

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret. Time (min)
298		2-[[[(Butylamino) carbonyl]amino]-N-(4-methoxy-2-naphthalenyl)-4-methyl-5-thiazolecarboxamide	4.31
299		2-[[[(Butylamino) carbonyl]amino]-N-(2-methyl-1-naphthalenyl)-4-methyl-5-thiazolecarboxamide	3.92
300		2-[[[(Butylamino) carbonyl]amino]-N-[4-(dimethylamino)-2,3,5,6-tetramethylphenyl]-4-methyl-5-thiazolecarboxamide	3.14
301		2-[[[(Butylamino) carbonyl]amino]-N-(6-methyl-5-quinoliny)-4-methyl-5-thiazolecarboxamide	3.13

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
302		2-[[[(Butylamino)carbonyl]amino]-N-[2-(2-hydroxyethyl)-6-methylphenyl]-4-methyl-5-thiazolecarboxamide	3.50
303		2-[[[(Butylamino)carbonyl]amino]-N-(2,6-dimethyl-3-nitrophenyl)-4-methyl-5-thiazolecarboxamide	3.75
304		N-(2-Bromo-3,4,6-trimethylphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide	4.12
305		N-(2-Acetyl-6-hydroxyphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide	3.75

-continued

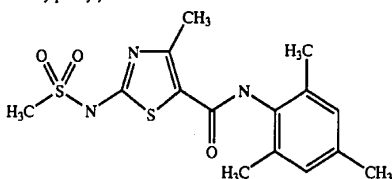
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
306		4-[[[2-[[[(Butylamino) carbonyl]amino]-4-methyl-5-thiazolyl]-carbonyl]amino]-2,3,5,6-tetramethylphenyl]carbamic acid 1,1-dimethylethyl ester	4.10
307		2-[[[(Butylamino) carbonyl]amino]-N-(2,6-dichlorophenyl)-4-methyl-5-thiazolecarboxamide	4.42
308		N-(4-Amino-2,3,5,6-tetramethylphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide	3.15
309		N-[5-(Acetylamino)-2,4-dimethylphenyl]-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide	3.52

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
310		N-(4-Bromo-2,6-dimethylphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide	4.93
311		2-[[[(Butylamino)carbonyl]amino]-N-(2-chloro-6-methylphenyl)-4-methyl-5-thiazolecarboxamide	4.51

EXAMPLE 312

Preparation of 4-Methyl-2-[(methylsulfonyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide



A. Ethyl-2-[(methylsulfonyl)amino]4-methyl-thiazole-5-carboxylate

A stirred solution of ethyl-2-amino-4-methyl-thiazole-5-carboxylate (558 mg, 3 mmol) in dichloromethane (15 mL) and pyridine (5 mL) was treated with methanesulfonyl chloride (687 mg, 6 mmol) at rt overnight. The solution was diluted with dichloromethane (50 mL) and washed with 2N aq. HCl solution (15 mL, 3x), dried (MgSO₄), filtered and concentrated. The crude residue was diluted with ether (25 mL) and the solid was filtered, washed with 1:1 ether:hexane mixture (10 mL, 3x), and dried in vacuo to obtain the title compound (687 mg, 87%) as an off-white solid.

B. 2-[(Methylsulfonyl)amino]4-methyl-thiazole-5-carboxylic Acid

A stirred solution of Ethyl-2-[(methylsulfonyl)amino]-4-methyl-thiazole-5-carboxylate (300 mg, 1.14 mmol) in methanol (9 mL) was treated with a 1N NaOH solution (28.4 mL, 28.4 mmol). The mixture was stirred at rt overnight. The solution was cooled to 0° C. and acidified with 6N aq. HCl solution to pH 1. The solution was extracted with dichloromethane-chloroform mixture. The organic extract was dried (MgSO₄), filtered and concentrated in vacuo to obtain the title acid (148 mg, 55%).

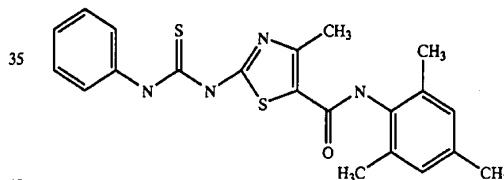
C. 4-Methyl-2-[(methylsulfonyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide

Diisopropylethylamine (87 μL, 0.5 mmol) was added to a solution of 312 B (99 mg, 0.42 mmol), 2,4,6-trimethylaniline (68 μL, 0.5 mmol), and [O-(7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium] hexafluorophosphate (HATU, 191 mg, 0.5 mmol) in DMF (3 mL). The mixture was stirred at rt overnight, diluted with EtOAc and washed with 0.5 N aq. HCl solution (15 mL), 10% aq. LiCl solution (25 mL, 3x), water (930 mL, 2x),

brine, dried (MgSO₄), filtered and concentrated. The residue was chromatographed on a silica gel column and eluted with 50% EtOAc in hexanes, followed by 75% EtOAc in hexanes and 2% MeOH in EtOAc to obtain the title compound (19 mg, 13%) as a white solid.

EXAMPLE 313

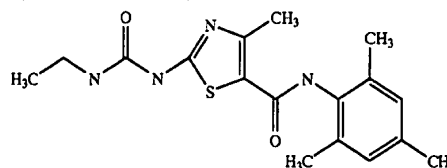
Preparation of 4-Methyl-2-[(phenylamino)thiocarbonyl]amino)-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide



A solution of 2 (45 mg, 0.16 mmol) and phenylisothiocyanate (43 mg, 0.32 mmol) in pyridine (2 mL) was heated to 80° C. for 20 h. The mixture was cooled, diluted with dichloromethane-THF mixture (80 mL, 3:1) and washed with 2 N aq. HCl solution (15 mL, 2x). The organic extract was dried (MgSO₄), filtered and concentrated. The residue was diluted with EtOAc (20 mL) and the solid was filtered, washed with ether (10 mL, 3x), and dried in vacuo to obtain the title compound (35 mg, 52%) as an off-white solid.

EXAMPLE 314

Preparation of 2-[[[(ethylamino)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide

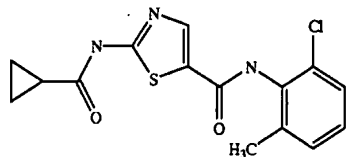


Compound 314 was prepared by an analogous method as that of compounds 171-180, using ethylisocyanate to give the title compound 314 as a white solid (65%).

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EXAMPLE 315

Preparation of N-(2-Chloro-6-methylphenyl)-2-[(cyclopropylcarbonyl)amino]-5-thiazolecarboxamide



A. Ethyl-2-tert-butoxycarbonyloxyamino-4-methyl-thiazole-5-carboxylate

A suspension of ethyl-2-amino-thiazole-5-carboxylate (972 mg, 6 mmol, B. Plouvler, C. Bailly, R. Houssin, J-P. Henlchart *Heterocycles* 32(4), 693-701, 1991 and H. J. Becker, J. de Jonge *Rec. Trav. Chim.* 61, 463, 1942), di-*t*-butyldicarbonate (1.94 g, 9 mmol) and 4-dimethylaminopyridine (73 mg, 0.6 mmol) in dry tetrahydrofuran (75 mL) was stirred under nitrogen for 24 h. The solvent was evaporated in vacuo. The residue was suspended in ether (50 mL). The solid was washed with ether (10 mL, 3x), and dried in vacuo to obtain the title compound (1.1 g, 70%).

B. 2-tert-Butoxycarbonyloxyamino-thiazole-5-carboxylic Acid

A stirred solution of ethyl-2-tert-butoxycarbonyloxyamino-4-methyl-thiazole-5-carboxylate (1.1 g, 4.2 mmol) in tetrahydrofuran-methanol (80 mL, 1:1) was treated with a 6N aq. NaOH solution (20 mL, 120 mmol). The mixture was stirred at rt for 24 h. Most of THF and methanol were removed by distillation under reduced pressure and the aq. Solution was acidified with 6 N aq. HCl solution (22 mL). The precipitated solid was filtered, washed with water and ether, air dried followed by drying in vacuo to obtain the title acid (940 mg, 96%) as an off-white solid.

C. [5-[[2-(2-Chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]carbamic Acid,1,1-dimethylethyl Ester

A 2 M solution of oxalyl chloride in dichloromethane (1 mL, 2 mmol) was added dropwise to a stirred solution of 2-tert-butoxycarbonyloxyamino-thiazole-5-carboxylic acid (234 mg, 1 mmol) in THF (10 mL) and *N,N*-dimethylformamide (few drops). The solution was stirred at rt for 4 h. The solvent was evaporated under reduced pressure, and in vacuo to obtain the crude acid chloride.

2-Chloro-6-methyl aniline (212 mg, 1.5 mmol) was added dropwise to a stirred solution of crude 2-tert-butoxycarbonyloxyamino-thiazole-5-carboxylic acid chloride (1 mmol) in dichloromethane (10 mL) at 0° C. Diisopropylethylamine (516 mg, 4 mmol) was added. The solution was allowed to warm to rt and stirred for 24 h, diluted with dichloromethane (60 mL) and washed with 2 N aq. HCl solution (15 mL). The organic extract was dried (MgSO₄), filtered and concentrated. The residue was diluted with EtOAc-ether (25 mL, 1:4) and the solid was filtered and washed with ether (5 mL, 4x), and dried in vacuo to obtain the title compound (175 mg, 48%) as a tan solid.

D. 2-Amino-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide

Compound 315D was prepared by an analogous method as that of 2, except using compound 315C to give the title compound 315D as a tan solid.

E. 2-[(Cyclopropylcarbonyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide

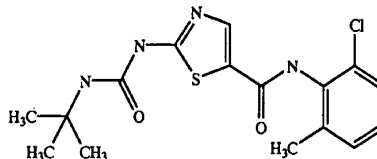
A solution of 315D (50.6 mg, 0.19 mmol) and cyclopropanecarboxylic acid anhydride (302 mg, 1.96 mmol) in dioxane (2 mL) was heated to 93° C. overnight. The mixture

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was concentrated in vacuo, diluted with EtOAc and washed with satd. aq. KHCO₃ solution (2x). The organic extract was dried (Na₂SO₄), filtered and concentrated. The residue was triturated with ether to obtain the title compound (11 mg, 5.17%) as a white solid.

EXAMPLE 316

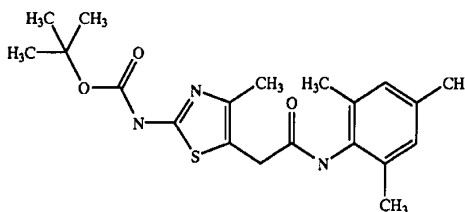
Preparation of 2-[[[(1,1-Dimethylethyl)amino]carbonyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide



Sodium hydride (19.2 mg, 0.8 mmol) was added to a solution of 315D (48.3 mg, 0.18 mmol) and *t*-butylisocyanate (41 μL, 0.36 mmol) in THF (5 mL) at 0° C. After 1 h, the mixture was diluted with EtOAc and washed with cold satd. aq. ammonium chloride solution. The aqueous layer was separated and extracted with EtOAc. The EtOAc extracts were combined, dried (Na₂SO₄), filtered and concentrated. The residue was purified by automatic preparative HPLC (conditions: YMC S5 ODS A 20x100 mm Column, 10 min gradient starting from 10% solvent B (90% MeOH, 10% H₂O, 0.1% TFA) and 90% solvent A (10% MeOH, 90% H₂O, 0.1% TFA) to 100% solvent B, flow rate 20 mL/min, λ=220 nm) to obtain the title compound (18 mg, 28%) as an off-white solid.

EXAMPLE 317

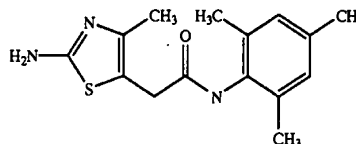
Preparation of 2-[[[(1,1-Dimethylethoxy)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazoleacetamide



Compound 317 was prepared by an analogous method as that of 1, except using methyl-2-amino-4-methyl-thiazole-5-acetate to give the title compound 317 as an off-white solid.

EXAMPLE 318

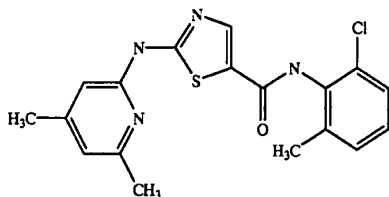
Preparation of 2-Amino-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazoleacetamide



Compound 318 was prepared by an analogous method as that of 2, except using 317 to give the title compound 318 as a light brown solid.

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EXAMPLE 319

Preparation of N-(2-Chloro-6-methylphenyl)-2-
[(4,6-dimethyl-2-pyridinyl)amino]-5-thiazolecarboxamide



A. 2-Bromo-N-(2-chloro-6-methylphenyl)-5-
thiazolecarboxamide

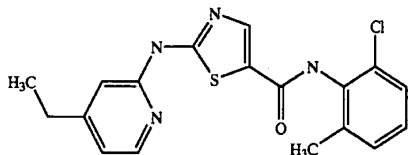
A solution of copper (II) bromide (2.68 g, 12 mmol) in acetonitrile (50 mL) was purged with nitrogen and cooled to 0° C. t-Butyl nitrite (2 mL, 15 mmol) was added, followed by a solution of compound 315D (2.68 g, 10 mmol) in acetonitrile (50 mL). The mixture was stirred at rt overnight and concentrated in vacuo. The residue was dissolved in EtOAc, washed with satd. aq. NaHCO₃ solution and the precipitate was removed by filtration. The organic extract was dried (Na₂SO₄), filtered and concentrated. The residue was crystallized from EtOAc/ether/hexanes mixture to obtain the title compound (1.68 g, 51%) as a yellow solid.

B. N-(2-Chloro-6-methylphenyl)-2-[(4,6-dimethyl-2-
pyridinyl)amino]-5-thiazolecarboxamide

95% Sodium hydride (15 mg) was added to a mixture of 319A (25 mg, 0.075 mmol) and 4,6-dimethyl-2-aminopyridine (37 mg, 0.302 mmol) in THF (1 mL). The mixture was heated to 60° C. overnight, cooled to rt and diluted with satd. aq. ammonium chloride solution. The mixture was extracted with EtOAc (2x). Organic extracts were combined, washed with water and dried (Na₂SO₄), filtered and concentrated. The residue was triturated with ether to obtain the title compound (17.5 mg, 63%) as a tan solid.

EXAMPLE 320

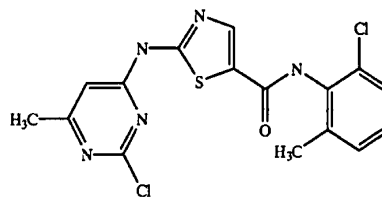
Preparation of N-(2-Chloro-6-methylphenyl)-2-
[(4-ethyl-2-pyridinyl)amino]-5-thiazolecarboxamide



Compound 320 was prepared by an analogous method as that of 319B, except using 4-ethyl-2-aminopyridine to give the title compound 320.

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EXAMPLE 321

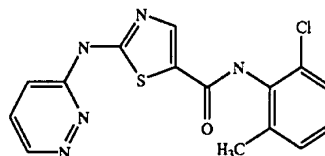
Preparation of N-(2-Chloro-6-methylphenyl)-2-
[(2,6-dimethyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide



Compound 321 was prepared by an analogous method as that of 319B, except using 2,6-dimethyl-4-aminopyrimidine to give the title compound 321.

EXAMPLE 322

Preparation of N-(2-Chloro-6-methylphenyl)-2-
[(3-pyridazinyl)amino]-5-thiazolecarboxamide



Compound 322 was prepared by an analogous method as that of 319B, except using 3-aminopyridazine to give the title compound 322.

EXAMPLE 323 to 335

General Procedure

Compounds 323 to 335 were prepared following the procedure described below. Diisopropylethyl amine (60 μL, 0.34 mmol) was added to a mixture of amine 144 (31 mg, 0.11 mmol), appropriate carboxylic acid (0.13 mmol), 1-hydroxy-7-azabenzotriazole (19.5 mg, 0.14 mmol), and ethyl-3-(3-dimethylamino)-propyl carbodiimide hydrochloride (26.8 mg, 0.14 mmol) in THF (0.4 mL). The mixture was heated in a sealed tube under argon at 50° C. for 24 h. The reaction mixture was diluted with dichloromethane (4 mL) and washed with 1 N aq. HCl solution. The dichloromethane solution was passed through a Varian Mega Bond Elut SCX cation exchange column (prewashed with methanol and equilibrated with acetonitrile-methanol (4:1). The column was eluted sequentially with acetonitrile-methanol (4:1), methanol-2M methanolic ammonia (4:1). Fractions containing the product were combined and concentrated in vacuo. "HPLC Ret Time" is the HPLC retention time under the following conditions: YMC S5 ODS 4.6x50 mm Ballistic Column, 4 min gradient starting from 100% solvent A (10% MeOH, 90% H₂O, 0.2% H₃PO₄) to 100% solvent B (90% MeOH, 10% H₂O, 0.2% H₃PO₄), flow rate 4 mL/min, λ=214 nm.

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
323		N-(2-Chloro-6-methylphenyl)-4-methyl-2-[(2-thienylcarbonyl)amino]-5-thiazolecarboxamide	3.70
324		N-(2-Chloro-6-methylphenyl)-2-[(cyclopropylcarbonyl)amino]-4-methyl-5-thiazolecarboxamide	3.41
325		N-(2-Chloro-6-methylphenyl)-4-methyl-2-[(2-furanylcarbonyl)amino]-5-thiazolecarboxamide	3.49
326		N-(2-Chloro-6-methylphenyl)-4-methyl-2-[(3-thienylcarbonyl)amino]-5-thiazolecarboxamide	3.71
327		N-(2-Chloro-6-methylphenyl)-4-methyl-2-[(3-furanylcarbonyl)amino]-5-thiazolecarboxamide	3.57
328		trans-N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[[2-phenylcyclopropyl]carbonyl]amino]-5-thiazolecarboxamide	4.09
329		N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[[2-methylcyclopropyl]carbonyl]amino]-5-thiazolecarboxamide	3.65

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
330		N-(2-Chloro-6-methylphenyl)-2-[(cyclobutylcarbonyl)amino]-4-methyl-5-thiazolecarboxamide	3.63
331		N-(2-Chloro-6-methylphenyl)-2-[(cyclopentylcarbonyl)amino]-4-methyl-5-thiazolecarboxamide	3.82
332		N-(2-Chloro-6-methylphenyl)-4-methyl-2-[(2-methyl-1-oxopropyl)amino]-5-thiazolecarboxamide	3.50
333		N-(2-Chloro-6-methylphenyl)-4-methyl-2-[(1-oxopentyl)amino]-5-thiazolecarboxamide	3.79
334		N-(2-Chloro-6-methylphenyl)-4-methyl-2-[(2-methyl-1-oxopentyl)amino]-5-thiazolecarboxamide	3.90
335		2-(Benzoylamino)-N-(2-chloro-6-methylphenyl)-4-methyl-5-thiazolecarboxamide	3.79

EXAMPLES 336 to 362

General Procedure

Compounds 336 to 362 were prepared by an analogous method as that of 323-335, except using 315D in place of 144. The crude products were purified by automatic preparative HPLC (conditions: YMC S5 ODS A 20x100 mm Column, 10 min gradient starting from 10% solvent B (90% MeOH, 10% H₂O, 0.1% TFA) and 90% solvent A (10%

MeOH, 90% H₂O, 0.1% TFA) to 100% solvent B, flow rate 20 mL/min, λ=220 nm to obtain title compounds 336-362.

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"HPLC Ret Time" is the HPLC retention time under the following conditions: YMC S5 ODS 4.6x50 mm Ballistic Column, 4 min gradient starting from 100% solvent A (10% MeOH, 90% H₂O, 0.2% H₃PO₄) to 100% solvent B (90% MeOH, 10% H₂O, 0.2% H₃PO₄), flow rate 4 mL/min, λ=220 nm.

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EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
336		N-(2-Chloro-6-methylphenyl)-2-[(1-oxopropyl)amino]-5-thiazolecarboxamide	3.53
337		N-(2-Chloro-6-methylphenyl)-2-[(1-oxobutyl)amino]-5-thiazolecarboxamide	3.61
338		N-(2-Chloro-6-methylphenyl)-2-[(2-ethyl-1-oxobutyl)amino]-5-thiazolecarboxamide	3.54
339		N-(2-Chloro-6-methylphenyl)-2-[(1-phenylcyclopropyl)carbonylamino]-5-thiazolecarboxamide	3.86
340		N-(2-Chloro-6-methylphenyl)-2-[(1-methylcyclopropyl)carbonylamino]-5-thiazolecarboxamide	3.53
341		N-(2-Chloro-6-methylphenyl)-2-[(2,2-dichloro-1-methylcyclopropyl)carbonylamino]-5-thiazolecarboxamide	3.53
342		N-(2-Chloro-6-methylphenyl)-2-[(2-methylcyclopropyl)carbonylamino]-5-thiazolecarboxamide	3.53
343		N-(2-Chloro-6-methylphenyl)-2-[(1-hydroxycyclopropyl)carbonylamino]-5-thiazolecarboxamide	3.58
344		N-(2-Chloro-6-methylphenyl)-2-[(2,2,3,3-tetramethylcyclopropyl)carbonylamino]-5-thiazolecarboxamide	3.69

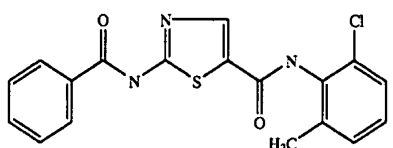
-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
345		N-(2-Chloro-6-methylphenyl)-2-[(1-cyanocyclopropyl)amino]-5-thiazolecarboxamide	3.53
346		N-(2-Chloro-6-methylphenyl)-2-[(cyclobutylcarbonyl)amino]-5-thiazolecarboxamide	3.52
347		N-(2-Chloro-6-methylphenyl)-2-[(cyclopentylcarbonyl)amino]-5-thiazolecarboxamide	3.59
348		N-(2-Chloro-6-methylphenyl)-2-[(cyclohexylcarbonyl)amino]-5-thiazolecarboxamide	3.78
349		N-(2-Chloro-6-methylphenyl)-2-[(phenylacetyl)amino]-5-thiazolecarboxamide	3.62
350		N-(2-Chloro-6-methylphenyl)-2-[(cyclohexylacetyl)amino]-5-thiazolecarboxamide	4.07
351		N-(2-Chloro-6-methylphenyl)-2-[(4-pyridinylacetyl)amino]-5-thiazolecarboxamide	3.75
352		N-(2-Chloro-6-methylphenyl)-2-[[2,5-dimethyl-1H-pyrrol-3-yl]carbonyl]amino]-5-thiazolecarboxamide	3.17

-continued

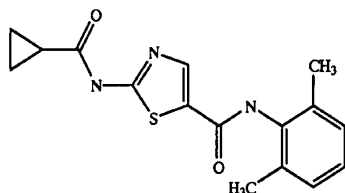
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
353		N-(2-Chloro-6-methylphenyl)-2-[(2-pyridinylcarbonyl)amino]-5-thiazolecarboxamide	3.07
354		N-(2-Chloro-6-methylphenyl)-2-[(3-pyridinylcarbonyl)amino]-5-thiazolecarboxamide	3.07
355		N-(2-Chloro-6-methylphenyl)-2-[(4-pyridinylcarbonyl)amino]-5-thiazolecarboxamide	3.61
356		N-(2-Chloro-6-methylphenyl)-2-[(3-thienylcarbonyl)amino]-5-thiazolecarboxamide	3.60
357		N-(2-Chloro-6-methylphenyl)-2-[(2-thienylcarbonyl)amino]-5-thiazolecarboxamide	3.61
358		N-(2-Chloro-6-methylphenyl)-2-[(2-furanylcarbonyl)amino]-5-thiazolecarboxamide	3.61
359		N-(2-Chloro-6-methylphenyl)-2-[(3-furanylcarbonyl)amino]-5-thiazolecarboxamide	3.69
360		trans-N-(2-Chloro-6-methylphenyl)-2-[(2-phenylcyclopropyl)amino]-5-thiazolecarboxamide	3.98
361		N-(2-Chloro-6-methylphenyl)-2-[(2-methyl-1-oxopentyl)amino]-5-thiazolecarboxamide	3.90

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
362		2-(Benzoylamino)-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	3.61

EXAMPLE 363

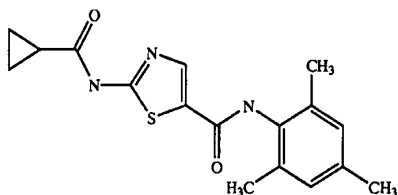
Preparation of 2-((Cyclopropylcarbonyl)amino)-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide



Compound 363 was prepared by an analogous method as that of 315, except using 2,6-dimethylaniline to give the title compound 363.

EXAMPLE 364

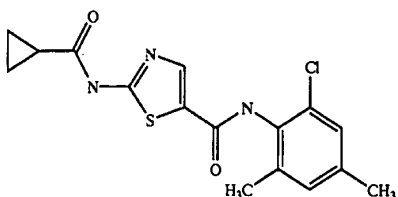
Preparation of 2-((Cyclopropylcarbonyl)amino)-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide



Compound 364 was prepared by an analogous method as that of 315, except using 2,4,6-trimethylaniline to give the title compound 364.

EXAMPLE 365

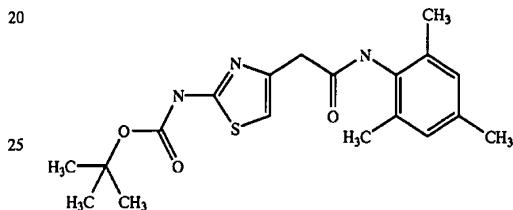
Preparation of N-(2-Chloro-4,6-dimethylphenyl)-2-((cyclopropylcarbonyl)amino)-5-thiazolecarboxamide



Compound 365 was prepared by an analogous method as that of 315, except using 2-chloro-4,6-dimethylaniline to give the title compound 365.

