Translator's Note:

Beginning on German page 48, line 15, the syntax structure „in der für R^{16} für ein- oder mehrfach... substituiertes Methyl..." is repeated in several subsequent sections describing subjects of the fourth embodiment. There is apparently an extra „für" in this sentence portion, and the translation fragment should read "in which for R^{16}, methyl that is substituted in one or more places, ..." (English page 51, lines 11 and 12).

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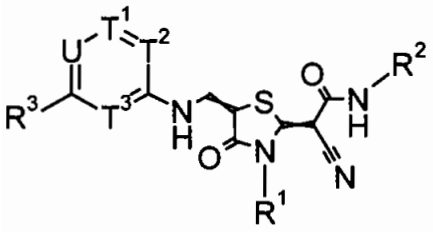
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To clarify the two-letter code, and the other abbreviations, reference is made to the explanations ("Guidance Notes on Codes and Abbreviations") at the beginning of each regular edition of the PCT Gazette.

(54) Title: META-SUBSTITUTED THIAZOLIDINONES, THEIR PRODUCTION AND USE AS PHARMACEUTICAL AGENTS



(I)

(57) Astract: The invention relates to thiazolidinones of general formula (I) as well as their production and use as inhibitors of polo-like kinases (Plk) for treating various diseases.

Meta-Substituted Thiazolidinones, Their Production and Use as Pharmaceutical Agents

The invention relates to thiazolidinones, their production and use as inhibitors of polo-like kinases (Plk) for treating various diseases.

Tumor cells are distinguished by an uninhibited cell-cycle process. This is based on, on the one hand, the loss of control proteins, such as RB, p16, p21, p53, etc., as well as the activation of so-called accelerators of the cell-cycle process, the cyclin-dependent kinases (Cdks). The Cdks are an anti-tumor target protein that is acknowledged in pharmaceutics. In addition to the Cdks, serine/threonine kinases that regulate the new cell cycle, so-called 'polo-like kinases,' were described, which are involved not only in the regulation of the cell cycle but also in the coordination with other processes during mitosis and cytokinesis (formation of the spindle apparatus, chromosome separation). This class of proteins therefore represents an advantageous point of application for therapeutic intervention of proliferative diseases such as cancer (Descombes and Nigg. Embo J, 17; 1328 ff, 1998; Glover et al. Genes Dev 12, 3777 ff, 1998).

A high expression rate of Plk-1 was found in 'non-small cell lung' cancer (Wolf et al. Oncogene, 14, 543ff, 1997), in melanomas (Strebhardt et al. JAMA, 283, 479ff, 2000), in 'squamous cell carcinomas' (Knecht et al. Cancer Res, 59, 2794ff, 1999) and in 'esophageal carcinomas' (Tokumitsu et al. Int J Oncol 15, 687ff, 1999).

A correlation of a high expression rate in tumor patients with poor prognosis was shown for the most varied tumors (Strebhardt et al. JAMA, 283, 479ff, 2000, Knecht et al. Cancer Res, 59, 2794ff, 1999 and Tokumitsu et al. Int J Oncol 15, 687ff, 1999).

The constitutive expression of Plk-1 in NIH-3T3 cells resulted in a malignant transformation (increased proliferation, growth in soft agar, colony formation and tumor development in hairless mice) (Smith et al. Biochem Biophys Res Comm, 234, 397ff., 1997).

Microinjections of Plk-1 antibodies in HeLa cells resulted in improper mitosis (Lane et al.; Journal Cell Biol, 135, 1701ff, 1996).

With a '20-mer' antisense oligo, it was possible to inhibit the expression of Plk-1 in A549 cells, and to stop their ability to survive. It was also possible to show a significant anti-tumor action in hairless mice (Mandt et al., Biochem Biophys Res Comm, 269, 377ff., 2000).

The microinjection of anti-Plk antibodies in non-immortalized human Hs68 cells showed, in comparison to HeLa cells, a significantly higher fraction of cells, which remained in a growth arrest at G2 and showed far fewer signs of improper mitosis (Lane et al.; Journal Cell Biol, 135, 1701ff, 1996).

In contrast to tumor cells, antisense-oligo-molecules did not inhibit the growth and the viability of primary human mesangial cells (Mandt et al., Biochem Biophys Res Comm, 269, 377ff., 2000).

In mammals, to date in addition to the Plk-1, three other polo-kinases were described that are induced as a mitogenic response and exert their function in the G1 phase of the cell cycle. These are, on the one hand, the so-called Prk/Plk-3 (the human homologue of the mouse-Fnk= fibroblast growth factor-induced kinase; Wiest et al, Genes, Chromosomes & Cancer, 32: 384ff, 2001), Snk/Plk-2 (Serum-Induced Kinase, Liby et al., DNA Sequence, 11, 527-33, 2001) and sak/Plk4 (Fode et al., Proc.Natl.Acad.Sci. U.S.A., 91, 6388ff; 1994).

The inhibition of Plk-1 and the other kinases of the polo family, such as Plk-2, Plk-3 and Plk-4, thus represents a promising approach for the treatment of various diseases.

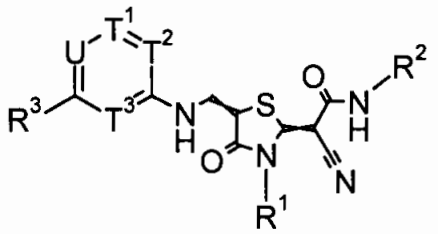
The sequence identity within the Plk domains of the polo family is between 40 and 60%, so that partial interaction of inhibitors of a kinase occurs with one or more other kinases of this family. Depending on the structure of the inhibitor, however, the action can also take place selectively or preferably on only one kinase of the polo family.

In International Application WO03/093249, thiazolidinone compounds that inhibit the kinases of the polo family are disclosed.

The object of this invention therefore consists in providing compounds that are improved, compared to the prior art, especially improved in the inhibition of polo-like kinases, and/or in making available alternative compounds, inhibiting the kinases, in particular polo-like kinases, and/or having better physicochemical properties compared to the compounds disclosed in the prior art.

First Embodiment of this Invention

In a first embodiment of this invention, it has now been found in claim 1 that compounds of general formula I,



in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=, and T^2 in addition can also stand for (-CF)=,

U stands for $–CR^4=$ or –N=,

R^1 stands for C_1-C_3-alkyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^2 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl, C_3-C_4-alkinyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen, or hydroxyethyl that is substituted in at least one place with methyl,

R^3 stands for K, L or M, or for R^{15},

K stands for C_1-C_3-alkyl or C_2-C_4-alkenyl that optionally is substituted in one or

more places, in the same way or differently, with X,

X stands for halogen, hydroxy or for the group $-OR^6$, $-NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same as or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, -(C=S)- or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group $-(CO)-R^5$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group $-O-R^7$, $-O-(CH_2)_n-(CO)-NH-R^8$, $-O-(CH_2)_n-(CO)-R^{15}$ or $-O-(CH_2)_n-(CO)-O-R^8$,

M stands for the group $-NH-R^9$, -NH-(CO)-OH), $-NH-(CO)-O-R^9$ or $-NR^{12}-(CO)-R^9$,

R^4 stands for hydrogen, cyano or halogen or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^5 stands for C_1-C_4-alkyl, phenyl or $-NR^{12}R^{13}$,

R^6 stands for $-SO_2-R^{14}$,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with $-NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same as or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $-SO_2-$ groups in the

ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^8 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl or C_3-C_4-alkinyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or halogen,

R^9 stands for C_1-C_5-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14}, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same as or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl, $-(CH_2)_n$-aryl or heteroaryl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-

alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same as or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring,

R^{12} and R^{13}, independently of one another, stand for hydrogen or C_1-C_4-alkyl,

R^{14} stands for C_1-C_3-alkyl or for aryl, and

R^{15} stands for C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_3-alkyl or -$(CH_2)_n$-aryl, whereby the heterocycloalkyl in the ring contains at least one atom, in the same way or differently, from the following group of nitrogen, oxygen or sulfur, and optionally is interrupted by one or more –(CO)- or $–SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring,

R^{16} stands for hydrogen or for C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14} or for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14} or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl or heteroaryl, whereby the heterocycloalkyl in the ring contains at least one atom, the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more

–(CO)-, –(C=S)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or optionally with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy ,

or for a C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, or for C_2-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy-C_1-C_4-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, the same or different, from the following group of nitrogen, oxygen, or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or –SO_2- groups in the ring and the ring itself is substituted in one or more places, in the same way or differently, with halogen, cyano, hydoxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, and

n stands for 1- 4,

as well as their solvates, hydrates, diastereomers, enantiomers and salts, represent improved compounds relative to the inhibition of polo-like kinases.

Compounds of general formula in claim 2, according to claim 1, in which

R^3 stands for K, L or M,

X stands for halogen, hydroxy or for the group $-OR^6$, $-NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, or $-NR^{12}R^{13}$ or can be substituted with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group $-O-R^7$, $-O-(CH_2)_n-(CO)-NH-R^8$ or $-O-(CH_2)_n-(CO)-O-R^8$,

R^9 stands for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, or hydroxy or with the group -$NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or $-NR^{12}R^{13}$ or with C_1-C_3-alkoxy that optionally

is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{16} stands for hydrogen or for C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14} or for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14} or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydoxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-Alkoxy, or for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, or for C_2-C_4-alkyl that is substituted in one or more places, in

the same way or differently, with C_1-C_4-alkoxy-C_1-C_4-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -or $NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are another variant of the first embodiment of this invention

Compounds of general formula I in claim 3, according to claim 1 or 2, in which

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring,

R^9 stands for C_1-C_5-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, or hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{12}, whereby the

heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $-SO_2-$ groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, or phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or can be substituted with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl,

R^{10} and R^{11}, independently of one another, optionally stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl or heteroaryl, optionally substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or $-SO_2-$ groups in the ring and optionally one or more double bonds can be contained in the ring,

R^{14} stands for C_1-C_3-alkyl or for phenyl, and

n stands for 1-4,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are also another subject according to this first embodiment of this invention.

Compounds of general formula I in claim 4, according to one of claims 1 to 3, in which

R^1 stands for a methyl, ethyl, isopropyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen, or for hydroxyethyl that is substituted in at least one place with methyl,

X stands for halogen, hydroxy or for the group $-OR^6$, or $-NR^{10}R^{11}$ or for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydoxy or phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or can be substituted with the group $-(CO)-R^5$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl, optionally substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy or C_1-C_3-alkylthio,

R^4 stands for hydrogen or halogen or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^5 stands for methyl, ethyl, tert-butyl, phenyl or $-NH_2$,

R^6 stands for $-SO_2$-methyl,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with $-N(C_1$-C_3-alkyl$)_2$, pyrrolidinyl, morpholinyl or piperidinyl,

R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or halogen,

R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-C_1$-C_3-alkyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl or C_1-C_3-alkoxy, or with the group $-(CO)-R^5$, $-(CO)-O-R^5$, $-(SO_2)-R^{14}$, -or $N(CH_3)_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, methylthio or phenyl,

R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, pyrrolidinyl, phenyl or pyridinyl, optionally substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy,

R^{12} and R^{13}, independently of one another, stand for hydrogen, or methyl, ethyl, or isopropyl,

R^{14} stands for C_1-C_4-alkyl or for phenyl, and

n stands for 1 or 2,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are another variant of the first embodiment of this invention.

Compounds of general formula I in claim 5, according to one of claims 1 to 4, in which

U stands for –CH=, -CF=, -$C(CH_3)$= or –N=,

R^1 stands for methyl, ethyl, isopropyl, or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with fluorine,

R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or fluorine or for hydroxyethyl that is substituted in at least one place with methyl,

K stands for methyl, ethyl or ethenyl that optionally is substituted in one or more places, in the same way or differently, with X,

X stands for halogen, hydoxy or for the group –O–SO_2-methyl or for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, or phenyl, or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

L stands for the group –O-R^7, –O-(CH_2)-(CO)-NH-R^8 or –O-(CH_2)-(CO)-O-R^8,

M stands for the group -NH-R^9, -NH-(CO)-R^{16}, -NH-(CO)-O-R^9 or –N(CH_3)-(CO)-R^{16},

R^7 stands for ethyl that optionally is substituted in one or more places, in the same

way or differently, with –N(C_1-C_3-alkyl)$_2$, pyrrolidinyl, morpholinyl or piperidinyl,

R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or fluorine, and

R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, cyano, cyclopropyl, halogen, hydroxy or with the group –N(C_1-C_3-alkyl)$_2$, –O-(CO)-(C_1-C_3-alkyl) or –O-(SO_2)-C_1-C_3-alkyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or with the group –(CO)-C_1-C_4-alkyl, –(CO)-O- C_1-C_4-alkyl, –(SO_2)-C_1-C_3-alkyl, –(SO_2)-phenyl, -N(C_1-C_3-alkyl)$_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio,

as well as their solvates, hydrates diastereomers, enantiomers and salts,

are also another subject of this invention according to this embodiment.

Compounds of general formula I in claim 6, according to one of claims 1 to 5, in which

R^1 stands for ethyl,

X stands for iodine, or hydoxy, or for the group –O–SO_2-methyl or for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydoxy, phenyl or

with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with $-N(CH_3)_2$, pyrrolidinyl, morpholinyl or piperidinyl,

R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with methoxy, ethoxy, butoxy-ethoxy, methoxy-ethoxy, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, cyano, cyclopropyl, chlorine, fluorine, hydroxy or with the group $-N(CH_3)_2$, $-N(CH_3)(C_2H_5)$, $-O-(CO)-(CH_3)$ or $-O-(SO_2)$-methyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl itself optionally can be substituted in one or more places with fluorine or with the group $-(CO)-CH_3$, $-(CO)-C_2H_5$, $-(CO)-C(CH_3)_3$, $-(CO)-O-C(CH_3)_3$, $-(SO_2)-CH_3$, $-(SO_2)$-phenyl, $-N(CH_3)_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with fluorine, hydroxy or methylthio,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are also another subject of the invention according to this embodiment.

Compounds of general formula I in claim 7, according to one of claims 1 to 6, in which

R^{16} stands for a C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, cyano, cyclopropyl, halogen, or hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$ or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted

by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydoxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are also another subject of the first embodiment of this invention.

Compounds of general formula I in claim 8, according to claim 7, in which

R^{16} stands for a C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with the group -$NR^{10}R^{11}$or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are also another subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which K stands for C_1-C_3-alkyl or C_2-C_4-alkenyl that optionally is substituted in one or more places, in the same way or differently, with K are another subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which K stands for C_1-C_3-alkyl or C_2-C_4-alkenyl that optionally is substituted in one or more places, in the same way or differently, with X are another subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which K stands for methyl, ethyl or ethenyl that optionally is substituted in one or more places, in the same way or differently, with X are another preferred subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which L stands for the group -O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^8, –O-$(CH_2)_n$-(CO)-R^{15} or –O-$(CH_2)_n$-(CO)-O-R^8, are another subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which L stands for the group –O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^8 or –O-$(CH_2)_n$-(CO)-O-R^8, are another subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which L stands for the group –O-R^7, –O-(CH_2)-(CO)-NH-R^8 or –O-(CH_2)-(CO)-O-R^8, are another preferred subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which R^5 stands for C_1-C_4-alkyl, phenyl or -$NR^{12}R^{13}$, are another subject of this first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which R^5 stands for methyl, ethyl, tert-butyl, phenyl or -NH2, are another preferred subject of this first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which

R^{16} stands for hydrogen or for C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, or for C_1–C_4–alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, cyano, cyclopropyl, halogen, hydoxy, or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, or $-(SO_2)-R^{14}$ or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl or heteroaryl, but preferably without heteroaryl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)-, –(C=S)-, but preferably without –(C=S)-, or $-SO_2$-groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, with C_1-C_3-alkyl, but preferably without C_1-C_3-alkyl or with C_1-C_3-alkoxy,

or for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, or for C_2-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy-C_1-

C_4-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, -(C=S)-, but preferably without –(C=S-)- or $–SO_2$- groups can be interrupted, and optionally one or more double bonds can be contained in the ring, and the ring itself is substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group -(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, preferably with C_1-C_3-alkyl, but preferably without C_1-C_3-alkyl or can be substituted with C_1-C_3-alkoxy.

Compounds of general formula I, according to one of claims 1 to 8, in which R^{16} stands for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, cyano, cyclopropyl, halogen, hydroxy or C_1–C_4-alkyl that is substituted with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14} or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl or heteroaryl, but preferably without heteroaryl, whereby the heterocyloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- groups, but preferably without –(C=S)- or $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydoxy, aryl or with the group–(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or C_1-C_3-alkyl that optionally is substituted one or more times, in the same way or differently, with halogen,

hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, preferably with C_1-C_3-alkyl but preferably without C_1-C_3-alkyl or with C_1-C_3-alkoxy,

are another preferred subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which R^{16} stands for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with the group $-NR^{10}R^{11}$ or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl or heteroaryl, but preferably without heteroaryl, whereby the heterocyloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, or –(C=S)- groups, but preferably without –(C=S)- or $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $–(CO)-R^5$, $–(CO)-O-R^{12}$, $–(SO_2)-R^{14}$, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, preferably with C_1-C_3-alkyl but without C_1-C_3-alkyl or C_1-C_3-alkoxy,

are another preferred subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which R^{16} stands for methyl that optionally is substituted in one or more places, in the same way or differently, with the group $-NR^{10}R^{11}$, C_2-C_{10}-heterocycloalkyl, imidazolyl or benzimidazolyl , but preferably without imidazolyl or benzimidazolyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)-, or –(C=S)- groups but preferably without –(C=S)- or $–SO_2$- groups in the ring and optionally one

or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, phenyl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, wherein the phenyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, preferably with C_1-C_3-alkyl but without C_1-C_3-alkyl or with C_1-C_3-alkoxy,
are another preferred subject of the first embodiment of this invention.

Compounds of general formula I, according to one of claims 1 to 8, in which R^{16} stands for methyl that optionally is substituted in one or more places, in the same way or differently, with pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl, imidazolyl or benzimidazolyl, but preferably without imidazolyl or benzimidazolyl, or methyl substituted with the group -$NR^{10}R^{11}$, whereby pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydoxy, or phenyl or can be substituted with the group –(CO)-R^5, –(CO)-O-R^5, –(SO_2)-R^{14}, or -$N(CH_3)_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, methylthio or phenyl, whereby the phenyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, preferably with C_1-C_3-alkyl, but preferably without C_1-C_3-alkyl or with C_1-C_3-alkoxy,
are another preferred subject of the first embodiment of this invention.

Second Embodiment of this Invention

In a second embodiment of this invention, it has now been found that compounds of general formula I in claim 9, according to claim 1, in which

K stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with P or for C_2-C_4-alkenyl that is substituted in one or more places, in the same way or differently, with X,

P stands for the group $-OR^6$, $-NR^{18}R^{19}$, C_2-C_5-heterocycloalkyl or for C_6-C_{10} heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10} heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or $-SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with cyano, halogen, hydoxy, or aryl or with the group $-(CO)-R^5$ or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydoxy, aryl or with the group $-(CO)-R^5$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, or C_1-C_3-alkoxy,

L stands for the group –O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^{17}, –O-$(CH_2)_n$-(CO)-R^{15} or –O-$(CH_2)_n$-(CO)-O-R^8,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with C_6-C_{10}-heterocycloalkyl, whereby the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, or for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_5-heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself is substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen,

R^{16} stands for hydrogen, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl or a methyl that is substituted with heteroaryl, or for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl, cyano, cyclopropyl or with the group –$NR^{18}R^{19}$, –O-(CO)-R^5,

$-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-,–(C=S)- or $-SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl optionally itself can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydoxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{17} stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or cyano, or for C_3-C_4-alkenyl or C_3-C_4-alkinyl that optionally is substituted in one or more places, in the same way or differently, with halogen, cyclopropyl or cyano,

R^{18} and R^{19}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl, $-(CH_2)_n$-aryl or heteroaryl, optionally substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or

C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, whereby

either R^{18} or R^{19} stands for a C_2-C_{10}-heterocycloalkyl, -$(CH_2)_n$-aryl, or a heteroaryl, or for a C_2-C_{10}-heterocycloalkyl, -$(CH_2)_n$-aryl or heteroaryl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, or for a C_1-C_5-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_3-alkoxy, or for an aryl that is substituted in one or more places, in the same way or differently, with C_1-C_3-alkyl, C_1-C_3-alkoxy whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen, or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring,

as well as their solvates, hydrates, diastereomers, enantiomers and salts

achieve the object of this invention.

Compounds of general formula I in claim 10, according to claim 9, in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=,

R^3 stands for K, L or M,

P stands for the group –OR^6, -$NR^{18}R^{19}$, C_2-C_5-heterocycloalkyl or for C_6-C_{10} heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10} heterocycloalkyl in the ring contain at least one atom, which is the same or different, from the following group of nitrogen, oxygen, or sulfur, and

optionally can be interrupted by one or more –(CO) or $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5 or is substituted with C_1-C_3-alkyl in one or more places, in the same way or differently, with halogen or C_1-C_3-alkylthio whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group –O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^{17} or –O-$(CH_2)_n$-(CO)-O-R^8,

R^9 stands for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14}, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and

the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5,

–(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{16} stands for hydrogen, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl or a methyl that is substituted with heteroaryl or for a C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl, cyano, cyclopropyl or with the group –$NR^{18}R^{19}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14}, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, or –(SO_2)-R^{14}, or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl optionally itself

can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydoxy, aryl, or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are another variant of the second embodiment of this invention.

