)^-$

Ex.	Educts	Name	Mass analysis
14.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-methoxyphenylsulfonyl chloride	3-Methoxy-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	444.3 $(M-H^+)^-$
15.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-fluorophenylsulfonyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-fluoro-benzenesulfonamide	452.2 $(M-H^+)^-$
16.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 4-methoxyphenylsulfonyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-4-methoxy-benzenesulfonamide	464.2 $(M-H^+)^-$
17.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-thiophenylsulfonyl chloride	Thiophene-2-sulfonic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide	440.2 $(M-H^+)^-$
18.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-methoxy-5-methylphenylsulfonyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-methoxy-5-methyl-benzene sulfonamide	478.2 $(M-H^+)^-$
19.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 4-fluorophenylsulfonyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-4-fluoro-benzenesulfonamide	452.2 $(M-H^+)^-$

Ex.	Educts	Name	Mass analysis
20.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-methylphenylsulfonyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-methyl-benzenesulfonamide	448.2 $(M-H^+)^-$
21.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 3-fluorophenylsulfonyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-fluoro-benzenesulfonamide	452.2 $(M-H^+)^-$
22.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-chloro-5-(trifluoromethyl)phenylsulfonyl chloride	2-Chloro-N-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide	536.1 $(M-H^+)^-$
23.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and phenylsulfonyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	434.3 $(M-H^+)^-$
24.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 3-methoxyphenylsulfonyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-methoxy-benzenesulfonamide	464.2 $(M-H^+)^-$
25.	2-methyl phenacylbromide and [3-(3-dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester	{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester	375.9 MH+

Ex.	Educts	Name	Mass analysis
26.	[3-(3-dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-chloro phenacylbromide	{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester	395.8 MH+
27.	[3-(3-dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-ethyl phenacylbromide	{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester	389.9 MH+
28.	[3-(3-dimethylaminomethylene-thioureido)-propyl]-carbamic acid tert-butyl ester and 2-trifluoromethyl phenacylbromide	{3-[5-(2-Trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert- butyl ester	429.9 MH+
29.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and cyclohexanecarbonyl chloride	Cyclohexanecarboxylic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	386.4 MH+
30.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and cyclohexanecarbonyl chloride	Cyclohexanecarboxylic acid {3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	400.5 MH+
31.	[2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid [3-(5-benzoyl-thiazol-2-ylamino)-propyl]-amide	345.5 MH+

Ex.	Educts	Name	Mass analysis
32.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	360.4 MH+
33.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	374.5 MH+
34.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-fluoro-phenyl)-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[5-(2-fluoro-benzoyl)-thiazol-2-ylamino]-propyl}-amide	364.3 MH+
35.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide	380.4 MH+
36.	[2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone; hydrochloride and 4-Chlorophenylacetyl chloride	N-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-2-(4-chloro-phenyl)-acetamide	414.35 MH+
37.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-Chlorophenylacetyl chloride	2-(4-Chloro-phenyl)-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-acetamide	428.5 MH+
38.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 4-Chlorophenylacetyl chloride	2-(4-Chloro-phenyl)-N-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-acetamide	442.4 MH+

Ex.	Educts	Name	Mass analysis
39.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and thiophene-2-carbonyl chloride	Thiophene-2-carboxylic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	386.3 MH+
40.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and thiophene-2-carbonyl chloride	Thiophene-2-carboxylic acid {3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	400.5 MH+
41.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-fluoro-phenyl)-methanone; hydrochloride and thiophene-2-carbonyl chloride	Thiophene-2-carboxylic acid {3-[5-(2-fluoro-benzoyl)-thiazol-2-ylamino]-propyl}-amide	390.2MH+
42.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and thiophene-2-carbonyl chloride	Thiophene-2-carboxylic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide	406.4 MH+
43.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 2-Fluorobenzoyl chloride	N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-2-fluoro-benzamide	412.4 MH+
44.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-Fluorobenzoyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-fluoro-benzamide	418.3 MH+
45.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-Fluorobenzoyl chloride	3-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	398.4 MH+

Ex.	Educts	Name	Mass analysis
46.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 3-Fluorobenzoyl chloride	N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-fluoro-benzamide	412.4 MH+
47.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-fluoro-phenyl)-methanone; hydrochloride and 3-Fluorobenzoyl chloride	3-Fluoro-N-{3-[5-(2-fluoro-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	402.5 MH+
48.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 3-Fluorobenzoyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-fluoro-benzamide	418.3 MH+
49.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-Fluorobenzoyl chloride	4-Fluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	398.4 MH+
50.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 4-Fluorobenzoyl chloride	N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-fluoro-benzamide	412.4 MH+
51.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 4-Fluorobenzoyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-4-fluoro-benzamide	418.3 MH+
52.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and benzoyl chloride	N-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	380.4 MH+