EXAMPLE 366

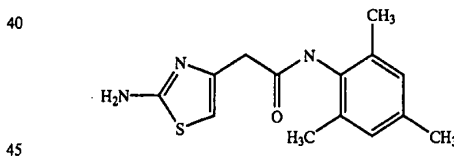
Preparation of [4-[2-Oxo-2-[(2,4,6-trimethylphenyl)amino]ethyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester



Compound 366 was prepared by an analogous method as that of 1 except, using 2-tert-butoxycarbonyloxaminothiazole-4-acetic acid to give the title compound, 366 as a white solid.

EXAMPLE 367

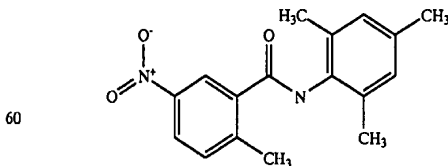
Preparation of 2-Amino-N-(2,4,6-trimethylphenyl)-4-thiazoleacetamide



Compound 367 was prepared by an analogous method as that of 4, except using 365 to give the title compound 367 as a white solid.

EXAMPLE 368

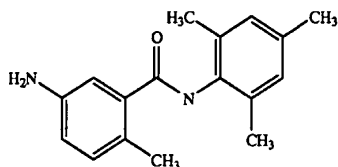
Preparation of 2-Methyl-5-nitro-N-(2,4,6-trimethylphenyl)benzamide



Compound 368 was prepared by an analogous method as that of 3, except using 2-methyl-5-nitrobenzoic acid to give the title compound 368 as a white solid.

187
EXAMPLE 369

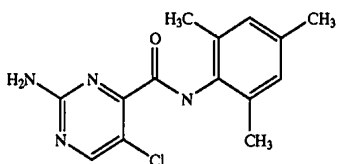
Preparation of 5-Amino-2-methyl-N-(2,4,6-trimethylphenyl)benzamide



10% Palladium on charcoal (30 mg) was added to a stirred solution of 368 (149 mg, 0.5 mmol) in EtOAc (50 mL). The reaction flask was equipped with a hydrogen filled balloon via a three-way stopcock. Air inside the flask was evacuated under reduced pressure and the flask filled with hydrogen from the balloon. After 4 h, the catalyst was filtered, washed with EtOAc (5 mL, 5x). The filtrate was concentrated to obtain the title compound (133 mg, 99%) as a white solid.

EXAMPLE 370

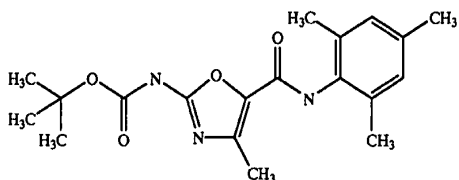
Preparation of 2-Amino-5-chloro-N-(2,4,6-trimethylphenyl)-4-pyrimidinecarboxamide



Compound 370 was prepared by an analogous method as that of 3, except using 2-amino-5-chloro-pyrimidine-4-carboxylic acid to give the title compound 370 as a white solid.

EXAMPLE 371

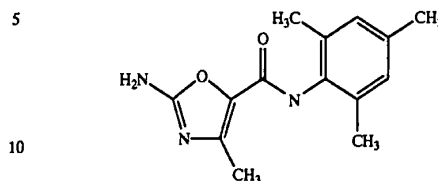
Preparation of [4-Methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-oxazolyl]carbamoyl]carbamate 1,1-dimethylethyl ester



Compound 371 was prepared by an analogous method as that of 1, except using 2-tert-butoxycarbonyloxyamino-4-methyl-5-oxazolecarboxylic acid to give the title compound 371 as a light yellow foam.

188
EXAMPLE 372

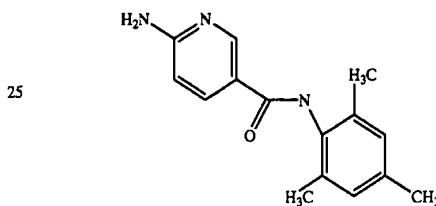
Preparation of 2-Amino-4-(methyl)-N-(2,4,6-trimethylphenyl)-5-oxazolecarboxamide, trifluoroacetate (1:1)



Compound 372 was prepared by an analogous method as that of 4, except using 369 to give the title compound 372 as a white solid.

EXAMPLE 373

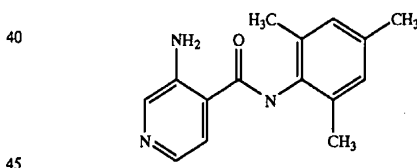
Preparation of 2-Amino-N-(2,4,6-trimethylphenyl)-5-pyridinecarboxamide



Compound 373 was prepared by an analogous method as that of 3, except using 6-aminonicotinic acid to give the title compound 373 as a white solid.

EXAMPLE 374

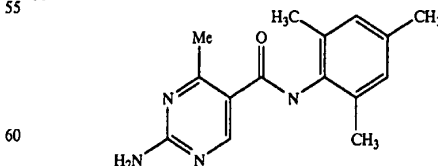
Preparation 3-Amino-N-(2,4,6-trimethylphenyl)-4-pyridinecarboxamide



Compound 374 was prepared by an analogous method as that of 3, except using 3-amino-4-pyridinecarboxylic acid to give the title compound 374 as a white solid.

EXAMPLE 375

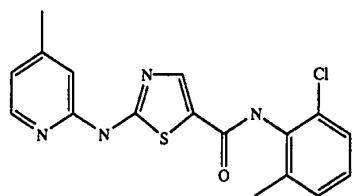
Preparation 2-Amino-4-methyl-N-(2,4,6-trimethylphenyl)-5-pyrimidinecarboxamide



Compound 375 was prepared by an analogous method as that of 3, except using 2-amino-4-methyl-5-pyrimidinecarboxylic acid to give the title compound 375 as a white solid.

189
EXAMPLE 376

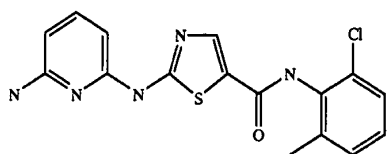
Preparation of N-(2-Chloro-6-methylphenyl)-2-[(4-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide



Compound 376 was prepared by an analogous method as that of 319B, except using 2-amino-4-methyl-pyridine to give the title compound 376 as an off-white solid.

EXAMPLE 377

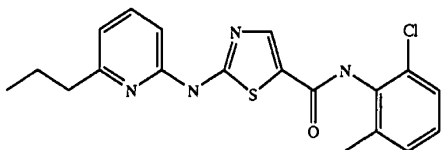
Preparation of 2-[(6-Amino-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide



Compound 377 was prepared by an analogous method as that of 319B, except using 2,6-diaminopyridine to give the title compound 377 as a light brown solid.

EXAMPLE 378

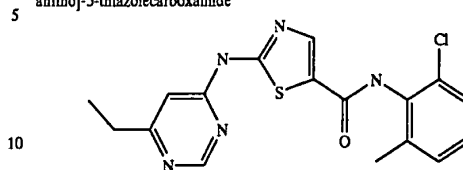
Preparation of N-(2-Chloro-6-methylphenyl)-2-[(6-propyl-2-pyridinyl)amino]-5-thiazolecarboxamide



Compound 378 was prepared by an analogous method as that of 319B, except using 2-amino-6-propyl-pyridine to give the title compound 378 as an off-white solid.

190
EXAMPLE 379

Preparation of N-(2-Chloro-6-methylphenyl)-2-[(6-ethyl-4-pyrimidinyl)amino]-5-thiazolecarboxamide



Compound 379 was prepared by an analogous method as that of 319B, except using 4-amino-6-ethyl-pyrimidine to give the title compound 379 as a white solid.

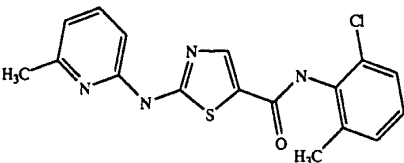
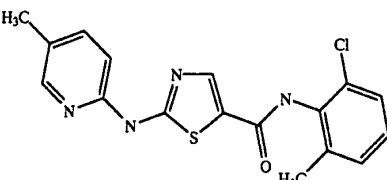
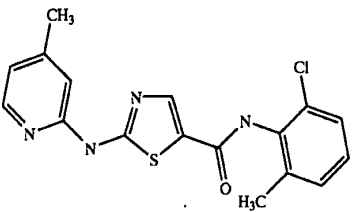
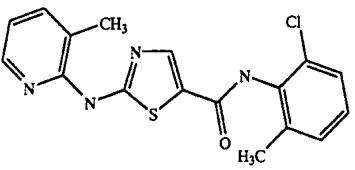
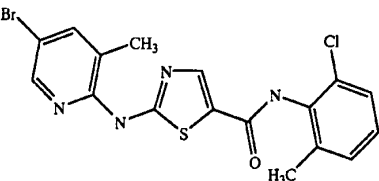
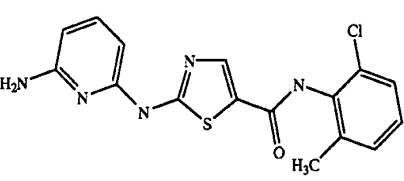
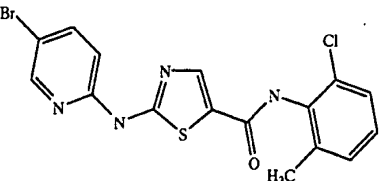
EXAMPLE 380 to 409

General Procedure

Compounds 380 to 409 were prepared by an analogous method as that of 319B. For the following examples 380 to 527 "HPLC Ret Time" is the HPLC retention time under the following conditions: YMC S5 ODS 4.6x50 mm Ballistic Column, 4 min gradient starting from 100% solvent A (10% MeOH, 90% H₂O, 0.2% H₃PO₄) to 100% solvent B (90% MeOH, 10% H₂O, 0.2% H₃PO₄), flow rate 4 mL/min, λ=220 nM. Where used, "HPLC Ret Time 'B'" is the HPLC retention time under the following conditions: YMC S5 ODS 4.6x33 mm Turbo Column, 2 min gradient starting from 100% solvent A (10% MeOH, 90% H₂O, 0.1% TFA) to 100% solvent B (90% MeOH, 10% H₂O, 0.1% TFA) with 1min at 100% solvent B, flow rate 4 ml/min, λ=220 nM.

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
380		N-(2-Chloro-6-methylphenyl)-2-(2-pyridinylamino)-5-thiazolecarboxamide	3.337

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
381		'N-(2-Chloro-6-methylphenyl)-2-[(6-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.61
382		'N-(2-Chloro-6-methylphenyl)-2-[(5-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.487
383		'N-(2-Chloro-6-methylphenyl)-2-[(4-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.293
384		'N-(2-Chloro-6-methylphenyl)-2-[(3-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.243
385		'2-[(5-Bromo-3-methyl-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	4.17
386		'2-[(6-Amino-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.817
387		'2-[(5-Bromo-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	4.023

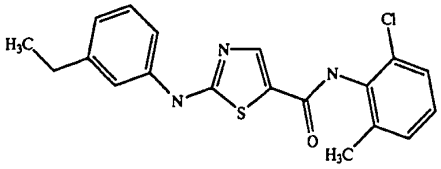
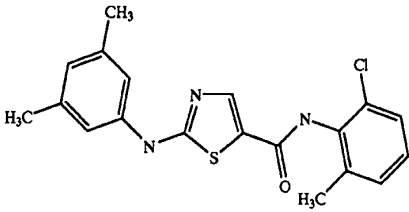
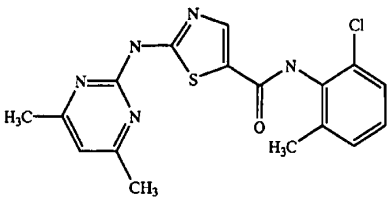
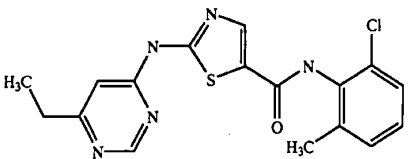
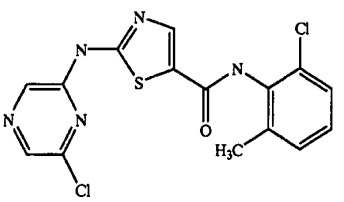
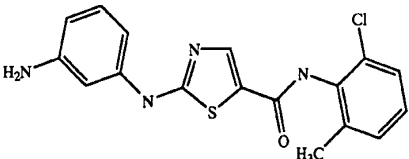
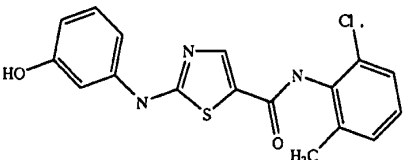
-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
388		N-(2-Chloro-6-methylphenyl)-2-[[3-(phenylmethoxy)-2-pyridinyl]amino]-5-thiazolecarboxamide	4.143
389		N-(2-Chloro-6-methylphenyl)-2-[(5-chloro-2-pyridinyl)amino]-5-thiazolecarboxamide	3.957
390		N-(2-Chloro-6-methylphenyl)-2-[(6-ethyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.867
391		N-(2-Chloro-6-methylphenyl)-2-[(6-propyl-2-pyridinyl)amino]-5-thiazolecarboxamide	4.083
392		2-[(3-Bromo-5-methyl-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	4.077
393		2-[(2-Amino-3-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.343
394		2-[(3-Amino-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.777

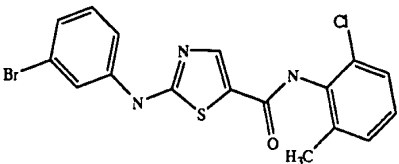
-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
395		'N-(2-Chloro-6-methylphenyl)-2-(4-pyridinylamino)-5-thiazolecarboxamide	2.493
396		'N-(2-Chloro-6-methylphenyl)-2-(3-pyridinylamino)-5-thiazolecarboxamide	2.47
397		'N-(2-Chloro-6-methylphenyl)-2-((6-chloro-3-pyridinyl)amino)-5-thiazolecarboxamide	3.75
398		'N-(2-Chloro-6-methylphenyl)-2-((2-chloro-3-pyridinyl)amino)-5-thiazolecarboxamide	3.443
399		'N-(2-Chloro-6-methylphenyl)-2-((6-methoxy-3-pyridinyl)amino)-5-thiazolecarboxamide	3.517
400		'N-(2-Chloro-6-methylphenyl)-2-((3,5-dimethyl-2-pyrazinyl)amino)-5-thiazolecarboxamide	3.583
401		'N-(2-Chloro-6-methylphenyl)-2-(phenylamino)-5-thiazolecarboxamide	3.697

-continued

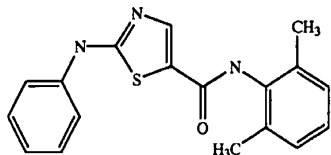
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
402		'N-(2-Chloro-6-methylphenyl)-2-[(3-ethylphenyl)amino]-5-thiazolecarboxamide	4.107
403		'N-(2-Chloro-6-methylphenyl)-2-[(3,5-dimethylphenyl)amino]-5-thiazolecarboxamide	3.98
404		'N-(2-Chloro-6-methylphenyl)-2-[(4,6-dimethyl-2-pyrimidinyl)amino]-5-thiazolecarboxamide	3.51
405		'N-(2-Chloro-6-methylphenyl)-2-[(6-ethyl-4-pyrimidinyl)amino]-5-thiazolecarboxamide	2.943
406		'N-(2-Chloro-6-methylphenyl)-2-[(6-chloro-2-pyrazinyl)amino]-5-thiazolecarboxamide	3.763
407		'2-[(3-Aminophenyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.633
408		'N-(2-Chloro-6-methylphenyl)-2-[(3-hydroxyphenyl)amino]-5-thiazolecarboxamide	3.337

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
409		2-[(3-Bromophenyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	4.12

EXAMPLE 410

Preparation of N-(2,6-Dimethylphenyl)-2-(phenylamino)-5-thiazolecarboxamide



A. [5-[[2,6-Dimethylphenyl]amino]carbonyl]-2-thiazolyl] carbamic Acid, 1,1-Dimethylethyl Ester

15

Compound 410A was prepared by an analogous method as that of 315C, except using 2,6-dimethylaniline.

B. 2-Amino-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide

20

Compound 410B was prepared by an analogous method as that of 315D, except using compound 410A.

C. Title Compound

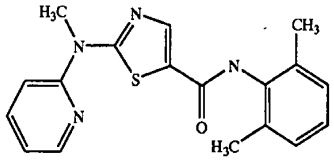
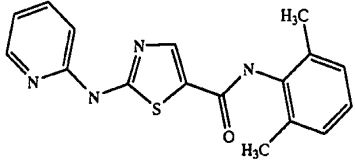
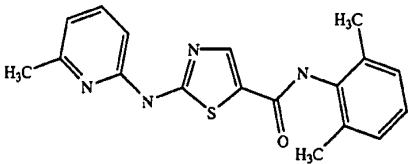
The title compound was prepared by an analogous method as that of 319B, except using compound 410B and aniline. HPLC Ret. Time 3.69 min.

25

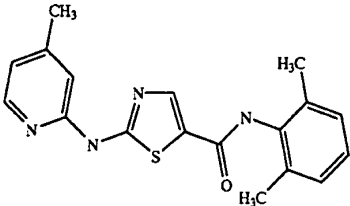
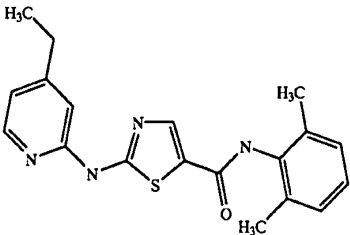
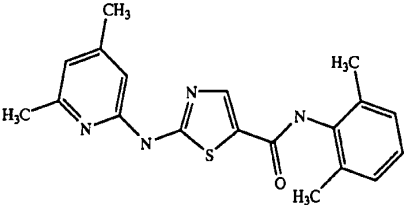
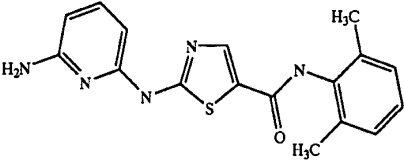
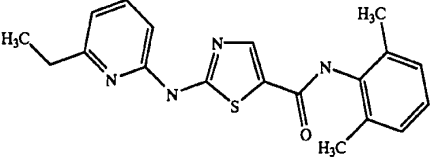
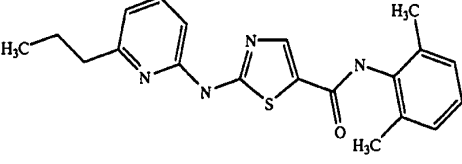
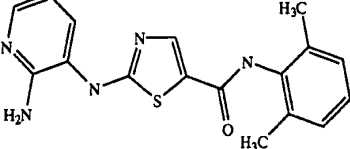
EXAMPLES 411 to 427

General Procedure

Compounds 411 to 427 were prepared by an analogous method as that of 319B.

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
411		N-(2,6-Dimethylphenyl)-2-(methylphenylamino)-5-thiazolecarboxamide	3.667
412		N-(2,6-Dimethylphenyl)-2-(2-pyridinylamino)-5-thiazolecarboxamide	3.297
413		N-(2,6-Dimethylphenyl)-2-(6-methyl-2-pyridinylamino)-5-thiazolecarboxamide	3.587

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
414		'N-(2,6-Dimethylphenyl)-2-[(4-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.222
415		'N-(2,6-Dimethylphenyl)-2-[(4-ethyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.54
416		'N-(2,6-Dimethylphenyl)-2-[(4,6-dimethyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.543
417		'2-[(6-Amino-2-pyridinyl)amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide	2.807
418		'N-(2,6-Dimethylphenyl)-2-[(6-ethyl-2-pyridinyl)amino]-5-thiazolecarboxamide	3.847
419		'N-(2,6-Dimethylphenyl)-2-[(6-propyl-2-pyridinyl)amino]-5-thiazolecarboxamide	4.057
420		'2-[(2-Amino-3-pyridinyl)amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide	2.337

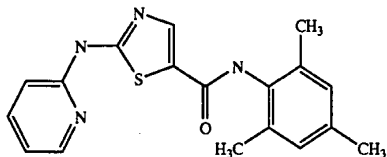
-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
421		2-[(3-Amino-2-pyridinyl)amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide	2.737
422		2-[(6-Amino-2-methyl-4-pyrimidinyl)amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide	2.71
423		N-(2,6-Dimethylphenyl)-2-[[6-(4-morpholinyl)-3-pyridazinyl]amino]-5-thiazolecarboxamide	2.727
424		2-[(6-Chloro-3-pyridazinyl)amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide	3.46
425		N-(2,6-Dimethylphenyl)-2-(3-pyridazinylamino)-5-thiazolecarboxamide	2.973
426		2-[(3-Aminophenyl)amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide	2.63
427		2-[(3-Bromophenyl)amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide	4.143

205

EXAMPLE 428

Preparation of 2-(2-(2-Pyridinylamino)-N-(2,4,6-trimethylphenyl)-5-thiazolocarboxamide



A. [5-[(2,4,6-Trimethylphenyl)amino]carbonyl]-2-thiazolyl]carbamic Acid, 1,1-Dimethylethyl Ester

206

Compound 428A was prepared by an analogous method as that of 315C, except using 2,4,6-trimethylaniline.

B. 2-Amino-N-(2,6-dimethylphenyl)-5-thiazolocarboxamide

5 Compound 428B was prepared by an analogous method as that of 315D, except using compound 428A.

C. Title Compound

10 The title compound was prepared by an analogous method as that of 319B, except using compound 428B and 2-aminopyridine. HPLC Ret. Time 3.66 min.

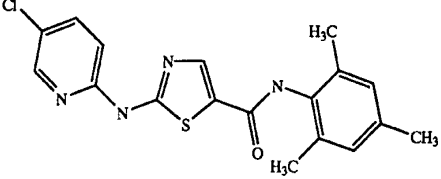
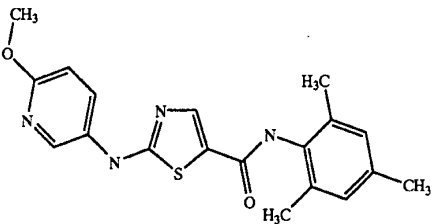
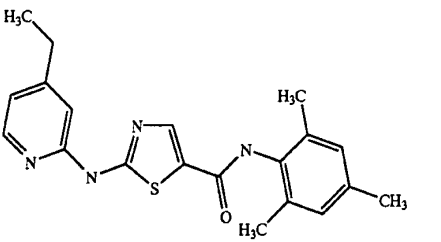
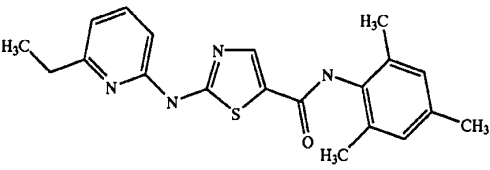
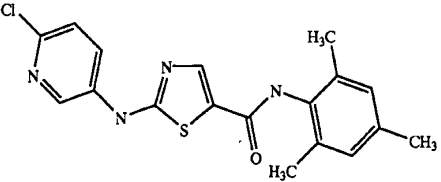
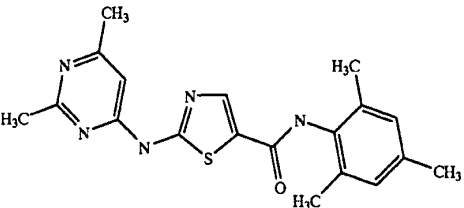
EXAMPLES 429 to 443

General Procedure

Compounds 429 to 443 were prepared by an analogous method as that of 319B.

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
429		2-[(6-Methyl-2-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolocarboxamide	3.903
430		2-[(5-Methyl-2-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolocarboxamide	3.8
431		2-[(4-Methyl-2-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolocarboxamide	3.603
432		2-[(3-Methyl-2-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolocarboxamide	3.56
433		2-[(5-Bromo-2-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolocarboxamide	4.263

-continued

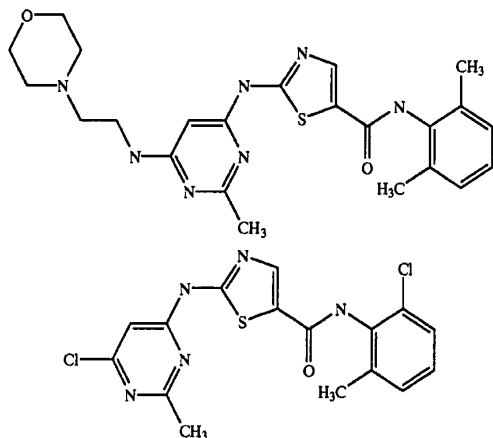
EX. NO. Compound Structure	Compound Name	HPLC Ret Time (min)
434 	2-[(5-Chloro-2-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	4.203
435 	2-[(6-Methoxy-3-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	3.8
436 	2-[(4-Ethyl-2-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	3.86
437 	2-[(6-Ethyl-2-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	4.127
438 	2-[(6-Chloro-3-pyridinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	4.017
439 	2-[(2,6-Dimethyl-4-pyrimidinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	2.943

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
440		2-[(4-Methyl-2-pyrimidinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	3.723
441		2-(2-Pyrazinylamino)-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	3.65
442		2-[(6-Chloro-2-pyrazinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	4.05
443		2-[(3,5-Dimethyl-2-pyrazinyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide	3.877

EXAMPLE 444

Preparation of 'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide



40

To a suspension of NaH (148 mg, 6.17 mmol) in THF (20 mL) was added a solution of compound 315D (551 mg, 2.06 mmol) in THF (10 mL) and stirred at RT for 0.5 h. A solution of 4,6-dichloro-2-methylpyrimidine (671.6 mg, 4.12 mmol) in THF (10 mL) and stirred at RT overnight. The reaction was quenched with acetic acid and the solvent removed in vacuo. Water and saturated NaHCO₃ were added to the residue and extracted with CH₂Cl₂. The organic layer was removed in vacuo and the crude material purified by column chromatography to give 444A (494 mg).