Compounds of general formula I in claim 22, according to claim 9, in which

P stands for the group –OR^6, -$NR^{18}R^{19}$, or C_2-C_5-heterocycloalkyl or for C_6-C_{10} heterocycloalkyl, whereby C_2-C_5-heterocycloalkyl and C_6-C_{10} heterocycloalkyl in the ring contain at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or –SO_2- groups in the ring, and optionally one or more double bonds are contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted several times, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5 or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkylthio, or the ring of the C_2-C_5-heterocycloalkyl itself is substituted one time with the group –(CO)-R^5, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, or -$NR^{12}R^{13}$ or optionally with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently,

with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

R^5 stands for C_1-C_4-alkyl or phenyl,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with C_6-C_{10}-heterocycloalkyl, whereby the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, or for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_5-heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the C_2-C_5-heterocycloalkyl ring itself is substituted in many places, in the same way or differently, with halogen or aryl, or is substituted with C_1-C_3-alkyl in one or more places, in the same way or differently, with halogen,

R^{16} stands for hydrogen, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl or a methyl that is substituted with heteroaryl, or for a C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl that is substituted in one or more places, in the same way or

differently, with C_1-C_4-alkoxy, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl, cyano, cyclopropyl or with the group $-NR^{18}R^{19}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more -(CO)-,-(C=S)- or $-SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in several places, in the same way or differently, with halogen, cyano, hydroxy, or aryl or with the groupe $-(CO)-R^5$, $-(CO)-O-R^{12}$, or $-(SO_2)-R^{14}$, whereby the aryl in this case itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, or the ring of the C_2-C_5-heterocycloalkyl is substituted in one place with $-(CO)-O-R^{12}$, $-(CO)-R^5$ or Aryl, whereby in this case, the aryl itself is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, or the ring of the C_2-C_5-heterocycloalkyl is substituted with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkylthio or phenyl, and the ring of the C_6-C_{10}-heterocycloalkyl optionally itself can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers, and salts,

are another variant of the second embodiment of this invention.

Compounds of general formula I in claim 23, according to claim 10, in which

P stands for the group $-OR^6$, $-NR^{18}R^{19}$, or C_2-C_5-heterocycloalkyl or for C_6-C_{10} heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10} heterocycloalkyl in the ring contain at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or $-SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in several places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5 or is substituted with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkylthio, or the ring of C_2-C_5-heterocycloalkyl itself is substituted in one place with the group –(CO)-R^5, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, or C_1-C_3-alkoxy, and the ring of C_6-C_{10}-heterocycloalkyl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, $-NR^{12}R^{13}$ or optionally with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

R^5 stands for C_1-C_4-alkyl or phenyl,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with C_6-C_{10}-heterocycloalkyl, whereby the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or

different, from the following group of nitrogen, nitrogen, oxygen, or sulfur and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring, and optionally one or more double bonds can be ontained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, or for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_5-heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the C_2-C_5-heterocycloalkyl ring itself is substituted in several places, in the same way or differently, with halogen, or aryl or is substituted with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen,

R^{16} stands for hydrogen, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl or for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl, cyano, cyclopropyl or with the group $–NR^{18}R^{19}$, $–O-(CO)-R^5$, $–(SO_2)-R^{14}$ or $–O-(SO_2)-R^{14}$, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10}-heterocycloalkyl in the ring contain at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is

substituted in several places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, or –(SO_2)-R^{14}, whereby the aryl in this case itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or the ring of C_2-C_5-heterocycloalkyl is substituted in one place with –(CO)-O-R^{12}, –(CO)-R^5 or aryl, whereby in this case, the aryl itself is substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or the ring of C_2-C_5-heterocycloalkyl is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkylthio or phenyl, and the ring of the C_6-C_{10}-heterocycloalkyl optionally itself can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-Alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers, and salts, bedeuten, are another variant of the second embodiment of this invention.

Compounds of general formula I in claim 24, according to one of claims 9, 10, 22 or 23, in which P stands for the group –OR^6, -$NR^{18}R^{19}$ or for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl,

tetrahydroquinolinyl itself can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or with the group –(CO)-R^5 or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkylthio, as well as their solvates, hydrates, diastereomers, enantiomers and salts, are another variant of the second embodiment of this invention.

Another variant of the second embodiment of this invention are compounds of general formula I in claim 25, according to one of claims 9, 10, 22, 23 or 24, in which R^{18} and R^{19}, independently of one another, stand for C_1-C_5-alkyl, pyrrolidinyl, phenyl or pyridinyl that optionally are substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, whereby either R^{18} and R^{19} stands for pyrrolidinyl or pyridinyl or for a pyrrolidinyl or pyridinyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which K stands for a C_1–C_3-alkyl that is substituted in one or more places, in the same way or differently, with P or for C_2–C_4–alkenyl that is substituted in one or more places, in the same way or differently, with X, are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which K stands for C_1–C_3–alkyl, substituted in one or more places, in the same way or differently, with P, are another preferred subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9,10, 22, 23, 24 or 25, in which P stands for the group –OR^6, -$NR^{18}R^{19}$, C_2-C_5-heterocycloalkyl or for C_6-C_{10} heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10} heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, or

– (C=S)-, preferably, however, without –(C=S)- or with $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group $–(CO)-R^5$ or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkylthio, whereby the aryl itself optionally is substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group $–(CO)-R^5$, $-NR^{12}R^{13}$ or optionally with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which P stands for the group $–OR^6$, $-NR^{18}R^{19}$, or C_2-C_5-heterocycloalkyl or for C_6-C_{10} heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10} heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or –(CO)-, or –(C=S)-, preferably, however, without –(C=S)- or with $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in several places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group $–(CO)-R^5$ or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, or C_1-C_3-alkylthio, or the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one place with the group $–(CO)-R^5$, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently,

with cyano, halogen or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, -$NR^{12}R^{13}$ or optionally with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy, are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22 , 23, 24 or 25, in which P stands for the group –OR^6, or -$NR^{18}R^{19}$ or for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl itself can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or with the group –(CO)-R^5 or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkylthio,
are another subject of the second embodiment of this invention.

In a preferred variant, the pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl itself is substituted in several places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5 or with C_1-

C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy.

Compounds of general formula I, according to one of claims 9, 10, 22 , 23, 24 or 25, in which L stands for the group –O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^{17}, –O-$(CH_2)_n$-(CO)-R^{15} or –O-$(CH_2)_n$-(CO)-O-R^8 are another subject of the second embodiment of this invention. L preferably stands for the group –O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^{17} or –O-$(CH_2)_n$-(CO)-O-R^8.

Compounds of general formula I, according to one of claims 9, 10, 22 , 23, 24 or 25, in which R^5 stands for C_1-C_4-alkyl, phenyl or -$NR^{12}R^{13}$, are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22 , 23, 24 or 25, in which R^5 stands for C_1-C_4-alkyl or phenyl, are another subject of this second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22 , 23, 24 or 25, in which R^5 stands for methyl, ethyl, tert-butyl, or phenyl, are another preferred subject of this second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with C_6-C_{10}-heterocycloalkyl, whereby the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group or nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, or for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_5-heterocycloalkyl,

whereby the C_2-C_5-heterocycloalkyl in the ring contains at least on atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more (CO)- or $-SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself is substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with C_6-C_{10}-heterocycloalkyl, whereby the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same of different, from the following group of nitrogen, oxygen, or sulfur and optionally can be interrupted by one or more –(CO)- or $-SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, or for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_5-heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or $-SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring, and the C_2-C_5-heterocycloalkyl ring itself is substituted in several places, in the same way or differently, with halogen or aryl or is substituted with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which R^{16} stands for hydrogen, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl, C_6-C_{10}-

heterocycloalkyl or for a methyl that is substituted with heteroaryl, but preferably for a methyl that is substituted without heteroaryl or for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl, cyano, cyclopropyl or with the group $-NR^{18}R^{19}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, or –(C=S)- preferably, however, without –(C=S)- or with–SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, preferably, however, without C_1-C_3-alkyl or with C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl optionally itself can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22 , 23, 24 or 25, in which R^{16} stands for hydrogen, preferably, however, not for hydrogen, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl or for a methyl that is substituted with heteroaryl, preferably, however, for a methyl that is substituted without

heteroaryl or for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl, cyano, cyclopropyl or with the group $-NR^{18}R^{19}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)-, or–(C=S)-, preferably, however, without –(C=S)- or with $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in several places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, or $-(SO_2)-R^{14}$, whereby the aryl in this case itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, preferably without C_1-C_3-alkyl or with C_1-C_3-alkoxy, or the ring of the C_2-C_5-heterocycloalkyl is substituted in one place with $-(CO)-O-R^{12}$, $-(CO)-R^5$ or aryl, whereby in this case, the aryl itself is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, preferably, however, without C_1-C_3-alkyl or with C_1-C_3-alkoxy, or the ring of the C_2-C_5-heterocycloalkyl is substituted with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkylthio or phenyl, and the ring of the C_6-C_{10}-heterocycloalkyl optionally itself can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, are another preferred subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which R^{17} stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or cyano, or for C_3-C_4-alkenyl or C_3-C_4-alkinyl that optionally is substituted in one or more places, in the same way or differently, with halogen, cyclopropyl or cyano are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which R^{18} and R^{19}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl, -$(CH_2)_n$-aryl or heteroaryl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, whereby either R^{18} or R^{19} stands for a C_2-C_{10}-heterocycloalkyl, -$(CH_2)_n$-aryl, or a heteroaryl, or for C_2-C_{10}-heterocycloalkyl, -$(CH_2)_n$-aryl or heteroaryl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, or for C_1-C_5-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_3-alkoxy, or for aryl that is substituted in one or more places, in the same way or differently, with C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen, or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which R^{18} and R^{19}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl or heteroaryl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the

heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, whereby either R^{18} or R^{19} stands for a C_2-C_{10}-heterocycloalkyl or heteroaryl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or $-SO_2-$ groups in the ring and optionally one or more double bonds can be contained in the ring, are another subject of the second embodiment of this invention.

Compounds of general formula I, according to one of claims 9, 10, 22, 23, 24 or 25, in which R^{18} and R^{19}, independently of one another, stand for C_1-C_5-alkyl, pyrrolidinyl, phenyl or pyridinyl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, whereby either R^{18} and R^{19} stands for pyrrolidinyl or pyridinyl or for pyrrolidinyl or pyridinyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, are another subject of the second embodiment of this invention.

Third Embodiment of this Invention

The object of this compound of the third embodiment consists in providing, compared to the prior art, improved compounds, in particular improved in the inhibition of polo-like kinases and/or compounds with better physicochemical properties compared to the compounds that are disclosed in the prior art.

In a third embodiment of this invention, it has now been found in claim 11, according to claims 1 and/or 2, that compounds of general formula I, in which

R^3 stands for K or L,

K stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with X, whereby the C_1-C_3-alkyl optionally can be substituted in one or more places, in the same way or differently, with hydroxy or halogen,

X stands for $NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or $-SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group –O-R^7,

R^7 stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, and the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $-SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

as well as their solvates, hydrates, diastereomeres, enantiomers and salts

achieve the object of this invention especially well.

Compounds of general formula I in claim 12, according to claim 11, in which

X stands for $-N(C_1\text{-}C_3\text{-alkyl})_2$ or for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, or tetrahydroquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or with the group $-(CO)\text{-}R^5$ or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkylthio,

R^7 stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with $-N(C_1\text{-}C_3\text{-alkyl})_2$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more $-(CO)-$ or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are another variant of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11 or 12, in which R^3 stands for K or L are another subject of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11 or 12, in which K can be substituted with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with X, whereby the C_1-C_3-alkyl optionally can be substituted in one or more places, in the same way or differently, with hydoxy or halogen, are another subject of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11 or 12, in which K stands for C_1-C_3-alkyl that is substituted in one place with X, whereby the C_1-C_3-alkyl optionally can be substituted in one or more places, in the same way or differently, with hydroxy or halogen, are another preferred subject of the third embodiment of this invention. The C_1-C_3-alkyl is preferably substituted only with X.

Compounds of general formula I, according to one of claims 11 or 12, in which L stands for the group –O-R^7, are another subject of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11 or 12, in which X stands for $NR^{10}R^{11}$or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and in a preferred variant contains at least one nitrogen and optionally can be interrupted by one or more –(CO)-, or –(C=S)-, preferably without –(C=S)- or with $–SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy, are another subject of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11or 12, in which X stands for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydoxy, phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or with the group –(CO)-R^5 or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkylthio, are another preferred subject of the third embodiment of this invention.

In a preferred variant, X stands for unsubstituted azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl.

Compounds of general formula I, according to one of claims 11 or 12, in which X stands for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with

halogen, hydroxy, or phenyl or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen, are another preferred subject of the third embodiment of this invention. In a preferred variant, the pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl is not substituted.

Compounds of general formula I, according to one of claims 11 or 12, in which R^7 stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, and the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and in a preferred variant contains at least one nitrogen and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds in the ring can be contained, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, are another subject of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11 or 12, in which R^7 stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$, preferably –N(C_1-C_3-alkyl)$_2$ or C_2-C_{10}-heterocycloalkyl, preferably, however, only for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and in a preferred variant contains at least one nitrogen, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, are another subject of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11 or 12, in which R^7 stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently,

for $-N(C_1\text{-}C_3\text{-alkyl})_2$, pyrrolidinyl, morpholinyl or piperidinyl, are another preferred subject of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11 or 12, in which R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with $-N(C_1\text{-}C_3\text{-alkyl})_2$, pyrrolidinyl, morpholinyl or piperidinyl, are another preferred subject of the third embodiment of this invention.

Compounds of general formula I, according to one of claims 11 or 12, in which R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with $-N(CH_3)_2$, pyrrolidinyl, morpholinyl or piperidinyl, are another preferred subject of the third embodiment of this invention.

Fourth Embodiment of this Invention

The object of this compound of the third embodiment consists in providing, compared to the prior art, improved compounds, in particular improved in the inhibiton of the polo-like kinases and/or compounds with better physicochemical properties compared to the compounds disclosed in the prior art.

In a fourth embodiment of this invention, it has now been found in claim 13, according to claim 1 and/or 2, that compounds of general formula I, in which

R^3 stands for M,

M stands for the group $-NR^{12}-(CO)-R^{16}$,

R^{16} stands for methyl that is substituted in one or more places, in the same way or differently, with $C_1\text{-}C_4$-alkoxy, $C_2\text{-}C_{10}$-heterocycloalkyl, heteroaryl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the methyl itself optionally can be substituted in one or more places, in the same way or differently, with C_1 to C_3-alkyl, whereby the heterocycloalkyl in the ring contains at least one atom,

which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydoxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

especially readily achieve the object of this invention.

Compounds of general formula I for which R^3 stands for M, M stands for the group –NR^{12}-(CO)-R^{16}, and R^{16} stands for methyl, are thus especially suitable for achieving this object, according to this fourth embodiment, and the methyl in turn is substituted at least with C_2-C_{10}-heterocycloalkyl, heteroaryl or with the group -$NR^{10}R^{11}$, and the heterocycloalkyl and the heteroaryl contain at least one nitrogen.

Compounds of general formula I in claim 14, according to claim 13, in which

R^{16} stands for methyl, substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, heteroaryl or with the group -$NR^{10}R^{11}$, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group

–(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, as well as their solvates, hydrates, diastereomers, enantiomers and salts, are another variant of the fourth embodiment of this invention.

Compounds of general formula I, according to one of claims 13 or 14, in which R^3 stands for M, are the subject of the fourth embodiment of this invention.

Compounds of general formula I, according to one of claims 13 or 14, in which M stands for the group –NR^{12}-(CO)-R^{16}, are another subject of the fourth embodiment of this invention.

Compounds of general formula I, according to one of claims 13 or 14, in which for R^{16}, methyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, heteroaryl, preferably without heteroaryl, cyano, cyclopropyl, halogen, hydroxy or with the group $NR^{10}R^{11}$, –O-(CO)-R^5, (SO_2)-R^{14} or –O-(SO_2)-R^{14}, whereby the methyl itself optionally can be substituted in one or more places, in the same way or differently, with C_1 to C_3-alkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and in a preferred variant contains at least one variant, and optionally can be interrupted by one or more (CO)-, or –(C=S)-, preferably without –(C=S)- or with –SO_2-groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydoxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, $NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently,

with halogen, C_1-C_3-alkyl, preferably without C_1-C_3-alkyl or C_1-C_3-alkoxy, are another subject of the fourth embodiment of this invention.

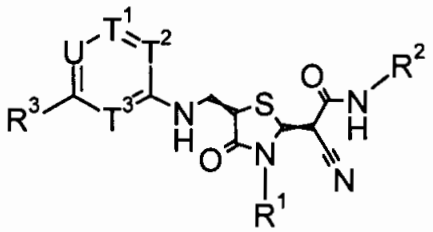
Compounds of general formula I, according to one of claims 13 or 14, in which for R^{16}, methyl that is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, heteroaryl, preferably without heteroaryl or with the group -$NR^{10}R^{11}$, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and in a preferred variant contains at least one nitrogen, and optionally can be interrupted by one or more –(CO)-, or –(C=S)-, preferably without –(C=S)- or with –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, preferably without C_1-C_3-alkyl or with C_1-C_3-alkoxy, are another subject of the fourth embodiment of this invention. In a preferred variant, the ring of the heterocycloalkyl is not substituted.

Compounds of general formula I, according to one of claims 13 or 14, in which for R^{16}, methyl that is substituted in one or more places, in the same way or differently, with pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl, imidazolyl or benzimidazolyl, prf without imidazolyl or benzimidazolyl or with the group -$NR^{10}R^{11}$, whereby pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl,

piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydoxy, or phenyl, or with the group –(CO)-R^5, –(CO)-O-R^5, –(SO_2)-R^{14}, -$N(CH_3)_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, methylthio or p, whereby the phenyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, or C_1-C_3-alkyl, preferably without C_1-C_3-alkyl or with C_1-C_3-alkoxy, are another preferred subject of the fourth embodiment of this invention. In a preferred variant, the pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, or the octahydroisoquinolinyl is not substituted.

Fifth Embodiment of this Invention

In a fifth embodiment of this invention, it has now been found that compounds of general formula I,



in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=,

U stands for –CR^4= or –N=,

R^1 stands for C_1-C_3-alkyl or cyclopropyl that optionally is substituted in one or

more places, in the same way or differently, with halogen,

R^2 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl, C_3-C_4-alkinyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen, or for hydroxyethyl that is substituted in at least one place with methyl,

R^3 stands for K, L or M,

K stands for C_1-C_3-alkyl or C_2-C_4-alkenyl that optionally is substituted in one or more places, in the same way or differently, with X,

X stands for halogen, hydroxy or for the group $-OR^6$, $-NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group $-(CO)-R^5$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

L stands for the group $-O-R^7$, $-O-(CH_2)_n-(CO)-NH-R^8$ or $-O-(CH_2)_n-(CO)-O-R^8$,

M stands for the group $-NH-R^9$, $-NH-(CO)-O-R^9$ or $-NR^{12}-(CO)-R^9$,

R^4 stands for hydrogen, cyano or halogen or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^5 stands for C_1-C_4-alkyl, phenyl or $-NR^{12}R^{13}$,

R^6 stands for $-SO_2-R^{14}$,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with $-NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^8 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl or C_3-C_4-alkinyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or halogen,

R^9 stands for hydrogen or for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group os nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydoxy, aryl or with the group –(CO)-R^5, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl, -$(CH_2)_n$-aryl or heteroaryl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring,

R^{12} and R^{13}, independently of one another, stand for hydrogen or C_1-C_4-alkyl,

R^{14} stands for C_1-C_3-alkyl or for aryl, and

n stands for 1- 4,

as well as their solvates, hydrates, diastereomers, enantiomers and salts, with the exception of:

2-[5-[1-(3-Amino-phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide,

2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{3-[2-(2-methoxy-ethoxy)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide,

2-Cyano-2-[5-[1-[3-(2,2-dimethyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide,

2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide,

2-Cyano-2-[5-[1-[3-(2, 2-dimethyl-propionylamino)-phenylamino]-meth-(E/Z)-

ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1, 1-dimethyl-ethyl)-acetamide,

2-Cyano-2-[5-[1-[3-(2, 2-dimethyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide,

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide,

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2, 2-trifluoro-ethyl)-acetamide,

2-Cyano-N-cyclopropylmethyl-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide,

N-Allyl-2-cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide,

2-Cyano-2-[5-[1-[3-(2,2-dimethyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-methyl-acetamide

2-Cyano-2-[5-[1-[3-(2,2-dimethyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-((S)-2-hydroxy-1-methyl-ethyl)-acetamide

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2-methyl-allyl)-acetamide

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-

phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2-methoxy-1-methyl-ethyl)-acetamide

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-propyl)-acetamide

2-Cyano-N-cyclopropyl-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2-methoxy-ethyl)-acetamide

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-propyl-acetamide

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1-methyl-ethyl)-acetamide

2-Cyano-N-(cyano-dimethyl-methyl)-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide

represent improved compounds relative to the inhibition of polo-like kinases, which inhibit polo-like kinases in the nanomolar range.