Ex.	Educts	Name	Mass analysis
53.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and benzoyl chloride	N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	394.4 MH+
54.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and benzoyl chloride	N-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	400.4 MH+
55.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 4-methoxybenzoyl chloride	N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-methoxy-benzamide	424.5 MH+
56.	[2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone; hydrochloride and 2-methoxybenzoyl chloride	N-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-2-methoxy-benzamide	396.3 MH+
57.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 2-methoxybenzoyl chloride	N-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-2-methoxy-benzamide	424.5 MH+
58.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-chlorobenzoyl chloride	4-Chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	414.3 MH+
59.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride 4-chlorobenzoyl chloride	4-Chloro-N-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	428.5 MH+

Ex.	Educts	Name	Mass analysis
60.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and cyclohexyanecarbonyl chloride	Cyclohexanecarboxylic acid {3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]- propyl}-amide	440.5 MH+
61.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and cyclohexyanecarbonyl chloride	Cyclohexanecarboxylic acid {3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-amide	387.4 MH+
62.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	414.4 MH+
63.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-amide	361.3 MH+
64.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-methyl-pyridin-3-yl)-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[5-(2-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-amide	361.3 MH+
65.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-thiophen-2-yl)-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-amide	366.3 MH+

Ex.	Educts	Name	Mass analysis
66.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and 4-Chlorophenylacetyl chloride	2-(4-Chloro-phenyl)-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-acetamide	482.3 MH+
67.	2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyridin-2-yl)-methanone; hydrochloride and 4-Chlorophenylacetyl chloride	2-(4-Chloro-phenyl)-N-{3-[5-(3-methyl-pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-acetamide	429.5 MH+
68.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyrazin-2-yl)-methanone; hydrochloride and 4-Chlorophenylacetyl chloride	2-(4-Chloro-phenyl)-N-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-acetamide	430.5 MH+
69.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and thiophene-2-carbonyl chloride	Thiophene-2-carboxylic acid {3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino] -propyl}-amide	440.4 MH+
70.	2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyridin-2-yl)-methanone; hydrochloride and thiophene-2-carbonyl chloride	Thiophene-2-carboxylic acid {3-[5-(3-methyl-pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-amide	387.3 MH+
71.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and 2-Fluorobenzoyl chloride	2-Fluoro-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	452.4 MH+

Ex.	Educts	Name	Mass analysis
72.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyrazin-2-yl)-methanone; hydrochloride and 2-Fluorobenzoyl chloride	2-Fluoro-N-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	400.4 MH+
73.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and 3-Fluorobenzoyl chloride	3-Fluoro-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	452.4 MH+
74.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and 3-Fluorobenzoyl chloride	3-Fluoro-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	399.4 MH+
75.	2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyridin-2-yl)-methanone; hydrochloride and 3-Fluorobenzoyl chloride	3-Fluoro-N-{3-[5-(3-methyl-pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	399.4 MH+
76.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyrazin-2-yl)-methanone; hydrochloride and 3-Fluorobenzoyl chloride	3-Fluoro-N-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	400.4 MH+
77.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and 4-Fluorobenzoyl chloride	4-Fluoro-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	452.4 MH+

Ex.	Educts	Name	Mass analysis
78.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and 4-Fluorobenzoyl chloride	4-Fluoro-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	399.4 MH+
79.	2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyridin-2-yl)-methanone; hydrochloride and 4-Fluorobenzoyl chloride	4-Fluoro-N-{3-[5-(3-methyl-pyridine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	399.4 MH+
80.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-thiophen-2-yl)-methanone; hydrochloride 3-methyl-2-thiophenecarbonyl chloride	4-Fluoro-N-{3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	404.4 MH+
81.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and benzoyl chloride	N-{3-[5-(2-Trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	434.5 MH+
82.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and benzoyl chloride	N-{3-[5-(4-Methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	381.4 MH+
83.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and 4-Methoxybenzoyl chloride	4-Methoxy-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	464.3 MH+

Ex.	Educts	Name	Mass analysis
84.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and 4-Methoxybenzoyl chloride	4-Methoxy-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	411.4 MH+
85.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and 2-Methoxybenzoyl chloride	2-Methoxy-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	464.3 MH+
86.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-trifluoromethyl-phenyl)-methanone; hydrochloride and 4-Chlorobenzoyl chloride	4-Chloro-N-{3-[5-(2-trifluoromethyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	468.2 MH+
87.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and 4-Chlorobenzoyl chloride	4-Chloro-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	415.3 MH+
88.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-methyl-pyridin-3-yl)-methanone; hydrochloride and 4-Chlorobenzoyl chloride	4-Chloro-N-{3-[5-(2-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzamide	415.3 MH+
89.	[2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone; hydrochloride and cyclohexyl isocyanate	1-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-3-cyclohexyl-urea	386.5 MH+