A 45

B. Title Compound

To compound 444A (30 mg) was added N-(2-aminoethyl)-morpholine (300 μL) and the mixture was heated at 80° C. for 2 h. Water was added to the reaction and the product was collected by filtration. HPLC Ret. Time 2.357 min.

55

EXAMPLES 445 to 461

60

General Procedure

Compounds 445 to 461 were prepared by an analogous method as that of 444B by substituting the appropriate amine.

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
445		N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.253
446		N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[methyl[3-(methyl-amino)propyl]amino]-4-pyrimidinyl]amino]-5-thiazole-carboxamide	2.493
447		N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[2-(tetrahydro-2-oxo-1H-imidazol-1-yl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazole-carboxamide	2.71
448		N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[2-(1H-imidazol-4-ylethyl)amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.303
449		N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[4-morpholinyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	3.337
450		Chiral N-(2-Chloro-6-methylphenyl)-2-[[6-[[[(2R)-1-ethyl-2-pyrrolidinyl]methyl]amino]-2-methyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.703

-continued

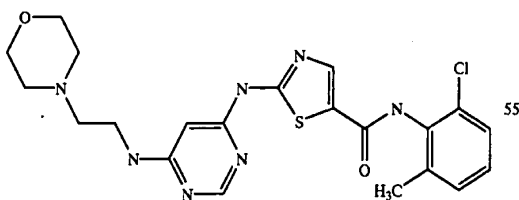
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
451		Chiral N-(2-Chloro-6-methylphenyl)-2-[[6-[[[(2S)-1-ethyl-2-pyrrolidinyl]methyl]amino]-2-methyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.717
452		Chiral 2-[[6-[(2S)-2-(Aminocarbonyl)-1-pyrrolidinyl]-2-methyl-4-pyrimidinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.81
453		Chiral N-(2-Chloro-6-methylphenyl)-2-[[6-[(2-hydroxyethyl)amino]-2-methyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.677
454		N-(2-Chloro-6-methylphenyl)-2-[[6-[4-(hydroxymethyl)-1-piperidinyl]-2-methyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide	3.05
455		N-(2-Chloro-6-methylphenyl)-2-[[6-[4-(2-hydroxyethyl)-1-piperazinyl]-2-methyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.717
456		1-[6-[[5-[[[(2-Chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-4-piperidinecarboxamide	2.863
457		Chiral N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[(3S)-3-methyl-1-piperazinyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.823

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
458		2-[[6-[3-(Acetylamino)-1-pyrrolidinyl]-2-methyl-4-pyrimidinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.78
459		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-2-methyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.383
460		N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[5-methyl-2-pyrazinyl)methyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	3.027
461		N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[2-(1H-1,2,3-triazol-1-yl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.78

EXAMPLE 462

Preparation of N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide



45 Compound 462A was prepared by an analogous method as that of 444A, except using 4,6-dichloropyrimidine.

B. Title Compound

A 50 The title compound was prepared by an analogous method as that of 444B, except using compound 462A in place of compound 444A. HPLC Ret. Time 2.553 min.

EXAMPLES 463 to 472

General Procedure

60 Compounds 463 to 472 were prepared by an analogous method as that of 444B by substituting the appropriate amine. "HPLC Ret Time 'B'" is the HPLC retention time under the following conditions: YMC S5 ODS 4.6x33 mm Turbo Column, 2 min gradient starting from 100% solvent A (10% MeOH, 90 H₂O, 0.1% TFA) to 100% solvent B (90% MeOH, 10% H₂O, 0.1% TFA) with 1 min at 100% solvent B, flow rate 4 mL/min, λ=220 nm.

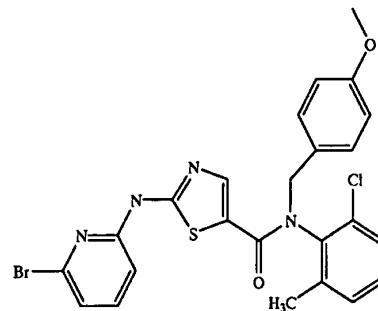
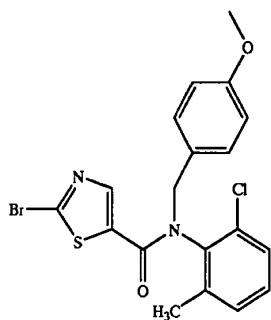
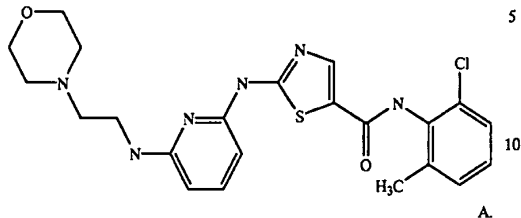
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
463		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(dimethyl-amino)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.527
464		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(tetrahydro-2-oxo-1H-imidazol-1-yl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.797
465		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(methylamino)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	1.137 B
466		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	1.113 B
467		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1-pyrrolidinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	1.150 B

-continued

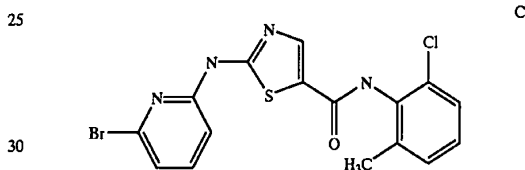
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
468		N-(2-Chloro-6-methylphenyl)-2-[[6-[(1-ethyl-2-pyrrolidinyl)methyl]amino]-4-pyrimidinyl]amino-5-thiazolecarboxamide	1.237 B
469		N-(2-Chloro-6-methylphenyl)-2-[[6-[(4-piperidinyl)methyl]amino]-4-pyrimidinyl]amino-5-thiazolecarboxamide	1.160 B
470		2-[[6-[[2-(Acetylamino)ethyl]amino]-4-pyrimidinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.457 B
471		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1H-1,2,3-triazol-1-yl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.897
472		N-(2-Chloro-6-methylphenyl)-2-[[6-(4-morpholinyl)amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	3.437

221
EXAMPLE 473

Preparation of 'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(4-morpholinyl)ethyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide



To compound 473A (0.5 g, 1.1 mmol) dissolved in THF (50 mL) was slowly added NaH (0.13 g, 5.5 mmol) followed by 2-bromo-6-aminopyridine (0.76 g, 4.4 mmol). The reaction was heated to reflux for 2 h then cooled to RT and quenched with acetic acid. The solvent was removed in vacuo then water and hexane was added and stirred at RT. The solid precipitate was collected by filtration and washed with water and Et₂O to give 473B (0.48 g)



To a suspension of NaH (2.83 g, 118 mmol) in DMF (350 mL) cooled to 0° C. was added compound 319A (31 g, 93.5 mmol). The mixture was stirred for 45 min at 0° C. then Bu₄NI (6.9 g, 18.7 mmol) was added followed by addition of 4-methoxy benzylchloride (18 g, 115 mmol). The reaction was allowed to warm to RT. After stirring overnight at RT the reaction was quenched slowly with acetic acid then the solvent removed in vacuo. To the residue was added water and neutralized with saturated aqueous NaHCO₃. The mixture was extracted 3 times with EtOAc and the combined organic layers washed with water then washed with saturated NaCl solution. The EtOAc layer was concentrated in vacuo and the residue purified by column chromatography to give 473A (35 g).

To compound 473B (0.48 g) dissolved in TFA (5 mL) was added anisole (2 mL) followed by triflic acid (1 mL). The reaction was stirred at RT for 3 h then was slowly added to a rapidly stirred mixture of ice, saturated NaHCO₃, Et₂O and CH₂Cl₂. The mixture was stirred cold for 1 h then the solid precipitate was collected by filtration and washed with water followed by Et₂O/CH₂Cl₂ mixture to give 473C (0.344 g). HPLC Ret. Time 3.85 min.

D. Title Compound

The title compound was prepared by an analogous method as that of 444B, except using compound 473C in place of compound 444A. HPLC Ret. Time 2.80 min.

EXAMPLES 474 to 480

General Procedure

Compounds 474 to 480 were prepared by an analogous method as that of 473D by substituting the appropriate amine.

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
474		N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(4-morpholinyl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	2.867

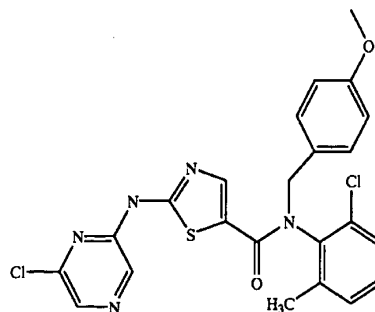
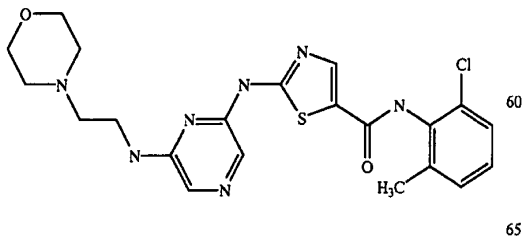
-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
475		N-(2-Chloro-6-methylphenyl)-2-[[6-{methyl[3-(methylamino)propyl]amino}-2-pyridinyl]amino]-5-thiazolecarboxamide	3.067
476		N-(2-Chloro-6-methylphenyl)-2-[[6-{(3S)-3-methyl-1-piperazinyl}-2-pyridinyl]amino]-5-thiazolecarboxamide	2.827
477		N-(2-Chloro-6-methylphenyl)-2-[[6-{(3-1H-imidazol-1-yl)propyl}amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	2.83
478		N-(2-Chloro-6-methylphenyl)-2-[[6-{(2-hydroxyethyl)amino}-2-pyridinyl]amino]-5-thiazolecarboxamide	3.077
479		N-(2-Chloro-6-methylphenyl)-2-[[6-{(2-1H-imidazol-1-ylethyl)amino}-2-pyridinyl]amino]-5-thiazolecarboxamide	2.903
480		N-(2-Chloro-6-methylphenyl)-2-[[6-(4-morpholinyl)-2-pyridinyl]amino]-5-thiazolecarboxamide	3.727

EXAMPLE 481

-continued

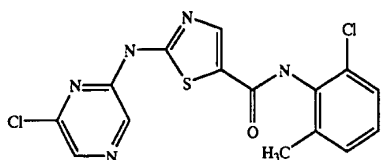
Preparation of N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(4-morpholinyl)ethyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide 55



225

Compound 481A was prepared by an analogous method as that of 473B, except using compound 2-chloro-6-aminopyrazine in place of compound 2-bromo-6-aminopyridine.

B. (Alternate Synthesis for Compound 406)



10

226

Compound 406 was prepared by an analogous method as that of 473C, except using compound 481A in place of compound 473B.

C. Title Compound

- 5 The title compound was prepared by an analogous method as that of 444B, except using compound 406 in place of compound 444A. HPLC Ret. Time 2.69 min.

EXAMPLES 482 to 486

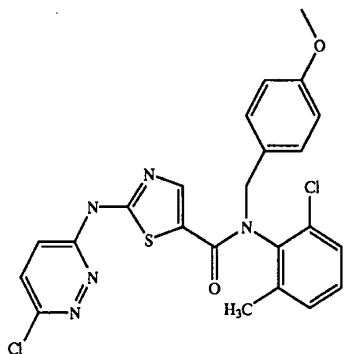
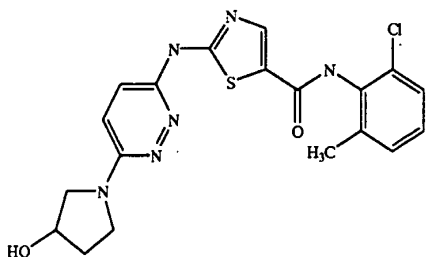
General Procedure

Compounds 482 to 486 were prepared by an analogous method as that of 481C by substituting the appropriate amine.

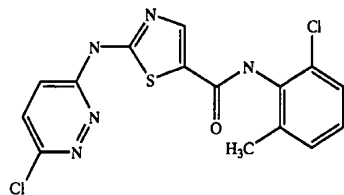
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
482		N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(4-morpholinyl)propyl]amino]-2-pyrazinyl]amino]-5-thiazolecarboxamide	2.783
483		N-(2-Chloro-6-methylphenyl)-2-[[6-(4-morpholinyl)-2-pyrazinyl]amino]-5-thiazolecarboxamide	3.57
484		Chiral N-(2-Chloro-6-methylphenyl)-2-[[6-[(3S)-3-methyl-1-piperazinyl]-2-pyrazinyl]amino]-5-thiazolecarboxamide	2.743
485		N-(2-Chloro-6-methylphenyl)-2-[[6-(3-hydroxy-1-pyrrolidinyl)-2-pyrazinyl]amino]-5-thiazolecarboxamide	3.327
486		N-(2-Chloro-6-methylphenyl)-2-[[6-(1H-imidazol-1-yl)-2-pyrazinyl]amino]-5-thiazolecarboxamide	2.68

227
EXAMPLE 487

Preparation of 'N-(2-Chloro-6-methylphenyl)-2-[[6-(3-hydroxy-1-pyrrolidinyl)-3-pyridazinyl]amino]-5-thiazolecarboxamide



Compound 487A was prepared by an analogous method as that of 473B, except using compound 3-chloro-5-aminopyridazine in place of compound 2-bromo-6-aminopyridine.



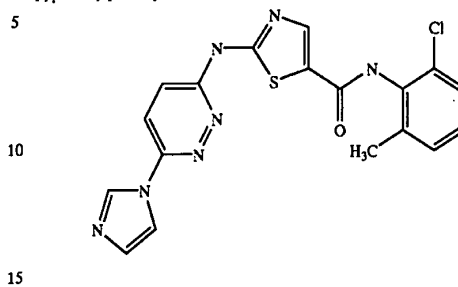
Compound 487B was prepared by an analogous method as that of 473C, except using compound 487A in place of compound 473B.

C. Title Compound

The title compound was prepared by an analogous method as that of 444B, except using compound 487B in place of compound 444A, and 3-hydroxypyrrolidine in place of N-(2-aminoethyl)-morpholine. HPLC Ret. Time 2.493 min.

228
EXAMPLE 488

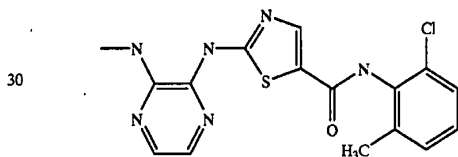
Preparation of 'N-(2-Chloro-6-methylphenyl)-2-[[6-(1H-imidazol-1-yl)-3-pyridazinyl]amino]-5-thiazolecarboxamide



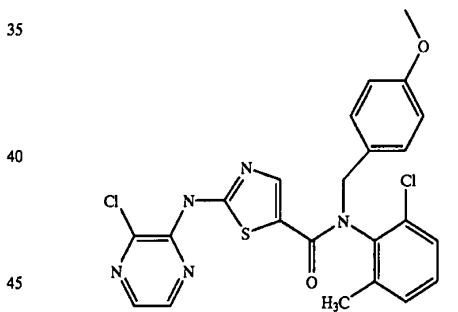
A. Compound 488 was prepared by an analogous method as that of 487C, except using imidazole in place of 3-hydroxypyrrolidine. HPLC Ret. Time 2.61 min.

EXAMPLE 489

25 Preparation of 'N-(2-Chloro-6-methylphenyl)-2-[[3-(methylamino)-2-pyrazinyl]amino]-5-thiazolecarboxamide



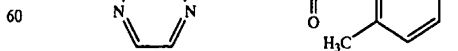
A.



B.

50 Compound 489A was prepared by an analogous method as that of 473B, except using compound 2-chloro-3-aminopyrazine in place of compound 2-bromo-6-aminopyridine.

55 Compound 489B was prepared by analogous method as that of 473C, except using compound 489A in place of compound 473B.



60

The title compound was prepared by an analogous method as that of 444B, except using compound 489B in place of compound 444A, and using methylamine in place of N-(2-aminoethyl)-morpholine. HPLC Ret. Time 2.81 min.

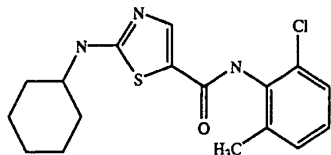
General Procedure

Compounds 490 to 494 were prepared by an analogous method as that of 489C by substituting the appropriate amine.

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
490		N-(2-Chloro-6-methylphenyl)-2-[[3-(3-hydroxy-1-pyrrolidinyl)-2-pyrazinyl]amino]-5-thiazolecarboxamide	2.82
491		N-(2-Chloro-6-methylphenyl)-2-[[3-(cyclopropylamino)-2-pyrazinyl]amino]-5-thiazolecarboxamide	2.94
492		N-(2-Chloro-6-methylphenyl)-2-[[3-(4-morpholinyl)-2-pyrazinyl]amino]-5-thiazolecarboxamide	3.643
493		N-(2-Chloro-6-methylphenyl)-2-[[3-[[2-(4-morpholinyl)ethyl]amino]-2-pyrazinyl]amino]-5-thiazolecarboxamide	2.72
494		2-[[3-[[2-(Acetylamino)ethyl]amino]-2-pyrazinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.933

231
EXAMPLE 495

Preparation of N-(2-Chloro-6-methylphenyl)-2-(cyclohexylamino)-5-thiazolecarboxamide



232

Compound 495 was prepared by an analogous method as that of 444B, except using the compound 319A in place of compound 444A, and using cyclohexylamine in place of N-(2-aminoethyl)-morpholine. HPLC Ret. Time 3.547 min.

EXAMPLES 496 to 500

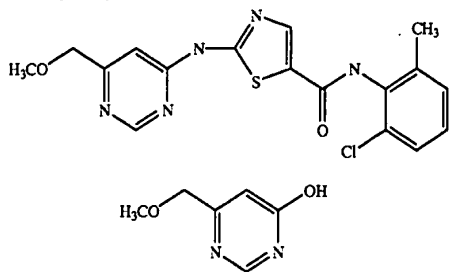
General Procedure

Compounds 496 to 500 were prepared by an analogous method as that of 495 by substituting the appropriate amine.

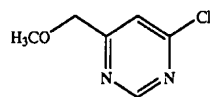
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
496		N-(2-Chloro-6-methylphenyl)-2-(methylamino)-5-thiazolecarboxamide	2.357
497		N-(2-Chloro-6-methylphenyl)-2-(cyclopropylamino)-5-thiazolecarboxamide	2.887
498		N-(2-Chloro-6-methylphenyl)-2-((phenylmethyl)amino)-5-thiazolecarboxamide	3.500
499		2-[[2-(Acetylamino)ethyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	2.483
500		Chiral N-(2-Chloro-6-methylphenyl)-2-[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino)-5-thiazolecarboxamide	3.407

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EXAMPLE 501

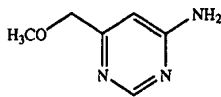
Preparation of 'N-(2-Chloro-6-methylphenyl)-2-[[6-(methoxymethyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide



To the mixture of methyl 4-methoxyacetoacetate (14.6 g, 0.1 mol) and formamidine hydrogen chloride salt (16.1 g, 0.2 mol) in 70 mL of dry MeOH was added a 25% solution of sodium methoxide (70 mL, 0.3 mol) in MeOH portion-wise. A white precipitate was formed immediately. The reaction mixture was stirred at room temperature for 1.0 hr. Acetic acid (28.6 mL, 0.5 mol) was added and the reaction mixture was concentrated in vacuo. Water was added to the residue and the mixture was supersaturated with NaCl and extracted with EtOAc (x5). Combined extracts were dried over anhydrous Na₂SO₄ and concentrated in vacuo to give 8.13 g of compound 501A as a yellow solid.

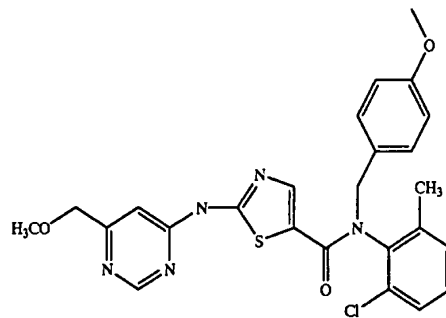


The mixture of compound 501A (5.3 g, 37.8 mmol) and POCl₃ (40 mL) was heated to reflux for 2.0 hrs. Concentration in vacuo and the residue was poured into a mixture of ice-CH₂Cl₂. The pH was adjusted to 6.5 to 7 using concentrated NH₄OH. The mixture was extracted with CH₂Cl₂ (x3) and combined extracts were dried over Na₂SO₄. Concentration in vacuo followed by flash chromatography (CH₂Cl₂-EtOAc: 9:1) on silica gel gave 5.33 g of compound 501B as a pale yellow oil.



The mixture of compound 501B (3.2 g, 20 mmol) and NH₄OH (50 mL) was heated to 85°C in a pressure tube for 3.0 hrs. After cooled to room temperature, the reaction mixture was concentrated in vacuo and the residue was triturated with ether to give 2.81 g of compound 501C as a pale yellow solid.

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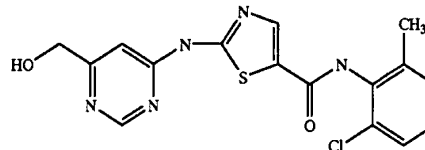
Compound 501D was prepared from compound 501C by a method analogous to that used for the preparation of compound 473B.

E Title Compound

The title compound was prepared from compound 501D by a method analogous to that used for the preparation of compound 473C. HPLC Retention time=3.25 min.

EXAMPLE 502

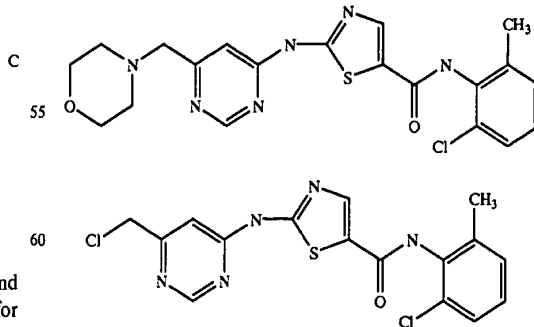
Preparation of 'N-(2-Chloro-6-methylphenyl)-2-[[6-(hydroxymethyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide



To a solution of compound 501 (56 mg, 0.144 mmol) in dry CH₂Cl₂ (3.0 mL) cooled at 0°C was added neat BBr₃ (0.054 mL, 0.574 mmol). The mixture was stirred for 1.0 hr at ambient temperature. MeOH was added slowly with care at 0°C and the resulting mixture was concentrated in vacuo. Water was added to the residue and pH was adjusted to 7 with Sat'd NaHCO₃. The white precipitate was collected by filtration, rinsed with water/ether and dried under high vacuum to give 52 mg of Compound 502 as an off-white solid. HPLC Retention time=2.84 min.

EXAMPLE 503

Preparation of 'N-(2-Chloro-6-methylphenyl)-2-[[6-(4-morpholinylmethyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide



To a suspension of compound 502 (44.2 mg, 0.118 mmol) in 0.5 mL of dry CH₂Cl₂ was added thionyl chloride

235

(0.086 mL, 1.18 mmol). The reaction mixture was stirred for 5.0 hrs. Concentration in vacuo and the residue was azeotropic evaporated with CH₂Cl₂ to give 56 mg of 503 as an yellow solid.

B Title Compound

The mixture of compound 503A (20 mg), morpholine (0.014 mL) and diisopropylphenyl amine (0.09 mL) in 0.5 mL of dry dioxane was heated to 85.C for 4.0 hrs. Concentration in vacuo followed by flash chromatography (CH₂Cl₂—MeOH—NH₄OH: 95:5:0.5) on silica gel gave 15

236

mg of title compound as an off-white solid. HPLC Retention time=2.52 min.

EXAMPLES 504 to 513

General Procedure

Compounds 504 to 513 were prepared from 503A by a route analogous to that used for the preparation of 503. The compounds of these examples have the structure:

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
504		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(dimethylamino)ethyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.083
505		N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(4-morpholinyl)ethyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.593
506		N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(4-morpholinyl)propyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.163
507		N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.693

-continued

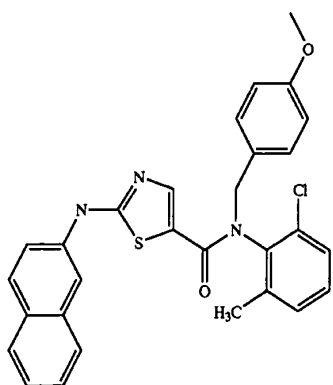
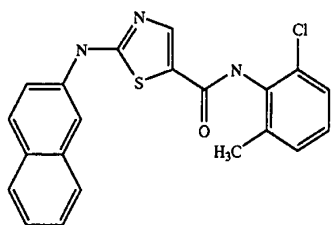
EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
508		'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[2-(1H-imidazol-4-ylethyl)amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	2.143
509		'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[3-(1H-imidazol-1-ylpropyl)amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	1.103 B
510		'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[2-(3-pyridinyl)ethyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	1.113 B
511		'N-(2-Chloro-6-methyl-phenyl)-2-[[6-[[[2-(3-pyridinyl)ethyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide	1.117 B

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret Time (min)
512		1-[[6-[[5-[(2-Chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-4-pyrimidinyl]methyl]-4-piperidinecarboxamide	1.207 B
513		2-[[6-[[2-(Acetylamino)ethyl]amino]methyl]-4-pyrimidinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	1.193 B

EXAMPLE 514

Preparation of 'N-(2-Chloro-6-methylphenyl)-2-(2-naphthalenylamino)-5-thiazolecarboxamide



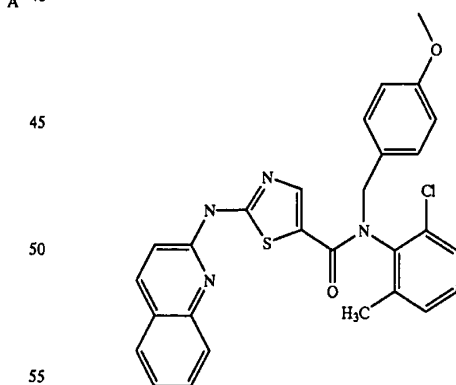
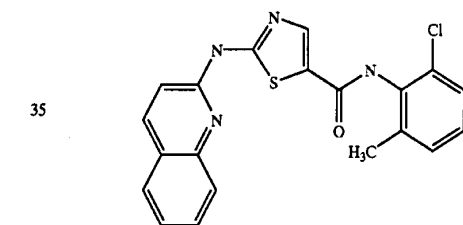
Compound 514A was prepared from 473A by an analogous method as that of 473B, except using 2-aminonaphthaline in place of 2-bromo-6-aminopyridine.