Preferred in particular are those compounds of general formula I, in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=,

U stands for $–CR^4=$ or –N=,

R^1 stands for C_1-C_3-alkyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^2 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl, C_3-C_4-alkinyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen or for hydroxyethyl that is substituted in at least one place with methyl,

R^3 stands for K, L or M,

K stands for C_1-C_3-alkyl or C_2-C_4-alkenyl that optionally is substituted in one or more places, in the same way or differently, with X,

X stands for halogen, hydroxy or for the group $-OR^6$, $-NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group $-O-R^7$, $-O-(CH_2)_n-(CO)-NH-R^8$ or $-O-(CH_2)_n-(CO)-O-R^8$,

M stands for the group $-NH-R^9$, $-NH-(CO)-O-R^9$ or $-NR^{12}-(CO)-R^9$,

R^4 stands for hydrogen, cyano or halogen or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^5 stands for C_1-C_4-alkyl, phenyl or -$NR^{12}R^{13}$,

R^6 stands for –SO_2-R^{14},

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring containg at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring,

R^8 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl or C_3-C_4-alkinyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or halogen,

R^9 stands for hydrogen, or for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{12}, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydoxy, phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted

in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl,

R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl or heteroaryl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group os nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$-groups in the ring, and optionally one or more double bonds can be contained in the ring,

R^{12} and R^{13}, independently of one another, stand for hydrogen or C_1-C_4-alkyl,

R^{14} stands for C_1-C_3-alkyl or for phenyl, and

n stands for 1-4,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

In addition, the following compounds of general formula I, in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=,

U stands for $–CR^4=$ or –N=,

R^1 stands for methyl, ethyl, isopropyl, or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen, or for hydroxyethyl that is substituted in at least one place with methyl,

R^3 stands for K, L or M,

K stands for C_1-C_3-alkyl or C_2-C_4-alkenyl that optionally is substituted in one or

more places, in the same way or differently, with X,

X stands for halogen, hydoxy, or for the group $-OR^6$, $-NR^{10}R^{11}$ or for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, or tetrahydroquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydoxy, or phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or with the group $-(CO)-R^5$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that otp is substituted in one or more places, in the same way or differently, with cyano, halogen, hydoxy or C_1-C_3-alkylthio,

L stands for the group $-O-R^7$, $-O-(CH_2)_n-(CO)-NH-R^8$ or $-O-(CH_2)_n-(CO)-O-R^8$,

M stands for the group $-NH-R^9$, $-NH-(CO)-R^9$, $-NH-(CO)-O-R^9$ or $-NR^{12}-(CO)-R^9$,

R^4 stands for hydrogen or halogen or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^5 stands for methyl, ethyl, tert-butyl, phenyl oder $-NH_2$,

R^6 stands for $-SO_2$-methyl,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with $-N(C_1$-C_3-alkyl$)_2$, pyrrolidinyl, morpholinyl or

piperidinyl,

R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or halogen,

R^9 stands for hydrogen or for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-C_1$-C_3-alkyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl or C_1-C_3-alkoxy, or with the group $-(CO)-R^5$, $-(CO)-O-R^5$, $-(SO_2)-R^{14}$, or $-N(CH_3)_2$ or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, methylthio or phenyl,

R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, pyrrolidinyl, phenyl or pyridinyl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy,

R^{12} and R^{13}, independently of one another, stand for hydrogen or methyl, ethyl, or

isopropyl,

R^{14} stands for C_1-C_4-alkyl or for phenyl, and

n stands for 1 or 2,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are preferred.

In addition, those compounds of general formula I, in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=,

U stands for –CH=, -CF=, -C(CH_3)= or –N=,

R^1 stands for methyl, ethyl, isopropyl, or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with fluorine,

R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or fluorine, or for hydroxyethyl that is substituted in at least one place with methyl,

R^3 stands for K, L or M,

K stands for methyl, ethyl or ethenyl that optionally is substituted in one or more places, in the same way or differently, with X,

X stands for halogen, hydroxy or for the group –O–SO_2-methyl or for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydoxy, phenyl or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

L stands for the group –O-R^7, –O-(CH_2)-(CO)-NH-R^8 or –O-(CH_2)-(CO)-O-R^8,

M stands for the group $-NH_2$, $-NH-R^9$, $-NH-(CO)-R^9$, $-NH-(CO)-O-R^9$ or $-N(CH_3)-(CO)-R^9$,

R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with $-N(C_1-C_3\text{-alkyl})_2$, pyrrolidinyl, morpholinyl or piperidinyl,

R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or fluorine, and

R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-N(C_1-C_3\text{-alkyl})_2$, $-O-(CO)-(C_1-C_3\text{-alkyl})$ or $-O-(SO_2)-C_1-C_3$-alkyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or with the group $-(CO)-C_1-C_4$-alkyl, $-(CO)-O-C_1-C_4$-alkyl, $-(SO_2)-C_1-C_3$-alkyl, $-(SO_2)$-phenyl, $-N(C_1-C_3\text{-alkyl})_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio,

as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are preferred.

In turn, those compounds of general formula I, in which

T^1, T^2

and T^3, independently of one another, stand for $-CH=$ or $-N=$,

U stands for $-CH=$, $-CF=$, $-C(CH_3)=$ or $-N=$,

R^1 stands for ethyl,

R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or fluorine or for hydroxyethyl that is substituted in at least one place with methyl,

R^3 stands for K, L or M,

K stands for methyl, ethyl, or ethenyl that is optionally substituted in one or more places, in the same way or differently, with X,

X stands for iodine, hydoxy, or for the group –O–SO_2-methyl or for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

L stands for the group –O-R^7, –O-(CH_2)-(CO)-NH-R^8 or –O-(CH_2)-(CO)-O-R^8,

M stands for the group –NH_2, -NH-R^9, -NH-(CO)-R^9, -NH-(CO)-O-R^9, -N(CH_3)-(CO)-R^9 or –N(CH_3)-R^9,

R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with –N$(CH_3)_2$, pyrrolidinyl, morpholinyl or piperidinyl,

R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or fluorine, and

R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with methoxy, ethoxy, butoxy-

ethoxy, methoxy-ethoxy, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, cyano, cyclopropyl, chlorine, fluorine, hydroxy or with the group $-N(CH_3)_2$, $-N(CH_3)(C_2H_5)$, $-O-(CO)-(CH_3)$ or $-O-(SO_2)$-methyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl itself optionally can be substituted in one or more places, with fluorine, or can be substituted with the group $-(CO)-CH_3$, $-(CO)-C_2H_5$, $-(CO)-C(CH_3)_3$, $-(CO)-O-C(CH_3)_3$, $-(SO_2)-CH_3$, $-(SO_2)$-phenyl, $-N(CH_3)_2$ or can be substituted with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with fluorine, hydoxy or methyl thio, as well as their solvates, hydrates, diastereomers, enantiomers and salts,

are preferred.

In addition, preferred among these are those compounds of general formula I, in which

T^1, T^2

and T^3, independently of one another, can stand for –CH= or –N=, and T2 in addition can also stand for (–CF)= and at least one substituent of T^1, T^2 or T^3 stands for –N=,

U stands for –CH=,

R^1 stands for ethyl,

R^2 stands for methyl, ethyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano or fluorine, or for hydroxyethyl that is substituted in at least one place with methyl,

R^3 stands for M,

M stands for the group $-NH-(CO)-R^9$,

R^9 stands for methyl or tert-butyl that optionally is substituted with methoxy-ethoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

Other preferred compounds of general formula I are those in which

T^1, T^2

and T^3 stand for –CH=,

U stands for –N=,

R^1 stands for ethyl,

R^2 stands for methyl, ethyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano or fluorine, or for hydroxyethyl that is substituted in at least one place with methyl,

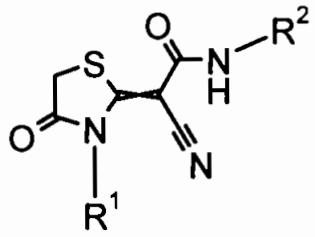
R^3 stands for M,

M stands for the group -NH-R^9,

R^9 stands for ethyl,

as well as their solvates, hydrates, diastereomers, enantiomers or salts.

Compounds of general formula II



(II)

in which

R^1 and R^2 have the meaning that is indicated in general formula I,

as well as their solvates, hydrates, diastereomers, enantiomers and salts as intermediate products,

are also subjects of this invention.

The following statements likewise relate to the first and the second embodiments of the invention:

Compounds of general formula I, according to one of claims 1 to 10, in which R^3 stands for K, L or M or for R^{15} and preferably in which R^3 stands for K, L or M, are another subject of the first and second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which X stands for halogen, hydroxy or for the group $-OR^6$, or $-NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, or –(C=S)- groups, preferably, however, without –(C=S)-, or $-SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted with cyano, halogen or C_1-C_3-alkoxy, are another subject of the first and the second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which X stands for halogen, hydroxy or for the group $-OR^6$, $-NR^{10}R^{11}$ or for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, wb pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, or tetrahydroquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, or phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or with

the group –(CO)-R^5, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy or C_1-C_3-alkylthio, are another preferred subject of the first and second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which X stands for halogen, hydroxy or for the group –O–SO_2-methyl or for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen, are another preferred subject of the first and second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which X stands for iodine, or hydoxy, or for the group –O–SO_2-methyl or for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen, are another preferred subject of the first and second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which M stands for the group -NH-R^9, -NH-(CO)-OH, -NH-(CO)-O-R^9 or –NR^{12}-(CO)-R^{16}, are another subject of the first and the second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which M stands for the group -NH-R^9, -NH-(CO)-R^{16}, -NH-(CO)-O-R^9 or –$N(CH_3)$-(CO)-R^{16}, are another preferred subject of the first and the second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the

ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or $-SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, are another subject of the first and second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with $-NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or $-SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, are another subject of the first and second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 10, in which R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with $-N(C_1$-C_3-alkyl $)_2$, pyrrolidinyl, morpholinyl or piperidinyl, are another preferred subject of the first and second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with $-N(C_1$-C_3-alkyl$)_2$, pyrrolidinyl, morpholinyl or piperidinyl are another preferred subject of the first and second embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with $-N(CH_3)_2$, pyrrolidinyl, morpholinyl or piperidinyl, are another preferred subject of the first and second embodiment of this invention.

The following statements likewise relate to the third and the fourth embodiments of the invention:

Compounds of general formula I, according to one of claims 11 to 14, in which R^5 stands for C_1-C_4-alkyl, phenyl or -$NR^{12}R^{13}$, are another subject of the third and fourth embodiments of this invention.

Compounds of general formula I, according to one of claims 11 to 14, in which R^5 stands for methyl, ethyl, tert-butyl, phenyl or $-NH_2$, are another preferred subject of the third and fourth embodiments of this invention.

The following statements likewise relate to the first four embodiments of the invention:

Compounds of general formula I, according to one of claims 1 to 14, in which T^1, T^2 and T^3, independently of one another, stand for –CH= or –N=, und T^2 in addition can also stand for (–CF)=, are a subject of this invention according to the first four embodiments.

Compounds of general formula I, according to one of claims 1 to 14, in which T^1, T^2 and T^3, independently of one another, stand for –CH= or –N=, are a subject of this invention according to the first four embodiments.

Compounds of general formula I, according to one of claims 1 to 14, in which U stands for $-CR^4=$ or –N=, are another subject of this invention according to the first four embodiments.

Compounds of general formula I, according to one of claims 1 to 14, in which U stands for –CH=, -CF=, $-C(CH_3)=$ or –N=, are another preferred subject of this invention according to the first four embodiments.

Compounds of general formula I, according to one of claims 1 to 14, in which R^1 optionally stands for C_1-C_3-alkyl or cyclopropyl that optionally is substituted in one or more

places, in the same way or differently, with halogen, are another subject of this invention according to the first four embodiments.

Compounds of general formula I, according to one of claims 1 to 14, in which R^1 stands for methyl, ethyl, isopropyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with halogen, are another subject of this invention according to the first four embodiments.

Compounds of general formula I, according to one of claims 1 to 14, in which R^1 stands for methyl, ethyl, isopropyl, or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with fluorine, are another preferred subject of this invention according to the first four embodiments.

Compounds of general formula I, according to one of claims 1 to 14, in which R^1 stands for ethyl, are another preferred subject of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^2 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl, C_3-C_4-alkinyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen or for hydroxyethyl that is substituted at least in one place with methyl, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen or for hydroxyethyl that is substituted at least in one place with methyl, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or fluor or for hydroxyethyl

that is substituted at least in one place with methyl, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula (I), according to one of claims 1 to 14, in which n stands for 1 to 4, are a subject of the invention according to the first four embodiments.

Compounds of general formula (I), according to one of claims 1 to 14, in which n means 1 to 3, are another subject of this invention according to the first four embodiments.

Compounds of general formula (I), according to one of claims 1 to 14, in which n means 1 to 2, are another subject of this invention according to the first four embodiments.

Compounds of general formula (I), according to one of claims 1 to 14, in which n means 1, are another subject of this invention according to the first four embodiments.

Compounds of general formula I, according to one of claims 1 to 14, in which R^4 stands for hydrogen, cyano or halogen, or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^4 stands for hydrogen or halogen or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^6 stands for $-SO_2-R^{14}$, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^6 stands for $-SO_2$-methyl, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^8 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl or C_3-C_4-alkinyl that optionally is substituted in one or

more places, in the same way or differently, with cyano, cyclopropyl or halogen, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or halogen, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or fluorine, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^9 stands for C_1-C_5-alkyl, preferably C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or $-SO_2$- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which

R^9 stands for C_1-C_5-alkyl, preferably C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{12}, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, or phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or can be substituted with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-C_1-C_3-alkyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl itself

optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl or C_1-C_3-alkoxy, or can be substituted with the group –(CO)-R^5, –(CO)-O-R^5, –(SO_2)-R^{14}, or -$N(CH_3)_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, methylthio or phenyl, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, cyano, cyclopropyl, halogen, hydroxy or with the group –N(C_1-C_3-alkyl)$_2$, –O-(CO)-(C_1-C_3-alkyl) or –O-(SO_2)-C_1-C_3-alkyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or with the group –(CO)-C_1-C_4-alkyl, –(CO)-O- C_1-C_4-alkyl, –(SO_2)-C_1-C_3-alkyl, –(SO_2)-phenyl, -N(C_1-C_3-alkyl)$_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with methoxy, ethoxy, butoxy-ethoxy, methoxy-ethoxy, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, cyano, cyclopropyl, chlorine, fluorine, hydroxy or with the group –$N(CH_3)_2$, –$N(CH_3)(C_2H_5)$, –O-(CO)-(CH_3) or –O-(SO_2)-methyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl itself optionally can be substituted in one or more places with fluorine, or with the group -(CO)-CH_3, –(CO)-C_2H_5, –(CO)-$C(CH_3)_3$, –(CO)-O-$C(CH_3)_3$, –(SO_2)-CH_3, –

(SO_2)-phenyl, -$N(CH_3)_2$ or can be substituted with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with fluorine, hydoxy or methylthio, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl, -$(CH_2)_n$-aryl or heteroaryl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl or heteroaryl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, pyrrolidinyl, phenyl or pyridinyl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^{12} and R^{13}, independently of one another, stand for hydrogen or C_1-C_4-alkyl, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^{12} and R^{13}, independently of one another, stand for hydrogen or methyl, ethyl, or isopropyl, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^{14} stands for C_1-C_3-alkyl or for aryl, are another subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^{14} stands for C_1-C_3-alkyl or for phenyl, are another subject of the first four embodiments of this invention.

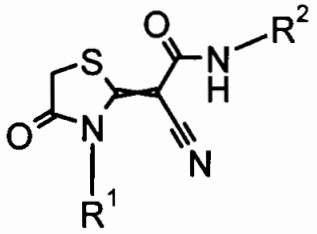
Compounds of general formula I, according to one of claims 1 to 14, in which R^{14} stands for C_1-C_4-alkyl or for phenyl, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula I, according to one of claims 1 to 14, in which R^{15} stands for C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_3-alkyl or -$(CH_2)_n$-aryl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, are another subject of the first four embodiments of this invention.

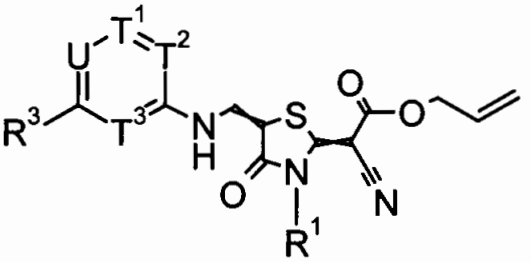
Compounds of general formula I, according to one of claims 1 to 14, in which R^{15} stands for C_2-C_5-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_3-alkyl or -$(CH_2)_n$-phenyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of

nitrogen, oxygen or sulfur, are another preferred subject of the first four embodiments of this invention.

Compounds of general formula II or IV in Claim 15,



(II)



(IV)

in which

R^1, R^2, R^3, U, T^1, T^2 and T^3 have the meaning that is indicated in general formula I, according to one of claims 1 to 14, as well as their solvates, hydrates, diastereomers, enantiomers and salts as intermediate products for the production of compounds of general formula (I), are another subject of the first four embodiments of this invention.

Compounds of general formula II according to claim 15 in claim 16 with the following formulas:

2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide,

2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide,

2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide

or

2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide

2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide

2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide

2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide

as well as their solvates, hydrates, diastereomers, enantiomers and salts as intermediate products for the production of compounds of general formula (I),

are another subject of the first four embodiments of this invention.

Compounds in claim 17 of general formula (II) or (IV) according to claim 15 or compounds according to claim 16 for use as intermediate products for the production of compounds of general formula (I), are another subject of the first four embodiments of this invention.

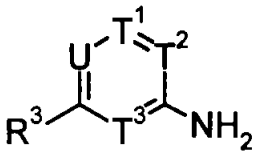
Use of the compounds of general formula (II) or (IV) in claim 18 according to claim 15 or compounds according to claim 16 as intermediate products for the production of compounds of general formula (I), are another subject of the first four embodiments of this invention.

Pharmaceutical agents in claim 19, which contain at least one compound according to one of claims 1 to 14, are another subject of the first four embodiments of this invention.

Use of the compounds of general formula I in claim 20, according to one of claims 1 to 14, for the production of a pharmaceutical agent, are another subject of the first four embodiments of this invention.

Compounds in claim 21 according to one of claims 1 to 14 or pharmaceutical agents according to one of claims 19 with suitable formulation substances and vehicles, are another subject of the first four embodiments of this invention.

A process in claim 22, for the production of compounds of general formula I, whereby compounds of general formula II are reacted with compounds of general formula

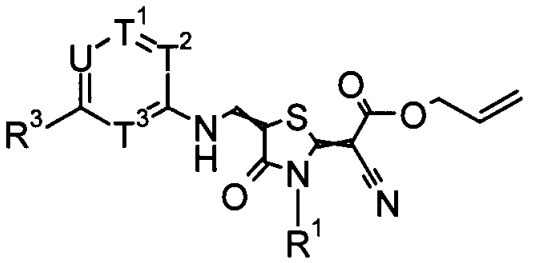


(III)

in which

R^3, U, T^1, T^2 and T^3 have the same meaning as R^3, U, T^1, T^2 and T^3 according to one of claims 1 to 14, in a formic acid orthoester with three identical or different, optionally bridged or halogen-substituted alkoxy or aryloxy radicals that are optionally heated with a polar solvent, or

compounds of general formula IV

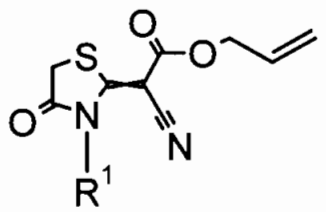


(IV)

in which

R^1, R^3, U, T^1, T^2 and T^3 have the same meaning as R^1, R^3, U, T^1, T^2 and T^3 according to one of claims 1 to 14, are reacted with an allyl acceptor and a catalyst in an aprotic solvent and after completion of a first partial reaction with a coupling reagent, a base and R^2-NH_2, whereby R^2 has the same meaning as R^2 according to one of claims 1 to 14 in an aprotic solvent to form the compounds of general formula I.

A process in claim 23, according to claim 22, whereby for the production of the compounds of general formula II, compounds of general formula V,



(V)

in which

R^1 has the same meaning as R^1 according to one of claims 1 to 14, are reacted with an allyl acceptor and a catalyst in an aprotic solvent and after completion of a first partial reaction with a coupling reagent, a base and R^2-NH_2, whereby R^2 has the same meaning as R^2 according to one of claims 1 to 14, in an aprotic solvent to form the compounds of general formula I, is another subject of the first four embodiments of this invention.