Ex.	Educts	Name	Mass analysis
90.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and cyclohexyl isocyanate	1-Cyclohexyl-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	400.5 MH+
91.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and cyclohexyl isocyanate	1-Cyclohexyl-3-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	414.5 MH+
92.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and cyclohexyl isocyanate	1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-cyclohexyl-urea	420.9 MH+
93.	[2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone; hydrochloride and n-butyl isocyanante	1-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-3-butyl-urea	360.4 MH+
94.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and n-butyl isocyanante	1-Butyl-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	374.5 MH+
95.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and n-butyl isocyanante	1-Butyl-3-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	388.5 MH+
96.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-fluoro-phenyl)-methanone; hydrochloride and n-butyl isocyanante	1-Butyl-3-{3-[5-(2-fluoro-benzoyl)-thiazol-2-ylamino]-propyl}-urea	378.4 MH+

Ex.	Educts	Name	Mass analysis
97.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and n-butyl isocyanante	1-Butyl-3-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-urea	394.9 MH+
98.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-Methoxyphenyl isocyanate	1-(2-Methoxy-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	424.5 MH+
99.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 2-Methoxyphenyl isocyanate	1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-methoxy-phenyl)-urea	438.5 MH+
100.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-Methoxyphenyl isocyanate	1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-methoxy-phenyl)-urea	444.9 MH+
101.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-Fluorophenyl isocyanate	1-(2-Fluoro-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	412.4 MH+
102.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 2-Fluorophenyl isocyanate	1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-fluoro-phenyl)-urea	426.5 MH+
103.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-Fluorophenyl isocyanate	1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-fluoro-phenyl)-urea	432.9 MH+

Ex.	Educts	Name	Mass analysis
104.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-Fluorophenyl isocyanate	1-(3-Fluoro-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	412.4 MH+
105.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 3-Fluorophenyl isocyanate	1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-(3-fluoro-phenyl)-urea	426.5 MH+
106.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-Fluorophenyl isocyanate	1-(4-Fluoro-phenyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	412.4 MH+
107.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 4-Fluorophenyl isocyanate	1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-(4-fluoro-phenyl)-urea	426.5 MH+
108.	[2-(3-Amino-propylamino)-thiazol-5-yl]-phenyl-methanone; hydrochloride and 4-Chlorobenzyl isocyanate (WO0107436)	1-[3-(5-Benzoyl-thiazol-2-ylamino)-propyl]-3-(2-chloro-benzyl)-urea	428.9 MH+
109.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-Chlorobenzyl isocyanate (WO0107436)	1-(2-Chloro-benzyl)-3-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	442.9 MH+
110.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and 4-Chlorobenzyl isocyanate (WO0107436)	1-(2-Chloro-benzyl)-3-{3-[5-(2-ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	457.0 MH+

Ex.	Educts	Name	Mass analysis
111.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 4-Chlorobenzyl isocyanate (WO0107436)	1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-(2-chloro-benzyl)-urea	463.3 MH+
112.	[2-(3-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and phenyl isocyanate	1-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-phenyl-urea	394.5 MH+
113.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-ethyl-phenyl)-methanone; hydrochloride and phenyl isocyanate	1-{3-[5-(2-Ethyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-phenyl-urea	408.5 MH+
114.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and phenyl isocyanate	1-{3-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-propyl}-3-phenyl-urea	414.9 MH+
115.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and n-butyl isocyanate	1-Butyl-3-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-urea	375.5 MH+
116.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and phenyl isocyanate	1-{3-[5-(4-Methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-3-phenyl-urea	395.5 MH+
117.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyrazin-2-yl)-methanone; hydrochloride and cyclohexyl isocyanate	1-Cyclohexyl-3-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-urea	402.5 MH+

Ex.	Educts	Name	Mass analysis
118.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-thiophen-2-yl)-methanone; hydrochloride and cyclohexyl isocyanate	1-Cyclohexyl-3-{3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-urea	406.6 MH+
119.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and 4-Fluorophenylsulfonyl chloride	4-Fluoro-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	434.5 MH+
120.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-thiophen-2-yl)-methanone; hydrochloride and 4-Fluorophenylsulfonyl chloride	4-Fluoro-N-{3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	439.6 MH+
121.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and 2-Methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5-methyl-N-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	460.6 MH+
122.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-pyrazin-2-yl)-methanone; hydrochloride and 2-Methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5-methyl-N-{3-[5-(3-methyl-pyrazine-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	461.6 MH+
123.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(3-methyl-thiophen-2-yl)-methanone; hydrochloride and 2-Methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5-methyl-N-{3-[5-(3-methyl-thiophene-2-carbonyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	465.6 MH+