B. Title Compound

The title compound was prepared by an analogous method as that of 473C, except using compound 514A in place of compound 473B. HPLC Ret. Time 4.11 min.

EXAMPLE 515

30 Preparation of 'N-(2-Chloro-6-methylphenyl)-2-(2-quinolinylamino)-5-thiazolecarboxamide



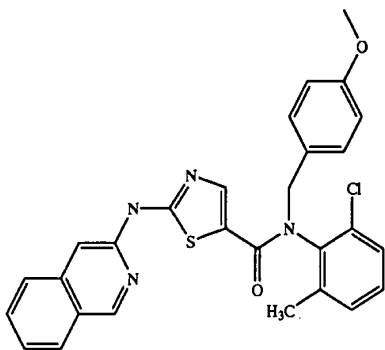
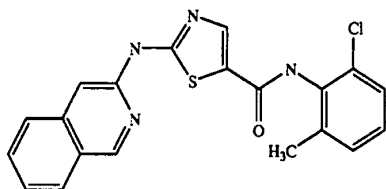
Compound 515A was prepared from 473A by an analogous method as that of 473B, except using 2-aminoquinoline in place of 2-bromo-6-aminopyridine.

B. Title Compound

The title compound was prepared by an analogous method as that of 473C, except using compound 515A in place of compound 473B. HPLC Ret. Time 3.94 min.

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EXAMPLE 516

Preparation of 'N-(2-Chloro-6-methylphenyl)-2-(3-isoquinolinylamino)-5-thiazolecarboxamide

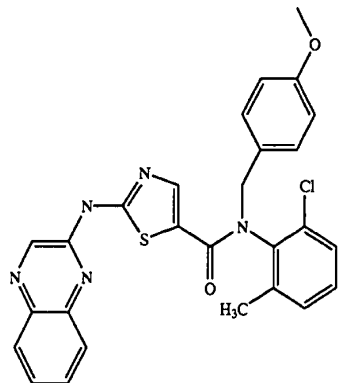
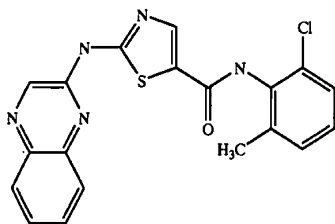


Compound 516A was prepared from 473A by an analogous method as that of 473B, except using 3-aminoisoquinoline in place of 2-bromo-6-aminopyridine. B. Title Compound

The title compound was prepared by an analogous method as that of 473C, except using compound 516A in place of compound 473B. HPLC Ret. Time 3.94 min.

EXAMPLE 517

Preparation of 'N-(2-Chloro-6-methylphenyl)-2-(2-quinoxalinylamino)-5-thiazolecarboxamide



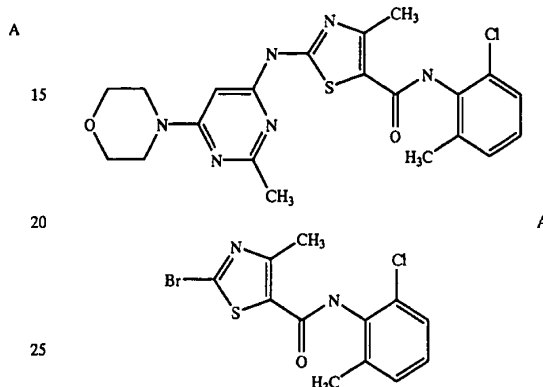
242

Compound 517A was prepared from 473A by an analogous method as that of 473B, except using 2-aminoquinoxaline in place of 2-bromo-6-aminopyridine. B. Title Compound

The title compound was prepared by an analogous method as that of 473C, except using compound 517A in place of compound 473B. HPLC Ret. Time 3.927 min.

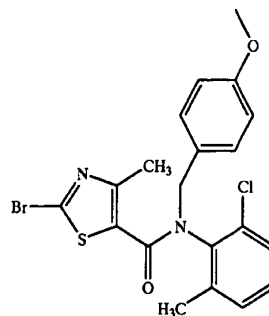
EXAMPLE 518

10 Preparation of 'N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[2-methyl-6-(4-morpholinyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide

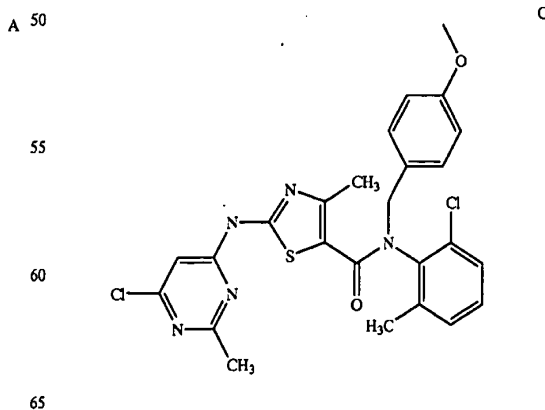


Compound 518A was prepared from 144 by an analogous method as that of 319A.

B



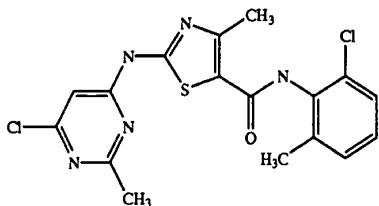
Compound 518B was prepared by an analogous method as that of 473A, except using 518A in place of 319A.



Compound 518C was prepared by an analogous method as that of 473B, except using 518B in place of 473A, and

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4-amino-6-chloro-2-methylpyrimidine in place of 2-amino-6-bromopyridine.



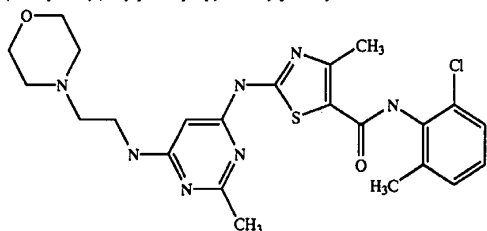
Compound 518D was prepared by an analogous method as that of 473C, except using 518C in place of 473B.

E. Title Compound

The title compound was prepared by an analogous method as that of 444B, except using compound 518D in place of compound 444A, and morpholine in place of N-(2-aminoethyl)-morpholine. HPLC Ret. Time 3.397 min.

EXAMPLE 519

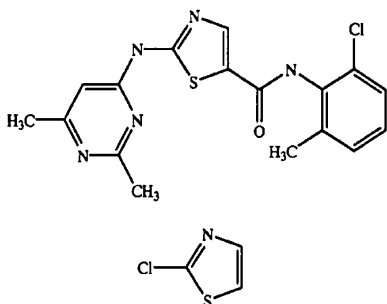
Preparation of 'N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide



Compound 519 was prepared by an analogous method as that of 518E, except using N-(2-aminoethyl)-morpholine in place of morpholine. HPLC Ret. Time 2.493 min.

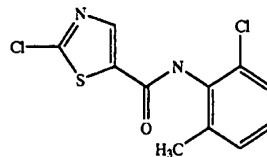
EXAMPLE 520

Alternative Preparation of Compound 321



Compound 520A was prepared from 2-aminothiazole according to the procedure described in UK Patent Application GB 2323595A.

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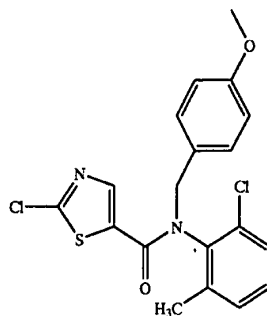
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To a solution of compound 520A (480 mg, 4.0 mmol) in dry THF (10 mL) cooled at -78°C was added a 2.5M solution of n-BuLi (1.68 mL, 4.2 mmol) in hexane dropwise via a syringe while kept the internal temperature below -75°C . Upon completion of addition, a beige suspension was obtained. The reaction mixture was stirred for 15 min at -78°C . A solution of 2-chloro-6-methyl phenyl isocyanate (0.6 mL, 4.4 mmol) in 5 mL of dry THF was added and the reaction mixture was stirred for an additional 2.0 hrs at -78°C . Saturated aq. NH_4Cl solution (10 mL) was added, the mixture was partitioned between EtOAc-water and extracted with EtOAc (x2). The combined extracts were dried over Na_2SO_4 and concentration in vacuo to give, after recrystallization from EtOAc-hexane, 0.99 g of title compound as a pale yellow crystalline material.

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Compound 520C was prepared by a method analogous to that used for the preparation of compound 473A, using 520B in place of 319A.

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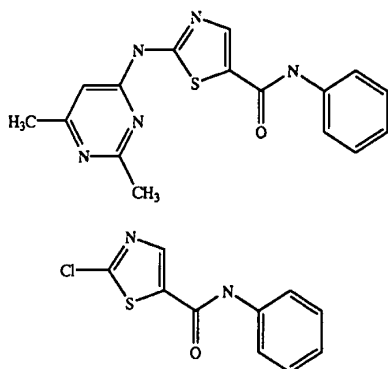
Compound 520D was prepared from compound 520C by a method analogous to that used for the preparation of compound 473B.

E. Title Compound

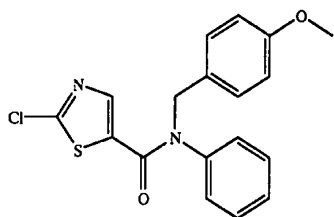
Compound 321 was prepared by a method analogous to that used for the preparation of compound 473C.

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EXAMPLE 521

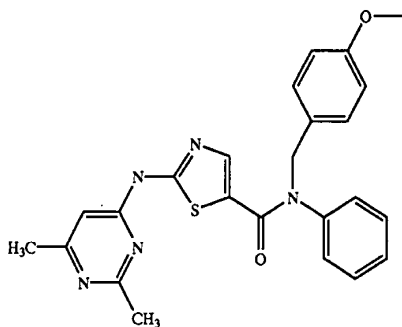
Preparation of '2-[(2,6-Dimethyl-4-pyrimidinyl)amino]-N-phenyl-5-thiazolecarboxamide



Compound 521A was prepared by an analogous method as that of 520B, except using phenylisocyanate in place of 2-chloro-6-methylphenylisocyanate.



Compound 521B was prepared by a method analogous to that used for the preparation of compound 473A, using 521A in place of 319A.



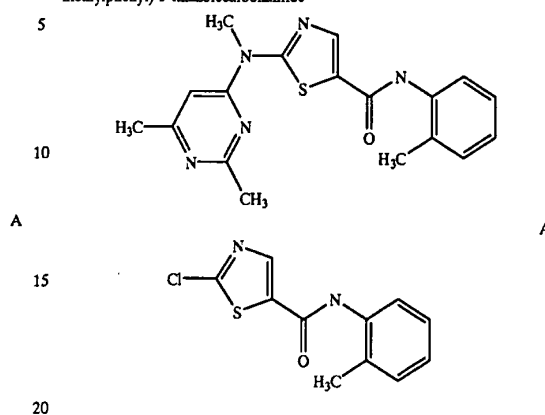
Compound 521C was prepared from compound 521B by a method analogous to that used for the preparation of compound 473B.

D Title Compound

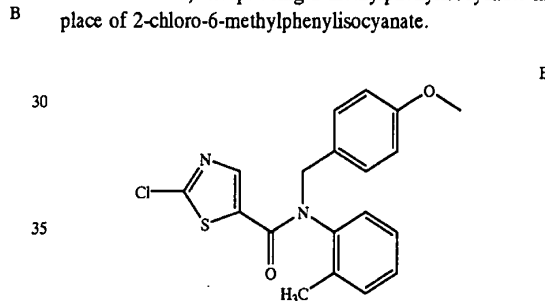
The title compound was prepared by a method analogous to that used for the preparation of compound 473C. HPLC Ret. Time 1.3 min method B

246
EXAMPLE 522

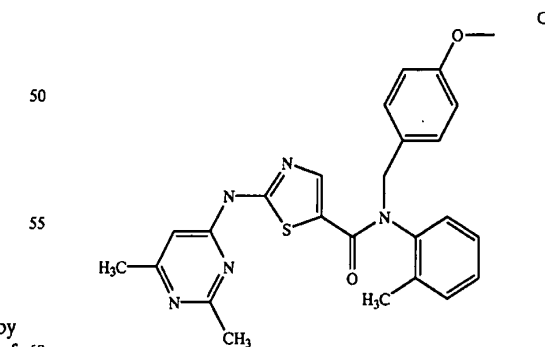
Preparation of '2-[(2,6-Dimethyl-4-pyrimidinyl)methylamino]-N-(2-methylphenyl)-5-thiazolecarboxamide



Compound 522A was prepared by an analogous method as that of 520B, except using 2-methylphenylisocyanate in place of 2-chloro-6-methylphenylisocyanate.

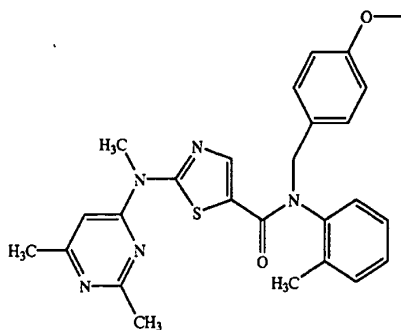


Compound 522B was prepared by a method analogous to that used for the preparation of compound 473A, using 522A in place of 319A.



Compound 522C was prepared from compound 522B by a method analogous to that used for the preparation of compound 473B.

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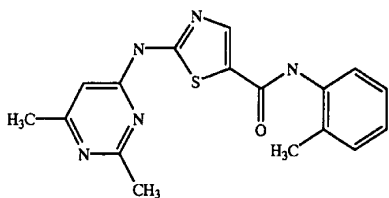
Sodium hydride (60% in oil; 40 mg; 1 mmol) was added to a solution of compound 522C (280 mg; 0.61 mmol) in 2 ml of DMF at room temp. After stirring 30 minutes, iodomethane (0.2 ml; 3 mmol) was added and the reaction was stirred 4 hr. After the reaction mixture was partitioned between ethyl acetate (50 ml) and water (50 ml), the organic layer was washed with water (2x50 ml) and brine (50 ml). Drying (MgSO₄) and concentration afforded an oil that was chromatographed on a 2.5x15 cm silica gel column using 50–75% ethyl acetate/hexane. The pure fractions were concentrated and the residue was crystallized from ethyl acetate/hexane to afford 100 mg of 522D as a light yellow solid.

E Title Compound

The title compound was prepared by a method analogous to that used for the preparation of compound 473C. HPLC Ret. Time 1.21 min method B

EXAMPLE 523

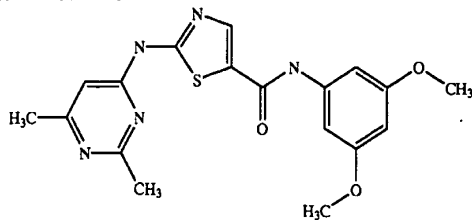
Preparation of '2-[(2,6-Dimethyl-4-pyrimidinyl)amino]-N-(2-methylphenyl)-5-thiazolecarboxamide



Compound 523 was prepared by a method analogous to that used for the preparation of compound 473C, except using compound 522C in place of 473B. HPLC Ret. Time 1.24 min method B.

EXAMPLE 524

Preparation of 'N-(3,5-Dimethoxyphenyl)-2-[(2,6-dimethyl-4-pyrimidinyl)amino]-5-thiazolecarboxamide



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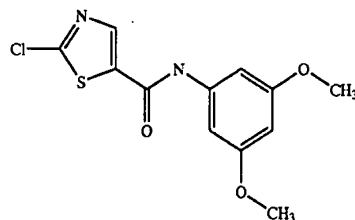
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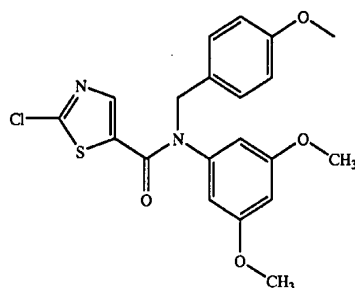
-continued

A



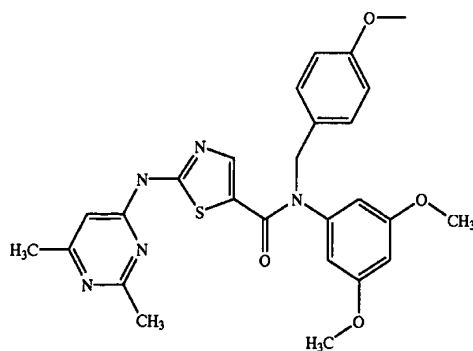
Compound 524A was prepared by an analogous method as that of 520B, except using 3,5-dimethoxyphenylisocyanate in place of 2-chloro-6-methylphenylisocyanate.

B



Compound 524B was prepared by a method analogous to that used for the preparation of compound 473A, using 524A in place of 319A.

C



Compound 524C was prepared from compound 524B by a method analogous to that used for the preparation of compound 473B.

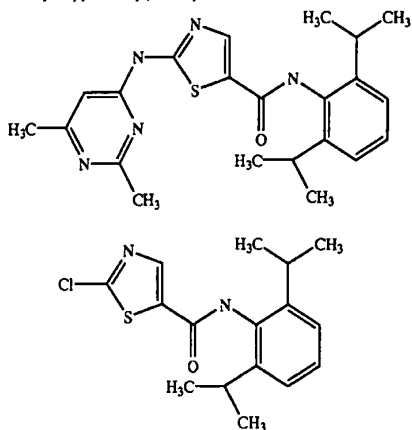
D Title Compound

The title compound was prepared by a method analogous to that used for the preparation of compound 473C, except using compound 524C in place of compound 473B HPLC Ret. Time 1.28 min method B.

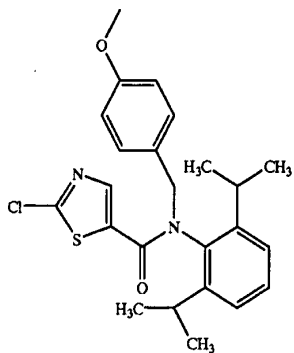
249

EXAMPLE 525

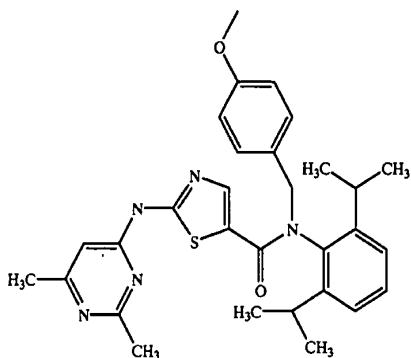
Preparation of N-[2,6-Bis(1-methylethyl)phenyl]-2-[(2,6-dimethyl-4-pyrimidinyl)amino]-5-thiazolecarboxamide



Compound 525A was prepared by an analogous method as that of 520B, except using 2,2-diisopropylphenylisocyanate in place of 2-chloro-6-methylphenylisocyanate.



Compound 525B was prepared by a method analogous to that used for the preparation of compound 473A, using 525A in place of 319A.



Compound 525C was prepared from compound 525B by a method analogous to that used for the preparation of compound 473B.

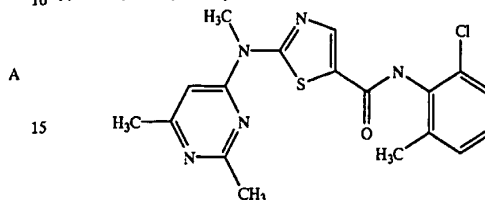
250

D Title Compound

The title compound was prepared by a method analogous to that used for the preparation of compound 473C, except using compound 525C in place of compound 473B. HPLC Ret. Time 1.6 min method B.

EXAMPLE 526

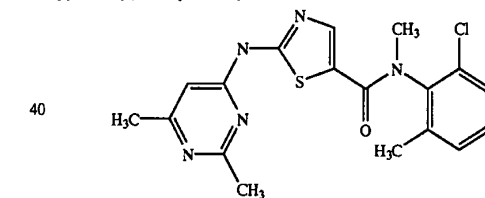
Preparation of N-(2-Chloro-6-methylphenyl)-2-[(2,6-dimethyl-4-pyrimidinyl)methylamino]-5-thiazolecarboxamide



A mixture of compound 321 (110 mg; 0.29 mmol), potassium carbonate (138 mg; 1 mmol) and iodomethane (0.06 ml; 1 mmol) in DMF was stirred 2 hr at room temperature. After the reaction mixture was partitioned between ethyl acetate (25 ml) and water (25 ml), the organic layer was washed with water (2x25 ml) and brine (25 ml). Drying (MgSO₄) and concentration afforded an oil that was chromatographed on a 2.5x15 cm silica gel column using 1-4% MeOH/CH₂Cl₂ and the fractions containing compound 526 were collected to give 20 mg of product. HPLC Ret. Time 1.3 min method B.

EXAMPLE 527

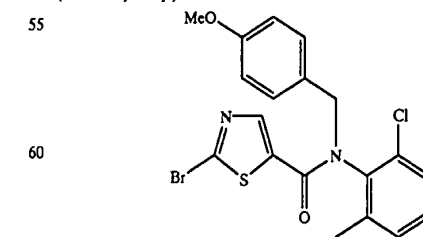
Preparation of N-(2-Chloro-6-methylphenyl)-2-[(2,6-dimethyl-4-pyrimidinyl)amino]-N-methyl-5-thiazolecarboxamide



Compound 527 was prepared by a method analogous to that used for the preparation of compound 526, except the fractions containing compound 527 were collected to give 60 mg of product. HPLC Ret. Time 1.23 min method B.

EXAMPLE 528

Preparation of 2-Bromo-N-, N-(2-chloro-6-methylphenyl)-(4-methoxybenzyl)-5-thiazolecarboxamide



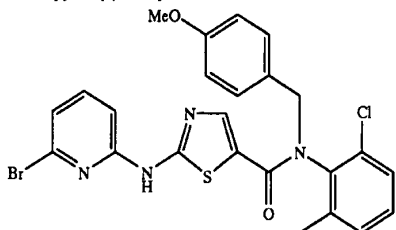
To a cooled (0° C.) THF solution of 2-chloro-6-methyl aniline (2.86 mL, 23.3 mmol, 1.10 equiv) was added drop-

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wise a 1.0 M solution of lithium bis(trimethylsilyl)amide (42.2 mL, 42.2 mmol, 2.00 equiv) via syringe. The homogeneous solution was allowed to stir for 5 minutes, and then a THF solution of ethyl 2-bromo-5-thiazolecarboxylate (5.00 g, 21.1 mmol, 1.00 equiv, prepared in a manner analogous to compound 319A) was added via cannula. The solution was allowed to stir for 15 minutes until TLC analysis showed no remaining starting material. To the reaction was then added 4-methoxybenzyl chloride (7.15 mL, 52.7 mmol, 2.5 equiv), followed by a catalytic amount of tetrabutylammonium iodide (1.56 g, 4.22 mmol, 0.20 equiv). The homogeneous mixture was allowed to stir overnight at ambient temperature and then concentrated in vacuo. The residue was partitioned between ethyl acetate and water, and the organic extracts were washed with brine and dried over Na₂SO₄. After filtration and removal of solvent, the product was purified by flash chromatography (10–20% ethyl acetate in hexanes) to afford the title compound as a tan solid (47%).

EXAMPLE 529

Preparation of N, N-(2-Chloro-6-methylphenyl)-(4-methoxybenzyl)-2-[(6-bromo-2-pyridinyl)amino]-5-thiazolecarboxamide

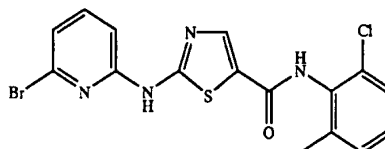


Compound 529 was prepared in an analogous manner to 319B, except using 528 and 6-bromo-2-aminopyridine as the reactants.

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EXAMPLE 530

Preparation of N-(2-Chloro-6-methylphenyl)-2-[(6-bromo-2-pyridinyl)amino]-5-thiazolecarboxamide



Compound 529 (0.500 g, 0.919 mmol, 1.00 equiv) was dissolved in 5 mL trifluoroacetic acid and charged at ambient temperature with 2 mL anisole followed by 1 mL trifluoromethanesulfonic acid. The dark red homogeneous solution was allowed to stir overnight, and then quenched by carefully pouring the solution into an ice/sodium bicarbonate mixture. A white solid was filtered off and washed sequentially with water, 1:1 hexane/ether, and ether to afford the title compound (41%).

EXAMPLES 531–538

General Procedure

Compounds 531 to 538 were prepared to the general procedure described below. A 1-dram vial was charged with 530 and excess amine and heated to 90° C. overnight. The residue was then purified by reverse phase HPLC to afford the pure compound. For the following examples 531 to 555 "HPLC Ret Time" is the HPLC retention time under the following conditions: YMC ODS-A C18 S7 3.0x50 mm, 2 min gradient starting from 100% solvent A (10% MeOH, 90% H₂O, 0.1% TFA) to 100% solvent B (90% MeOH, 10% H₂O, 0.1% TFA), flow rate 5 mL/min, λ=220 nm.