Formic acid orthoester with three identical or different, optionally bridged or halogen-substituted alkoxy radicals, as described in one of claims 22 or 23, is preferably defined as a triethyl orthoformate. Other formic acid orthoesters fall under the definition known to one skilled in the art.

Suitable polar solvents for implementing processes described in claim 22 are C_1 to C_5 alcohols or diols, such as, for example, glycol, preferably C_1 to C_3 alcohols, and especially preferably ethanol or 1-propanol. If the formic acid orthoester is present in excess, no polar solvent is required for performing the reaction of the compounds of general formula II with compounds of general formula II to form the compounds with the general formul I.

To perform the the reaction of compounds of general formula II with compounds of general formula I according to claim 22, heating is necessary. In a preferred variant, the reaction is to run at at least 70°C, preferably between 70°C and 150°C and more preferably between 100°C and 150°C. The reaction can also be performed at higher temperatures, but then -- as is known to one skilled in the art – a higher-boiling solvent and/or a pressure vessel

should be used. In a preferred variant of the invention, the heating reaction is performed during a period of 2 to 24 hours.

"Catalysts," which can be used for the process according to one of claims 22 or 23, are known to one skilled in the art. A palladium catalyst is preferably used.

"Aprotic solvents," which can be used for performing one of the processes according to one of claims 22 or 23, are known to one skilled in the art. Tetrahydrofuran and dichloromethane are suitable and preferably used aprotic solvents. In the coupling reaction described in claim 22 or 23, (2nd partial reacton), dimethylformamide can preferably also be used as an aprotic solvent. It is known to one skilled in the art, however, that other aprotic solvents, such as, for example, dimethyl acetamide (DMA), or N-methylpyrrolidone (NMP) can also be used for performing the process decribed in claim 22 or 23.

1,3-Dimethylbarbituric acid, barbituric acid and/or a silane can be defined as preferred allyl acceptors corresponding to this invention and according to one of claims 22 or 23. Other allyl acceptors are also known to one skilled in the art, however, which he can use for performing the described production process.

"Coupling reagents," which can be used for performing the process that is described in claim 22 or 23, are known to one skilled in the art. Preferably used coupling reagents are O-(BENZOTRIAZOL-1-YL)-N,N,N',N'-TETRAMETHYLURONIUM TETRAFLUOROBORATE (TBTU) and/or O-(7-AZABENZOTRIAZOL-1-YL)-N,N,N',N'-TETRAMETHYLURONIUM HEXAFLUORO-PHOSPHATE (HATU).

"Bases," which can be used for performing the process described in claim 22 or 23, are known to one skilled in the art. Preferably used bases are triethylamine, Hünig base or sodium bicarbonate.

The performance of the reactions of compounds of general formula IV to form the compounds of general formula I according to claim 22 and of compounds of general formula

V to form compounds of general formula II according to claim 23, preferably takes place at a temperature of 0°C to 50°C and more preferably at room temperature.

The following statements likewise relate to all embodiments of the invention:

Alkyl is defined in each case as a straight-chain or branched alkyl radical, such as, for example, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec.-butyl, tert.-butyl, pentyl, isopentyl, hexyl, heptyl, octyl, nonyl and decyl.

Alkoxy is defined in each case as a straight-chain or branched alkoxy radical, such as, for example, methyloxy, ethyloxy, propyloxy, isopropyloxy, butyloxy, isobutyloxy, sec.-butyloxy, pentyloxy, isopentyloxy, hexyloxy, heptyloxy, octyloxy, nonyloxy or decyloxy. In this invention, however, C_1-C_6-alkoxy groups are preferred, C_1-C_3-alkoyx groups are especially preferred, and a methoxy group is especially preferred.

The alkenyl substituents in each case are straight-chain or branched, whereby, for example, the following radicals are meant: vinyl, propen-1-yl, propen-2-yl, but-1-en-1-yl, but-1-en-2-yl, but-2-en-1-yl, but-2-en-2-yl, 2-methyl-prop-2-en-1-yl, 2-methyl-prop-1-en-1-yl, but-1-en-3-yl, but-3-en-1-yl, and allyl.

Alkinyl is defined in each case as a straight-chain or branched alkinyl radical that contains 2-6, preferably 2-4, C atoms. For example, the following radicals can be mentioned: acetylene, propin-1-yl, propin-3-yl (propargyl), but-1-in-1-yl, but-1-in-4-yl, but-2-in-1-yl, but-1-in-3-yl, etc.

C_2–C_{10}-Heterocycloalkyl stands for an alkyl ring that comprises 2 – 10 carbon atoms, preferably for an alkyl ring that comprises 3 to 10 carbon atoms, and especially preferably for an alkyl ring that comprises 5 to 6 carbon atoms, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same as or different, from the following group of oxygen, sulfur or nitrogen, and the heterocycloalkyl optionally can be interrupted by one or more –(CO)-, (CS)- or $-SO_2$- groups in the ring, and optionally one or more double bonds can

be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, or can be annelated.

As heterocycloalkyls, there can be mentioned, e.g.: oxiranyl, oxethanyl, dioxolanyl, dithianyl, dioxanyl, aziridinyl, azetidinyl, tetrahydrofuranyl, tetrahydropyranyl, tetrahydrooxazolyl, tetrahydrothiazolyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl, tetrahydroquinolinyl, octahydroquinolinyl, tetrahydroimidazolonyl, pyrazolidinyl, pyrrolidinyl, pyyrolidonyl, piperidinyl, piperazinyl, piperazinonyl, N-methylpyrolidinyl, 2-hydroxymethylpyrolidinyl, 3-hydroxypyrolidinyl, N-methylpiperazinyl, N-acetylpiperazinyl, N-methylsulfonylpiperazinyl, 4-hydroxypiperidinyl, 4-aminocarbonylpiperidinyl, 2-hydroxyethylpiperidinyl, 4-hydroxymethylpiperidinyl, imidazolidinyl, tetrahydroimidazolonyl, morpholinyl, thiomorpholinyl, 1,1-dioxo-thiomorpholinyl, trithianyl, tetrahydrotriazinthionyl, triazinthionyl, quinuclidinyl, nortropinyl, etc.,
or rings of the above-mentioned, which are benzocondensed, such as, for example, benzopyrrolidinyl, benzomorpholinyl, etc.

Substituents on the heterocycloalkyl ring can be, for example: cyano, halogen, hydroxy, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkoxyalkyl, C_1-C_6-hydroxyalkyl, C_3–C_6-cycloalkyl, or aryl, or C_1-C_6-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_6-alkylthio, or with the group –(CO)-C_1-C_6-alkyl, –(CO)-O-C_1-C_6-alkyl, –(SO_2)-C_1-C_6-alkyl, –(SO_2)-phenyl, -NH_2, -N(C_1-C_6-alkyl)$_2$, -NH(C_1-C_6-alkyl), etc.

Cycloalkyls are defined as monocyclic alkyl rings, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl, but also bicyclic rings or tricyclic rings, such as, for example, adamantanyl. The cycloalkyl can optionally also be benzocondensed, such as, e.g., (tetralin)yl, etc.

Halogen is defined in each case as fluorine, chlorine, bromine or iodine.

The heteroaryl radical in each case comprises 5 – 16 ring atoms, preferably 5 to 10 ring atoms, and especially preferably 5 to 7 ring atoms, and, instead of carbon, can contain one or more heteroatoms, which are the same or different, such as oxygen, nitrogen or sulfur, in the ring, and can be monocyclic, bicyclic or tricyclic, and in addition can be benzocondensed in each case.

For example, there can be mentioned:

Thienyl, furanyl, pyrrolidinylyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, etc., and benzo derivatives thereof, such as, e.g., benzofuranyl, benzothienyl, benzoxazolyl, benzimidazolyl, indazolyl, indolyl, isoindolyl, etc.; or pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, etc., and benzo derivatives thereof, such as, e.g., quinolyl, isoquinolyl, etc.; or oxepinyl, azocinyl, indolizinyl, indolyl, indolinyl, isoindolyl, indazolyl, benzimidazolyl, purinyl, etc., and benzo derivatives thereof; or quinolinyl, isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, pteridinyl, carbazolyl, acridinyl, phenazinyl, phenothiazinyl, phenoxazinyl, xanthenyl, tetralinyl, etc.

Preferred heteroaryl radicals, are, for example, 5-ring heteroaromatic compounds, such as thiophene, furanyl, oxazolyl, thiazole, imidazolyl and benzo derivatives thereof, and 6-ring heteroaromatic compounds, such as pyridinyl, pyrimidinyl, triazinyl, quinolinyl, isoquinolinyl and benzo derivatives thereof.

The aryl radical comprises 3-12 carbon atoms in each case and can be substituted or benzocondensed in each case.

For example, there can be mentioned: cyclopropenyl, cyclopentadienyl, phenyl, tropyl, cyclooctadienyl, indenyl, naphthyl, azulenyl, biphenyl, fluorenyl, anthracenyl, tetralinyl, tolyl, etc.

Thus, as used in this application, "C_1-C_5," for example in connection with the definition of "C_1-C_5-alkyl," refers to an alkyl group with a fine number of 1 to 5 carbon atoms,

i.e., 1, 2, 3, 4 or 5 carbon atoms. Further, the definition "C_1-C_5" is interpreted so that any possible partial area, such as, for example, C_1-C_5, C_2-C_5, C_3-C_5, C_4-C_5, C_1-C_2, C_1-C_3, C_1-C_4, C_1-C_5 is also contained.

The area specifications of the application that are not explicitly cited here are defined analogously to the "C_1-C_5" areas that are mentioned above by way of example.

Isomers are defined as chemical compounds of the same summation formula but different chemical structure. In general, constitutional isomers and stereoisomers are distinguished.

Constitutional isomers have the same summation formula but are distinguished by the way in which their atoms or atom groups are linked. These include functional isomers, position isomers, tautomers or valence isomers.

Stereoisomers have basically the same structure (constitution) – and thus also the same summation formula – but are distinguished by the spatial arrangement of the atoms.

In general, configurational isomers and conformational isomers are distinguished. Configurational isomers are stereoisomers that can be converted into one another only by bond breaking. These include enantiomers, diastereomers and E/Z (cis/trans)isomers.

Enantiomers are stereoisomers that behave like image and mirror image to one another and do not exhibit any plane of symmetry. All stereoisomers that are not enantiomers are referred to as diastereomers. E/Z (cis/trans)isomers on double bonds are a special case.

Conformational isomers are stereoisomers that can be converted into one another by the rotation of single bonds.

To delimit types of isomerism from one another, see also the IUPAC Rules, Section E (Pure Appl. Chem. 45, 11-30, 1976).

The compounds of general formula I according to the invention also contain the possible tautomeric forms and comprise the E- or Z-isomers or, if a chiral center is present, also the racemates and enantiomers. Among the latter, double-bond isomers are also defined.

The compounds according to the invention can also be present in the form of solvates, especially hydrates, whereby the compounds according to the invention consequently contain polar solvents, especially water, as structural elements of the crystal lattice of the compounds according to the invention. The portion of polar solvent, especially water, can be present in a stoichiometric or else unstoichiometric ratio. In the case of stoichiometric solvates and hydrates, hemi-, (semi-), mono-, sesqui-, di-, tri-, tetra-, penta-, etc., solvates or hydrates are also mentioned.

If an acid group is included, the physiologically compatible salts of organic and inorganic bases are suitable as salts, such as, for example, the readily soluble alkali and alkaline-earth salts, as well as N-methyl-glucamine, dimethyl-glucamine, ethyl-glucamine, lysine, 1,6-hexadiamine, ethanolamine, glucosamine, sarcosine, serinol, tris-hydroxy-methyl-amino-methane, aminopropane diol, Sovak base, and 1-amino-2,3,4-butanetriol.

If a basic group is included, the physiologically compatible salts of organic and inorganic acids are suitable, such as hydrochloric acid, sulfuric acid, phosphoric acid, citric acid, tartaric acid, maleic acid, fumaric acid, i.a.

The compounds of general formula I according to the invention essentially inhibit the polo-like kinases, upon which is based their action against, for example, cancer, such as solid tumors and leukemia; auto-immune diseases, such as psoriasis, alopecia, and multiple sclerosis, chemotherapy agent-induced alopecia and mucositis; cardiovascular diseases, such as stenoses, arterioscleroses and restenoses; infectious diseases, such as those produced by, e.g., unicellular parasites, such as trypanosoma, toxoplasma or plasmodium, or produced by fungi; nephrological diseases, such as, e.g., glomerulonephritis, chronic neurodegenerative diseases, such as Huntington's disease, amyotropic lateral sclerosis, Parkinson's disease, AIDS-induced dementia and Alzheimer's disease; acute neurodegenerative diseases, such as ischemias of the brain and neurotraumas; viral infections, such as, e.g., cytomegalic infections, herpes, hepatitis B and C, and HIV diseases.

In addition, the use of the compounds of general formula II as well as their solvates, hydrates, diastereomers, enantiomers and salts as intermediate products is a subject of this invention.

To use the compounds of general formula I according to the invention as pharmaceutical agents, the latter are brought into the form of a pharmaceutical preparation, which in addition to the active ingredient for enteral or parenteral administration contains suitable pharmaceutical, organic or inorganic inert support media, such as, for example, water, gelatin, gum arabic, lactose, starch, magnesium stearate, talc, vegetable oils, polyalkylene glycols, etc. The pharmaceutical preparations can be present in solid form, for example as tablets, coated tablets, suppositories, or capsules, or in liquid form, for example as solutions, suspensions, or emulsions. Moreover, they optionally contain adjuvants, such as preservatives, stabilizers, wetting agents or emulsifiers; salts for changing the osmotic pressure or buffers.

These pharmaceutical preparations are also subjects of this invention.

For parenteral administration, especially injection solutions or suspensions, especially aqueous solutions of active compounds in polyhydroxyethoxylated castor oil, are suitable.

As carrier systems, surface-active adjuvants, such as salts of bile acids or animal or plant phospholipids, but also mixtures thereof, as well as liposomes or their components can also be used.

For oral administration, especially tablets, coated tablets or capsules with talc and/or hydrocarbon vehicles or binders, such as, for example, lactose, corn or potato starch, are suitable. The administration can also be carried out in liquid form, such as, for example, as a juice, to which optionally a sweetener is added.

Enteral, parenteral and oral administrations are also subjects of this invention.

The dosage of the active ingredients can vary depending on the method of administration, age and weight of the patient, type and severity of the disease to be treated and similar factors. The daily dose is 0.5-1000 mg, preferably 50-200 mg, whereby the dose can be given as a single dose to be administered once or divided into two or more daily doses.

Subjects of this invention also include the use of compounds of general formula I for the production of a pharmaceutical agent for treating cancer, auto-immune diseases, cardiovascular diseases, chemotherapy agent-induced alopecia and mucositis, infectious diseases, nephrological diseases, chronic and acute neurodegenerative diseases and viral infections, whereby cancer is defined as solid tumors and leukemia; auto-immune diseases are defined as psoriasis, alopecia and multiple sclerosis; cardiovascular diseases are defined as stenoses, arterioscleroses and restenoses; infectious diseases are defined as diseases that are caused by unicellular parasites; nephrological diseases are defined as glomerulonephritis; chronic neurodegenerative diseases are defined as Huntington's disease, amyotrophic lateral sclerosis, Parkinson's disease, AIDS-induced dementia and Alzheimer's disease; acute neurodegenerative diseases are defined as ischemias of the brain and neurotraumas; and viral infections are defined as cytomegalic infections, herpes, hepatitis B or C, and HIV diseases.

Subjects of this invention also include pharmaceutical agents for treating the above-cited diseases, which contain at least one compound according to general formula I, as well as pharmaceutical agents with suitable formulation substances and vehicles.

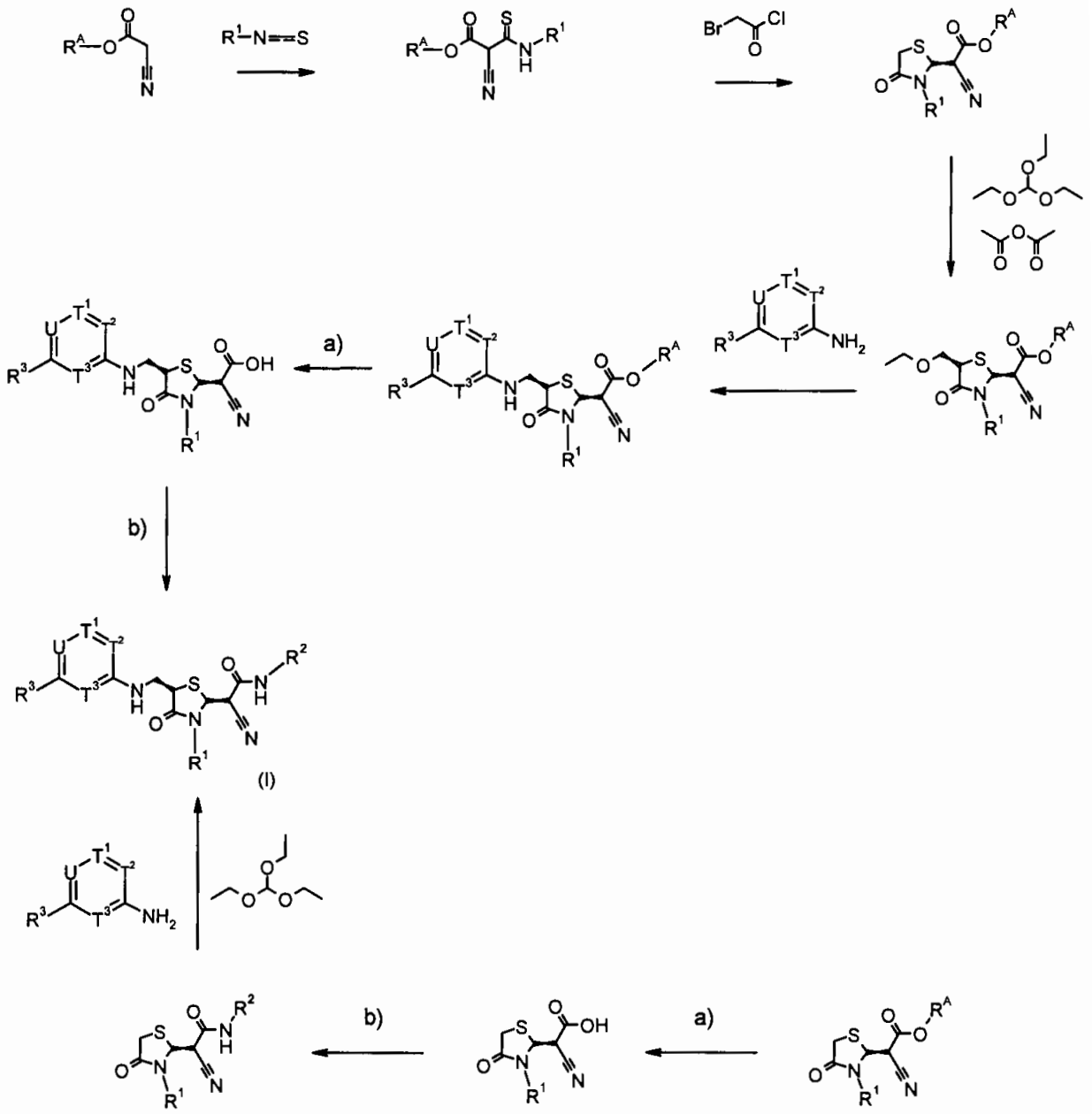
The compounds of general formula I according to the invention are, i.a., excellent inhibitors of the polo-like kinases, such as Plk1, Plk2, Plk3, and Plk4.

If the production of the starting compounds is not described, the latter are known or can be produced analogously to known compounds or to processes that are described here. It is also possible to perform all reactions that are described here in parallel reactors or by means of combinatory operating procedures. The isomer mixtures can be separated into the isomers, such as, e.g., into the enantiomers, diastereomers or E/Z isomers, according to commonly used

methods, such as, for example, crystallization, chromatography or salt formation, if the isomers are not in a state of equilibrium with one another.

The production of the salts is carried out in the usual way by a solution of the compound of formula I being mixed with the equivalent amount of or excess base or acid, which optionally is in solution, and the precipitate being separated or the solution being worked up in the usual way.