Ex.	Educts	Name	Mass analysis
124.	[2-(3-Amino-propylamino)-thiazol-5-yl]-(4-methyl-pyridin-3-yl)-methanone; hydrochloride and 4-Methoxyphenylsulfonyl chloride	1-(4-Methoxy-phenyl)-3-{3-[5-(4-methyl-pyridine-3-carbonyl)-thiazol-2-ylamino]-propyl}-urea	425.5 MH+
125.	3-Bromo-1-o-tolyl-propane-1,2-dione and (3-thioureido-propyl) carbamic acid tert-butyl ester	{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-carbamic acid tert-butyl ester	376.5 MH+
126.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and benzoyl chloride	N-{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	380.4 MH+
127.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 2-Fluorobenzoyl chloride	2-Fluoro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	398.4 MH+
128.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 3,5-dimethoxybenzoyl chloride	3,5-Dimethoxy-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzamide	440.5 MH+
129.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and pentanoyl chloride	Pentanoic acid {3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	360.3 MH+
130.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 2-thiophene isocyanate	1-{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-3-thiophen-2-yl-urea	401.5 MH+

Ex.	Educts	Name	Mass analysis
131.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 2-fluorophenyl isocyanate	1-(2-Fluoro-phenyl)-3-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-urea	413.3 MH+
132.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 2-methylbenzenesulfonyl chloride	2-Methyl-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	430.5 MH+
133.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 4-fluorobenzenesulfonyl chloride	4-Fluoro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	434.4 MH+
134.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and and 3-methoxybenzenesulfonyl chloride	3-Methoxy-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	446.3 MH+
135.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 3-methoxybenzenesulfonyl chloride	4-Methoxy-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	446.3 MH+
136.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and benzenesulfonyl chloride	N-{3-[4-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	416.3 MH+
137.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 2-chloro-5-(trifluormethyl)benzenesulfonyl chloride	2-Chloro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl - benzenesulfonamide	518.1 MH+

Ex.	Educts	Name	Mass analysis
138.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenesulfonyl chloride	Thiophene-2-sulfonic acid {3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	422.3 MH+
139.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 3-fluorobenzenesulfonyl chloride	3-Fluoro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	434.4 MH+
140.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and and 6-methoxy-m-toluenesulfonyl chloride	2-Methoxy-5-methyl-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide	460.5 MH+
141.	[2-(3-Amino-propylamino)-thiazol-4-yl]-o-tolyl-methanone; hydrochloride and 2,5-dimethoxybenzenesulfonyl chloride	2,5-Dimethoxy-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	476.2 MH+
142.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 2-fluorobenzenesulfonyl chloride	2-Fluoro-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	447.2 $(M-H^+)^-$
143.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and benzenesulfonyl chloride	4-Methoxy-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	459.3 $(M-H^+)^-$

Ex.	Educts	Name	Mass analysis
144.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 2-thiophenesulfonyl chloride	Thiophene-2-sulfonic acid {5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl} -amide	435.3 $(M-H^+)^-$
145.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 6-methoxy-m-toluenesulfonyl chloride	2-Methoxy-5-methyl-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	473.2 $(M-H^+)^-$
146.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 4-fluorobenzenesulfonyl chloride	4-Fluoro-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	447.3 $(M-H^+)^-$
147.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 2-methyl benzenesulfonyl chloride	2-Methyl-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	443.3 $(M-H^+)^-$
148.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 3-fluoro benzenesulfonyl chloride	3-Fluoro-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	447.2 $(M-H^+)^-$

Ex.	Educts	Name	Mass analysis
149.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 2-chloro-5-(trifluoromethyl)benzenesulfonyl chloride	2-Chloro-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl-benzenesulfonamide	531.1 $(M-H^+)^-$
150.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and benzenesulfonyl chloride	N-{5-[5-(Pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	429.4 $(M-H^+)^-$
151.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-2-yl-methanone; hydrochloride and 3-methoxy benzenesulfonyl chloride	3-Methoxy-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	459.3 $(M-H^+)^-$
152.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-4-yl-methanone; hydrochloride and 2-fluoro benzenesulfonyl chloride	2-Fluoro-N-{5-[5-(pyridine-4-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	447.2 $(M-H^+)^-$
153.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-pyridin-4-yl-methanone; hydrochloride and 6-methoxy-m-toluenesulfonyl chloride	2-Methoxy-5-methyl-N-{5-[5-(pyridine-4-carbonyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	473.1 $(M-H^+)^-$
154.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-fluoro benzenesulfonyl chloride	2-Fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	460.4 $(M-H^+)^-$

Ex.	Educts	Name	Mass analysis
155.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-methoxy benzenesulfonyl chloride	4-Methoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	472.1 $(M-H^+)^-$
156.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenesulfonyl chloride	Thiophene-2-sulfonic acid {5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-amide	448.2 $(M-H^+)^-$
157.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 6-methoxy-m-toluenesulfonyl chloride	2-Methoxy-5-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide	486.3 $(M-H^+)^-$
158.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-fluoro benzenesulfonyl chloride	4-Fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	460.4 $(M-H^+)^-$
159.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methyl benzenesulfonyl chloride	2-Methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	456.4 $(M-H^+)^-$
160.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-fluorobenzenesulfonyl chloride	3-Fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	460.3 $(M-H^+)^-$
161.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-chloro-5-(trifluoromethyl)benzenesulfonyl chloride	2-Chloro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl - benzenesulfonamide	544.1 $(M-H^+)^-$