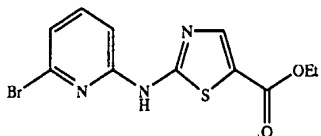
EX. NO.	Compound Structure	Compound Name	HPLC Ret time (min)
531		N-(2-Chloro-6-methylphenyl)-2-[[6-[4-(2-furanylcarbonyl)-1-piperazinyl]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.56
532		2-[[6-[[3-(1H-Benzimidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide	1.41

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret time (min)
533		N-(2-Chloro-6-methylphenyl)-2-[[6-[[4-(1H-imidazol-1-yl)butyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.24
534		N-(2-Chloro-6-methylphenyl)-2-[[6-[[5-(1H-imidazol-1-yl)pentyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.25
535		N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(4-methyl-1-piperazinyl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.14
536		N-(2-Chloro-6-methylphenyl)-2-[[6-[[4-(1H-imidazol-1-yl)phenyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.29
537		N-(2-Chloro-6-methylphenyl)-2-[[6-[[6-(1H-imidazol-1-yl)hexyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.27
538		N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.24

EXAMPLE 539

Preparation of Ethyl-2-[(6-bromo-2-pyridinyl)amino]-5-thiazolecarboxylate



Compound 539 was prepared in an analogous manner to 319B, except using ethyl 2-bromo-5-thiazolecarboxylate and 6-bromo-2-aminopyridine as the reactants.

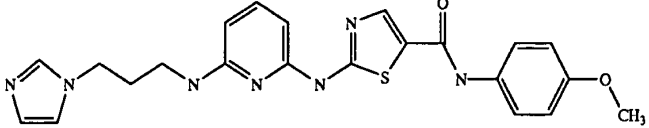
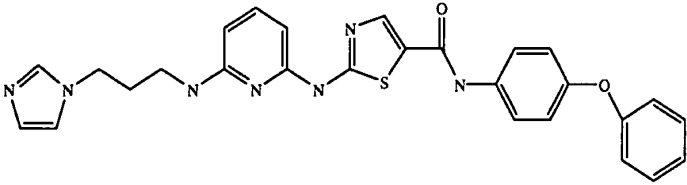
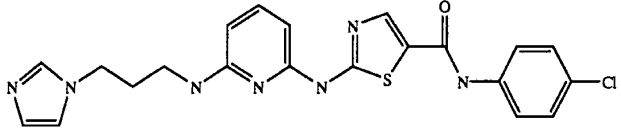
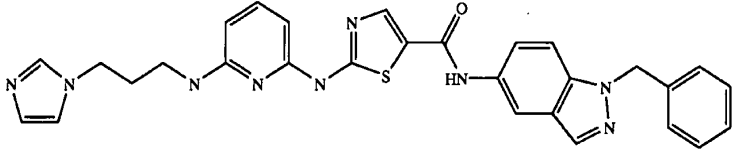
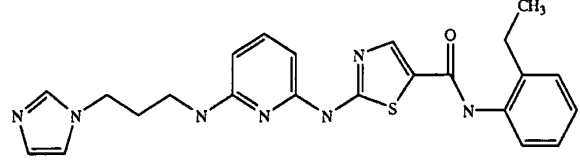
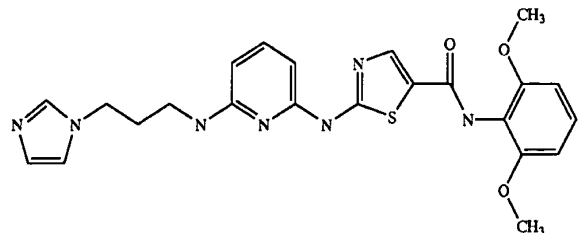
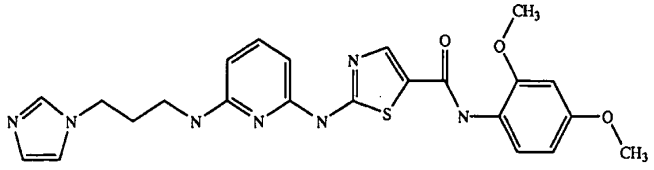
55

EXAMPLES 540-550

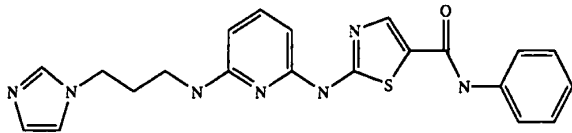
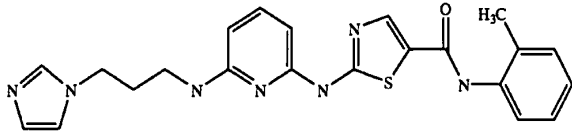
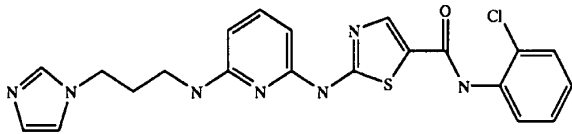
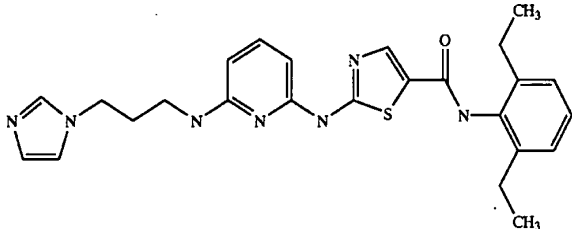
General Procedure

Compounds 540 to 550 were prepared according to the general procedure described below. Compound 539 was condensed with the appropriate aniline according to the procedure for example 528 to afford the corresponding N-(4-methoxybenzyl)amide. The intermediate bromopyridine was then reacted with N-(3-aminopropyl)-imidazole according to the procedure for examples 531 to 538 to afford the corresponding diaminopyridine. Removal of the 4-methoxybenzyl group according to the procedure described for example 530 followed by purification by reverse phase preparative HPLC afforded compounds 540 to

550.

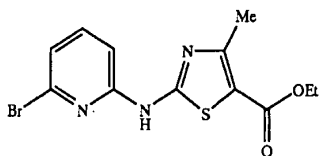
EX. NO.	Compound Structure	Compound Name	HPLC Ret time (min)
540		2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-(4-methoxyphenyl)-5-thiazolecarboxamide	1.12
541		2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-(4-phenoxyphenyl)-5-thiazolecarboxamide	1.48
542		N-(4-Chlorophenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.31
543		2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-[1-(phenylmethyl)-1H-indazol-5-yl]-5-thiazolecarboxamide	1.34
544		N-(2-Ethylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.18
545		N-(2,6-Dimethoxyphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.11
546		N-(2,4-Dimethoxyphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.06

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret time (min)
547		2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-phenyl-5-thiazolecarboxamide	1.06
548		2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-(2-methylphenyl)-5-thiazolecarboxamide	1.11
549		N-(2-chlorophenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.16
550		N-(2,6-diethylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide	1.29

EXAMPLE 551

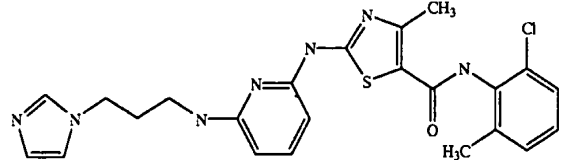
Preparation of Ethyl-2-[(6-bromo-2-pyridinyl)amino]-4-methyl-5-thiazolecarboxylate



Compound 551 was prepared in an analogous manner to 319B, except using ethyl 2-bromo-4-methyl-5-thiazolecarboxylate and 6-bromo-2-aminopyridine as the reactants.

EXAMPLES 552 and 553

Compounds 552 and 553 were prepared using a similar procedure described for the preparation of compounds 540 to 550, except using compound 551 as the starting material.

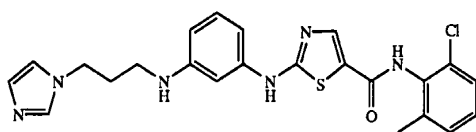
EX. NO.	Compound Structure	Compound Name	HPLC Ret time (min)
552		N-(2-chloro-6-methylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-4-methyl-5-thiazolecarboxamide	1.19

-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret time (min)
553		2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-4-methyl-N-[1-(phenylmethyl)-1H-indazol-5-yl]-5-thiazolecarboxamide	1.35

EXAMPLE 554

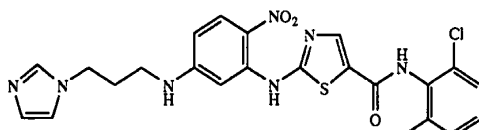
Preparation of N-(2-Chloro-6-methylphenyl)-2-[[3-[[3-(1H-imidazol-1-yl)propyl]amino]phenyl]amino]-5-thiazolecarboxamide



A solution of 528 (0.127 g, 0.281 mmol, 1.00 equiv) and 3-[N,N-(tert-butoxycarbonyl)-(3-aminopropyl)-imidazolyl]-1,3-phenylenediamine (0.178 g, 0.563 mmol, 2.00 equiv) in 0.200 mL DMSO was heated at 120° C. in a sealed vial overnight. Purification by reverse phase preparative HPLC followed by deprotection according to the procedure for compound 530 afforded the title compound.

EXAMPLE 555

Preparation of N-(2-Chloro-6-methylphenyl)-2-[[5-[[3-(1H-imidazol-1-yl)propyl]amino]-2-nitrophenyl]amino]-5-thiazolecarboxamide



A solution of 2,4-difluoronitrobenzene (0.400 mL, 3.65 mmol, 1.00 equiv) in acetonitrile was charged with K₂CO₃

(0.605 g, 4.38 mmol, 1.20 equiv) followed by ethyl-2-amino-5-thiazolecarboxylate (0.628 g, 3.65 mmol, 1.00 equiv) as a solid. The heterogeneous mixture was sealed and heated to 120° C. overnight. The solution was filtered and then concentrated in vacuo. Purification by flash chromatography afforded ethyl-2-[(3-fluoro-6-nitro-1-phenyl)amino]-5-thiazolecarboxylate as a yellow solid (9%). This intermediate was coupled with 2-chloro-6-methyl aniline according to the procedure for compound 528 to afford N-(2-Chloro-6-methylphenyl)-2-[3-(fluoro-6-nitro-1-phenyl)amino]-5-thiazolecarboxamide (21%). The title compound was synthesized by reacting this intermediate with excess N-(3-aminopropyl)-imidazole at 80° C. followed by purification by reverse phase preparative HPLC.

EXAMPLES 556-566

General Procedure

Compounds 556 to 566 were prepared according to the general procedure described below. A mixture of 2-bromo-N-[2-chloro-6-methylphenyl]-5-thiazolecarboxamide 319A, an aniline (1 eq), 1.0 N aqueous HCl (0.5 eq) in n-BuOH was heated overnight at 120° C. in a sealed vial. This was diluted with methanol and the product was isolated by preparative HPLC (YMC S5 ODS 30x100 mm column eluted with a gradient comprised of two solvent mixtures (mixture A: 10% MeOH, 90% water, and 0.1% TFA; mixture B: 90% MeOH, 10% water, and 0.1% TFA). For anilines substituted with a carboxylic acid group, the reaction mixture was treated with 1 N aqueous NaOH (5 eq) overnight before final purification of the product by HPLC. "HPLC Ret Time" is the HPLC retention time under the following conditions: YMC S5 OSD 4.6x30 mm (for 556 to 560) or YMC S7 ODS 3x50 mm column (for 561 to 566), 2 min gradient starting from 100% solvent A (10% MeOH, 90% H₂O, 0.1% TFA) to 100% solvent B (90% MeOH, 10% H₂O, 0.1% TFA), flow rate 5 mL/min, λ=220 nM.

EX. NO.	Compound Structure	Compound Name	HPLC Ret time (min)
556		N-(2-Chloro-6-methylphenyl)-2-((3,4,5-trimethoxyphenyl)amino)-5-thiazolecarboxamide	1.63
557		N-(2-Chloro-6-methylphenyl)-2-((4-methoxyphenyl)amino)-5-thiazolecarboxamide	1.63
558		N-(2-Chloro-6-methylphenyl)-2-((3-methoxyphenyl)amino)-5-thiazolecarboxamide	1.70
559		N-(2-Chloro-6-methylphenyl)-2-((2-methoxyphenyl)amino)-5-thiazolecarboxamide	1.65
560		N-(2-Chloro-6-methylphenyl)-2-((3,5-dimethoxyphenyl)amino)-5-thiazolecarboxamide	1.55
561		N-(2-Chloro-6-methylphenyl)-2-[[4-(dimethylamino)phenyl]amino]-5-thiazolecarboxamide	1.25
562		N-(2-Chloro-6-methylphenyl)-2-[[4-(4-morpholinyl)phenyl]amino]-5-thiazolecarboxamide	1.24

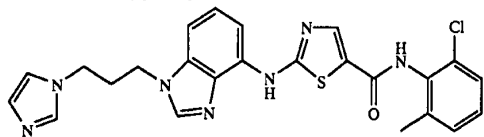
-continued

EX. NO.	Compound Structure	Compound Name	HPLC Ret time (min)
563		N-(2-Chloro-6-methylphenyl)-2-[[3-(carboxymethyl)phenyl]amino]-5-thiazolecarboxamide	1.36
564		N-(2-Chloro-6-methylphenyl)-2-[[3-(3-carboxypropyl)phenyl]amino]-5-thiazolecarboxamide	1.48
565		N-(2-Chloro-6-methylphenyl)-2-[[4-(carboxymethyl)phenyl]amino]-5-thiazolecarboxamide	1.35
566		N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-1H-benzimidazol-5-yl]amino]-5-thiazolecarboxamide	1.27

35

EXAMPLE 567

N-(2-Chloro-6-methylphenyl)-2-[[1-[3-(1H-imidazol-1-yl)propyl]-1H-benzimidazol-4-yl]amino]-5-thiazolecarboxamide



40

45

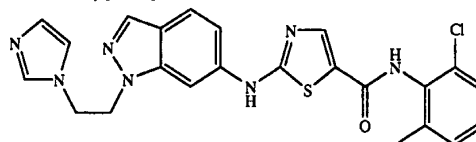
Removal of the catalyst by filtration and the solvent under reduced pressure left the crude 4-amino-1-[3-imidazo-1-ylpropyl]-benzimidazole as a solid. A portion of this material (46 mg, 0.191 mmole) was added to a mixture of 319A (63 mg, 1.0 eq), an aqueous solution of HCl (0.24 mL, 1.0 M, 1.25 eq) and n-BuOH (1 mL). This was heated in a sealed vial at 120° C. for 44 hr. After cooling to RT, 567 (HPLC retention time (YMC ODS S5 4.6x30 mm): 1.20 min) was isolated by preparative HPLC.

EXAMPLE 568

N-(2-Chloro-6-methylphenyl)-2-[[1-[2-(1H-imidazol-1-yl)ethyl]-1H-indazol-6-yl]amino]-5-thiazolecarboxamide

50

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60

65

A mixture of 1-bromo-3-chloropropane (10 mL, 0.10 mmole), imidazole (6.81 gm, 0.10 mmole) in ethanolic NaOEt (41.3 mL, 21 wt%, 1.1 mmole) was heated at reflux for 1 hr. After cooling to RT, this was filtered and the filter cake was washed with EtOH. The solvent was removed from the filtrate to afford crude 3-chloro-1-(imidazo-1-yl)propane as an oil. A portion of the crude chloride (1.07 gm, 7.40 mmole) was added to a mixture of 4-nitrobenzimidazole (1.09 gm, 6.66 mmole) and NaH (293 mg, 60% in oil, 8.14 mmole) in DMF (15 mL). After being heated at 60° C. overnight and then 75° C. for 3 hr, the solvent was removed. The residue was partitioned between water and a mixture of 10% MeOH in DCM. The organic phase was separated, dried (Na₂SO₄) and the solvents removed. Radial chromatography (4 mm silica gel plate that was eluted with a step gradient of DCM containing 2, 3, 4, . . . 10% MeOH) afforded the major product, 1-[3-imidazo-1-ylpropyl]-4-nitrobenzimidazole as a solid (513 mg, 28%). A mixture of this material (250 mg) and 10% palladium on charcoal (200 mg) in EtOH (10 mL) under a hydrogen atmosphere (balloon) was vigorously stirred for 1 hr.

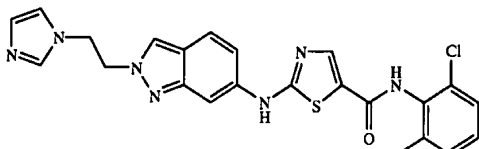
A mixture of 1-bromo-2-chloroethane (4.6 mL, 0.055 mole), imidazole (3.40 gm, 0.050 mole) in ethanolic NaOEt (19 mL, 21 wt%, 1 eq) was heated at reflux for 2 hr. After cooling to RT, the reaction was filtered and the filter cake was washed with EtOH. The solvent was removed from the filtrate to afford crude 2-chloro-1-(imidazo-1-yl)ethane. A portion of the crude chloride (2.24 gm, 17.2 mmole) was added to a mixture of 6-nitroindazole (1.63 gm, 10.0 mmole), K₂CO₃ (1.50 mg, 1.1 eq), and KI (1.70 gm, 1.1 eq) in DMF (15 mL). After being heated at 70° C. overnight and

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then 90° C. for 4 hr, the solvent was removed. The residue was partitioned between water and a mixture of 5% MeOH in DCM. The organic phase was separated, dried (Na₂SO₄) and the solvents removed. Radial chromatography (4 mm silica gel plate that was eluted with a step gradient of DCM containing 0, 1, 2% MeOH) afforded 659 mg of 1-[2-imidazo-1-ylethyl]-6-nitro-indazole and 450 mg of the isomeric 2-[2-imidazo-1-ylethyl]-6-nitro-indazole. A mixture of 1-[2-imidazo-1-ylethyl]-6-nitro-indazole (650 mg) and 10% palladium on charcoal (600 mg) in EtOH (10 mL) under a hydrogen atmosphere (balloon) was vigorously stirred overnight. Removal of the catalyst by filtration and the solvent under reduced pressure left the crude 6-amino-1-[2-imidazo-1-ylethyl]-indazole as a solid. A portion of this material (68.1 mg, 1.5 eq) was added to a mixture of 556 (99.3 mg, 0.300 mmole), an aqueous solution of HCl (0.45 mL, 1.0 M, 1.5 eq) and n-BuOH (1.5 mL). This was heated in a sealed vial at 120° C. for 44 hr. After cooling to RT, 568 (HPLC retention time (YMC ODS S7 3x50 mm): 1.31 min) was isolated by preparative HPLC.

EXAMPLE 569

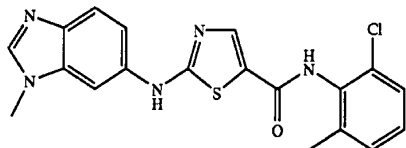
N-(2-Chloro-6-methylphenyl)-2-[[2-(2-(1H-imidazol-1-yl)ethyl)-2H-indazol-6-yl]amino]-5-thiazolecarboxamide



Beginning with the isomeric 2-[2-imidazo-1-ylethyl]-6-nitro-indazole, 569 (HPLC retention time (YMC ODS S7 3x50 mm): 1.28 min) was prepared in the same manner as 568.

EXAMPLE 570

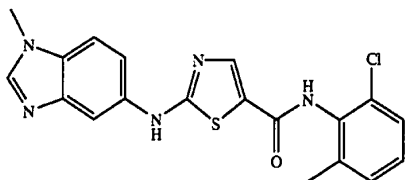
N-(2-Chloro-6-methylphenyl)-2-[(1-methyl-1H-benzimidazol-5-yl)amino]-5-thiazolecarboxamide



and

EXAMPLE 571

N-(2-Chloro-6-methylphenyl)-2-[(1-methyl-1H-benzimidazol-5-yl)amino]-5-thiazolecarboxamide



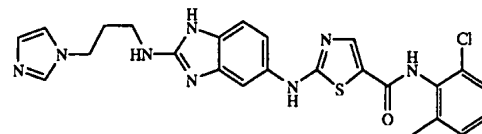
Beginning with 5-nitrobenzimidazole and methyl iodide, 570 (HPLC retention time (YMC ODS S7 3x50 mm): 1.23 min) and 571 (HPLC retention time (YMC ODS S7 3x50

266

mm): 1.23 min) were prepared in the same manner as compounds 557 and 558.

EXAMPLE 572

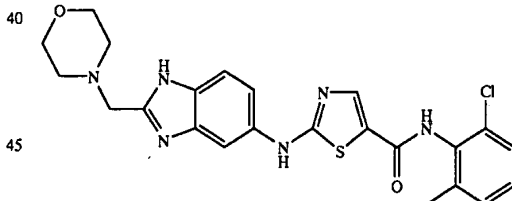
N-(2-Chloro-6-methylphenyl)-2-[[2-[3-(1H-imidazol-1-yl)propyl]amino]-1H-benzimidazol-5-yl]amino]-5-thiazolecarboxamide



A mixture of 2-chloro-5-nitro-benzimidazole (985 mg, 5.0 mmole) and 1-(3-aminopropyl)-imidazole (1.8 mL, 3 eq) in toluene (15 mL) was heated at reflux for 5 hr. The reaction was partitioned between EtOAc and brine to give a precipitate that was collected by filtration. Flash chromatography of this material (silica gel; stepwise gradient elution with mixtures of DCM containing 1, 2, 3, . . . 10% MeOH) afforded 2-[3-[imidazo-1-yl]-propylamino]-5-nitro-benzimidazole (550 mg) as a solid. This material was combined with 10% Pd on charcoal (500 mg), suspended in EtOH, and stirred under a hydrogen atmosphere (balloon) overnight. Removal of the catalyst by filtration and the solvent under reduced pressure left the crude 5-amino-2-[3-imidazo-1-ylpropylamino]benzimidazole as a solid. A portion of this material (77 mg, 0.30 mmole) was added to a mixture of 319A (99 mg, 1.0 eq), an aqueous solution of HCl (0.60 mL, 1.0 M, 2 eq) and n-BuOH (1.5 mL). This was heated in a sealed vial at 120° C. for 20 hr. After cooling to RT, 572 (HPLC retention time (YMC ODS S7 3x50 mm): 1.20 min) was isolated by preparative HPLC.

EXAMPLE 573

N-(2-Chloro-6-methylphenyl)-2-[[2-(4-morpholinylmethyl)-1H-benzimidazol-5-yl]amino]-5-thiazolecarboxamide



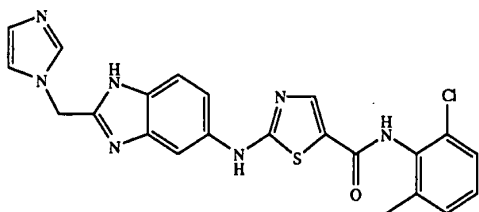
A mixture of 3,4-diamino-nitrobenzene (15.3 g, 0.10 mole) and chloroacetic acid (14.18 gm, 1.5 eq) in 5.0 N aqueous HCl (80 mL) was heated at reflux for 1 hr. After cooling to RT, the reaction was filtered through celite and the filtrate was stored at 0° C. for 2 days. The crystals that formed, were collected and recrystallized from a mixture of EtOH and water to give 7.2 gm of the hydrogen chloride salt of 2-chloromethyl-5-nitro-benzimidazole. A portion of this salt (528 mg, 2.13 mmole) and morpholine (1.31 mL, 7 eq) in toluene (15 mL) were heated at reflux for 4 hr. After cooling to RT, the reaction was filtered and the filter cake was washed with toluene. The solvent was removed from the filtrate to leave the crude 2-[N-morpholinylmethyl]-5-nitro-benzimidazole as an oil. A portion of this material (657 mg) and 10% palladium on charcoal (650 mg) in EtOH (10 mL) was stirred overnight under a hydrogen atmosphere (balloon). Removal of the catalyst by filtration and the solvent left the crude 5-amino-2-[N-morpholinylmethyl]-

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benzimidazole as an oil. A portion of this material was coupled with 556 as described for 570 to afford 573 (HPLC retention time (YMC ODS S7 3x50 mm): 0.92 min).

EXAMPLE 574

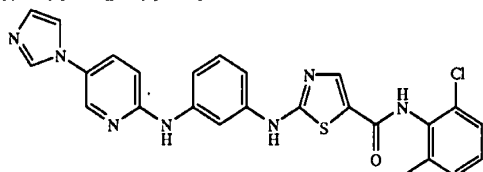
N-(2-Chloro-6-methylphenyl)-2-[[2-(1H-imidazol-1-ylmethyl)-1H-benzimidazol-5-yl]amino]-5-thiazolecarboxamide



Beginning with imidazole and 2-chloromethyl-5-nitrobenzimidazole compound 574 (HPLC retention time (YMC ODS S7 3x50 mm): 1.17 min) was prepared in the same manner as compounds 570.

EXAMPLE 575

N-(2-Chloro-6-methylphenyl)-2-[[3-[[5-(1H-imidazol-1-yl)-2-pyridinyl]amino]phenyl]amino]-5-thiazolecarboxamide

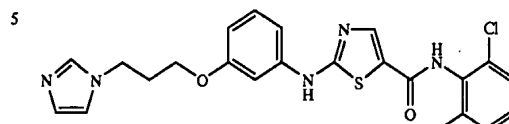


A mixture of 3-nitroaniline (2.91 gm, 21.1 mmole) and 2,5-dibromopyridine (5.0 gm, 1 eq) was heated at 185° C. for 1 hr. After cooling to RT, the solid was broken up and treated with a mixture of saturated aq. NaHCO₃ and 10% MeOH in DCM. The suspended solid was collected by filtration and washed with a little 10% MeOH in DCM and then water to leave, after drying, 3.72 gm of crude N-[5-bromo-pyridin-2-yl]-5-nitroaniline. A portion of this material (500 mg, 1.70 mmole) was combined with imidazole (116 mg, 1 eq), CuI (81 mg, 0.25 eq), and K₂CO₃ (235 mg, 1 eq) in DMF (2 mL) and the mixture was heated at 130° C. for 2 days. After cooling to RT, the solvent was removed and the residue was partitioned between water and a mixture of 20% MeOH in DCM. The organic phase was removed, dried (Na₂SO₄), and the solvents removed to leave the crude N-[5-imidazo-1-yl]-pyridin-2-yl]-5-nitroaniline as a solid. This was taken and treated with 10% palladium on charcoal (650 mg) in EtOH under a hydrogen atmosphere for 1.5 hr. Removal of the catalyst and then the solvent left the crude N-[5-imidazo-1-yl]-pyridin-2-yl]-5-aminoaniline. It was purified by radial chromatography (4 mm silica gel plate that was eluted with a step gradient of DCM containing 1, 2, 3, ... 6% MeOH). The aniline was then coupled with 319A as described for 570 to afford 575 (HPLC retention time (YMC ODS S5 4.6x30 mm): 1.42 min).