Synthesis Diagram 1:



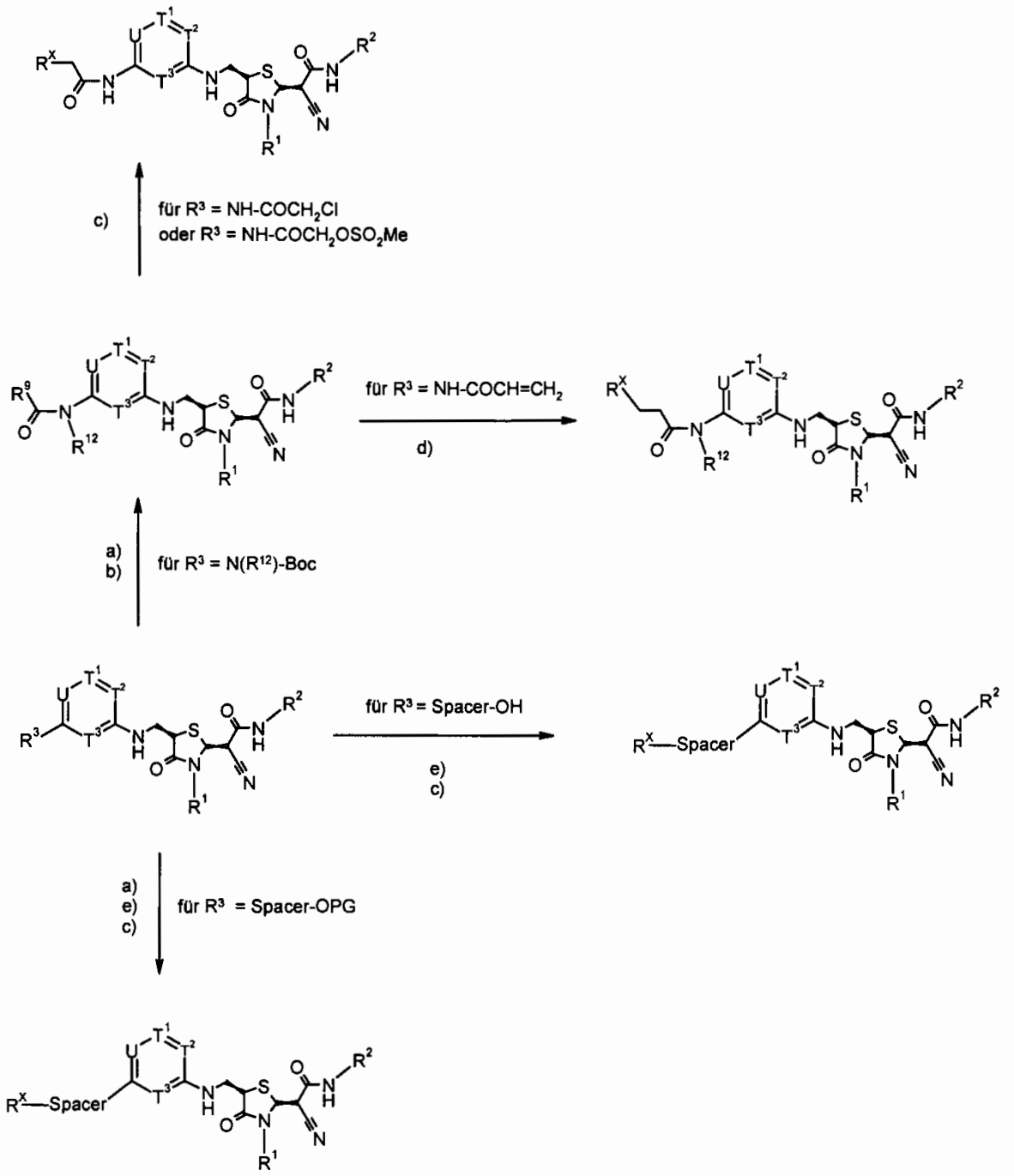
a) Ester cleavage; b) Coupling reaction

R^A = ethyl, allyl

whereby R^1, R^2, R^3, U, T^1, T^2 and T^3 have the meaning that is indicated in general formula I.

Synthesis Diagram 2:

Modification of General Formula (I), with the Meaning as Described Above



[Key to Synthesis Diagram 2:]

für = for; oder = or

a) Protection removal; b) Coupling reaction; c) Substitution; d) 1,4-Addition; e) To convert alcohol into the leaving group;

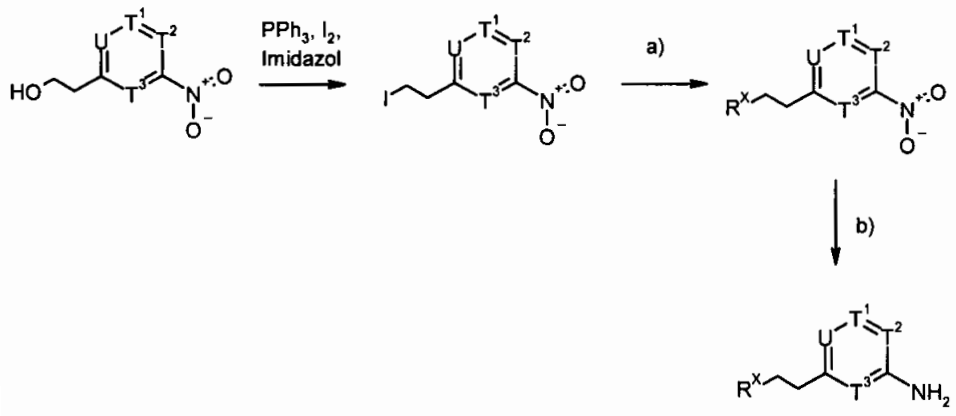
PG = Protective group;

Spacer = C_1-C_3-alkyl or NR^{12}-(CO)-C_1-C_3-alkyl.

R^X = –$NR^{10}R^{11}$ or stands for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, -(C=S)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl, or with the group –(CO)-R^5, or -$NR^{12}R^{13}$, or with C_1–C_3–alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

whereby U, T^1, T^2, T^3, R^1, R^2, R^3, R^9, R^{12}, R^5, R^{14}, R^{13}, R^{10}, and R^{11} have the meaning that is indicated in general formula I.

Diagram No. 1 for Synthesis of Anilines:



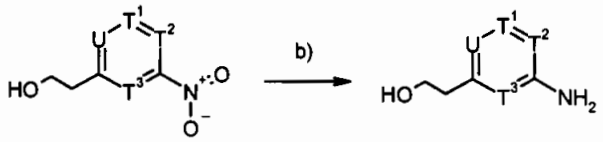
[Key:]

Imidazol = Imidazole

a) Substitution; b) Reduction;

whereby U, T^1, T^2, and T^3 have the meaning that is indicated in general formula I, and R^X has the meaning that is indicated in Synthesis Diagram 2.

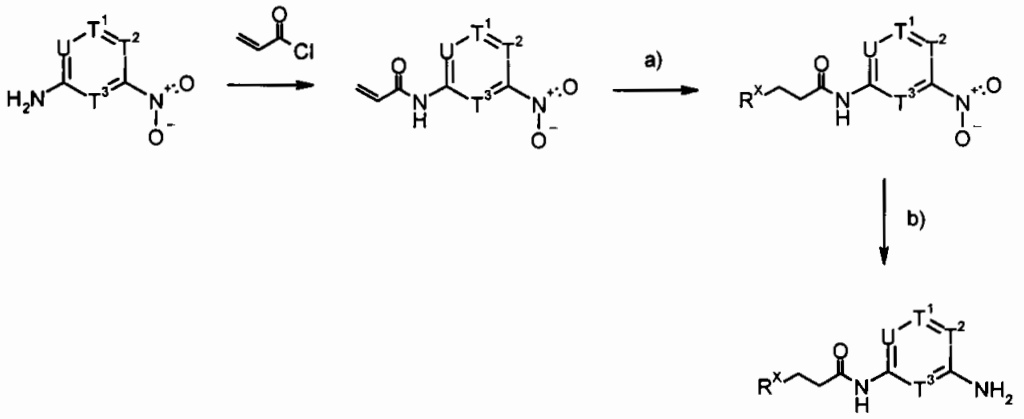
Diagram No. 2 For Synthesis of Anilines:



b) Reduction;

whereby U, T^1, T^2, and T^3 have the meaning that is indicated in general formula I.

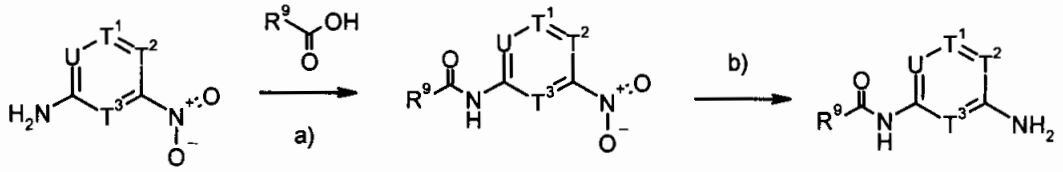
Diagram No. 3 for Synthesis of Anilines:



a) 1,4-Addition; b) Reduction;

whereby U, T^1, T^2, and T^3 have the meaning that is indicated in general formula I, and R^X has the meaning that is indicated in Synthesis Diagram 2.

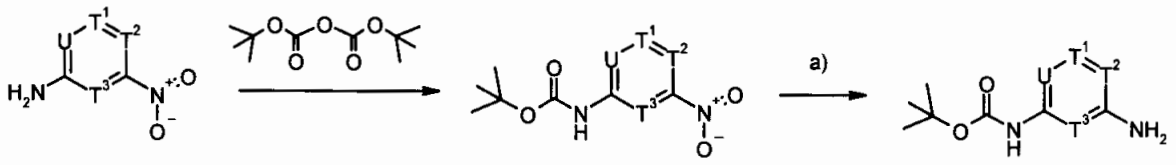
Diagram No. 4 for Synthesis of Anilines:



a) Coupling reagent; b) Reduction;

whereby U, T^1, T^2, T^3, and R^9 have the meaning that is indicated in general formula I.

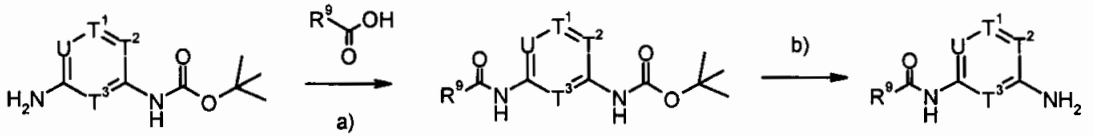
Diagram No. 5 for Synthesis of Anilines:



a) Reduction;

whereby U, T^1, T^2, and T^3 have the meaning that is indicated in general formula I.

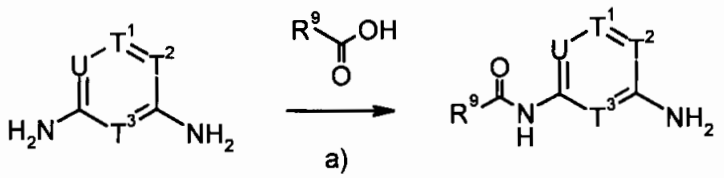
Diagram No. 6 for Synthesis of Anilines:



a) Coupling reagent; b) Protection removal;

whereby U, T^1, T^2, T^3, and R^9 have the meaning that is indicated in general formula I.

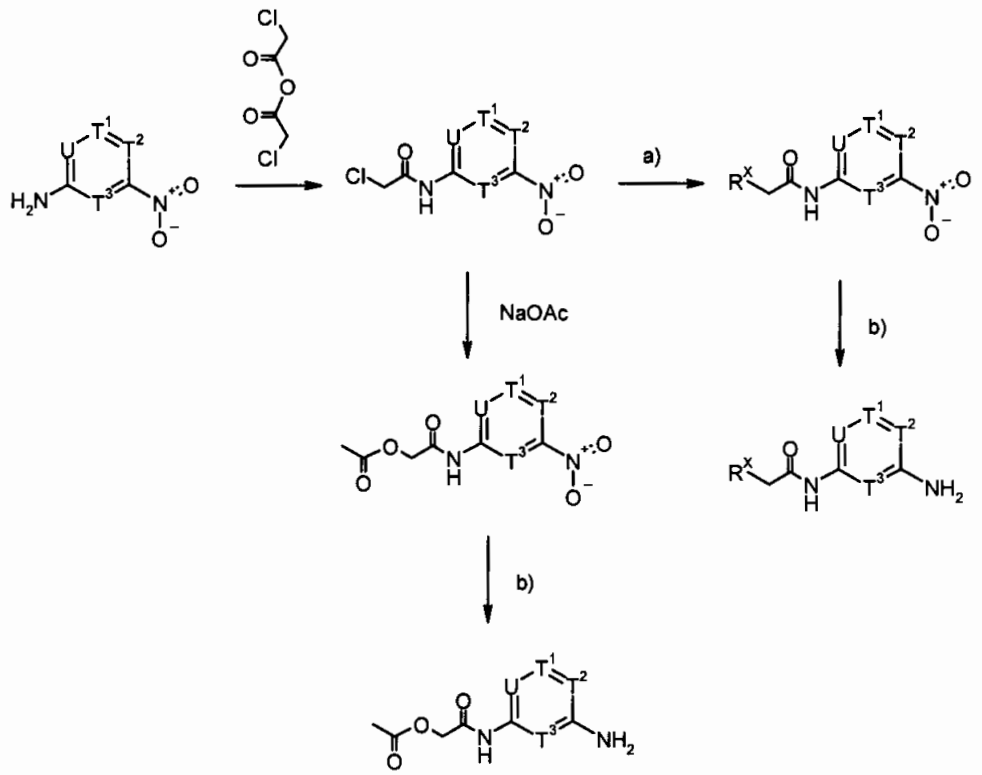
Diagram No. 7 for Synthesis of Anilines:



a) Coupling reagent;

whereby U, T^1, T^2, T^3, and R^9 have the meaning that is indicated in general formula I.

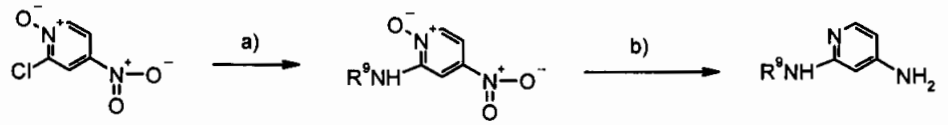
Diagram No. 8 for Synthesis of Anilines:



a) Substitution; b) Reduction;

whereby U, T^1, T^2, and T^3 have the meaning that is indicated in general formula I, and R^x has the meaning that is indicated in Synthesis Diagram 2.

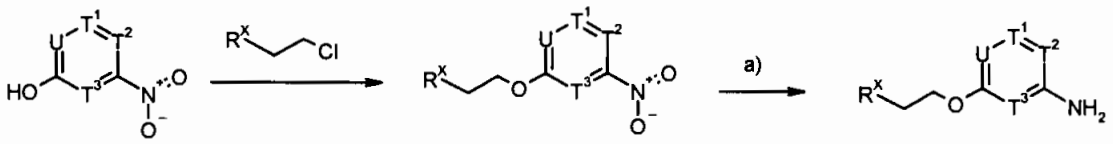
Diagram No. 9 for Synthesis of Anilines:



a) Substitution; b) Reduction;

whereby R^9 has the meaning that is indicated in general formula I.

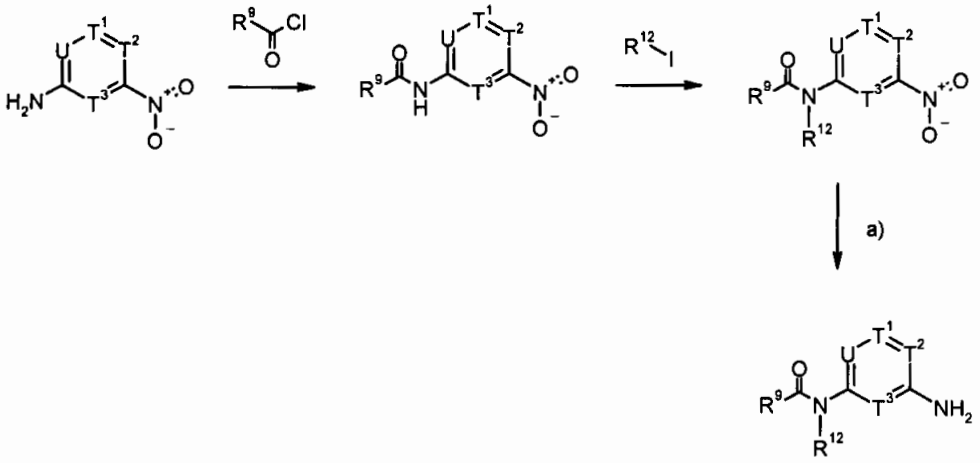
Diagram No. 10 for Synthesis of Anilines:



a) Reduction;

whereby U, T^1, T^2, and T^3 have the meaning that is indicated in general formula I, and R^x has the meaning that is indicated in Synthesis Diagram 2.

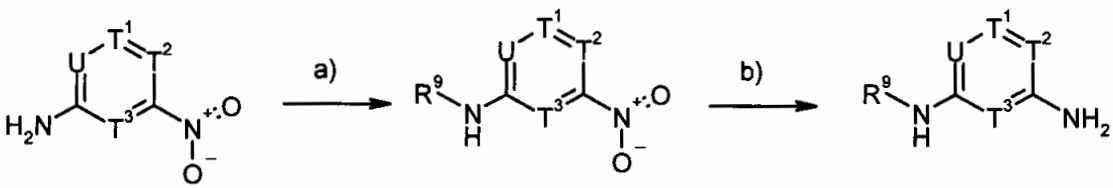
Diagram No. 11 for Synthesis of Anilines:



a) Reduction;

whereby U, T^1, T^2, T^3, R^9, and R^{12} have the meaning that is indicated in general formula I.

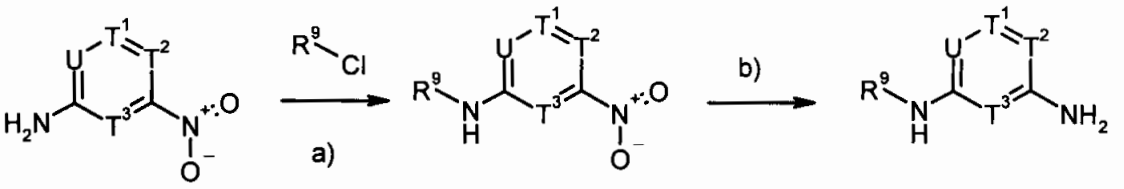
Diagram No. 12 for Synthesis of Anilines:



a) Reductive Amination; b) Reduction;

whereby U, T^1, T^2, T^3, and R^9 have the meaning that is indicated in general formula I.

Diagram No. 13 for Synthesis of Anilines:



a) Substitution; b) Reduction;

whereby U, T^1, T^2, T^3, and R^9 have the meaning that is indicated in general formula I.

1. Synthesis of Aniline Components

Intermediate Compound INT1

1-(2-Iodo-ethyl)-3-nitro-benzene



5 g of 3-nitrophenylethanol, 9.4 g of triphenylphosphine and 3.1 g of imidazole are dissolved in 250 ml of THF, mixed in portions with 9.1 g of iodine and stirred for 15 hours at room temperature. The reaction mixture is mixed with ammonium chloride solution and extracted with dichloromethane. The organic phase is washed in succession with sodium thiosulfate solution and water and dried on sodium sulfate. After purification by chromatography on silica gel, 7.51 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 3.31 (t, 2H); 3.41 (t, 2H); 7.46-7.60 (m, 2H); 8.09 (s, 1H); 8.16 (d, 1H); ppm.

Intermediate Compound INT2

1-[2-(3-Nitro-phenyl)-ethyl]-pyrrolidine



1.88 g of the compound that is described under Intermediate Compound INT1) is dissolved in 10 ml of dimethylformamide, mixed slowly with 0.85 ml of pyrrolidine and stirred for 15 hours at room temperature. The solvent is condensed under high vacuum, the residue is taken up in ethyl acetate and washed three times with water. The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 350 mg of the title compound is obtained.

1H-NMR (CDCl3): δ = 1.81 (m, 4H); 2.57 (m, 4H); 2.74 (t, 2H); 2.93 (t, 2H); 7.45 (t, 1H); 7.56 (d, 1H); 8.03-8.13 (m, 2H) ppm.

Intermediate Compound INT3

3-(2-Pyrrolidin-1-yl-ethyl)-phenylamine

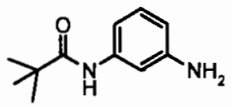


650 mg of the compound that is described under INT2) is dissolved in 250 ml of ethanol and mixed with 130 mg of palladium on carbon (10%). It is stirred for 15 hours under hydrogen atmosphere at room temperature. After filtration on diatomaceous earth is done and the solvent is condensed off in a rotary evaporator, 540 mg of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 1.78 (m, 4H); 2.65 (t, 2H); 2.70-2.92 (m, 6H); 4.99 (s, 2H); 6.31-6.45 (m, 3H); 6.92 (t, 1H) ppm.

Intermediate Compound INT4

N-(3-Amino-phenyl)-2,2-dimethyl-propionamide

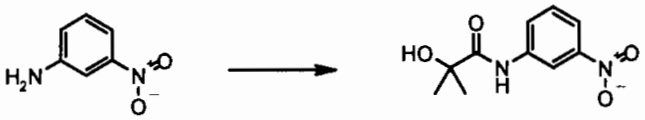


5.0 g of 1,3-diaminobenzene is dissolved in 50 ml of dichloromethane and mixed at 0°C with 24 ml of diisopropylethylamine and 10.4 ml of pivalic acid anhydride. It is stirred for 2 hours at 0°C and for 18 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by chromatography on silica gel, 5.7 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 1.20 (s, 9H); 4.98 (s, 2H); 6.24 (d, 1H); 6.70 (d, 1H); 6.83-6.96 (m, 2H) ppm.