Ex.	Educts	Name	Mass analysis
162.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and benzenesulfonyl chloride	N-{5-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	442.3 $(M-H^+)^-$
163.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-methoxybenzenesulfonyl chloride	3-Methoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	472.1 $(M-H^+)^-$
164.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-fluorobenzenesulfonyl chloride	N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-fluoro-benzenesulfonamide	480.2 $(M-H^+)^-$
165.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 4-methoxybenzenesulfonyl chloride	N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-4-methoxy-benzenesulfonamide	492.2 $(M-H^+)^-$
166.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2 thiophenesulfonyl chloride	Thiophene-2-sulfonic acid {5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-amide	468.1$(M-H^+)^-$
167.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 6-methoxy-m-toluenesulfonyl chloride	N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methoxy-5-methyl-benzene sulfonamide	506.2 $(M-H^+)^-$

Ex.	Educts	Name	Mass analysis
168.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 4-fluorobenzenesulphonyl chloride	N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-4-fluoro-benzenesulfonamide	480.3 $(M-H^+)^-$
169.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-methylbenzenesulphonyl chloride	N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methyl-benzenesulfonamide	476.2 $(M-H^+)^-$
170.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 3-fluorobenzenesulphonyl chloride	N-{5-[5-(2-Chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-3-fluoro-benzenesulfonamide	480.3 $(M-H^+)^-$
171.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-(2-chloro-phenyl)-methanone; hydrochloride and 2-chloro-5-(trifluoromethyl)benzenesulfonyl chloride	2-Chloro-N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl - benzenesulfonamide	564.0$(M-H^+)^-$
172.	[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride and benzenelsulphonyl chloride	N-{5-[4-Methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	458.1 MH+
173.	[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride and 2-methybenzenelsulphonyl chloride	2-Methyl-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenes ulfonamide	472.3 MH+

Ex.	Educts	Name	Mass analysis
174.	[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride and 2-fluorobenzenesulphonyl chloride	2-Fluoro-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenes ulfonamide	476.3 MH+
175.	[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride and 3-fluorobenzenesulphonyl chloride	3-Fluoro-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenes ulfonamide	476.2 MH+
176.	[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride and 4-fluorobenzenesulphonyl chloride	4-Fluoro-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenes ulfonamide	476.2 MH+
177.	[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride and 6-methoxy-m-toluenesulfonyl chloride	2-Methoxy-5-methyl-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl }-benzenesulfonamide	502.3 MH+
178.	[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride and 3-methoxybenzenesulfonyl chloride	3-Methoxy-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide	488.3 MH+
179.	[2-(5-Amino-pentylamino)-4-methyl-thiazol-5-yl]-o-tolyl-methanone hydrochloride and 4-methoxybenzenesulfonyl chloride	4-Methoxy-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide	488.3 MH+

Ex.	Educts	Name	Mass analysis
180.	[2-(2-Amino-ethylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenylsulfonyl chloride	Thiophene-2-sulfonic acid {2-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-ethyl}-amide	406.2 [M-H]-
181.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,5-dimethoxyphenylsulfonyl chloride	2,5-Dimethoxy-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	474.1 [M-H]-
182.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenylsulfonyl chloride	Thiophene-3-sulfonic acid {4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-amide	434.2 [M-H]-
183.	[2-(5-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,5-dimethoxyphenylsulfonyl chloride	2,5-Dimethoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	502.0 [M-H]-
184.	[2-(2-Amino-ethylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-thiophenylsulfonyl chloride	Thiophene-3-sulfonic acid {2-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-ethyl}-amide	406.2 [M-H]-
185.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,5-dimethylphenylsulfonyl chloride	2,5-Dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	442.2 [M-H]-

Ex.	Educts	Name	Mass analysis
186.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-chloro-5-methoxyphenylsulfonyl chloride	5-Chloro-2-methoxy-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	478.0 [M-H]-
187.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methylbenzenesulfonyl chloride	2-Methyl-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	442.2 [M-H]-
188.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methyl-5-fluoro-benzenesulfonyl chloride	5-Fluoro-2-methyl-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	460.2 [M-H]-
189.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-chloro-5-trifluoromethyl-benzenesulfonyl chloride	2-Chloro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-5-trifluoromethyl-benzenesulfonamide	530.0 [M-H]-
190.	[2-(2-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,5-dimethylphenylsulfonyl chloride	2,5-Dimethyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	470.2 [M-H]-
191.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-trifluoromethyloxyphenylsulfonyl chloride	N-{3-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-trifluoromethoxy-benzenesulfonamide	498.0 [M-H]-