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EXAMPLE 576

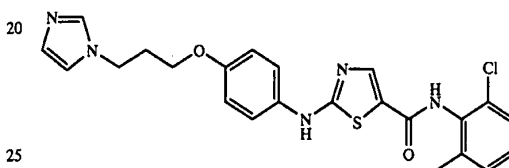
N-(2-Chloro-6-methylphenyl)-2-[[3-[3-(1H-imidazol-1-yl)propoxy]phenyl]amino]-5-thiazolecarboxamide



and

EXAMPLE 577

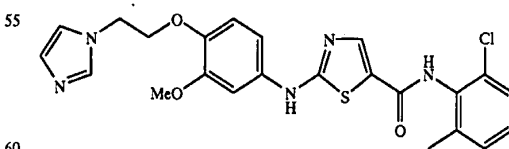
N-(2-Chloro-6-methylphenyl)-2-[[4-[3-(1H-imidazol-1-yl)propoxy]phenyl]amino]-5-thiazolecarboxamide



A suspension of 3-nitrophenol (837 mg, 6.02 mmole), 1-chloro-3-[imidazo-1-yl]-propane (871 mg, 1 eq), K₂CO₃ (3.3 gm, 4 eq) and NaI (1.0 gm, 1.1 eq) in DMF was heated at 120° C. for 6 hr. After cooling to RT, the reaction was filtered and the filter cake was washed with DMF. The solvent was removed from the filtrate and the residue was chromatographed (radial chromatography; 4 mm silica gel plate that was eluted with a step gradient of DCM containing 0, 1, 2.5, 5, 7.5% MeOH) to afford 400 mg of 3-[3-imidazo-1-ylpropoxy]-nitrobenzene. This was treated with 10% palladium on charcoal (400 mg) in EtOH under a hydrogen atmosphere for 4 hr. Removal of the catalyst and the solvent left 3-[3-imidazo-1-ylpropoxy]-aniline was then coupled with 319A as described for 570 to afford 576 (HPLC retention time (YMC ODS S5 4.6x30 mm): 1.33 min). Beginning with 4-nitrophenol and 1-chloro-3-[imidazo-1-yl]-propane 577 (HPLC retention time (YMC ODS S5 4.6x30 mm): 1.42 min) was prepared in a similar manner as 576.

EXAMPLE 578

N-(2-Chloro-6-methylphenyl)-2-[[2-[2-(1H-imidazol-1-yl)ethoxy]-3-methoxyphenyl]amino]-5-thiazolecarboxamide

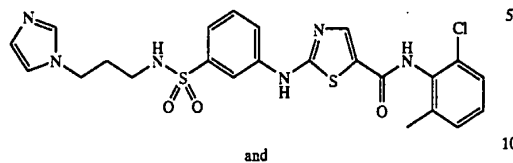


Beginning with 2-methoxy-4-nitrophenol and 1-chloro-3-[imidazo-1-yl]-ethane, 578 (HPLC retention time (YMC ODS S5 4.6x30 mm): 1.35 min) was prepared in a similar manner as 576.

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EXAMPLE 579

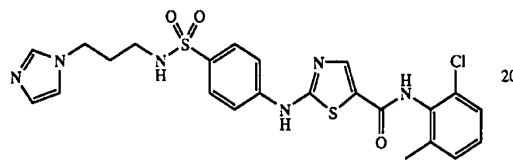
N-(2-Chloro-6-methylphenyl)-2-[[3-[[[3-(1H-imidazol-1-yl)propyl]amino]sulfonyl]phenyl]amino]-5-thiazolecarboxamide



and

EXAMPLE 580

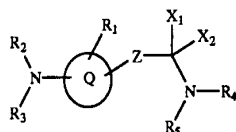
N-(2-Chloro-6-methylphenyl)-2-[[4-[[[3-(1H-imidazol-1-yl)propyl]amino]sulfonyl]phenyl]amino]-5-thiazolecarboxamide



3-Imidazo-1-yl-propylamine (2.04 mL, 2.5 eq) was added to a solution of 3-nitro-benzenesulfonyl chloride (1.5 gm, 6.77 mmole) in THF (20 mL) at RT. After 1 hr, the solvent was removed and the residue was partitioned between water and a mixture of 10% MeOH in DCM. The organic phase was separated, washed with water and dried (Na₂SO₄). The crude N-[3-[imidazo-1-yl]-propyl]-3-nitro-benzenesulfonamide was treated with 10% palladium on charcoal (2 gm) in THF (60 mL) under a hydrogen atmosphere overnight. Removal of the catalyst and then the solvent left crude 3-amino-N-[3-[imidazo-1-yl]-propyl]-benzenesulfonamide which was then coupled with 319A as described for 570 to afford 579 (HPLC retention time (YMC ODS S7 3x50 mm): 1.22 min). Beginning with 4-nitro-benzenesulfonyl chloride and 3-[imidazo-1-yl]-propylamine, 580 (HPLC retention time (YMC ODS S7 3x50 mm): 1.21 min) was prepared in a similar manner as 579.

What is claimed is:

1. A compound of formula I or a salt thereof



where

Q is thiazole;

Z is a single bond;

X₁ and X₂ together form =O;

R₁ is

- (1) hydrogen or R₆, where R₆ is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, heterocyclo, or heterocycloalkyl, each of which is unsubstituted or substituted with Z₁, Z₂ and one or more groups Z₃;

(2) —OH or —OR₆;

(3) —SH or —SR₆;

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(4) —C(O)₂H, —C(O)_qR₆, or —O—C(O)_qR₆, where q is 1 or 2;

(5) —SO₃H or —S(O)_qR₆;

(6) halo;

(7) cyano;

(8) nitro;

(9) —Z₄—NR₇R₈;

(10) —Z₄—N(R₉)—Z₅—NR₁₀R₁₁;

(11) —Z₄—N(R₁₂)—Z₅—R₆;

(12) —P(O)(OR₆)₂;

R₂ is hydrogen, R₆, —Z₄—R₆, or —Z₁₃—NR₇R₈;

R₃ is —Z₄—R₆ wherein Z₄ is a single bond and wherein

R₆ is aryl substituted with at least one group Z₃

where Z₃ is —Z₄—NZ₇Z₈ where Z₄ is a bond Z₇ is hydrogen or alkyl and Z₈ is heterocyclo-substituted alkyl;

R₄ and R₅ are each independently

(1) hydrogen or R₆;

(2) —Z₄—N(R₉)—Z₅—NR₁₀R₁₁;

(3) —N(R₉)Z₄R₆; or

(4) together with the nitrogen atom to which they are attached complete a 3- to 8-membered saturated or unsaturated heterocyclic ring which is unsubstituted or substituted with Z₁, Z₂ and Z₃, which heterocyclic ring may optionally have fused to it a benzene ring itself unsubstituted or substituted with Z₁, Z₂ and Z₃;

R₇, R₈, R₉, R₁₀, R₁₁ and R₁₂

(1) are each independently hydrogen or R₆;

(2) R₇ and R₈ may together be alkylene, alkenylene or heteroalkyl, completing a 3- to 8-membered saturated or unsaturated ring with the nitrogen atom to which they are attached, which ring is unsubstituted or substituted with Z₁, Z₂ and Z₃; or

(3) any two of R₉, R₁₀ and R₁₁ may together be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the nitrogen atoms to which they are attached, which ring is unsubstituted or substituted with Z₁, Z₂ and Z₃;

R₁₃ is

(1) cyano;

(2) nitro;

(3) —NH₂;

(4) —NHOalkyl;

(5) —OH;

(6) —NHOaryl;

(7) —NHCOOalkyl;

(8) —NHCOOaryl;

(9) —NHSO₂alkyl;

(10) —NHSO₂aryl;

(11) aryl;

(12) heteroaryl;

(13) —Oalkyl; or

(14) —Oaryl;

R₁₄ is

(1) —NO₂;

(2) —COOalkyl; or

(3) —COOaryl;

R₁₅ is

(1) hydrogen;

(2) alkyl;

(3) aryl;

(4) arylalkyl; or

(5) cycloalkyl;

Z₁, Z₂ and Z₃ are each independently

(1) hydrogen or Z₆, where Z₆ is (i) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl,

cycloalkenylalkyl, aryl, aralkyl, alkylaryl, cycloalkylaryl, heterocyclo, or heterocycloalkyl; (ii) a group (i) which is itself substituted by one or more of the same or different groups (i); or (iii) a group (i) or (ii) which is substituted by one or more of the following groups (2) to (16) of the definition of Z_1 , Z_2 and Z_3 ;

- (2) $-\text{OH}$ or $-\text{OZ}_6$;
- (3) $-\text{SH}$ or $-\text{SZ}_6$;
- (4) $-\text{C}(\text{O})_q\text{H}$, $-\text{C}(\text{O})_q\text{Z}_6$, or $-\text{O}-\text{C}(\text{O})_q\text{Z}_6$;
- (5) $-\text{SO}_3\text{H}$, $-\text{S}(\text{O})_q\text{Z}_6$, or $\text{S}(\text{O})_q\text{N}(\text{Z}_9)\text{Z}_6$;
- (6) halo;
- (7) cyano;
- (8) nitro;
- (9) $-\text{Z}_4-\text{NZ}_7\text{Z}_8$;
- (10) $-\text{Z}_4-\text{N}(\text{Z}_9)-\text{Z}_5-\text{NZ}_7\text{Z}_8$;
- (11) $-\text{Z}_4-\text{N}(\text{Z}_{10})-\text{Z}_5\text{Z}_6$;
- (12) $-\text{Z}_4-\text{N}(\text{Z}_{10})-\text{Z}_5-\text{H}$;
- (13) oxo;
- (14) $-\text{O}-\text{C}(\text{O})-\text{Z}_6$;
- (15) any two of Z_1 , Z_2 , and Z_3 may together be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached; or
- (16) any two of Z_1 , Z_2 , and Z_3 may together be $-\text{O}-(\text{CH}_2)_r-\text{O}-$, where r is 1 to 5, completing a 4- to 8-membered ring together with the atoms to which they are attached;

Z_4 and Z_5 are each independently

- (1) a single bond;
- (2) $-\text{Z}_{11}-\text{S}(\text{O})_q-\text{Z}_{12}-$;
- (3) $-\text{Z}_{11}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (4) $-\text{Z}_{11}-\text{C}(\text{S})-\text{Z}_{12}-$;
- (5) $-\text{Z}_{11}-\text{O}-\text{Z}_{12}-$;
- (6) $-\text{Z}_{11}-\text{S}-\text{Z}_{12}-$;
- (7) $-\text{Z}_{11}-\text{O}-\text{C}(\text{O})-\text{Z}_{12}-$; or
- (8) $-\text{Z}_{11}-\text{C}(\text{O})-\text{O}-\text{Z}_{12}-$;

Z_7 , Z_8 , Z_9 and Z_{10}

- (1) are each independently hydrogen or Z_6 ;
- (2) Z_7 and Z_8 , or Z_6 and Z_{10} , may together be alkylene or alkenylene, completing a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ; or
- (3) Z_7 or Z_8 , together with Z_9 , may be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the nitrogen atoms to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ;

Z_{11} and Z_{12} are each independently

- (1) a single bond;
- (2) alkylene;
- (3) alkenylene; or
- (4) alkynylene; and

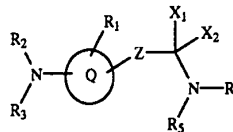
Z_{13} is

- (1) a single bond;
- (2) $-\text{Z}_{11}-\text{S}(\text{O})_q-\text{Z}_{12}-$;
- (3) $-\text{Z}_{11}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (4) $-\text{Z}_{11}-\text{C}(\text{S})-\text{Z}_{12}-$;
- (5) $-\text{Z}_{11}-\text{O}-\text{Z}_{12}-$;
- (6) $-\text{Z}_{11}-\text{S}-\text{Z}_{12}-$;
- (7) $-\text{Z}_{11}-\text{O}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (8) $-\text{Z}_{11}-\text{C}(\text{O})-\text{O}-\text{Z}_{12}-$;
- (9) $-\text{C}(\text{NR}_{13})-$;
- (10) $-\text{C}(\text{CHR}_{14})-$; or
- (11) $-\text{C}(\text{C}(\text{R}_{14})_2)-$.

2. A compound of claim 1 wherein R_1 is hydrogen or alkyl, R_2 and R_4 are independently hydrogen or alkyl, and

R_5 is aryl which is unsubstituted or substituted with Z_1 , Z_2 and one or more groups Z_3 .

3. A compound of formula I or a salt thereof



where

- Q is thiazole;
- Z is a single bond;
- X_1 and X_2 together form $=\text{O}$;
- R_1 is
- (1) hydrogen or R_6 , where R_6 is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, heterocyclo, or heterocycloalkyl, each of which is unsubstituted or substituted with Z_1 , Z_2 and one or more groups Z_3 ;
 - (2) $-\text{OH}$ or $-\text{OR}_6$;
 - (3) $-\text{SH}$ or $-\text{SR}_6$;
 - (4) $-\text{C}(\text{O})_2\text{H}$, $-\text{C}(\text{O})_q\text{R}_6$, or $-\text{O}-\text{C}(\text{O})_q\text{R}_6$, where q is 1 or 2;
 - (5) $-\text{SO}_3\text{H}$ or $-\text{S}(\text{O})_q\text{R}_6$;
 - (6) halo;
 - (7) cyano;
 - (8) nitro;
 - (9) $-\text{Z}_4-\text{NR}_7\text{R}_8$;
 - (10) $-\text{Z}_4-\text{N}(\text{R}_9)-\text{Z}_5-\text{NR}_{10}\text{R}_{11}$;
 - (11) $-\text{Z}_4-\text{N}(\text{R}_{12})-\text{Z}_5-\text{R}_6$;
 - (12) $-\text{P}(\text{O})(\text{OR}_6)_2$;

R_2 is hydrogen, R_6 , $-\text{Z}_4-\text{R}_6$, or $-\text{Z}_{13}-\text{NR}_7\text{R}_8$;

R_3 is $-\text{Z}_4-\text{R}_6$ wherein Z_4 is a single bond and wherein R_6 is heteroaryl substituted with at least one group Z_3 where Z_3 is $-\text{Z}_4-\text{NZ}_7\text{Z}_8$ where Z_4 is a bond Z_7 is hydrogen or alkyl and Z_8 is heterocyclo-substituted alkyl;

R_4 and R_5 are each independently

- (1) hydrogen or R_6 ;
- (2) $-\text{Z}_4-\text{N}(\text{R}_9)-\text{Z}_5-\text{NR}_{10}\text{R}_{11}$;
- (3) $-\text{N}(\text{R}_9)\text{Z}_4\text{R}_6$; or
- (4) together with the nitrogen atom to which they are attached complete a 3- to 8-membered saturated or unsaturated heterocyclic ring which is unsubstituted or substituted with Z_1 , Z_2 and Z_3 , which heterocyclic ring may optionally have fused to it a benzene ring itself unsubstituted or substituted with Z_1 , Z_2 and Z_3 ;

R_7 , R_8 , R_9 , R_{10} , R_{11} and R_{12}

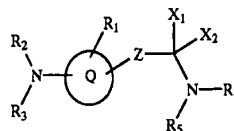
- (1) are each independently hydrogen or R_6 ;
- (2) R_7 and R_8 may together be alkylene, alkenylene or heteroalkyl, completing a 3- to 8-membered saturated or unsaturated ring with the nitrogen atom to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ; or
- (3) any two of R_9 , R_{10} and R_{11} may together be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the nitrogen atoms to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ;

R_{13} is

- (1) cyano;

- (2) nitro;
 (3) $-\text{NH}_2$;
 (4) $-\text{NHOalkyl}$;
 (5) $-\text{OH}$;
 (6) $-\text{NHOaryl}$;
 (7) $-\text{NHCOOalkyl}$;
 (8) $-\text{NHCOOaryl}$;
 (9) $-\text{NHSO}_2\text{alkyl}$;
 (10) $-\text{NHSO}_2\text{aryl}$;
 (11) aryl;
 (12) heteroaryl;
 (13) $-\text{Oalkyl}$; or
 (14) $-\text{Oaryl}$;
- R_{14} is
 (1) $-\text{NO}_2$;
 (2) $-\text{COOalkyl}$; or
 (3) $-\text{COOaryl}$;
- R_{15} is
 (1) hydrogen;
 (2) alkyl;
 (3) aryl;
 (4) arylalkyl; or
 (5) cycloalkyl;
- Z_1, Z_2 and Z_3 are each independently
 (1) hydrogen or Z_6 , where Z_6 is (i) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, alkylaryl, cycloalkylaryl, heterocyclo, or heterocycloalkyl; (ii) a group (i) which is itself substituted by one or more of the same or different groups (i); or (iii) a group (i) or (ii) which is substituted by one or more of the following groups (2) to (16) of the definition of Z_1, Z_2 and Z_3 ;
 (2) $-\text{OH}$ or $-\text{OZ}_6$;
 (3) $-\text{SH}$ or $-\text{SZ}_6$;
 (4) $-\text{C(O)}_q\text{H}$, $-\text{C(O)}_q\text{Z}_6$, or $-\text{O}-\text{C(O)}_q\text{Z}_6$;
 (5) $-\text{SO}_3\text{H}$, $-\text{S(O)}_q\text{Z}_6$; or $\text{S(O)}_q\text{N(Z}_7\text{)Z}_6$;
 (6) halo;
 (7) cyano;
 (8) nitro;
 (9) $-\text{Z}_4-\text{NZ}_7\text{Z}_8$;
 (10) $-\text{Z}_4-\text{N(Z}_9\text{)}-\text{Z}_5-\text{NZ}_7\text{Z}_8$;
 (11) $-\text{Z}_4-\text{N(Z}_{10}\text{)}-\text{Z}_5-\text{Z}_6$;
 (12) $-\text{Z}_4-\text{N(Z}_{10}\text{)}-\text{Z}_5-\text{H}$;
 (13) oxo;
 (14) $-\text{O}-\text{C(O)}-\text{Z}_6$;
 (15) any two of Z_1, Z_2 , and Z_3 may together be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached; or
 (16) any two of Z_1, Z_2 , and Z_3 may together be $-\text{O}-(\text{CH}_2)_r-\text{O}-$, where r is 1 to 5, completing a 4- to 8-membered ring together with the atoms to which they are attached;
- Z_4 and Z_5 are each independently
 (1) a single bond;
 (2) $-\text{Z}_{11}-\text{S(O)}_q-\text{Z}_{12}-$;
 (3) $-\text{Z}_{11}-\text{C(O)}-\text{Z}_{12}-$;
 (4) $-\text{Z}_{11}-\text{C(S)}-\text{Z}_{12}-$;
 (5) $-\text{Z}_{11}-\text{O}-\text{Z}_{12}-$;
 (6) $-\text{Z}_{11}-\text{S}-\text{Z}_{12}-$;
 (7) $-\text{Z}_{11}-\text{O}-\text{C(O)}-\text{Z}_{12}-$; or
 (8) $-\text{Z}_{11}-\text{C(O)}-\text{O}-\text{Z}_{12}-$;
- Z_7, Z_8, Z_9 and Z_{10}
 (1) are each independently hydrogen or Z_6 ;
 (2) Z_7 and Z_8 , or Z_6 and Z_{10} , may together be alkylene or alkenylene, completing a 3- to 8-membered satu-

- rated or unsaturated ring together with the atoms to which they are attached, which ring is unsubstituted or substituted with Z_1, Z_2 and Z_3 ; or
 (3) Z_7 or Z_8 , together with Z_9 , may be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the nitrogen atoms to which they are attached, which ring is unsubstituted or substituted with Z_1, Z_2 and Z_3 ;
- Z_{11} and Z_{12} are each independently
 (1) a single bond;
 (2) alkylene;
 (3) alkenylene; or
 (4) alkynylene; and
- Z_{13} is
 (1) a single bond;
 (2) $-\text{Z}_{11}-\text{S(O)}_q-\text{Z}_{12}-$;
 (3) $-\text{Z}_{11}-\text{C(O)}-\text{Z}_{12}-$;
 (4) $-\text{Z}_{11}-\text{C(S)}-\text{Z}_{12}-$;
 (5) $-\text{Z}_{11}-\text{O}-\text{Z}_{12}-$;
 (6) $-\text{Z}_{11}-\text{S}-\text{Z}_{12}-$;
 (7) $-\text{Z}_{11}-\text{O}-\text{C(O)}-\text{Z}_{12}-$;
 (8) $-\text{Z}_{11}-\text{C(O)}-\text{O}-\text{Z}_{12}-$;
 (9) $-\text{C(NR}_{13}\text{)}-$;
 (10) $-\text{C(CHR}_{14}\text{)}-$; or
 (11) $-\text{C(CR}_{14}\text{)}_2-$.
4. A compound of formula I or a salt thereof



- where
 Q is thiazole;
 Z is a single bond;
 X_1 and X_2 together form $=\text{O}$;
- R_1 is
 (1) hydrogen or R_6 ,
 where R_6 is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, heterocyclo, or heterocycloalkyl, each of which is unsubstituted or substituted with Z_1, Z_2 and one or more groups Z_3 ;
 (2) $-\text{OH}$ or $-\text{OR}_6$;
 (3) $-\text{SH}$ or $-\text{SR}_6$;
 (4) $-\text{C(O)}_2\text{H}$, $-\text{C(O)}_q\text{R}_6$, or $-\text{O}-\text{C(O)}_q\text{R}_6$, where q is 1 or 2;
 (5) $-\text{SO}_3\text{H}$ or $-\text{S(O)}_q\text{R}_6$;
 (6) halo;
 (7) cyano;
 (8) nitro;
 (9) $-\text{Z}_4-\text{NR}_7\text{R}_8$;
 (10) $-\text{Z}_4-\text{N(R}_9\text{)}-\text{Z}_5-\text{NR}_{10}\text{R}_{11}$;
 (11) $-\text{Z}_4-\text{N(R}_{12}\text{)}-\text{Z}_5-\text{R}_6$;
 (12) $-\text{P(O)}(\text{OR}_6)_2$;
- R_2 is hydrogen, R_6 , $-\text{Z}_4-\text{R}_6$, or $-\text{Z}_{13}-\text{NR}_7\text{R}_8$;
 R_3 is $-\text{Z}_4-\text{R}_6$ wherein Z_4 is a single bond and wherein R_6 is heteroaryl substituted with at least one group Z_3 where Z_3 is alkyl;
- R_4 and R_5 are each independently
 (1) hydrogen or R_6 ;
 (2) $-\text{Z}_4-\text{N(R}_9\text{)}-\text{Z}_5-\text{NR}_{10}\text{R}_{11}$;
 (3) $-\text{N(R}_9\text{)Z}_4\text{R}_6$; or

(4) together with the nitrogen atom to which they are attached complete a 3- to 8-membered saturated or unsaturated heterocyclic ring which is unsubstituted or substituted with Z_1 , Z_2 and Z_3 , which heterocyclic ring may optionally have fused to it a benzene ring itself unsubstituted or substituted with Z_1 , Z_2 and Z_3 ;

R_7 , R_8 , R_9 , R_{10} , R_{11} and R_{12}

- (1) are each independently hydrogen or R_6 ;
- (2) R_7 and R_8 may together be alkylene, alkenylene or heteroalkyl, completing a 3- to 8-membered saturated or unsaturated ring with the nitrogen atom to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ; or
- (3) any two of R_9 , R_{10} and R_{11} may together be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the nitrogen atoms to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ;

R_{13} is

- (1) cyano;
- (2) nitro;
- (3) $-\text{NH}_2$;
- (4) $-\text{NHOalkyl}$;
- (5) $-\text{OH}$;
- (6) $-\text{NHOaryl}$;
- (7) $-\text{NHCOOalkyl}$;
- (8) $-\text{NHCOOaryl}$;
- (9) $-\text{NHSO}_2\text{alkyl}$;
- (10) $-\text{NHSO}_2\text{aryl}$;
- (11) aryl;
- (12) heteroaryl;
- (13) $-\text{Oalkyl}$; or
- (14) $-\text{Oaryl}$;

R_{14} is

- (1) $-\text{NO}_2$;
- (2) $-\text{COOalkyl}$; or
- (3) $-\text{COOaryl}$;

R_{15} is

- (1) hydrogen;
- (2) alkyl;
- (3) aryl;
- (4) arylalkyl; or
- (5) cycloalkyl;

Z_1 , Z_2 and Z_3 are each independently

- (1) hydrogen or Z_6 , where Z_6 is (i) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, alkylaryl, cycloalkylaryl, heterocyclo, or heterocycloalkyl; (ii) a group (i) which is itself substituted by one or more of the same or different groups (i); or (iii) a group (i) or (ii) which is substituted by one or more of the following groups (2) to (16) of the definition of Z_1 , Z_2 and Z_3 ;
- (2) $-\text{OH}$ or $-\text{OZ}_6$;
- (3) $-\text{SH}$ or $-\text{SZ}_6$;
- (4) $-\text{C}(\text{O})_q\text{H}$, $-\text{C}(\text{O})_q\text{Z}_6$, or $-\text{O}-\text{C}(\text{O})_q\text{Z}_6$;
- (5) $-\text{SO}_3\text{H}$, $-\text{S}(\text{O})_q\text{Z}_6$; or $\text{S}(\text{O})_q\text{N}(\text{Z}_9)\text{Z}_6$;
- (6) halo;
- (7) cyano;
- (8) nitro;
- (9) $-\text{Z}_4-\text{NZ}_7\text{Z}_8$;
- (10) $-\text{Z}_4-\text{N}(\text{Z}_9)-\text{Z}_5-\text{NZ}_7\text{Z}_8$;
- (11) $-\text{Z}_4-\text{N}(\text{Z}_{10})-\text{Z}_5-\text{Z}_6$;
- (12) $-\text{Z}_4-\text{N}(\text{Z}_{10})-\text{Z}_5-\text{H}$;
- (13) oxo;

(14) $-\text{O}-\text{C}(\text{O})-\text{Z}_6$;

(15) any two of Z_1 , Z_2 , and Z_3 may together be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached; or

(16) any two of Z_1 , Z_2 , and Z_3 may together be $-\text{O}-(\text{CH}_2)_r-\text{O}-$, where r is 1 to 5, completing a 4- to 8-membered ring together with the atoms to which they are attached;

Z_4 and Z_5 are each independently

- (1) a single bond;
- (2) $-\text{Z}_{11}-\text{S}(\text{O})_q-\text{Z}_{12}-$;
- (3) $-\text{Z}_{11}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (4) $-\text{Z}_{11}-\text{C}(\text{S})-\text{Z}_{12}-$;
- (5) $-\text{Z}_{11}-\text{O}-\text{Z}_{12}-$;
- (6) $-\text{Z}_{11}-\text{S}-\text{Z}_{12}-$;
- (7) $-\text{Z}_{11}-\text{O}-\text{C}(\text{O})-\text{Z}_{12}-$; or
- (8) $-\text{Z}_{11}-\text{C}(\text{O})-\text{O}-\text{Z}_{12}-$;

Z_7 , Z_8 , Z_9 and Z_{10}

- (1) are each independently hydrogen or Z_6 ;
- (2) Z_7 and Z_8 , or Z_6 and Z_{10} , may together be alkylene or alkenylene, completing a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ; or
- (3) Z_7 or Z_8 , together with Z_9 , may be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the nitrogen atoms to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ;

Z_{11} and Z_{12} are each independently

- (1) a single bond;
- (2) alkylene;
- (3) alkenylene; or
- (4) alkynylene; and

Z_{13} is

- (1) a single bond;
- (2) $-\text{Z}_{11}-\text{S}(\text{O})_q-\text{Z}_{12}-$;
- (3) $-\text{Z}_{11}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (4) $-\text{Z}_{11}-\text{C}(\text{S})-\text{Z}_{12}-$;
- (5) $-\text{Z}_{11}-\text{O}-\text{Z}_{12}-$;
- (6) $-\text{Z}_{11}-\text{S}-\text{Z}_{12}-$;
- (7) $-\text{Z}_{11}-\text{O}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (8) $-\text{Z}_{11}-\text{C}(\text{O})-\text{O}-\text{Z}_{12}-$;
- (9) $-\text{C}(\text{NR}_{13})-$;
- (10) $-\text{C}(\text{CHR}_{14})-$; or
- (11) $-\text{C}(\text{C}(\text{R}_{14})_2)-$.