Intermediate Compound INT5

1-(2-Iodo-ethyl)-3-nitro-benzene



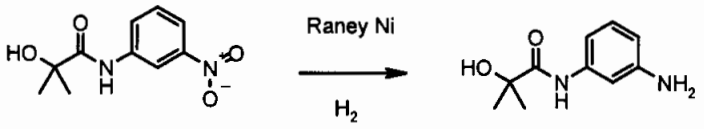
1.5 g of 2-hydroxy-2-methyl-propionic acid in 50 ml of dimethylacetamide is mixed at –10°C with 1.05 ml of thionyl chloride and stirred for 30 minutes at –10°C. A solution of 2 g of 3-nitroaniline in 10 ml of dimethylacetamide is added in drops at –10°C and stirred in succession for one hour at –10°C, for one hour at 0°C and for 15 hours at room temperature. The solvent is condensed under high vacuum, the residue is taken up in a mixture that consists of ethyl acetate and dichloromethane (1:3) and washed twice with semi-saturated sodium

bicarbonate solution. The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 2.42 g of the title compound is obtained.

1H-NMR (CDCl3): δ = 1.49 (s, 6H); 2.35 (s, 1H); 7.50 (t, 1H); 7.98 (d, 2H); 8.49 (s, 1H); 8.98 (s,b, 1H) ppm.

Intermediate Compound INT6

N-(3-Amino-phenyl)-2-hydroxy-2-methyl-propionamide

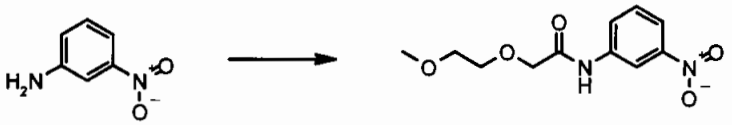


1.92 g of the compound that is described under INT5) is dissolved in 400 ml of ethanol and mixed with 50 mg of Raney nickel. It is stirred for 18 hours under hydrogen atmosphere at room temperature. After filtration on diatomaceous earth is done and the solvent is condensed off in a rotary evaporator, 1.9 g of the title compound is obtained.

1H-NMR ($CDCl_3$): δ = 1.51 (s, 6H); 2.68 (s, 1H); 3.71 (s,b, 2H); 6.42 (d, 1H); 7.08 (t, 1H); 7.20 (s, 1H); 8.60 (s,b, 1H) ppm.

Intermediate Compound INT7

2-(2-Methoxy-ethoxy)-N-(3-nitro-phenyl)-acetamide



5 g of (2-methoxyethoxy)-acetic acid is dissolved in 500 ml of tetrahydrofuran. 9.7 ml of triethylamine and 5.6 ml of isobutyl chloroformate are added at 0°C, and it is stirred for 30 minutes at 0°C. 5.0 g of 3-nitroaniline is added, and it is stirred for another 15 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic solution is washed with saturated sodium

chloride solution, dried on sodium sulfate, concentrated by evaporation and, after purification by chromatography on silica gel, 7.5 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ =3.30 (s, 3H); 3.53 (m, 2H); 3.70 (m, 2H); 4.04 (s, 1H); 7.62 (t, 1H); 7.93 (d, 1H); 8.02 (d, 1H); 8.69 (s, 1H); 10.20 (s,b, 1H) ppm.

Intermediate Compound INT8

N-(3-Amino-phenyl)-2-(2-methoxy-ethoxy)-acetamide

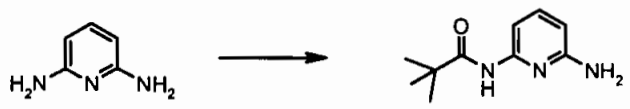


7.5 g of the compound described under INT7) is dissolved in 150 ml of ethanol and mixed with 1.3 g of palladium on carbon (10%). It is stirred for 15 hours under hydrogen atmosphere at room temperature. After filtration on diatomaceous earth is done and the solvent is condensed off in a rotary evaporator, 6.5 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 3.31 (s, 3H); 3.51 (m, 2H); 3.65 (m, 2H); 4.02 (s, 2H); 6.10 (s, 2H); 6.28 (d, 1H); 6.70 (d, 1H); 6.87-6.98 (m, 2H); 9.27 (s, 1H) ppm.

Intermediate Compound INT9

N-(6-Amino-pyridin-2-yl)-2,2-dimethyl-propionamide

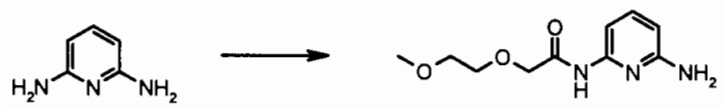


10 g of 2,6-diaminopyridine is dissolved in 150 ml of tetrahydrofuran. 48 ml of diisopropylethylamine and 20.8 ml of pivalic acid anhydride are added, and it is stirred for 15 hours at room temperature. The solvent is condensed in a rotary evaporator. After purification by chromatography on silica gel, 10.6 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 1.20 (s, 9H); 5.72 (s, 2H); 6.07 (d, 1H); 7.18 (d, 1H); 7.33 (t, 1H); 8.93 (s, 1H) ppm.

Intermediate Compound INT10

N-(6-Amino-pyridin-2-yl)-2-(2-methoxy-ethoxy)-acetamide

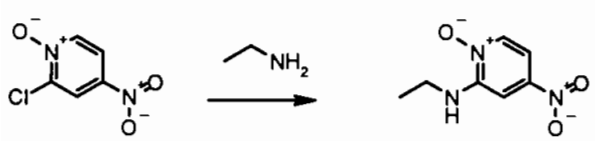


4.9 ml of (2-methoxyethoxy)-acetic acid is dissolved in 500 ml of tetrahydrofuran. 9.7 ml of triethylamine and 5.6 ml of isobutyl chloroformate are added at 0°C, and it is stirred for 30 minutes at 0°C. 3.96 g of 2,6-diaminopyridine is added, and it is stirred for another 4 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, and after purification by chromatography on silica gel, 5.04 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 3.31 (s, 3H); 3.50 (m, 2H); 3.67 (m, 2H); 4.07 (s, 2H); 5.88 (s, 2H); 6.19 (d, 1H); 7.21 (d, 1H); 7.36 (t, 1H); 9.13 (s, 1H) ppm.

Intermediate Compound INT11

Ethyl-(4-nitro-1-oxy-pyridin-2-yl)-amine

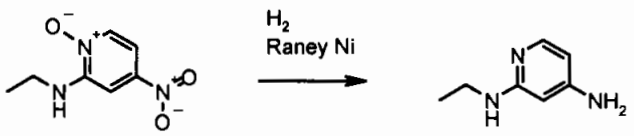


2.0 g of 2-chloro-4-nitro-pyridine 1-oxide is dissolved in 20 ml of ethanol. 11.5 ml of triethylamine is added, and it is stirred under reflux for 4 hours. The solvent is condensed in a rotary evaporator. After purification by chromatography on silica gel, 1.5 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 1.19 (t, 3H); 3.39 (pentuplet, 2H); 7.39 (dd, 1H); 7.47 (d, 1H); 7.64 (t, 1H); 8.35 (d, 1H) ppm.

Intermediate Compound INT12

4-Amino-2-ethylamino-pyridine

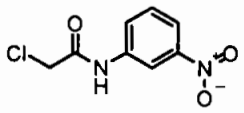


800 mg of the compound that is described under INT11) is dissolved in 50 ml of ethanol and mixed with 50 mg of Raney nickel. It is hydrogenated for 5 hours under a 3.5 bar hydrogen atmosphere at room temperature. After filtration on diatomaceous earth is done and the solvent is condensed off in a rotary evaporator, 610 mg of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 1.09 (t, 3H); 3.11 (m, 2H); 5.48 (s, 2H); 5.52 (d, 1H); 5.71 (t, 1H); 5.78 (dd, 1H); 7.49 (d, 1H) ppm.

Intermediate Compound INT13

2-Chloro-N-(3-nitro-phenyl)-acetamide

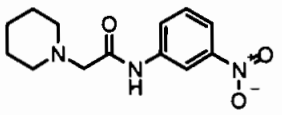


13.8 g of 3-nitroaniline is dissolved in 500 ml of tetrahydrofuran. 30.5 ml of triethylamine and 19.4 g of chloroformic acid anhydride are added at 0°C. It is stirred for 12 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation and after purification by chromatography on silica gel, 20.0 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 4.31 (s, 2H); 7.64 (t, 1H); 7.89-8.00 (m, 2H); 8.61 (s, 1H); 10.79 (b, 1H) ppm.

Intermediate Compound INT14

N-(3-Nitro-phenyl)-2-piperidin-1-yl-acetamide

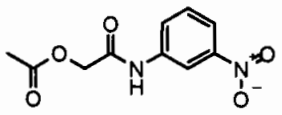


2.14 g of the compound that is described under INT13) is dissolved in 100 ml of dimethylformamide. 2.0 ml of triethylamine, 248 mg of potassium iodide, and 1.48 ml of piperidine are added. It is stirred for 4 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by chromatography on silica gel, 1.97 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ = 1.34-1.48 (m, 2H); 1.51-1.63 (m, 4H); 2.45 (m, 4H); 3.12 (s, 2H); 7.60 (t, 1H); 7.91 (d, 1H); 8.02 (d, 1H); 8.70 (s, 1H); 10.18 (s, 1H) ppm.

Intermediate Compound INT15

Acetic acid (3-nitro-phenylcarbamoyl)-methyl ester



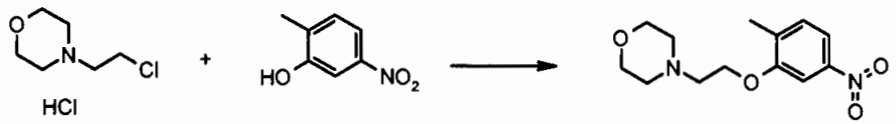
5.0 g of the compound that is described under INT13) is dissolved in 200 ml of dimethylformamide. 19.1 g of sodium acetate and 350 mg of potassium iodide are added. It is stirred for 24 hours at room temperature. The reaction mixture is mixed with water and extracted with ethyl acetate. The organic solution is washed three times with semi-saturated

sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by chromatography on silica gel, 4.7 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ =2.14 (s, 3H); 4.70 (s, 2H); 7.62 (t, 1H); 7.87-7.98 (m, 2H); 8.60 (s, 1H); 10.57 (b, 1H) ppm.

Intermediate Compound INT16

4-[2-(2-Methyl-5-nitro-phenoxy)-ethyl]-morpholine



A suspension of 10 g of 2-methyl-5-nitrophenol, 12 g of 4-(2-chloroethyl)-morpholine and 27.1g of potassium carbonate in 200 ml of acetone is refluxed for 15 hours. Solvent is removed from the batch in a vacuum, and the residue is taken up in ethyl acetate. It is extracted with aqueous NaOH (1N, 3 x 200ml), and the combined organic phases are dried on sodium carbonate, the solvent is distilled off in a rotary evaporator, and 4-[2-(2-methyl-5-nitro-phenoxy)-ethyl]-morpholine is obtained in a yield of 62% (10.8 g).

1H-NMR (300 MHz, $CDCl_3$): δ = 2.30 (s, 3H); 2.61 (m, 4H); 2.86 (m, 2H); 3.71 (m, 4H); 4.20 (m, 2H); 7.22 (d, 1H); 7.68 (d, 1H); 7.75 (dd, 1H) ppm.

Intermediate Compound INT17

4-Methyl-3-(2-morpholin-4-yl-ethoxy)-phenylamine

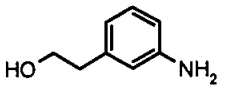
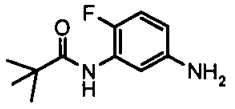


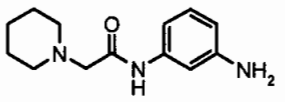
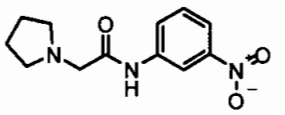
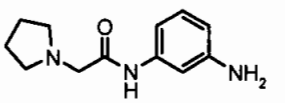
15.9 g of the compound that is described under INT16) and 2 g of palladium on carbon are hydrogenated in 300 ml of methanol at low pressure and room temperature. After hydrogen absorption has been completed, catalyst is filtered out, and solvent is removed from

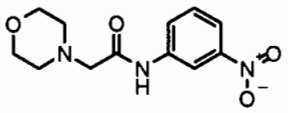
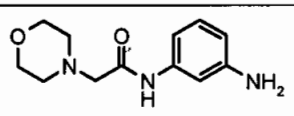
the crude product in a rotary evaporator. The title compound is obtained in a quantitative yield. The crude product is used in the next step without further purification.

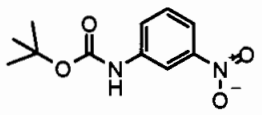
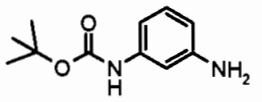
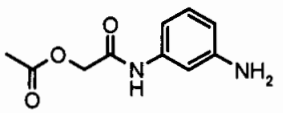
1H-NMR (300 MHz, $CDCl_3$): δ = 2.10 (s, 3H); 2.62 (m, 4H); 2.85 (m, 2H); 3.77 (m, 4H); 4.10 (m, 2H); 6.21 (m, 2H); 6.90 (d, 1H) ppm.

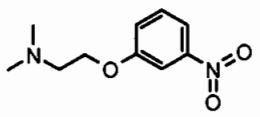
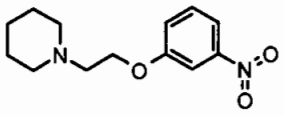
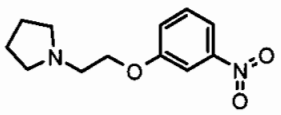
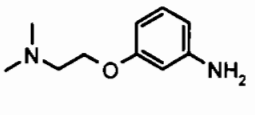
The compounds below are produced analogously to the above-described process.

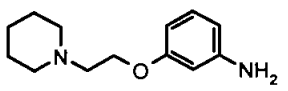
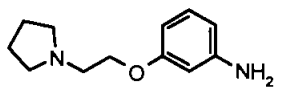
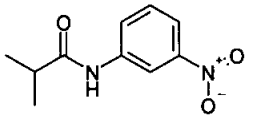
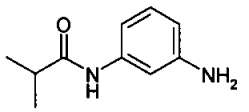
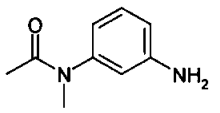
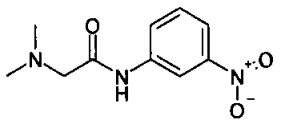
Example No.	Structure and Name	^{1}H-NMR	Molecular Weight// MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
INT18	 2-(3-Amino-phenyl)-ethanol	(DMSO-d6, stored with K_2CO_3): δ = 2.06 (t, 1H); 3.55 (m, 2H); 4.60 (s, 1H); 4.91 (s, 2H); 6.25-6.50 (m, 3H); 6.91 (t, 1H) ppm.		3-Nitro-phenyl-ethanol/ INT3
INT19	 N-(5-Amino-2-fluorophenyl)-2,2-dimethyl-propionamide	(DMSO-d6): δ = 1.20 (s, 9H); 4.93 (s, 2H); 6.29-6.38 (m, 1H); 6.70 (dd, 1H); 6.85 (dd, 1H); 8.77 (s, 1H) ppm.		N-(5-Nitro-2-fluoro-phenyl)-2,2-dimethyl-propion-amide/

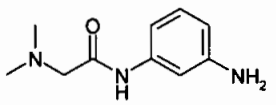
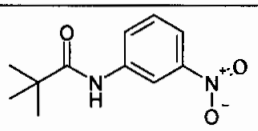
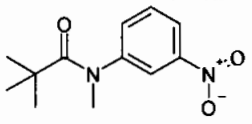
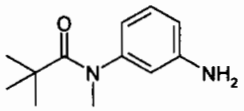
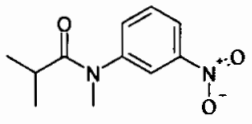
				INT3
INT20	 N-(3-Amino-phenyl)-2-piperidin-1-yl-acetamide	(DMSO-d6): δ = 1.45 (m, 2H); 1.65 (m, 4H); 2.78 (m, 4H); 3.45 (s, 2H); 4.70-6.00 (b, 2H); 6.29 (d, 1H); 6.72 (d, 1H); 6.88-7.00 (m, 2H); 9.80 (s, 1H) ppm.		INT14/ INT3
INT21	 N-(3-Nitro-phenyl)-2-pyrrolidin-1-yl-acetamide	(DMSO-d6): δ = 1.76 (m, 4H); 2.60 (m, 4H); 3.30 (s, 2H); 7.60 (t, 1H); 7.91 (d, 1H); 8.04 (d, 1H); 8.71 (s, 1H); 10.21 (s,b, 1H) ppm.		INT13/ INT14
INT22	 N-(3-Amino-phenyl)-2-pyrrolidin-1-	(DMSO-d6): δ = 1.85 (m, 4H);		INT21/ INT3

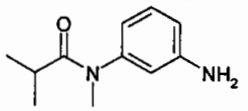
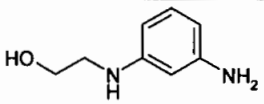
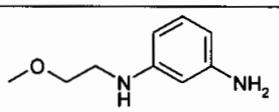
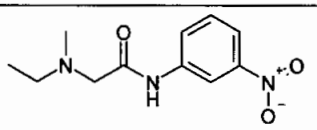
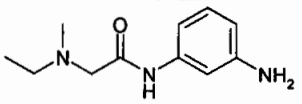
	yl-acetamide	3.00 (m, 4H); 3.71 (s, 2H); 4.70-5.55 (b, 2H); 6.28 (d, 1H); 6.71 (d, 1H); 6.87-6.97 (m, 2H); 9.88 (s, 1H) ppm.		
INT23	 2-Morpholin-4-yl-N-(3-nitro-phenyl)-acetamide	(DMSO-d6): δ = 2.51 (m, 4H); 3.19 (s, 2H); 3.55 (m, 4H); 7.60 (t, 1H); 7.92 (dd, 1H); 8.02 (dd, 1H); 8.79 (t, 1H); 10.25 (s,b, 1H) ppm.		INT13/ INT14
INT24	 N-(3-Amino-phenyl)-2-morpholin-4-yl-acetamide	(DMSO-d6): δ = 2.49 (m, 4H); 3.08 (s, 2H); 3.63 (m, 4H); 5.07 (s, 2H); 6.27 (d, 1H); 6.19 (d, 1H);		INT23/ INT3

		6.91 (t, 1H); 6.94 (s, 1H); 9.39 (s, 1H) ppm.		
INT25	 (3-Nitro-phenyl)-carbamic acid tert-butyl ester		MW: 238.25; MS (ESI) $[M+1]^+$: 239	3-Nitro-aniline/ INT13
INT26	 (3-Amino-phenyl)-carbamic acid tert-butyl ester		MW: 208.26; MS (ESI) $[M+1]^+$: 209	INT25/ INT3
INT27	 Acetic acid (3-amino-phenylcarbamoyl)-methyl ester	(DMSO-d6): δ = 2.11 (s, 3H); 4.60 (s, 2H); 5.08 (s, 2H); 6.28 (d, 1H); 6.67 (d, 1H); 6.83-6.97 (m, 2H); 9.75 (s, 1H) ppm.		INT15/ INT3

INT28	 Dimethyl-[2-(3-nitro-phenoxy)-ethyl]-amine		MW: 210.23; MS (ESI) $[M+1]^+$: 211	3-Nitro-phenol/ INT16
INT29	 1-[2-(3-Nitro-phenoxy)-ethyl]-piperidine		MW: 250.30; MS (ESI) $[M+1]^+$: 251	3-Nitro-phenol/ INT16
INT30	 1-[2-(3-Nitro-phenoxy)-ethyl]-pyrrolidine		MW: 236.27; MS (ESI) $[M+1]^+$: 237	3-Nitro-phenol/ INT16
INT31	 3-(2-Dimethylamino-ethoxy)-phenylamine		MW: 180.25; MS (ESI) $[M+1]^+$: 181	INT28/ INT3

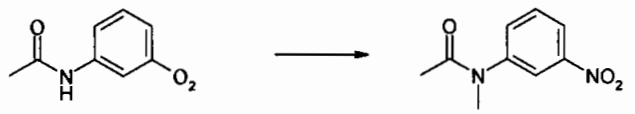
INT32	 3-(2-Piperidin-1-yl-ethoxy)-phenylamine		MW: 220.32; MS (ESI) $[M+1]^+$: 221	INT29/ INT3
INT33	 3-(2-Pyrrolidin-1-yl-ethoxy)-phenylamine		MW: 206.29; MS (ESI) $[M+1]^+$: 207	INT30/ INT3
INT34	 N-(3'-Nitro-phenyl)-isobutyramide		208.218/ 209	3-Nitro-aniline/ INT7
INT35	 N-(3'-Amino-phenyl)-isobutyramide		178.236/ 179	INT34/ INT8
INT36	 N-(3'-Amino-phenyl)-N-methyl-acetamide		164.208/ 165	INT49/ INT8
INT37			223.233/ 224	INT13/ INT14

	2-Dimethylamino-N-(3'-nitro-phenyl)-acetamide			
INT38	 N-(3'-Amino-phenyl)-2-dimethylamino-acetamide		193.250/ 194	INT37/ INT8
INT39	 2,2-Dimethyl-N-(3'-nitro-phenyl)-propionamide		222.245/ 223	3-Nitro-aniline/ INT9
INT40	 2,2,N-Trimethyl-N-(3-nitro-phenyl)-propionamide		236.272/ 237	INT39/ INT-DK1
INT41	 N-(3-Amino-phenyl)-2,2,N-trimethyl-propionamide		206.290/ 207	INT40/ INT8
INT43	 N-Methyl-N-(3'-nitro-phenyl)-isobutyramide		222.245/ 223	INT34/ INT49

INT44	 N-(3-Amino-phenyl)-N-methyl-isobutyramide		192.263/ 193	INT43/ INT8
INT45	 2-(3-Amino-phenylamino)-ethanol		152.197/ 153	INT50/ INT8
INT46	 N-(2-Methoxy-ethyl)-benzene-1,3-diamine		166.224/ 167	INT51/ INT8
INT47	 2-(Ethyl-methyl-amino)-N-(3'-nitro-phenyl)-acetamide		237.260/ 238	INT13/ INT14
INT48	 N-(3-Amino-phenyl)-2-(ethyl-methyl-amino)-acetamide		207.277/ 208	INT47/ INT8

Intermediate Compound INT49

N-Methyl-N-(3-nitro-phenyl)-acetamide

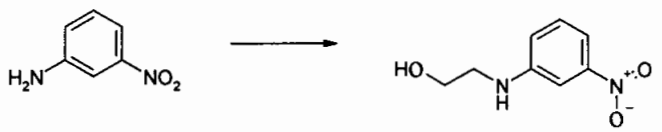


0.43 g of sodium hydride (60% suspension in mineral oil) is washed (3x) in a round-bottom flask under cover gas with n-hexane, and it is suspended in a little THF. A solution of 1.3 g of 3- nitroacetanilide in 15 ml of THF is slowly added in drops to this suspension. After the gas generation has dropped off, 4.5 ml of methyl iodide is added in drops to the reaction mixture. It is stirred for 2 hours at room temperature. Then, the solvent is distilled off to a very great extent. Optionally unreacted sodium hydride is destroyed by adding some water. The residue that is obtained is taken up in ethyl acetate. The organic phase is washed in succession with water and saturated sodium chloride solution and dried on magnesium sulfate. The oil that is obtained after concentration by evaporation is purified on silica gel. 1.23 g of the title compound was obtained as a light yellow oil.