Ex.	Educts	Name	Mass analysis
192.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-fluorophenylsulfonyl chloride	4-Fluoro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	446.2 [M-H]-
193.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,4-difluorophenylsulfonyl chloride	2,4-Difluoro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	464.1 [M-H]-
194.	[2-(2-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-trifluoromethyloxyphenylsulfonyl chloride	N-{5-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-4-trifluoromethoxy-benzenesulfonamide	525.9 [M-H]-
195.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-chloro-5-trifluoromethyl-benzenesulfonyl chloride	2-Chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-trifluoromethyl-benzenesulfonamide	516.0 [M-H]-
196.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-fluorophenylsulfonyl chloride	2-Fluoro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	446.1 [M-H]-
197.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 5-chlorothiophenyl-2-sulfonylchloride	5-Chloro-thiophene-2-sulfonic acid {4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-amide	468.0 [M-H]-

Ex.	Educts	Name	Mass analysis
198.	[2-(2-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-chloro-5-trifluoromethyl-benzenesulfonyl chloride	2-Chloro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-4-trifluoromethyl-benzenesulfonamide	544.0 [M-H]-
199.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenesulfonylchloride	Thiophene-3-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	420.1 [M-H]-
200.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methyl-5-fluorobenzenesulfonylchloride	5-Fluoro-2-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	446.2 [M-H]-
201.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-fluorophenylsulfonyl chloride	3-Fluoro-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	446.1 [M-H]-
202.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5-methyl-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	475.1 [M-H]-
203.	[2-(2-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenesulfonylchloride	Thiophene-3-sulfonic acid {5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-amide	448.1 [M-H]-

Ex.	Educts	Name	Mass analysis
204.	[2-(2-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methyl-5-fluorophenylsulfonyl chloride	5-Fluoro-2-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	474.1 [M-H]-
205.	[2-(2-Amino-ethylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methoxy-5-chlorophenylsulfonyl chloride	5-Chloro-2-methoxy-N-{2-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-ethyl}-benzenesulfonamide	464.0 [M-H]-
206.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,4-difluorophenylsulfonyl chloride	2,4-Difluoro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	450.2 [M-H]-
207.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,5-dimethylphenylsulfonyl chloride	2,5-Dimethyl-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	456.3 [M-H]-
208.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,5-dimethoxyphenylsulfonyl chloride	2,5-Dimethoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	488.1 [M-H]-
209.	[2-(2-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,4-difluorophenylsulfonylchloride	2,4-Difluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide	478.1 [M-H]-

Ex.	Educts	Name	Mass analysis
210.	[2-(2-Amino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 5-chlorothiophenyl-2-sulfonylchloride	5-Chloro-thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	454.2 [M-H]-
211.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-methoxyphenylsulfonylchloride	4-Methoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	458.2 [M-H]-
212.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methoxy-5-chlorophenylsulfonylchloride	5-Chloro-2-methoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	492.0 [M-H]-
213.	[2-(2-Amino-pentylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 5-chlorothiophenyl-2-sulfonylchloride	5-Chloro-thiophene-2-sulfonic acid {5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-amide	482.1 [M-H]-
214.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenylsulfonyl chloride	Thiophene-2-sulfonic acid {4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-amide	434.2 [M-H]-
215.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-methoxy-phenylsulfonylchloride	3-Methoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide	458.2 [M-H]-

Ex.	Educts	Name	Mass analysis
216.	[2-(2-Amino-butylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-trifluoromethyloxy-phenylsulfonylchloride	N-{4-[5-(2-Methyl-benzoyl)-thiazol-2-ylamino]-butyl}-4-trifluoromethoxy-benzenesulfonamide	512.1 [M-H]-
217.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-thiophenylsulfonyl chloride	Thiophene-2-sulfonic acid methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	434.2 [M-H]-
218.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-methoxyphenylsulfonyl chloride	3-Methoxy-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	458.2 [M-H]-
219.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-chloro-4-trifluoromethylphenylsulfonyl chloride	2-Chloro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-trifluoromethyl-benzenesulfonamide	530.0 [M-H]-
220.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methylphenylsulfonyl chloride	2,N-Dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	442.2 [M-H]-
221.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methyl-5-fluorophenylsulfonyl chloride	5-Fluoro-2,N-dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	460.2 [M-H]-

Ex.	Educts	Name	Mass analysis
222.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-chloro-5-trifluoromethylphenylsulfonyl chloride	2-Chloro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl-benzenesulfonamide	530.0 [M-H]-
223.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-fluorophenylsulfonyl chloride	4-Fluoro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	446.1 [M-H]-
224.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,4-difluorophenylsulfonyl chloride	2,4-Difluoro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	464.3 [M-H]-
225.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-fluorophenylsulfonyl chloride	2-Fluoro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	446.1 [M-H]-
226.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 5-chlorothiophenyl-2-sulfonylchloride	5-Chloro-thiophene-2-sulfonic acid methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide	468.0 [M-H]-
227.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 3-fluorophenylsulfonyl chloride	3-Fluoro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	446.1 [M-H]-