5. A compound of claim 4 wherein R_1 is hydrogen or alkyl, R_2 and R_4 are independently hydrogen or alkyl, and R_5 is aryl which is unsubstituted or substituted with Z_1 , Z_2 and one or more groups Z_3 .

6. A compound or salt thereof selected from the group consisting of:

- (1) 5-[[[(2,4,6-Trimethylphenyl)amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;
- (2) 5-[[[(2,4,6-Trimethylphenyl)amino]carbonyl]-4-trifluoromethyl-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;
- (3) 2-Amino-N-(2,4,6-trimethylphenyl)-4-trifluoromethyl-5-thiazolecarboxamide, trifluoroacetate (1:1);
- (4) 5-[[[(2,4,6-Trimethylphenyl)amino]carbonyl]-4-phenyl-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;
- (5) 2-Amino-N-(2,4,6-trimethylphenyl)-4-phenyl-5-thiazolecarboxamide, trifluoroacetate (1:1);
- (6) 5-[[[phenylamino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;

[5-[[[2,4-Dichlorophenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;

5-[[[2,4,6-Trimethylphenyl]amino]carbonyl]-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;

2-Amino-N-(2,4,6-trimethylphenyl)-4-phenyl-5-thiazolecarboxamide, trifluoroacetate (1:1);

[5-[[[2-Methoxy-6-methylphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[3-methyl-4-(1-methylethyl)phenyl]amino]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[4-Bromo-2,6-dimethylphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[2-methyl-6-(1-methylethyl)phenyl]amino]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2,4-Dimethylphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[2-methylphenyl]amino]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2-Chloro-6-methylphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2-(1,1-Dimethylethyl)-4-methylphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2-Furanylmethyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[3-Methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[4-Cyclohexylphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[Cyclohexylmethyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2,3-Dihydro-1H-indenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2,5-Dihydro-1H-pyrrol-1-yl]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2,5-Dihydro-2,5-dimethyl-1H-pyrrol-1-yl]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

1-[[2-[[[1,1-Dimethylethoxy]carbonyl]amino]-4-methyl-5-thiazolyl]carbonyl]-L-prolinamide;

[5-[[[4-Formyl-1-piperazinyl]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-(1,4-Dioxo-8-azaspiro[4,5]decan-8-ylcarbonyl)-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[3-[(Diethylamino)carbonyl]-1-piperidinyl]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[octahydro-1-quinolinyl]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

2-[[[1,1-Dimethylethoxy]carbonyl]amino]-4-methyl-5-thiazolecarboxylic acid 2-[[[1,1-dimethylethoxy]carbonyl]hydrazide];

[5-[[[4-Methoxyphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[4-methylphenyl]amino]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[1,2-Dimethylpropyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2,2-Dimethylpropyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[2-propynylamino]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[2-propenylamino]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[methylphenylamino]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[3,4,5-trimethoxyphenyl]amino]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2,6-Bis(1-methylethyl)phenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[3-(1H-Imidazol-1-yl)propyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[3,4-Difluorophenyl]methyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

N-[[2-[[[1,1-Dimethylethoxy]carbonyl]amino]-4-methyl-5-thiazolyl]carbonyl]-L-leucine methyl ester;

5-[[[2-[[[1,1-Dimethylethoxy]carbonyl]amino]-4-methyl-5-thiazolyl]carbonyl]amino]-4-oxopentanoic acid methyl ester;

[5-[[[2-(Ethylthio)ethyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[Bis(3-methylbutyl)amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[Ethyl(1-methylethyl)amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

2-[[[1,1-Dimethylethoxy]carbonyl]amino]-4-methyl-5-thiazolecarboxylic acid 2-[[[3,5-dichlorophenyl]amino]thioxomethyl]hydrazide;

[5-[[[Bis(2-ethoxyethyl)amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[4-Methyl-5-[[[3-(trifluoroacetyl)amino]-1-pyrrolidinyl]carbonyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

[5-[[[2,6-Dimethylphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

2-[[[2,2-Dichloro-1-methylcyclopropyl]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[Cyclohexylacetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

2-[[[2,5-Difluorobenzoyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

2-[[[5-Bromo-2-chlorobenzoyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

2-[[[3-Cyanobenzoyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

2-[[[4-(Acetylamino)benzoyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

4-Methyl-2-[[[3-(trifluoromethyl)benzoyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

4-Methyl-2-[[[2-(phenylethyl)benzoyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

2-[[[3,5-Dimethylbenzoyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

2-[[[4-Ethenylbenzoyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide];

2-[(4-Butylbenzoyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(4-pentylbenzoyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(1-oxo-3-phenoxypropyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(1-oxo-3-phenylpropyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[3-(2-Methoxyphenyl)-1-oxopropyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(2-naphthalenylacetyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(Diphenylacetyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[2-(2-Chloro-6-fluorophenyl)acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[2-(2-methylphenyl)acetyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[3-(3-Methoxyphenyl)acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[3,4-Dimethoxyphenyl]acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[4-(4-Chlorophenyl)acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[1,1'-Biphenyl]-4-ylacetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(1-oxo-4-phenylbutyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(2-Hydroxy-2-phenyl-1-oxopropyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(2-Hydroxy-1-oxohexyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[1-oxo-4-(2-thienyl)butyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(3-thienylcarbonyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(2-Benzofuranylcarbonyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 N-[4-Methyl-5-[[2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-4-pyridinecarboxamide, N-oxide;
 6-Chloro-N-[4-methyl-5-[[2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-3-pyridinecarboxamide;
 N-[4-Methyl-5-[[2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-3-pyridinecarboxamide;
 N-[4-Methyl-5-[[2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-3-quinolinecarboxamide;
 4-Methyl-2-[[4-(4-nitrophenyl)acetyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[2,4,6-trichlorobenzoyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[2-[[3-(trifluoromethyl)phenyl]amino]benzoyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[4-(4-nitrophenyl)-1-oxobutyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[4-(methylsulfonyl)benzoyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[4-(4-Heptylbenzoyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[2,4-Difluorophenyl]acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

(S)-2-[[2-(Dipropylamino)-1-oxopropyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(2-Biphenylencarbonyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[3-(3-Methoxyphenyl)-1-oxopropyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-N-(2,4,6-trimethylphenyl)-2-[[2,4,6-trimethylphenyl)acetyl]amino]-5-thiazolecarboxamide;
 4-Methyl-2-[(1-oxo-6-heptenyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[1,3-Benzodioxol-5-yl]acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[2-(phenylmethoxy)phenyl]acetyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[3-(phenoxyphenyl)acetyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(3,5-Dimethoxyphenyl)acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[4-[4-[Bis(2-chloroethyl)amino]phenyl]-1-oxobutyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-[[4-[[4-methyl-5-[[2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]amino]carbonyl]phenyl]amino]-4-oxobutanoic acid methyl ester;
 4-Methyl-2-[[phenylsulfonyl]acetyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[2-(Acetylamino)-1-oxohexyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[4-[(Dipropylamino)sulfonyl]benzoyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(4-Cyclohexylbenzoyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(4-Bromo-3-methylbenzoyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[2,3-Difluorophenyl]acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[4-(1-methylethyl)phenyl]acetyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[4-(1,1-Dimethylethyl)cyclohexyl]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 N,N-Dimethyl-N'-[4-methyl-5-[[2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]butanediamide;
 2-[(1,6-Dioxohexyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(Benzo[b]thiophen-2-ylcarbonyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(1-Adamantylcarbonyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[4-(4-methylcyclohexyl)carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(1,7-Dioxooctyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[2-(Acetylamino)-4-(ethylthio)-1-oxobutyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 1,5-Dimethyl-N-[4-methyl-5-[[2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-1H-pyrazole-3-carboxamide;

2-[[[4-methyl-5-[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]amino]carbonyl]benzoic acid;
 N-[4-Methyl-5-[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-6-benzothiazolecarboxamide;
 1-Ethyl-4-methyl-N-[4-methyl-5-[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-1H-pyrazole-3-carboxamide;
 4-Methyl-2-[[3-[(3H-1,2,3-triazolo[4,5-b]pyridin-3-yloxy)methyl]benzoyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(2-Furanylcarbonyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(4-Chlorobenzoyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 [4-Methyl-5-[(2-nitrophenyl)amino]carbonyl]-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;
 [4-Methyl-5-[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]carbamic acid, phenyl methyl ester;
 Methyl [4-methyl-5-[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;
 [4-Methyl-5-[[methyl(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;
 [4-Methyl-5-[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]carbamic acid, methyl ester;
 [4-Ethyl-5-[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;
 [5-[[2,6-Dichlorophenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid, 1,1-dimethylethyl ester;
 2-Amino-N-(2-methyl-6-isopropylphenyl)-4-methyl-5-thiazolecarboxamide, trifluoroacetate (1:1);
 2-(Benzoylamino)-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(phenylcetyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[Acetylamino]acetyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-6-thiazolecarboxamide;
 2-Amino-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarbothioamide;
 2-[(4-Bromobenzoyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(4-nitrobenzoyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(4-Cyanobenzoyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[5-nitro-2-furanyl]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[(2-thienylcarbonyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-[[[4-Methyl-5-[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]amino]carbonyl]benzoic acid methyl ester;
 2-[(5-Isoxazolylcarbonyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[(3-Furanylcarbonyl)amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[2,4-Dimethyl-5-thiazolyl]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[4-Methoxy-3-thienyl]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[5-nitro-3-thienyl]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[4-[(4-Chlorophenyl)thio]-3-thienyl]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[5-Chloro-4-methoxy-3-thienyl]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[2-(4,5-Dihydro-4,4-dimethyl-2-oxazolyl)-3-thienyl]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[2-Acetyl-3-thienyl]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[[methylamino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[[phenylamino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[[4-methylphenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[[phenylmethyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[Butylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[[propylamino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[Cyclohexylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[2-Chlorophenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[3-Fluorophenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[2,6-Dimethylphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 [5-[[2,4,6-Trimethylphenyl]amino]carbonyl]-4-methyl-2-thiazolyl]carbamic acid, phenyl ester;
 4-Methyl-2-[[[2-phenylethyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[Hexylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[1,1-Dimethylethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[3-Fluoro-4-methylphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[4-Methoxyphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[Diethylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[Bis(1-methylethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[[methyl(phenylmethyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[[methylphenylamino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 2-[[[Cyclohexylmethylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;
 4-Methyl-2-[[[1-phenylethyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(Cyclopropylmethyl)propylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(2-methylcyclohexyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(4-methylcyclohexyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(Cyclohexylmethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2,3-Dihydro-1H-inden-1-yl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(1-naphthalenylmethyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide

2-[[[(phenylmethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2,6-Dimethyl-N-[4-methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-4-morpholinecarboxamide;

2-Ethyl-N-[4-methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxamide;

1-[[[4-Methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]amino]carbonyl]-3-piperidinecarboxylic acid ethyl ester;

3,3-Dimethyl-N-[4-methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxamide

1-[[[4-Methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]amino]carbonyl]-4-piperidinecarboxylic acid ethyl ester;

4-Methyl-2-[[[(3-methyl-2-pyridinyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide

4-Methyl-2-[[[(1-(phenylmethyl)-4-piperidinyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

Octahydro-N-[4-methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-1(2H)-quinolinecarboxamide;

3,4-Dihydro-N-[4-methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]-2(1H)-isoquinolinecarboxamide;

2-[[[(1,5-Dimethylhexyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(1-methylheptyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-Fluorophenyl)methyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-Methoxyphenyl)methyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-Ethoxyphenyl)methyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(3-Methoxyphenyl)methyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(4-Chlorophenyl)methyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(4-Methoxyphenyl)methyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2,2-Diphenylethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide

2-[[[(2-Aminoethyl)phenylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-(3-Methoxyphenyl)ethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-(3,4-Dimethoxyphenyl)ethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-(4-Methoxyphenyl)ethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(3-phenylpropyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-(Cyclohex-1-en-1-yl)ethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(4-(1,1-Dimethylethyl)cyclohexyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(3-Butoxypropyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-(2-Methoxyphenyl)ethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-Chloro-4-fluorophenyl)methyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(Hexylmethylamino)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(1-(4-Chlorophenyl)ethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-(3-Chlorophenyl)ethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(2-(2-thienyl)ethyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-(2-Fluorophenyl)ethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(2-(2-pyridinyloxy)ethyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-Bromo-4,5-dimethoxyphenyl)methyl]methylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

(E)-2-[[[(3,7-Dimethyl-2,6-octadienyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2,3-Dihydro-1,4-benzodioxin-2-yl)methyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[3-Methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[4-Cyclohexylphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(1-Anthracenylamino)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[4-Chloro-1-naphthalenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(2-naphthalenylamino)carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(1H-Indol-5-ylamino)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(1,3-Benzodioxol-5-ylamino)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(2-pyrazinylamino)carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[5-Chloro-2-pyridinyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(6-methyl-2-pyridinyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(2-methyl-4-quinolinyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[2,3-Dihydro-1,4-benzodioxin-6-yl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[1,1'-Biphenyl]-2-ylamino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[4-Methoxy-2-methylphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-N-(2,4,6-trimethylphenyl)-2-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-5-thiazolecarboxamide;

2-[[[[2-(2-Hydroxyethyl)phenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[3-Methoxyphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[4-Methoxy[1,1'-biphenyl]-3-yl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[3-Acetylphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[4-Cyanophenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[4-Fluoro-2-(trifluoromethyl)phenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[4-Hexyloxyphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-[[[[4-Methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]amino]carbonyl]amino]benzoic acid ethyl ester;

2-[[[[4-Decylphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[4-propylphenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[3,4,5-trimethoxyphenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[4-[[[(5-methyl-3-isoxazolyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-[[[[4-Methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-thiazolyl]amino]carbonyl]amino]benzoic acid butyl ester;

2-[[[(1-Isoquinolinylamino)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[2-[(phenylmethyl)thio]phenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[4-[[[(5-phenoxypropyl)oxy]phenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[5-(1,1-Dimethylpropyl)-2-methoxyphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[1,2-Dihydro-5-acenaphthylenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[3-phenoxyphenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[2-(4-morpholinyl)phenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[2-(1-piperidinyl)phenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[1-Acetyl-2,3-dihydro-1H-indol-6-yl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[2-Bromo-5-methoxyphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[2,3-Dimethyl-1H-indol-5-yl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[[2-[[[(1-methylethyl)amino]carbonyl]phenyl]amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[3-Bromo-2-methylphenyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[4-Methoxybutyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[[3,3-Dimethylbutyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(2-methylbutyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(3-methylbutyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-Methoxyethyl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(2-Dimethylamino)ethyl]amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(2-(methylthio)ethyl)amino]carbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(2,3-dihydro-1H-inden-5-yl)-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-2-naphthalenyl-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(3-hydroxy-2-naphthalenyl)-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(2-fluoro-5-methylphenyl)-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(2,6-dimethylphenyl)-4-methyl-5-thiazolecarboxamide;

N-(3-Bromo-2,4,6-trimethylphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-[2,6-dimethyl-3-(1-methylethyl)phenyl]-4-methyl-5-thiazolecarboxamide

N-(2-Bromo-4,6-dimethylphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide;

3-[[[(2-[[[(Butylamino)carbonyl]amino]-4-methyl-5-thiazolyl]carbonyl]amino]-4-methyl-2-thiophenecarboxylic acid methyl ester;

2-[[[(Butylamino)carbonyl]amino]-4-methyl-N-(2-methyl-6-quinolyl)-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(2,6-dimethoxyphenyl)-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(4-methoxy-2-naphthalenyl)-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(2-methyl-1-naphthalenyl)-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-[4-(dimethylamino)-2,3,5,6-tetramethylphenyl]-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(6-methyl-5-quinolyl)-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-[2-(2-hydroxyethyl)-6-methylphenyl]-4-methyl-5-thiazolecarboxamide;

2-[[[(Butylamino)carbonyl]amino]-N-(2,6-dimethyl-3-nitrophenyl)-4-methyl-5-thiazolecarboxamide;

N-(2-Bromo-3,4,6-trimethylphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide;

N-(2-Acetyl-6-hydroxyphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide;

[4-[[[(2-[[[(Butylamino)carbonyl]amino]-4-methyl-5-thiazolyl]carbonyl]amino]-2,3,5,6-tetramethylphenyl]carbam acid 1,1-dimethylethyl ester;

2-[[[(Butylamino)carbonyl]amino]-N-(2,6-dichlorophenyl)-4-methyl-5-thiazolecarboxamide;

N-(4-Amino-2,3,5,6-tetramethylphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide;

N-[5-(Acetylamino)-2,4-dimethylphenyl]-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide;

N-(4-Bromo-2,6-dimethylphenyl)-2-[[[(butylamino)carbonyl]amino]-4-methyl-5-thiazolecarboxamide

2-[[[(Butylamino)carbonyl]amino]-N-(2-chloro-6-methylphenyl)-4-methyl-5-thiazolecarboxamide;

4-Methyl-2-[[[(methylsulfonyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

4-Methyl-2-[[[(phenylamino)thiocarbonyl]amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

2-[[[(Ethylamino)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(cyclopropylcarbonyl)amino]-5-thiazolecarboxamide;

2-[[[(1,1-Dimethylethyl)amino]carbonyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

2-[[[(1,1-Dimethylethoxy)carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazoleacetamide;

2-Amino-4-methyl-N-(2,4,6-trimethylphenyl)-5-thiazoleacetamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(4,6-dimethyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(4-ethyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(2,6-dimethyl-4-pyrimidinyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(3-pyridazinyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[[(2-thienylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(cyclopropylcarbonyl)amino]-4-methyl-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[[(2-furanylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[[(3-thienylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[[(3-furanylcarbonyl)amino]-5-thiazolecarboxamide;

trans-N-(Chloro-6-methylphenyl)-4-methyl-2-[[[(2-phenylcyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[[(2-methylcyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(cyclobutylcarbonyl)amino]-4-methyl-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(cyclopentylcarbonyl)amino]-4-methyl-5-thiazolecarboxamide;

2-(Benzoylamino)-N-(2-chloro-6-methylphenyl)-4-methyl-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(1-oxopropyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(1-oxobutyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(2-ethyl-1-oxobutyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(1-phenylcyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(1-methylcyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(2,2-dichloro-1-methylcyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(2-methylcyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(1-hydroxycyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(2,2,3,3-tetramethylcyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(1-cyanocyclopropyl)carbonyl]amino]-5-thiazolecarboxamide; 5

N-(2-Chloro-6-methylphenyl)-2-[(cyclobutylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(cyclopentylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(cyclohexylcarbonyl)amino]-5-thiazolecarboxamide; 10

N-(2-Chloro-6-methylphenyl)-2-[(phenylacetyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(cyclohexylacetyl)amino]-5-thiazolecarboxamide; 15

N-(2-Chloro-6-methylphenyl)-2-[(4-pyridinylacetyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[[(2,5-dimethyl-1H-pyrrol-3-yl)carbonyl]amino]-5-thiazolecarboxamide; 20

N-(2-Chloro-6-methylphenyl)-2-[(2-pyridinylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(3-pyridinylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(4-pyridinylcarbonyl)amino]-5-thiazolecarboxamide; 25

N-(2-Chloro-6-methylphenyl)-2-[(3-thienylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(2-thienylcarbonyl)amino]-5-thiazolecarboxamide; 30

N-(2-Chloro-6-methylphenyl)-2-[(2-furanylcarbonyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(3-furanylcarbonyl)amino]-5-thiazolecarboxamide; 35

trans-N-(2-Chloro-6-methylphenyl)-2-[[[(2-phenylcyclopropyl)carbonyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(2-methyl-1-oxopentyl)amino]-5-thiazolecarboxamide; 40

2-(Benzoylamino)-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

2-[(Cyclopropylcarbonyl)amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide; 45

2-[(Cyclopropylcarbonyl)amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

N-(2-Chloro-4,6-dimethylphenyl)-2-[(cyclopropylcarbonyl)amino]-5-thiazolecarboxamide; 50

[4-[2-Oxo-2-[(2,4,6-trimethylphenyl)amino]ethyl]-2-thiazolyl]carbamic acid 1,1-dimethylethyl ester;

2-Amino-N-(2,4,6-trimethylphenyl)-4-thiazoleacetamide;

5-Amino-2-methyl-N-(2,4,6-trimethylphenyl)benzamide; 55

2-Amino-5-chloro-N-(2,4,6-trimethylphenyl)-4-pyrimidinecarboxamide;

[4-Methyl-5-[[[(2,4,6-trimethylphenyl)amino]carbonyl]-2-oxazolyl]carbamic acid 1,1-dimethylethyl ester;

2-Amino-4-(methyl)-N-(2,4,6-trimethylphenyl)-5-oxazolecarboxamide, trifluoroacetate (1:1); 60

2-Amino-N-(2,4,6-trimethylphenyl)-5-pyridinecarboxamide;

3-Amino-N-(2,4,6-trimethylphenyl)-4-pyridinecarboxamide; 65

N-(2-Chloro-6-methylphenyl)-2-[(4-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

2-[(6-Amino-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(6-propyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[(6-ethyl-4-pyrimidinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(2-pyridinylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(6-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(5-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(4-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(3-methyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

'2-[(5-Bromo-3-methyl-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'2-[(6-Amino-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'2-[(5-Bromo-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[3-(phenylmethoxy)-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(5-chloro-2-pyridinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(6-ethyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(6-propyl-2-pyridinyl)amino]-5-thiazolecarboxamide;

'2-[(3-Bromo-5-methyl-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'2-[(2-Amino-3-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'2-[(3-Amino-2-pyridinyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(4-pyridinylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(3-pyridinylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(6-chloro-3-pyridinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(2-chloro-3-pyridinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(6-methoxy-3-pyridinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(3,5-dimethyl-2-pyrazinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(phenylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(3-ethylphenyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(3,5-dimethylphenyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(4,6-dimethyl-2-pyrimidinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(6-ethyl-4-pyrimidinyl)amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(6-chloro-2-pyrazinyl)amino]-5-thiazolecarboxamide;

'2-[(3-Aminophenyl)amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(3-hydroxyphenyl) amino]-5-thiazolecarboxamide;

'2-[(3-Bromophenyl) amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-(phenylamino)-5-⁵ thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-(methylphenylamino)-5-thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-(2-pyridinylamino)-5-¹⁰ thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-[(6-methyl-2-pyridinyl) amino]-5-thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-[(4-methyl-2-pyridinyl) amino]-5-thiazolecarboxamide;¹⁵

'N-(2,6-Dimethylphenyl)-2-[(4-ethyl-2-pyridinyl) amino]-5-thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-[(4,6-dimethyl-2-pyridinyl) amino]-5-thiazolecarboxamide;

'2-[(6-Amino-2-pyridinyl) amino]-N-(2,6-²⁰ dimethylphenyl)-5-thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-[(6-ethyl-2-pyridinyl) amino]-5-thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-[(6-propyl-2-pyridinyl) amino]-5-thiazolecarboxamide;²⁵

'2-[(2-Amino-3-pyridinyl) amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide;

'2-[(3-Amino-2-pyridinyl) amino]-N-(2,6-³⁰ dimethylphenyl)-5-thiazolecarboxamide;

'2-[(6-Amino-2-methyl-4-pyrimidinyl) amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-[[6-(4-morpholinyl)-3-pyridazinyl] amino]-5-thiazolecarboxamide;³⁵