^{1}H-NMR ($CDCl_3$): δ =1.93 (s, 3H); 3.31 (s, 3H); 7.56-7.64 (m, 2H); 8.09 (s, 1H); 8.18-8.20 (m, 1H) ppm. MS (ESI)$[M+1]^+$: 195.

Intermediate Compound INT50

2-(3-Nitro-phenylamino)-ethanol



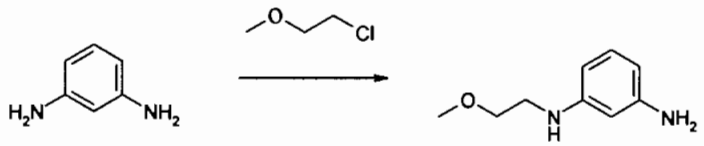
195 mg of glycoaldehyde, 195 mg of sodium cyanoborohydride, as well as 0.08 ml of glacial acetic acid are added to a solution of 200 mg of 3-nitroaniline in 10 ml of methanol, cooled to 0°C. It is stirred for 5 hours at room temperature. For working-up, it is mixed with 150 ml of sodium bicarbonate solution and extracted with ethyl acetate. The organic phase is

washed with saturated sodium chloride solution and dried on magnesium sulfate. The oil that is obtained after the evaporation is purified on silica gel. 224 mg of the title compound was obtained as orange crystals.

^{1}H-NMR (DMSO-d_6): δ =3.15 (q, 2H); 3.56 (q, 2H); 4.76 (t, 1H); 6.39 (t, 1H); 6.97-6.99 (m, 1H); 7.28-7.34 (m, 3H) ppm. MS (ESI)$[M+1]^+$: 183.

Intermediate Compound INT51

N-(2-Methoxy-ethyl)-benzene-1,3-diamine

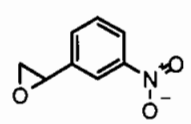


A mixture that consists of 5 g of 1,3-phenylenediamine, 4.2 ml of 2-methoxyethyl chloride, 4.9 g of sodium carbonate (anhydrous) and 30 ml of water is refluxed for 12 hours. Then, it is diluted with water (600 ml) and filtered. The filtrate is extracted with ethyl acetate. The organic phase is washed in succession with water and saturated sodium chloride solution and dried on magnesium sulfate. The oil that is obtained after concentration by evaporation is purified on silica gel. 1.85 g of the title compound, i.a., is obtained as oil.

1H-NMR (DMSO-d6): δ =3.09 (q, 2H); 3.25 (s, 3H); 3.43 (t, 2H); 4.68 (s, 2H); 5.10 (t, 1H); 5.78-5.81 (m, 3H); 6.69 (t, 1H) ppm. MS (ESI)[M+1]+: 167.

Intermediate Compound INT52

2-(3-Nitro-phenyl)-oxirane



10 g of 2-bromo-1-(3-nitro-phenyl)-ethanone is dissolved in 200 ml of ethanol, mixed with 1.55 g of sodium borohydride, and stirred for 1 hour at room temperature. 2.1 g of

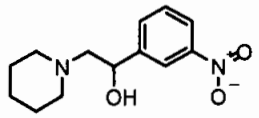
potassium hydroxide is added, and it is stirred for another 15 hours at room temperature. 1000 ml of ethyl acetate is added, and it is washed twice with 300 ml each of semi-saturated ammonium chloride sol and once with 100 ml of water. The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 7.48 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 2.79 (dd, 1H); 3.19 (dd, 1H); 3.93 (dd, 1H); 7.50 (t, 1H); 7.60 (d, 1H); 8.08-8.16 (m, 2H) ppm.

Intermediate Compound INT53

1-(3-Nitro-phenyl)-2-piperidin-1-yl-ethanol



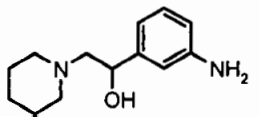
1.68 g of the compound that is described under **INT52** is dissolved in 10 ml of tetrahydrofuran and mixed with 1.5 ml of piperidine and stirred under reflux for 15 hours. The solvent is distilled off in a rotary evaporator, and after purification by chromatography on silica gel, 1.4 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 1.40-1.80 (m, 6H); 2.23-2.49 (m, 3H); 2.59 (dd, 1H); 2.71 (b, 2H); 4.35 (b, 1H); 4.80 (dd, 1H); 7.51 (t, 1H); 7.73 (d, 1H); 8.13 (d, 1H); 8.28 (s, 1H) ppm.

Intermediate Compound INT54

1-(3-Amino-phenyl)-2-piperidin-1-yl-ethanol



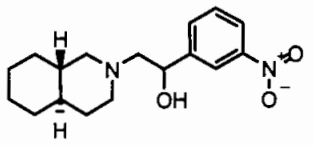
2.0 g of the compound that is described under **INT53** is dissolved in 250 ml of ethanol and mixed with 200 mg of palladium on carbon (10%). It is stirred for 15 hours at hydrogen atmosphere at room temperature. After filtration through diatomaceous earth and condensing off of the solvent in a rotary evaporator, 1.76 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 1.40-1.70 (m, 6H); 2.28-2.55 (m, 4H); 2.58-2.77 (m, 2H); 3.65 (b, 2H); 4.63 (dd, 1H); 6.52-6.62 (m, 1H); 6.72 (d, 1H); 6.75 (s, 1H); 7.11 (t, 1H) ppm.

Intermediate Compound INT55

1-(3-Nitro-phenyl)-2-(4aR,8aS)-octahydro-isoquinolin-2-yl-ethanol (Diastereomer mixture)



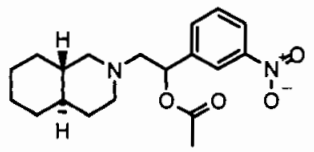
5.0 g of the compound that is described under **INT52** is dissolved in 50 ml of tetrahydrofuran and mixed with 7.3 g of trans-decahydroisoquinoline and stirred for 20 hours under reflux. The solvent is distilled off in a rotary evaporator, and after purification by chromatography on silica gel, 5.75 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 0.72-1.45 (m, 7H); 1.45-1.85 (m, 6H); 1.95-3.20 (m, 5H); 4.43 (b, 1H); 4.75-4.86 (m, 1H); 7.51 (t, 1H); 7.72 (d, 1H); 8.13 (d, 1H); 8.25 (s, 1H) ppm.

Intermediate Compound INT56

Acetic acid (4aR,8aS)-1-(3-nitro-phenyl)-2-octahydro-isoquinolin-2-yl-ethyl ester



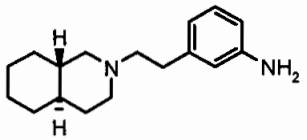
5.75 g of the compound that is described under **INT55** is dissolved in 100 ml of tetrahydrofuran and mixed at 0°C with 5.4 ml of triethylamine and 3.6 ml of acetic anhydride and then stirred for 48 hours at room temperature. Half of the solvent is distilled off in a rotary evaporator, 100 ml of semi-saturated sodium bicarbonate solution is added, and it is extracted three times with 150 ml each of dichloromethane. The combined organic pahses are dried on sodium suflate. After drying by chromatography on silica gel, and subsequent recrystallization, 4.07 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$; main isomer):

δ = 0.72-1.05 (m, 3H); 1.06-1.35 (m, 4H); 1.40-1.89 (m, 6H); 2.00-2.22 (m, 4H); 2.55 (dd, 1H); 2.64-2.96 (m, 3H); 5.97 (dd, 1H); 7.51 (t, 1H); 7.68 (d, 1H); 8.14 (d, 1H); 8.22 (s, 1H) ppm.

Intermediate Compound INT57

3-[(4aR,8aS)-2-(Octahydro-isoquinolin-2-yl)-ethyl]-phenylamine



4.07 g of the compound that is described under **INT56)** is dissolved in 400 ml of ethyl acetate and 100 ml of glacial acetic acid and mixed with 400 mg of palladium on carbon (10%). It is hydrogenated for 15 hours under 100 bar of hydrogen at room temperature. Another 1000 mg of palladium on carbon (10%) is added, and it is hydrogenated for antoher 15 hours under 100 bar of hydrogen at room temperature. Half of the solvent is distilled off in a rotary evaporator; about 1 L of 2N sodium hydroxide solution is added until the solution has has a pH of 9.5. The solution is extracted in succession with 300 ml of ethyl acetate and with 500 ml of a mixture that consists of chloroform and methanol (10:1). The combined organic phases are washed with water (100 ml) and saturated common salt solution (100 ml) and dried

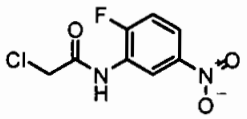
on sodium sulfate. After the solvent is filtered and condensed off in a rotary evaporator, 2.57 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 0.69-1.03 (m, 3H); 1.03-1.33 (m, 4H); 1.39-1.73 (m, 6H); 1.86.2,00 (m, 1H); 2.41-2.53 (m, 2H); 2.61-2.71 (m, 2H); 2.75-2.83 (m, 1H); 2.88-3.00 (m, 1H); 3.37-3.70 (b, 2H); 6.40-6.50 (m, 2H); 6.54 (d, 1H); 7.00 (t, 1H) ppm.

Intermediate Compound INT58

2-Chloro-N-(2-fluoro-5-nitro-phenyl)-acetamide



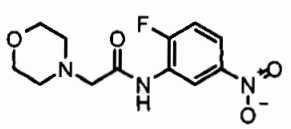
10 g of 2-fluoro-5-nitro-phenylamine is dissolved in 330 ml of tetrahydrofuran and mixed at 0°C with 19.5 ml of triethylamine, 0.5 ml of pyridine and 5.6 ml of chloroacetyl chloride and then stirred for 24 hours at room temperature. The solvent is distilled off in a rotary evaporator, 1 L of ethyl acetate is added, and it is washed with 200 ml of semi-saturated sodium bicarbonate solution. The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 5.4 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 4.27 (s, 2H); 7.21-7.38 (m, 1H); 7.97-8.31 (m, 1H); 8.66 (s,b, 1H); 9.19-9.32 (m, 1H) ppm.

Intermediate Compound INT59

N-(2-Fluoro-5-nitro-phenyl)-2-morpholin-4-yl-acetamide



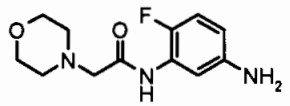
3.0 g of the compound that is described under **INT58** is dissolved in 50 ml of dimethylformamide, mixed with 2.68 ml of triethylamine, 330 mg of potassium iodide and 1.18 ml of 4,4-morpholine, and stirred for 15 hours at room temperature. The solvent is distilled off in a rotary evaporator, 500 ml of ethyl acetate is added, and then it is washed with 50 ml of water and twice with 50 ml each of semi-saturated sodium bicarbonate solution. The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 2.7 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 2.66 (t, 4H); 3.23 (s, 2H); 3.79 (t, 4H); 7.18-7.33 (m, 1H); 7.92-8.05 (m, 1H); 9.27-9.39 (m, 1H); 9.73 (s,b, 1H) ppm.

Intermediate Compound INT60

N-(5-Amino-2-fluoro-phenyl)-2-morpholin-4-yl-acetamide



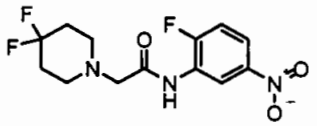
2.7 g of the compound that is described under **INT59** is dissolved in 500 ml of ethanol and mixed with 270 mg of palladium on carbon (10%). It is stirred for 15 hours under hydrogen atmosphere at room temperature. After filtration on diatomaceous earth and condensing off of the solvent in a rotary evaporator, 2.4 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 2.62 (t, 4H); 3.15 (s, 2H); 3.35-3.70 (b, 2H); 3.77 (t, 4H); 6.25-6.39 (m, 1H); 6.81-6.95 (m, 1H); 7.70-7.84 (m, 1H); 9.44 (s,b, 1H) ppm.

Intermediate Compound INT61

2-(4,4-Difluoro-piperidin-1-yl)-N-(2-fluoro-5-nitro-phenyl)-acetamide



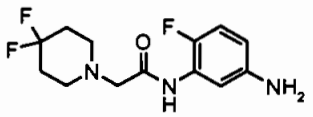
1.41 g of the compound that is described under **INT58** is dissolved in 25 ml of dimethylformamide, mixed with 1.26 ml of triethylamine, 155 mg of potassium iodide, and 1.0 g of 4,4-difluoropiperidine, and stirred for 15 hours at room temperature. The solvent is distilled off in a room temperature, 500 ml of a mixture of dichloromethane and methanol (100:1) is added, and then it is washed twice with 50 ml each of semi-saturated sodium bicarbonate solution. The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 1.1 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 2.00-2.21 (m, 4H); 2.78 (t, 4H); 3.28 (s, 2H); 7.18-7.34 (m, 1H); 7.91-8.52 (m, 1H); 9.25-9.38 (m, 1H); 9.62 (s,b, 1H) ppm.

Intermediate Compound INT62

N-(5-Amino-2-fluoro-phenyl)-2-(4,4-difluoro-piperidin-1-yl)-acetamide



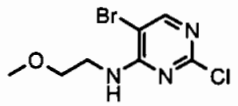
1.1 g of the compound that is described under **INT61** is dissolved in 200 ml of ethanol and mixed with 110 mg of palladium on carbon (10%). It is stirred for 15 hours under hydrogen atmosphere at room temperature. After filtration through diatomaceous earth and condensing off of the solvent in a rotary evaporator, 0.99 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 1.93-2.20 (m, 4H); 2.73 (t, 4H); 3.20 (s, 2H); 3.60 (b, 2H); 6.24-6.44 (m, 1H); 6.87 (t, 1H); 7.65-7.85 (m, 1H); 9.36 (s,b, 1H) ppm.

Intermediate Compound INT63

(5-Bromo-2-chloro-pyrimidin-4-yl)-(2-methoxy-ethyl)-amine



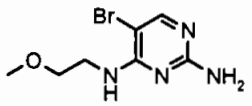
5.0 g of 5-bromo-2,4-dichloropyrimidine is dissolved in 100 ml of acetonitrile, mixed with 5.2 ml of triethylamine and 1.85 ml of 2-methoxyethylamine, and stirred for 15 hours at room temperature. 100 ml of ethyl acetate is added, and then it is washed twice with 50 ml each of water and twice with 50 ml each of saturated sodium chloride solution. The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 4.97 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 3.46 (s, 3H); 3.62 (t, 2H); 3.77 (m, 2H); 5.98 (s,b, 1H); 8.18 (s, 1H) ppm.

Intermediate Compound INT64

5-Bromo-N*4*-(2-methoxy-ethyl)-pyrimidine-2,4-diamine



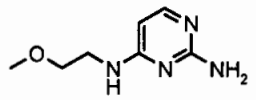
2.97 g of the compound that is described under **INT63** is dissolved in 80 ml of methanol. The solution is saturated at 8 bar with ammonia, and the sealed autoclave is stirred for 20 hours at 80°C. The solvent is distilled off in a rotary evaporator. The residue is mixed with 10 ml of methanol, taken up in 100 ml of chloroform, and washed twice with 20 ml each of water. After purification by chromatography on silica gel, 1.4 g of the title compound is obtained.

^{1}H NMR ($CDCl_3$):

δ = 3.39 (s, 3H); 3.54 (t, 2H); 3.61 (m, 2H); 4.82 (s,b, 2H); 5.54 (s,b, 1H); 7.86 (s, 1H) ppm.

Intermediate Compound INT65

N*4*-(2-Methoxy-ethyl)-pyrimidine-2,4-diamine



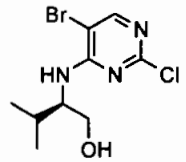
1.1 g of the compound that is described under **INT64** is dissolved in 250 ml of ethanol and mixed with 110 mg of palladium on carbon (10%). It is stirred for 15 hours under hydrogen atmosphere at room temperature. After filtration through diatomaceous earth and condensing off of the solvent in a rotary evaporator, 0.99 g of the title compound is obtained as HBr salt.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = 3.27 (s, 3H); 3.43-3.58 (m, 4H); 6.12 (d, 1H); 7.64 (d, 1H); 7.73 (s,b, 2H); 8.81 (s,b, 1H); 11.57 (s,b, 1H) ppm.

Intermediate Compound INT66

(R)-2-(5-Bromo-2-chloro-pyrimidin-4-ylamino)-3-methyl-butan-1-ol

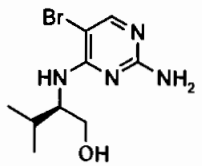


Analogously to the production of Intermediate Compound **INT63**, the title compound is obtained starting from 5-bromo-2,4-dichloropyrimidine and (R)-2-amino-3-methyl-butan-1-ol.

Mol. weight/MS (ESI) $[M+1]^+$: 294.58 / 294; 296 (100%); 298.

Intermediate Compound INT67

(R)-2-(2-Amino-5-bromo-pyrimidin-4-ylamino)-3-methyl-butan-1-ol



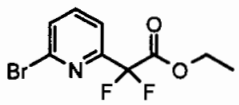
1.0 g of the compound that is described under **INT66** is dissolved in 100 ml of methanol. The solution is saturated at 8 bar with ammonia, and the sealed autoclave is stirred for 20 hours at 80°C. The solvent is distilled off in a rotary evaporator. The residue is mixed with 5 ml of methanol, taken up in 50 ml of chloroform, and washed twice with 20 ml each of water. After purification by chromatography on silica gel, 640 mg of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = 0.90-1.04 (m, 6H); 1.91-2.08 (m, 1H); 3.00 (s,b, 1H); 3.70 (dd, 1H); 3.80 (dd, 1H); 3.95 (m, 1H); 4.89 (s, 2H); 5.33 (d, 1H); 7.89 (s, 1H) ppm.

Intermediate Compound INT68

(6-Bromo-pyridin-2-yl)-difluoro-acetic acid ethyl ester



6.75 g of 2,6-dibrompyridine is dissolved in 40 ml of dimethyl sulfoxide. 4.1 g of copper powder and 7.51 g of ethyl bromodifluoroacetate are added, and it is stirred for 4 hours at 50°C. The reaction mixture is mixed with 200 ml of ethyl acetate and 200 ml of 1.3 molar potassium dihydrogen phosphate solution, and it is stirred for 30 minutes at room temperature. It is filtered off from solid, the organic phase is separated, washed three times in succession

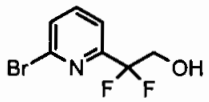
with 50 ml each of semi-saturated common salt solution and dried on sodium sulfate. After purification by chromatography on silica gel, 4.1 g of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = 1.24 (t, 3H); 4.38 (q, 2H); 7.88-7.97 (m, 2H); 8.03 (t, 1H) ppm.