Ex.	Educts	Name	Mass analysis
228.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methoxy-5-methylphenylsulfonyl chloride	2-Methoxy-5,N-dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	472.1 [M-H]-
229.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-chlorophenylsulfonyl chloride	4-Chloro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	462.1 [M-H]-
230.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2,5-methylphenylsulfonyl chloride	2,5,N-Trimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	456.3 [M-H]-
231.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-nitrophenylsulfonyl chloride	N-Methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-nitro-benzenesulfonamide	472.9 [M-H]-
232.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 4-methoxyphenylsulfonyl chloride	4-Methoxy-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	458.2 [M-H]-
233.	[2-(3-Methylamino-propylamino)-thiazol-5-yl]-o-tolyl-methanone; hydrochloride and 2-methoxy-5-chlorophenylsulfonyl chloride	5-Chloro-2-methoxy-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide	492.1 [M-H]-

Example A

A compound of formula I can be used in a manner known per se as the active ingredient for the production of tablets of the following composition:

	Per tablet
Active ingredient	200 mg
Microcrystalline cellulose	155 mg
Corn starch	25 mg
Talc	25 mg
Hydroxypropylmethylcellulose	20 mg
	425 mg

Example B

A compound of formula I can be used in a manner known per se as the active ingredient for the production of capsules of the following composition:

	Per capsule
Active ingredient	100.0 mg
Corn starch	20.0 mg
Lactose	95.0 mg
Talc	4.5 mg
Magnesium stearate	0.5 mg
	220.0 mg

Example C

Tablets containing the following ingredients can be manufactured in a conventional manner:

Ingredients	Per tablet
Compound of formula I	10.0 - 100.0 mg
Lactose	125.0 mg
Maize starch	75.0 mg
Talc	4.0 mg
Magnesium stearate	1.0 mg

Example D

Capsules containing the following ingredients can be manufactured in a conventional manner:

Ingredients	Per capsule
Compound of formula I	25.0 mg
Lactose	150.0 mg
Maize starch	20.0 mg
Talc	5.0 mg

Example E

Injection solutions can have the following composition:

Compound of formula I	3.0 mg
Gelatine	150.0 mg
Phenol	4.7 mg
Water for injection solutions	ad 1.0 ml

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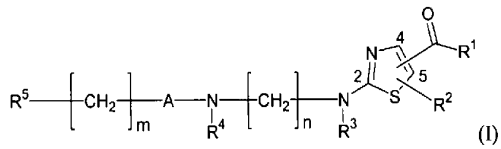
The claims defining the invention are as follows:

1. Compounds of formula I



(I)

wherein

R^1 is aryl or heteroaryl;

R^2 is hydrogen, alkyl or cycloalkyl;

R^3 is hydrogen, alkyl or cycloalkyl;

R^4 is hydrogen, alkyl or cycloalkyl;

R^5 is alkyl, cycloalkyl, aryl, heteroaryl;

R^6 is hydrogen, alkyl or cycloalkyl;

A is -C(O)-; $-S(O)_2-$; $-N(R^6)-C(O)-$ or -O-C(O)-;

n is 2 to 6;

m is zero to 2;

and pharmaceutically acceptable salts and esters thereof.

2. Compounds according to claim 1, wherein R^2 is hydrogen or methyl.

3. Compounds according to claim 1 or 2, wherein R^3 is hydrogen.

4. Compounds according to any one of claims 1 to 3, wherein R^4 is hydrogen.

5. Compounds according to any one of claims 1 to 4, wherein R^5 is thiophenyl or phenyl both optionally substituted with one to three substituents independently selected from alkyl, alkoxy, halogen, haloalkyl, haloalkoxy and nitro.

6. Compounds according to any one of claims 1 to 5, wherein R^6 is hydrogen.

7. Compounds according to any one of claims 1 to 6, wherein R^1 is pyridinyl, phenyl or phenyl substituted with one to three substituents independently selected from alkyl, alkoxy, halogen and haloalkyl.

8. Compounds according to any one of claims 1 to 7, wherein A is $-S(O)_2-$.

9. Compounds according to any one of claims 1 to 7, wherein A is -C(O)- .

10. Compounds according to any one of claims 1 to 7, wherein A is $-N(R^6)-C(O)-$.

11. Compounds according to any one of claims 1 to 7, wherein A is -O-C(O)- .

12. Compound according to any one of claims 1 to 11, wherein n is 3 to 5.

13. Compound according to any one of claims 1 to 12, wherein m is zero.

14. Compounds in accordance with any one of claims 1 to 13, selected from

thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

2-methoxy-5-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide;

2-chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl -benzenesulfonamide;

thiophene-2-sulfonic acid {3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

N-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-2-methoxy-5-methyl-benzene sulfonamide;

2-chloro-N-{3-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl -benzenesulfonamide;

2-chloro-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-5-trifluoromethyl -benzenesulfonamide;

2-methoxy-5-methyl-N-{3-[4-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzene sulfonamide;

2-methoxy-5-methyl-N-{5-[5-(pyridine-2-carbonyl)-thiazol-2-ylamino]-pentyl}-benz enesulfonamide;