'2-[(6-Chloro-3-pyridazinyl) amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide;

'N-(2,6-Dimethylphenyl)-2-(3-pyridazinylamino)-5-thiazolecarboxamide;

'2-[(3-Aminophenyl) amino]-N-(2,6-dimethylphenyl)-5-⁴⁰ thiazolecarboxamide;

'2-[(3-Bromophenyl) amino]-N-(2,6-dimethylphenyl)-5-thiazolecarboxamide;

'2-(2-Pyridinylamino)-N-(2,4,6-trimethylphenyl)-5-⁴⁵ thiazolecarboxamide;

'2-[(6-Methyl-2-pyridinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-[(5-Methyl-2-pyridinyl) amino]-N-(2,4,6-⁵⁰ trimethylphenyl)-5-thiazolecarboxamide;

'2-[(4-Methyl-2-pyridinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-[(3-Methyl-2-pyridinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-[(5-Bromo-2-pyridinyl) amino]-N-(2,4,6-⁵⁵ trimethylphenyl)-5-thiazolecarboxamide;

'2-[(5-Chloro-2-pyridinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-[(6-Methoxy-3-pyridinyl) amino]-N-(2,4,6-⁶⁰ trimethylphenyl)-5-thiazolecarboxamide;

'2-[(4-Ethyl-2-pyridinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-[(6-Ethyl-2-pyridinyl) amino]-N-(2,4,6-⁶⁵ trimethylphenyl)-5-thiazolecarboxamide;

'2-[(6-Chloro-3-pyridinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-[(2,6-Dimethyl-4-pyrimidinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-[(4-Methyl-2-pyrimidinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-(2-Pyrazinylamino)-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide

'2-[(6-Chloro-2-pyrazinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'2-[(3,5-Dimethyl-2-pyrazinyl) amino]-N-(2,4,6-trimethylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[2-(4-morpholinyl)ethyl] amino]-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[3-(4-morpholinyl)propyl] amino]-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methyl phenyl)-2-[[2-methyl-6-[methyl [3-(methylamino)propyl] amino]-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[2-(tetrahydro-2-oxo-1H-imidazol-1-yl)ethyl] amino]-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[2-(1H-imidazol-4-ylethyl) amino]-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-(4-morpholinyl)-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[(2R)-1-ethyl-2-pyrrolidinyl]methyl] amino]-2-methyl-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[(2S)-1-ethyl-2-pyrrolidinyl]methyl] amino]-2-methyl-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'2-[[6-[(2S)-2-(Aminocarbonyl)-1-pyrrolidinyl]-2-methyl-4-pyrimidinyl] amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[(2-hydroxyethyl) amino]-2-methyl-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[4-(hydroxymethyl)-1-piperidinyl]-2-methyl-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[4-(2-hydroxyethyl)-1-piperazinyl]-2-methyl-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'1-[6-[[5-[[[(2-Chloro-6-methylphenyl) amino] carbonyl]-2-thiazolyl] amino]-2-methyl-4-pyrimidinyl]-4-piperidinecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[(3S)-3-methyl-1-piperazinyl]-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'2-[[6-[3-(Acetylamino)-1-pyrrolidinyl]-2-methyl-4-pyrimidinyl] amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1-methyl-2-pyrrolidinyl)ethyl] amino]-2-methyl-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[5-methyl-2-pyrazinyl]methyl] amino]-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-6-[[2-(1H-1,2,3-triazol-1-yl)ethyl] amino]-4-pyrimidinyl] amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(dimethylamino)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide; 5

'N-(2-Chloro-6-methylphenyl)-7-[[6-[[2-(tetrahydro-2-oxo-1H-imidazol-1-yl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[methyl[2-(methylamino)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide; 10

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide; 15

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1-pyrrolidinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[1-ethyl-2-pyrrolidinyl]methyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide; 20

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[4-piperidinylmethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'2-[[6-[[2-(Acetylamino)ethyl]amino]-4-pyrimidinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide; 25

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1H-1,2,3-triazol-1-yl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide; 30

'N-(2-Chloro-6-methylphenyl)-2-[[6-(4-morpholinyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(4-morpholinyl)ethyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide; 35

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(4-morpholinyl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[methyl[3-(methylamino)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide; 40

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(3S)-3-methyl-1-piperazinyl]-2-pyridinyl]amino]-5-thiazolecarboxamide; 45

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(2-hydroxyethyl)amino]-2-pyridinyl]amino]-5-thiazolecarboxamide; 50

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(1H-imidazol-1-ylethyl)amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-(4-morpholinyl)-2-pyridinyl]amino]-5-thiazolecarboxamide; 55

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[2-(4-morpholinyl)ethyl]amino]-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(4-morpholinyl)propyl]amino]-2-pyrazinyl]amino]-5-thiazolecarboxamide; 60

'N-(2-Chloro-6-methylphenyl)-2-[[6-(4-morpholinyl)-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(3S)-3-methyl-1-piperazinyl]-2-pyrazinyl]amino]-5-thiazolecarboxamide; 65

'N-(2-Chloro-6-methylphenyl)-2-[[6-(3-hydroxy-1-pyrrolidinyl)-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-(1H-imidazol-1-yl)-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-(3-hydroxy-1-pyrrolidinyl)-3-pyridazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-(1H-imidazol-1-yl)-3-pyridazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[3-(methylamino)-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[3-(3-hydroxy-1-pyrrolidinyl)-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[3-(cyclopropylamino)-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[3-(4-morpholinyl)-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[3-[[2-(4-morpholinyl)ethyl]amino]-2-pyrazinyl]amino]-5-thiazolecarboxamide;

'2-[[3-[[2-(Acetylamino)ethyl]amino]-2-pyrazinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(cyclohexylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(methylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(cyclopropylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[(phenylmethyl)amino]-5-thiazolecarboxamide;

'2-[[2-(Acetylamino)ethyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-(methoxymethyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-hydroxymethyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-(4-morpholinylmethyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[2-(dimethylamino)ethyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[2-(4-morpholinyl)ethyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[3-(4-morpholinyl)propyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[2-(1H-imidazol-4-ylethyl)amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[3-(1H-imidazol-1-yl)propyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[2-(2-pyridinyl)ethyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[[2-(3-pyridinyl)ethyl]amino]methyl]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'1-[[6-[[[2-(2-Chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-4-pyrimidinyl]methyl]-4-piperidinecarboxamide;

'2-[[6-[[[2-(Acetylamino)ethyl]amino]methyl]-4-pyrimidinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(2-naphthalenylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(2-quinolinylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(3-isoquinolinylamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-(2-quinoxalinyllamino)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[2-methyl-6-(4-morpholinyl)-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-4-methyl-2-[[2-methyl-6-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'2-[[2,6-Dimethyl-4-pyrimidinyl]amino]-N-phenyl-5-thiazolecarboxamide;

'2-[[2,6-Dimethyl-4-pyrimidinyl]methylamino]-N-(2-methylphenyl)-5-thiazolecarboxamide;

'2-[[2,6-Dimethyl-4-pyrimidinyl]amino]-N-(2-methylphenyl)-5-thiazolecarboxamide;

'N-(3,5-Dimethoxyphenyl)-2-[[2,6-dimethyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-[[2,6-Bis(1-methylethyl)phenyl]-2-[[2,6-dimethyl-4-pyrimidinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2,6-dimethyl-4-pyrimidinyl]methylamino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[2,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-5-thiazolecarboxamide;

N,N-(2-Chloro-6-methylphenyl)-(4-methoxybenzyl)-2-[[6-bromo-2-pyridinyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[6-bromo-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[4-(2-furanylcarbonyl)-1-piperazinyl]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'2-[[6-[[3-(1H-Benzimidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-(2-chloro-6-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[4-(1H-imidazol-1-yl)butyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[5-(1H-imidazol-1-yl)pentyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(4-methyl-1-piperazinyl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[4-(1H-imidazol-1-yl)phenyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[6-(1H-imidazol-1-yl)hexyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-(4-methoxyphenyl)-5-thiazolecarboxamide;

'2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-(4-phenoxyphenyl)-5-thiazolecarboxamide;

'N-(4-Chlorophenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-[1-(phenylmethyl)-1H-indazol-5-yl]-5-thiazolecarboxamide;

'N-(2-Ethylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2,6-Dimethoxyphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2,4-Dimethoxyphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-phenyl-5-thiazolecarboxamide;

'2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-N-(2-methylphenyl)-5-thiazolecarboxamide;

'N-(2-Chlorophenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2,6-Diethylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[6-[[3-(1H-imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-4-methyl-5-thiazolecarboxamide;

'2-[[6-[[3-(1H-Imidazol-1-yl)propyl]amino]-2-pyridinyl]amino]-4-methyl-N-[1-(phenylmethyl)-1H-indazol-5-yl]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[3-[[3-(1H-imidazol-1-yl)propyl]amino]phenyl]amino]-5-thiazolecarboxamide;

'N-(2-Chloro-6-methylphenyl)-2-[[5-[[3-(1H-imidazol-1-yl)propyl]amino]-2-nitrophenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[3,4,5-trimethoxyphenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[4-methoxyphenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[3-methoxyphenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[2-methoxyphenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[3,5-dimethoxyphenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[4-(dimethylamino)phenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[4-(4-morpholinyl)phenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[3-(carboxymethyl)phenyl]amino]-5-thiazolecarboxamide;

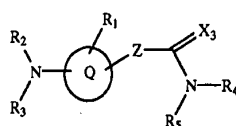
N-(2-Chloro-6-methylphenyl)-2-[[3-(3-carboxypropyl)phenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[4-(carboxymethyl)phenyl]amino]-5-thiazolecarboxamide;

N-(2-Chloro-6-methylphenyl)-2-[[2-methyl-1H-benzimidazol-5-yl]amino]-5-thiazolecarboxamide;

- N-(2-Chloro-6-methylphenyl)-2-[[1-[3-(1H-imidazol-1-yl)propyl]-1H-benzimidazol-4-yl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[1-[2-(1H-imidazol-1-yl)ethyl]-1H-indazol-6-yl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[2-[2-(1H-imidazol-1-yl)ethyl]-2H-indazol-6-yl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[(1-methyl-1H-benzimidazol-6-yl)amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[(1-methyl-1H-benzimidazol-5-yl)amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[2-[3-(1H-imidazol-1-yl)propyl]amino]-1H-benzimidazol-5-yl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[2-(4-morpholinylmethyl)-1H-benzimidazol-5-yl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[2-(1H-imidazol-1-ylmethyl)-1H-benzimidazol-5-yl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[3-[[5-(1H-imidazol-1-yl)-2-pyridinyl]amino]phenyl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[3-[3-(1H-imidazol-1-yl)propoxy]phenyl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[4-[3-(1H-imidazol-1-yl)propoxy]phenyl]amino]-5-thiazolecarboxamide;
- N-(2-Chloro-6-methylphenyl)-2-[[3-[[3-(1H-imidazol-1-yl)propyl]amino]sulfonyl]phenyl]amino]-5-thiazolecarboxamide; and
- N-(2-Chloro-6-methylphenyl)-2-[[4-[[3-(1H-imidazol-1-yl)propyl]amino]sulfonyl]phenyl]amino]-5-thiazolecarboxamide.

7. A method for the treatment of a protein tyrosine kinase-associated disorder, comprising the step of administering to a subject in need thereof an amount effective therefor of at least one compound of formula III or a salt thereof:



where

- Q is thiazole optionally substituted with R₁;
- Z is a single bond
- X₃ is oxygen;
- R₁ is
- (1) hydrogen or R₆, where R₆ is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, heterocyclo, or heterocycloalkyl, each of which is unsubstituted or substituted with Z₁, Z₂ and one or more groups Z₃;
 - (2) —OH or —OR₆;
 - (3) —SH or —SR₆;
 - (4) —C(O)₂H, —C(O)_qR₆, or —O—C(O)_qR₆, where q is 1 or 2;
 - (5) —SO₃H or S(O)_qR₆;

- (6) halo;
- (7) cyano;
- (8) nitro;
- (9) —Z₄—NR₇R₈;
- (10) —Z₄—N(R₉)—Z₅—NR₁₀R₁₁;
- (11) —Z₄—N(R₁₂)—Z₅—R₆;
- (12) —P(O)(OR₆)₂;

R₂ and R₃ are each independently

- (1) hydrogen or R₆;
- (2) —Z₄—R₆; or
- (3) —Z₁₃—NR₇R₈;

R₄ and R₅

- (1) are each independently hydrogen or R₆;
- (2) —Z₄—N(R₉)—Z₅—NR₁₀R₁₁;
- (3) —N(R₉)Z₄R₆; or
- (4) together with the nitrogen atom to which they are attached complete a 3- to 8-membered saturated or unsaturated heterocyclic ring which is unsubstituted or substituted with Z₁, Z₂ and Z₃, which heterocyclic ring may optionally have fused to it a benzene ring itself unsubstituted or substituted with Z₁, Z₂ and Z₃;

R₇, R₈, R₉, R₁₀, R₁₁ and R₁₂

- (1) are each independently hydrogen or R₆;
- (2) R₇ and R₈ may together be alkylene, alkenylene or heteroalkyl, completing a 3- to 8-membered saturated or unsaturated ring with the nitrogen atom to which they are attached, which ring is unsubstituted or substituted with Z₁, Z₂ and Z₃; or
- (3) any two of R₉, R₁₀ and R₁₁ may together be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the nitrogen atoms to which they are attached, which ring is unsubstituted or substituted with Z₁, Z₂ and Z₃;

R₁₃ is

- (1) cyano;
- (2) nitro;
- (3) —NH₂;
- (4) —NHOalkyl;
- (5) —OH;
- (6) —NHOaryl;
- (7) —NHCOalkyl;
- (8) —NHCOaryl;
- (9) —NHSO₂alkyl;
- (10) —NHSO₂aryl;
- (11) aryl;
- (12) heteroaryl;
- (13) —Oalkyl; or
- (14) —Oaryl;

R₁₄ is

- (1) —NO₂;
- (2) —COOalkyl; or
- (3) —COOaryl;

R₁₅ is

- (1) hydrogen;
- (2) alkyl;
- (3) aryl;
- (4) arylalkyl; or
- (5) cycloalkyl;

Z₁, Z₂ and Z₃ are each independently

- (1) hydrogen or Z₆, where Z₆ is (i) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, alkylaryl, cycloalkylaryl, heterocyclo, or heterocycloalkyl; (ii) a group (i) which is itself substituted by one or more of the same or different groups (i); or (iii) a group (i)

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or (ii) which is substituted by one or more of the following groups (2) to (16) of the definition of Z_1 , Z_2 and Z_3 ;

- (2) $-\text{OH}$ or $-\text{OZ}_6$;
- (3) $-\text{SH}$ or $-\text{SZ}_6$;
- (4) $\text{C}(\text{O})_q\text{H}$, $-\text{C}(\text{O})_q\text{Z}_6$, or $-\text{O}-\text{C}(\text{O})_q\text{Z}_6$;
- (5) $-\text{SO}_3\text{H}$, $-\text{S}(\text{O})_q\text{Z}_6$, or $\text{S}(\text{O})_q\text{N}(\text{Z}_2)\text{Z}_6$;
- (6) halo;
- (7) cyano;
- (8) nitro;
- (9) $-\text{Z}_4-\text{NZ}_7\text{Z}_8$;
- (10) $-\text{Z}_4-\text{N}(\text{Z}_9)-\text{Z}_5-\text{NZ}_7\text{Z}_8$;
- (11) $-\text{Z}_4-\text{N}(\text{Z}_{10})-\text{Z}_5-\text{Z}_6$;
- (12) $-\text{Z}_4-\text{N}(\text{Z}_{10})-\text{Z}_5-\text{H}$;
- (13) oxo;
- (14) $-\text{O}-\text{C}(\text{O})-\text{Z}_6$;
- (15) any two of Z_1 , Z_2 , and Z_3 may together be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached; or
- (16) any two of Z_1 , Z_2 , and Z_3 may together be $-\text{O}-(\text{CH}_2)_r-\text{O}-$, where r is 1 to 5, completing a 4- to 8-membered ring together with the atoms to which they are attached;

Z_4 and Z_5 are each independently

- (1) a single bond;
- (2) $-\text{Z}_{11}-\text{S}(\text{O})_q-\text{Z}_{12}-$;
- (3) $-\text{Z}_{11}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (4) $-\text{Z}_{11}-\text{C}(\text{S})-\text{Z}_{12}-$;
- (5) $-\text{Z}_{11}-\text{O}-\text{Z}_{12}-$;
- (6) $-\text{Z}_{11}-\text{Z}_{12}-$;
- (7) $-\text{Z}_{11}-\text{O}-\text{C}(\text{O})-\text{Z}_{12}-$; or
- (8) $-\text{Z}_{11}-\text{C}(\text{O})-\text{O}-\text{Z}_{12}-$;

Z_7 , Z_8 , Z_9 and Z_{10}

- (1) are each independently hydrogen or Z_6 ;
- (2) Z_7 and Z_8 , or Z_6 and Z_{10} , may together be alkylene or alkenylene, completing a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ; or
- (3) Z_7 or Z_8 , together with Z_9 , may be alkylene or alkenylene completing a 3- to 8-membered saturated or unsaturated ring together with the nitrogen atoms to which they are attached, which ring is unsubstituted or substituted with Z_1 , Z_2 and Z_3 ;

Z_{11} and Z_{12} are each independently

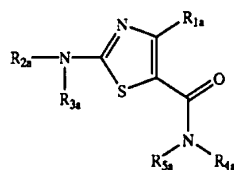
- (1) a single bond;
- (2) alkylene;
- (3) alkenylene; or
- (4) alkynylene; and

Z_{13} is

- (1) a single bond;
- (2) $-\text{Z}_{11}-\text{S}(\text{O})_q-\text{Z}_{12}-$;
- (3) $-\text{Z}_{11}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (4) $-\text{Z}_{11}-\text{C}(\text{S})-\text{Z}_{12}-$;
- (5) $-\text{Z}_{11}-\text{O}-\text{Z}_{12}-$;
- (6) $-\text{Z}_{11}-\text{S}-\text{Z}_{12}-$;
- (7) $-\text{Z}_{11}-\text{C}(\text{O})-\text{Z}_{12}-$;
- (8) $-\text{Z}_{11}-\text{C}(\text{O})-\text{O}-\text{Z}_{12}-$;
- (9) $-\text{C}(\text{NR}_{13})-$;
- (10) $-\text{C}(\text{CHR}_{14})-$; or
- (11) $-\text{C}(\text{C}(\text{R}_{14})_2)-$ providing that said compound is other than

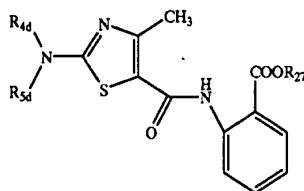
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(a) a compound of formula (i)



where

- R_{1a} is alkyl or $-\text{C}(\text{O})\text{NHNH}_2$;
 R_{2a} and R_{3a} are independently hydrogen, alkyl, $-\text{C}(\text{O})-(\text{alk})$; and
 R_{4a} is hydrogen and R_{5a} is aryl, alkyl-substituted aryl, halo-substituted aryl, aralkyl, cycloalkyl or amino;
 Or R_{4a} is alkyl and R_{5a} is alkyl or aryl;
 Or R_{4a} and R_{5a} together with the nitrogen atom to which they are bonded together form morpholino; or
- (b) a compound of formula (vi)



where

- R_{4d} and R_{5d} are independently hydrogen, alkyl, alkenyl, or phenyl;
 R_{27} is hydrogen or alkyl.
8. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is transplant rejection.
 9. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is rheumatoid arthritis.
 10. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is multiple sclerosis.
 11. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is inflammatory bowel disease.
 12. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is lupus.
 13. The method of claim 7 wherein said protein tyrosine kinase-associated disorder is graft vs. host disease.
 14. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is a T-cell mediated hypersensitivity disease.
 15. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is psoriasis.
 16. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is Hashimoto's thyroiditis.
 17. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is Guillain-Barre syndrome.
 18. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is a cancer.
 19. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is contact dermatitis.
 20. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is an allergic disease.
 21. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is asthma.
 22. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is ischemic or reperfusion injury.

23. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is atopic dermatitis.

24. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is allergic rhinitis.

25. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is chronic obstructive pulmonary disease.

26. The method of claim 7, wherein said protein tyrosine kinase-associated disorder is diabetic retinopathy.

27. The method of claim 7, wherein said protein tyrosine kinase is Lck.

28. The method of claim 7, wherein said protein tyrosine kinase is Fyn.

29. The method of claim 7, wherein said protein tyrosine kinase is Lyn.

30. The method of claim 7, wherein said protein tyrosine kinase is Hck.

31. The method of claim 7, wherein said protein tyrosine kinase is Fgr.

32. The method of claim 7, wherein said protein tyrosine kinase is Src.

33. The method of claim 7, wherein said protein tyrosine kinase is Yes.

34. The method of claim 7, wherein said protein tyrosine kinase is Blk.

35. The method of claim 7, wherein said protein tyrosine kinase is HER1.

36. The method of claim 7, wherein said protein tyrosine kinase is HER2.

37. The method of claim 7, wherein R_2 is other than heteroaryl and R_3 is aryl which is unsubstituted or substituted with Z_1 , Z_2 and one or more groups Z_3 .

38. The method of claim 7, wherein said compound of the formula III or salt thereof is administered, simultaneously or sequentially, with an antiinflammatory, antiproliferative, chemotherapeutic agent, immunosuppressant, anti-cancer, cytotoxic agent or PTK inhibitor other than a compound of the formula III or salt thereof.

39. The method of claim 38, wherein said compound of the formula III or salt thereof is administered with one or more of: another PTK inhibitor; cyclosporin A; CTLA4-Ig; antibodies selected from anti-ICAM-3, anti-IL-2 receptor (Anti-Tac), anti-CD45RB, anti-CD2, anti-CD3 (OKT-3), anti-CD4, anti-CD80, anti-CD86, and monoclonal antibody OKT3; agents blocking the interaction between CD40 and gp39; fusion proteins constructed from CD40 and gp39; inhibitors of NF-kappa B function; non-steroidal antiinflammatory drugs (NSAIDs); steroids; gold compounds; antiproliferative agents; FK506 (tacrolimus, Prograf); mycophenolate mofetil; cytotoxic drugs; TNF- α inhibitors; anti-TNF antibodies or soluble TNF receptor; rapamycin (sirolimus or Rapamune); leflunimide; cyclooxygenase-2 inhibitors; paclitaxel, cisplatin, carboplatin, doxorubicin, carminomycin, daunorubicin, aminopterin, methotrexate, methopterin, mitomycin C, ecteinascidin 743, porfiromycin, 5-fluorouracil, 6-mercaptopurine, gemcitabine, cytosine arabinoside, podophyllotoxin, etoposide, etoposide phosphate, teniposide, melphalan, vinblastine, vincristine, leurosidine, epothilone, vindesine, leurosine, or derivatives thereof.

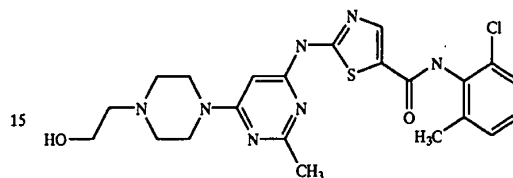
40. A method for the treatment of a T cell mediated disorder, comprising the step of administering to a subject in

need thereof an amount effective therefor of at least one compound of claim 7.

41. The method of claim 40, wherein T cell activation is inhibited.

42. A pharmaceutical composition for the treatment of a protein tyrosine kinase-associated disorder, comprising a pharmaceutically acceptable vehicle or diluent and at least one compound of claim 6.

43. The compound



including salts thereof.

44. A method for the treatment of cancer, comprising the step of administering to a subject in need thereof an amount effective thereof of the compound of claim 43.

45. A method of claim 44 where the claimed compound is used to treat solid tumors.

46. A pharmaceutical composition comprising the compound of claim 43 and a pharmaceutically acceptable vehicle or carrier thereof.

47. A method for the treatment of a protein tyrosine kinase-associated disorder, comprising the step of administering to a subject in need thereof an effective amount of the compound of claim 43.

48. A method of claim 47 wherein the compound of claim 43 administered with at least one additional therapeutic agent selected from cyclosporin A; CTLA4-Ig; antibodies selected from anti-ICAM-3, anti-IL-2 receptor (Anti-Tac), anti-CD45RB, anti-CD2, anti-CD3 (OKT-3), anti-CD4, anti-CD80, anti-CD86, and monoclonal antibody OKT3; agents blocking the interaction between CD40 and gp39; fusion proteins constructed from CD40 and gp39; inhibitors of NF-kappa B function; non-steroidal antiinflammatory drugs; steroids; gold compounds; antiproliferative agents; FK506; mycophenolate mofetil; cytotoxic drugs; TNF- α inhibitors; anti-TNF antibodies or soluble TNF receptor; rapamycin; leflunimide; cyclooxygenase-2 inhibitors; paclitaxel, cisplatin, carboplatin, doxorubicin, carminomycin, daunorubicin, aminopterin, methotrexate, methopterin, mitomycin C, ecteinascidin 743, porfiromycin, 5-fluorouracil, 6-mercaptopurine, gemcitabine, cytosine arabinoside, podophyllotoxin, etoposide, etoposide phosphate, teniposide, melphalan, vinblastine, vincristine, leurosidine, epothilone, vindesine, or leurosine.

49. A method of claim 47 wherein the protein tyrosine kinase-associated disorder is transplant rejection, rheumatoid arthritis, multiple sclerosis, inflammatory bowel disease, lupus, graft vs. host disease, T-cell mediated hypersensitivity disease, psoriasis, Hashimoto's thyroiditis, Guillian-Barre syndrome, contact dermatitis, allergic disease, asthma, ischemic or reperfusion injury, atopic dermatitis, allergic rhinitis, chronic obstructive pulmonary disease, or diabetic retinopathy.

* * * * *