4-methoxy-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

2-methoxy-5-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzene sulfonamide;

2-methyl-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

3-fluoro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-benzenesulfonamide;

2-chloro-N-{5-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl}-5-trifluoromethyl -benzenesulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-fluoro-benzenesulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methoxy-5-methyl-benzene sulfonamide;

N-{5-[5-(2-chloro-benzoyl)-thiazol-2-ylamino]-pentyl}-2-methyl-benzenesulfonamide;

2-methoxy-5-methyl-N-{5-[4-methyl-5-(2-methyl-benzoyl)-thiazol-2-ylamino]-pentyl }-benzenesulfonamide;

2-chloro-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-trifluoromethyl-benzenesulfonamide;

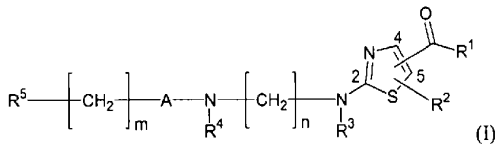
5-fluoro-2-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide;

2,5-dimethoxy-N-{4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-benzenesulfonamide;

5-chloro-thiophene-2-sulfonic acid {3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;

thiophene-2-sulfonic acid {4-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-butyl}-amide;
thiophene-2-sulfonic acid methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;
2,N-dimethyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide;
5-chloro-thiophene-2-sulfonic acid methyl-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-amide;
4-chloro-N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-benzenesulfonamide and
N-methyl-N-{3-[5-(2-methyl-benzoyl)-thiazol-2-ylamino]-propyl}-4-nitro-benzenesulfonamide.

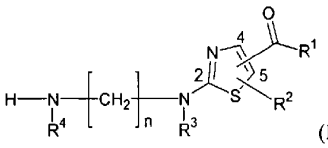
15. A compound of formula I



(I)

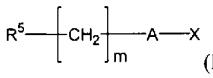
as defined in claim 1, substantially as hereinbefore described with reference to any one of Examples 1 to 233 and AB, AF, AJ, AN, AR, AU, AV, AW, AX, AY, AZ, BA, BB, BC, BE, BF, BG, BH.

16. A process for the preparation of a compound according to any one of claims 1 to 15 comprising the reaction of a compound according to formula II



(II)

in the presence of a compound according to formula III



(III)

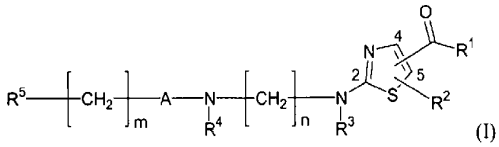
wherein R^1 to R^5, A, m and n are defined as in claim 1 and, wherein X means chloro or bromo.

17. Compounds in accordance with any one of claims 1 to 15 for use as therapeutically active substances.

18. The use of a compound as claimed in any one of claims 1 to 15 for the production of a medicament for the prophylaxis and therapy of illnesses which are caused by disorders associated with the NPY receptor.

19. A pharmaceutical composition comprising a compound in accordance with any one of claims 1 to 15 and a therapeutically inert carrier.

20. A pharmaceutical composition comprising a compound of formula I



(I)

as defined in claim 1 and a therapeutically inert carrier, substantially as hereinbefore described with reference to any one of Examples A to E.

21. The use of a compound in accordance with any one of claims 1 to 15 for the production of a medicament for the treatment and prophylaxis of arthritis, cardiovascular diseases, diabetes, renal failure, eating disorders and obesity.

22. The use of claim 21 for the treatment of obesity.

23. A compound in accordance with any one of claims 1 to 15, when manufactured according to claim 16.

24. A method for the treatment and prophylaxis of arthritis, cardiovascular diseases, diabetes, renal failure, eating disorders and obesity, which method comprises administering an effective amount of a compound in accordance with any one of claims 1 to 15 or 23, or an effective amount of a composition as claimed in claim 19 or claim 20.

25. The method of claim 24 for the treatment of obesity.

26. A method of treatment of obesity in a human in need of such treatment which comprises administration to the human a therapeutically effective amount of a compound according to any one of claims 1 to 15 or claim 23 and a therapeutically effective amount of a lipase inhibitor.

27. The method according to claim 26, wherein the lipase inhibitor is orlistat.

28. The method according to claims 26 or claim 27 wherein the administration of the compound and of the lipase inhibitor is simultaneous, separate or sequential administration.

29. The use of a compound according to any one of claims 1 to 15 or claim 23 for the manufacture of a medicament for the treatment and prevention of obesity in a patient who is also receiving treatment with a lipase inhibitor.

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30. The use according to claim 29, wherein the lipase inhibitor is orlistat.

31. The pharmaceutical composition according to claim 19 or claim 20 comprising further a therapeutically effective amount of a lipase inhibitor.

32. The pharmaceutical composition according to claim 31, wherein the lipase inhibitor is orlistat.

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