Intermediate Compound INT69

2-(6-Bromo-pyridin-2-yl)-2,2-difluoro-ethanol



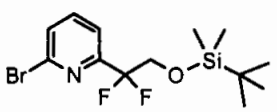
7.75 g of the compound that is described under **INT68** is dissolved in 130 ml of ethanol, mixed at 0°C with 785 mg of sodium borohydride and stirred for 4 hours at room temperature. While being cooled in an ice bath, 15 ml of 2 molar hydrochloric acid is added. It is stirred for 10 minutes at room temperature, and the pH is brought to 10 with sodium hydroxide solution. The reaction mixture is mixed with 500 ml of dichloromethane and 100 ml of semi-saturated common salt solution, and the organic phase is separated and dried on sodium sulfate. After purification by filtration through silica gel, 6.3 g of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = 3.93 (t, 2H); 5.59 (s, 1H); 7.70 (d, 1H); 7.79 (d, 1H); 7.90 (t, 1H) ppm.

Intermediate Compound INT70

2-Bromo-6-[2-(tert-butyl-dimethyl-silanyloxy)-1,1-difluoro-ethyl]-pyridine



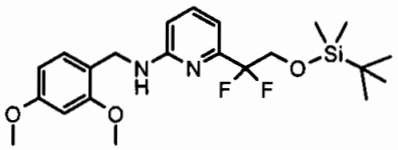
6.9 g of the compound that is described under **INT69** is dissolved in 60 ml of dimethylformamide, mixed with 3.77 g of imidazole and 5.27 g of tert-butyldimethylsilyl chloride, and stirred for 15 hours at room temperature. 300 ml of semi-saturated sodium bicarbonate solution is added and extracted three times with 150 ml each of ethyl acetate. The combined organic phases are dried on sodium sulfate. After purification by filtration through silica gel, 9.2 g of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = -0.07 (s, 6H); 0.70 (s, 9H);4.16 (t, 2H); 7.72 (d, 1H); 7.80 (d, 1H); 7.91 (t, 1H) ppm.

Intermediate Compound INT71

{6-[2-(tert-Butyl-dimethyl-silanyloxy)-1,1-difluoro-ethyl]-pyridin-2-yl}-(2,4-dimethoxy-benzyl)-amine



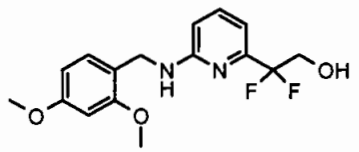
2.5 g of the compound that is described under **INT70** is dissolved in 25 ml of dioxane, mixed with 2.7 ml of 2,4-dimethylbenzylamine, 168 mg of palladium acetate, 218 mg of BINAP and 950 mg of sodium tert-butylate, and stirred for 3 hours at 80°C. 100 ml of water is added, and it is extracted three times with 50 ml each of ethyl acetate. The combined organic phases are dried on sodium sulfate. After purification by chromatography on silica gel, 2.3 g of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = -0.07 (s, 6H); 0.75 (s, 9H); 3.69 (s, 3H); 3.77 (s, 3H); 4.06 (t, 2H); 4.30 (d, 2H); 6.39 (d, 2H); 6.48-6.58 (m, 2H); 6.69 (d, 1H); 6.97 (t, 1H); 7.20 (d, 1H); 7.41 (t, 1H) ppm.

Intermediate Compound INT72

2-[6-(2,4-Dimethoxy-benzylamino)-pyridin-2-yl]-2,2-difluoro-ethanol



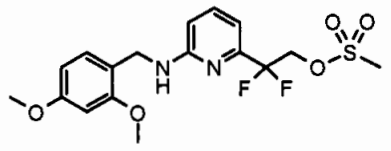
2.3 g of the compound that is described under **INT71** is dissolved in 100 ml of tetrahydrofuran and mixed with 13 ml of a 1 molar solution of tetrabutylammonium fluoride in tetrahydrofuran and stirred for 1 hour at room temperature. 100 ml of semi-saturated sodium bicarbonate solution is added and extracted three times with 100 ml each of ethyl acetate. The combined organic phases are dried on sodium sulfate. After purification by chromatography on silica gel, 1.42 g of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = 3.70 (s, 3H); 3.77 (s, 3H); 3.87 (t, 2H); 4.30 (d, 2H); 5.37 (s,b, 1H); 6.41 (d, 1H); 6.50-6.59 (m, 2H); 6.70 (d, 1H); 6.95 (t, 1H); 7.13 (d, 1H); 7.41 (t, 1H) ppm.

Intermediate Compound INT73

Methanesulfonic acid 2-[6-(2,4-dimethoxy-benzylamino)-pyridin-2-yl]-2,2-difluoro-ethyl ester



1.37 g of the compound that is described under **INT72** is dissolved in 100 ml of tetrahydrofuran and mixed at 0°C with 1.47 ml of triethylamine and 0.49 ml of methanesulfonic acid chloride and then stirred for 2 hours at room temperature. 100 ml of water is added and extracted three times with 50 ml each of ethyl acetate. The combined

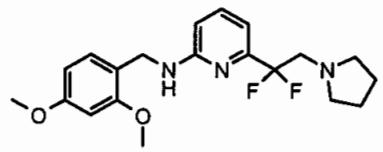
organic phases are dried on sodium sulfate. After purification by chromatography on silica gel, 1.56 g of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = 3.19 (s, 3H); 3.70 (s, 3H); 3.77 (s, 3H); 4.31 (d, 2H); 4.79 (t, 2H); 6.41 (d, 1H); 6.52 (s, 1H); 6.62 (d, 1H); 6.79 (d, 1H); 7.08-7.19 (m, 2H); 7.49 (t, 1H) ppm.

Intermediate Compound INT74

[6-(1,1-Difluoro-2-pyrrolidin-1-yl-ethyl)-pyridin-2-yl]-(2,4-dimethoxy-benzyl)-amine



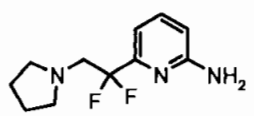
2.0 g of the compound that is described under **INT73** is dissolved in 40 ml of dimethylformamide, mixed with 1.38 g of potassium carbonate, 120 mg of potassium iodide, and 2.1 ml of pyrrolidine, and then stirred for 24 hours at 120°C. 200 ml of ethyl acetate is added and then washed with water (50 ml) as well as three times with 50 ml each of semi-saturated sodium chloride solution. The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 1.35 g of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = 1.54 (b, 4H); 2.40 (b, 4H); 3.14 (t, 2H); 3.70 (s, 3H); 3.77 (s, 3H); 4.30 (d, 2H); 6.39 (d, 1H); 6.48-6.57 (m, 2H); 6.68 (d, 1H); 7.00 (t, 1H); 7.10 (d, 1H); 7.42 (t, 1H) ppm.

Intermediate Compound INT75

6-(1,1-Difluoro-2-pyrrolidin-1-yl-ethyl)-pyridin-2-ylamine



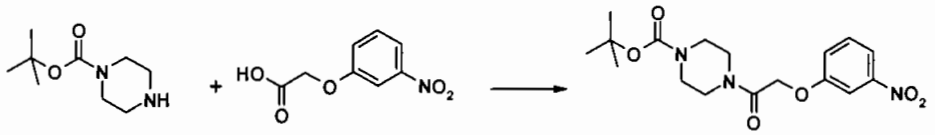
1.34 g of the compound that is described under **INT74** is dissolved in 70 ml of dichloromethane, mixed with 14 ml of trifluoroacetic acid, and stirred for 1 hour at room temperature. 50 ml of sodium bicarbonate solution is added and extracted three times with 50 ml each of dichloromethane. The combined organic phases are dried on sodium sulfate. 520 mg of the title compound is obtained as crude product and further reacted without purification.

^{1}H NMR (DMSO-d6, stored with K_2CO_3):

δ = 1.58 (b, 4H); 2.49 (b, 4H); 3.14 (t, 3H); 6.15 (s, 2H); 6.46 (d, 1H); 6.69 (d, 1H); 7.42 (t, 1H) ppm.

Intermediate Compound INT76

4-[2-(3-Nitro-phenoxy)-acetyl]-piperazine-1-carboxylic acid tert-butylester

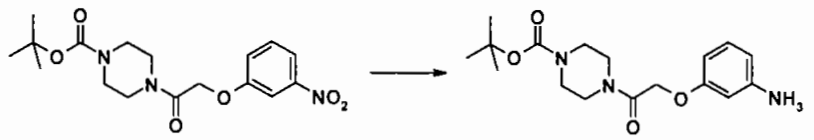


3-Nitrophenoxyacetic acid (9.3 g, 50 mmol) is dissolved in dimethylacetamide (200 ml) and added in drops at room temperature under argon $SOCl_2$ (7.4 ml, 102 mmol) within 5 minutes. It is stirred for 30 minutes at room temperature and then the Boc-piperazine (19.1 g, 102 mmol) is added in portions while being cooled with ice. It was stirred for 50 minutes at room temperature under argon, and the reaction mixture was then poured on water (500 ml), neutralized with sodium carbonate, and extracted with ethyl acetate (3 x 100 ml). The combined organic phases were washed with water (3 x 100 ml), dried on sodium sulfate, and the solvent was distilled off in a vacuum. The title compound is obtained in a quantitative yield as a black oil, which slowly crystallizes completely. The crude product was used without further purification in the next stage.

^{1}H-NMR ($CDCl_3$,): δ =1.49 (s, 9H); 3.42 (m, 4H); 3.50 (m, 4H); 4.82 (s, 2H); 7.32 (dd, 1H); 7.48 (t, 1H); 7.77 (m, 1H); .88 (dd, 1H) ppm.

Intermediate Compound INT77

4-[2-(3-Amino-phenoxy)-acetyl]-piperazine-1-carboxylic acid tert-butyl ester

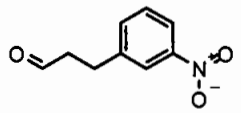


22 g (50 mmol) of the compound that is described under **INT76** is dissolved in methanol (600 ml). Pd/C (4 g) is added under argon and hydrogenated until the hydrogen absorption is completed. The catalyst is filtered off, and the solvent is distilled off in a vacuum. The title compound is obtained in the form of viscous, brown oil in a quantitative yield. The crude product is used without further purification in the next stage.

^{1}H-NMR ($CDCl_3$,): δ =1.48 (s, 9H); 3.41 (m, 4H); 3.59 (m, 4H); 4.68 (s, 2H); 6.31 (m, 3H); 7.07 (t, 1H) ppm.

Intermediate Compound INT78

3-(3-Nitrophenyl)-propionaldehyde

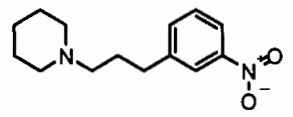


2.81 g of Dess-Martin periodinane is added to a solution of 0.80 g of 3-(3-nitrophenyl)-1-propanol (ref. J. Med. Chem., 1989, 32, 2104) in 100 ml of dichloromethane. It is stirred for 2 hours at room temperature. 50 ml of 10% sodium thiosulfate solution and 50 ml of saturated sodium bicarbonate solution are added, it is stirred for 10 minutes at room temperature, and the dichloromethane is distilled off in rotary evaporator. The residue is extracted twice with 100 ml each of ethyl acetate, the combined organic phases are washed in succession with 100 ml of water and dried on sodium sulfate. After the solvent is condensed off in a rotary evaporator, 780 mg of the title compound is obtained as a crude product, which is further reacted without additional purification.

^{1}H-NMR ($CDCl_3$): δ =2.86 (t, 2H); 3.06 (t, 2H); 7.44-7.49 (m, 1H); 7.55 (d, 1H); 8.08 (m, 2H); 9.83 (s, 1H) ppm.

Intermediate Compound INT79

1-[3-(3-Nitro-phenyl)-propyl]-piperidine

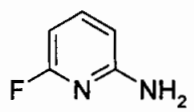


1.27 ml of piperidine and 0.16 g of sodium cyanoborohydride are added to a solution of 0.46 g of the compound, produced under **INT78**, in 10 ml of methanol. It is stirred for 3 hours at room temperature, and 50 ml of water and 40 ml of ethyl acetate are added. The phases are separated, and the aqueous phase is extracted twice with 40 ml each of ethyl acetate. The combined organic phases are washed with 40 ml of saturated common salt solution and dried on sodium sulfate. After purification on chromatography on silica gel, 635 mg of the title compound is obtained.

^{1}H-NMR ($CDCl_3$): δ =1.41-1.48 (m, 2H); 1.57-1.65 (m, 4H); 1.87 (q, 2H); 2.32-2.44 (m, 6H); 2.75 (t, 2H); 7.43 (t, 1H); 7.52 (d, 1H); 8.06 (m, 2H) ppm.

Intermediate Compound INT80

6-Fluoro-pyridin-2-ylamine



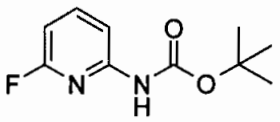
13 g of 2,6-difluoropyridine and 15 ml of 25% aqueous ammonium hydroxide solution are stirred for 24 hours at 125°C in a bomb tube. The reaction mixture is cooled to 0°C and stirred for 2 hours at this temperature. The precipitated solid is filtered off and dried at 40°C in a vacuum. 5.0 g of the title compound is obtained.

Mol. Weight/MS (ESI) $[M+1]^+$: 112.107 / 113.

^{1}H NMR ($CDCl_3$): δ = 4.52, (bs, 2H), 6.24 (dd, 1H); 6.35 (dd, 1H); 7.50 (q, 1H) ppm.

Intermediate Compound INT81

(6-Fluoro-pyridin-2-yl)-carbamic acid tert-butyl ester



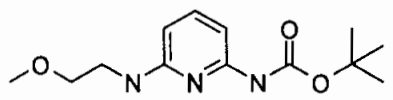
0.5 g of the compound that is described under **INT80** is dissolved in 10 ml of tetrahydrofuran, mixed with 10 mg of dimethylaminopyridine, 1.57 ml of diisopropylethylamine and 0.97 g of di-tert-butyldicarbonate, and then stirred for 4 hours at room temperature. 100 ml of ethyl acetate is added, and it is washed with water (50 ml). The organic phase is dried on sodium sulfate. After purification by chromatography on silica gel, 100 mg of the title compound is obtained.

Mol. Weight/MS (ESI) $[M+1]^+$: 212.226 / 213.

^{1}H NMR ($CDCl_3$): δ = 1.54, (s, 9H), 6.56 (dd, 1H); 7.08 (bs, 1H); 7.74 (m, 2H) ppm.

Intermediate Compound INT82

[6-(2-Methoxy-ethylamino)-pyridin-2-yl]-carbamic acid tert-butyl ester



1.0 g of the compound that is described under **INT81** and 5.0 ml of 2-methoxy-ethylamine are stirred for 48 hours at 80°C. The reaction mixture is concentrated by evaporation in a vacuum. The residue is taken up with 100 ml of ethyl acetate and washed in succession with 50 ml of water and with 50 ml of saturated common salt solution and dried on

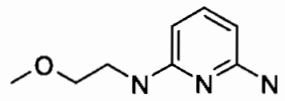
sodium sulfate. After purification by chromatography on silica gel, 500 mg of the title compound is obtained.

Mol. Weight/MS (ESI) $[M+1]^+$: 267.331 / 268.

^{1}H NMR ($CDCl_3$): 1.50, (s, 9H); 3.32 (s, 3H); 3.42 (m, 2H); 3.52 (m,2H); 4.64 (t, 1H); 6.08 (d, 1H); 6.90 (s,1H); 7.18 (d, 1H); 7.34 (t, 1H) ppm.

Intermediate Compound INT83

N-(2-Methoxy-ethyl)-pyridine-2,6-diamine



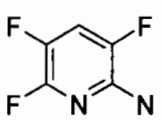
0.51 g of the compound that is described under **INT82** is dissolved in 5 ml of dichloromethane and mixed with 4.0 ml of 4 molar HCl in dioxane. It is stirred for 48 hours at room temperature. The solvent is distilled off in a vacuum, the residue is taken up with 100 ml of ethyl acetate, and washed in succession with 50 ml of 1N sodium bicarbonate solution, 50 ml of water and 50 ml of saturated common salt solution and dried on sodium sulfate. After the solvent is distilled off, 300 mg of the title compound is obtained.

Mol. Weight/MS (ESI) $[M+1]^+$: 167.212 / 168.

^{1}H NMR (DMSO-d6, stored with K_2CO_3): δ = 3.36 (s, 3H); 3.42 (m, 2H); 3.54 (m,2H); 4.16 (s, 2H); 4.60 (s, 1H); 5.80 (m,2H); 7.18 (t, 1H) ppm.

Intermediate Compound INT84

3,5,6-Trifluoro-pyridin-2-ylamine

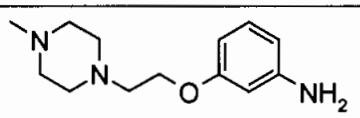


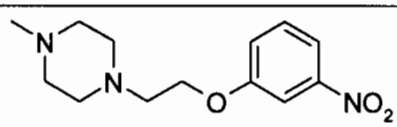
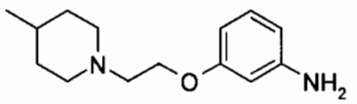
5.0 g of 2,3,5,6-tetrafluoropyridine, 140 ml of tetrahydrofuran and 25 ml of 25% aqueous ammonium hydroxide solution are stirred for 48 hours at 60°C in a bomb tube. The

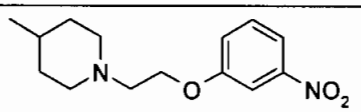
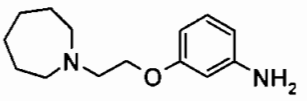
reaction mixture is mixed with 100 ml of water and extracted three times with 150 ml each of diethyl ether. After drying on sodium sulfate and distilling off of the solvent, 3.5 g of the title compound is obtained as a crude product, which is further reacted without additional purification.

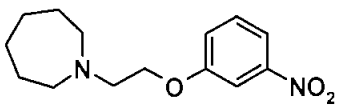
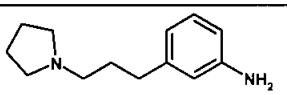
Mol. Weight/MS (ESI) $[M+1]^+$: 148.088 / 149.

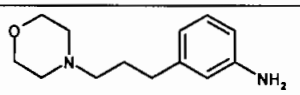
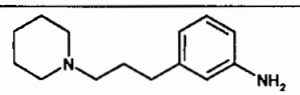
The compounds below are produced analogously to the above-described processes.

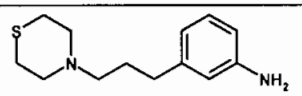
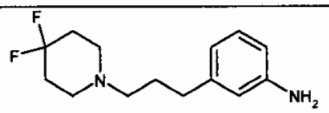
Inter-mediate Com-pound No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Syn-thesis Analo-gous to
INT85	 3-[2-(4-Methyl-piperazin-1-yl)-ethoxy]-phenylamine	(DMSO-d6, stored with K_2CO_3): δ = 2.11 (s, 3H); 2.29 (m, 4H); 2.40 (m, 4H); 2.60 (m, 2H); 3.90 (M; 2H); 4.92 (s, 2H); 6.03 (dd, 1H); 6.10 (m, 2H); 6.82 (m, 1H)	235.33/236	INT86 / INT77

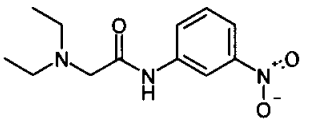
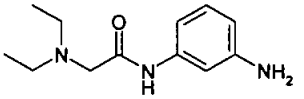
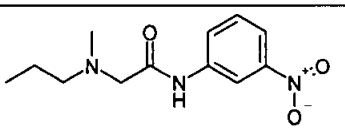
		ppm.		
INT86	 3-[2-(4-Methyl-piperazin-1-yl)-ethoxy]-nitrobenzene	($CDCl_3$): δ = 2.31 (s, 3H); 2.50 (m, 4H); 2.62 (m, 4H); 2.83 (m, 2H); 4.19 (m, 2H); 7.21 (m, 1H); 7.41 (t, 1H); 7.78 (m, 1H); 7.82 (dd, 1H) ppm.	265.31 / 266	3-Nitro-phenol / INT16
INT87	 3-[2-(4-Methyl-piperidin-1-yl)-ethoxy]-phenylamine	($CDCl_3$): δ = 0.90 (m, 4H); 1.30 (m, 2H); 1.62 (m, 2H); 2.08 (m, 2H); 2.75 (m, 2H); 2.96 (m, 2H); 3.62 (m, 2H); 4.05 (m, 2H); 6.30 (m, 2H);	234.34 / 235	INT88 / INT77

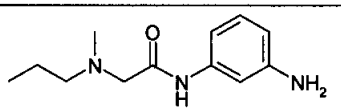
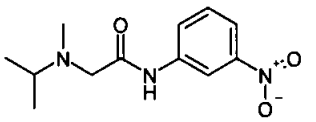
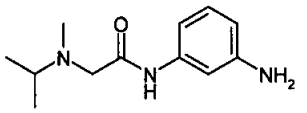
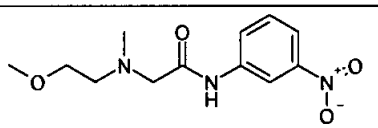
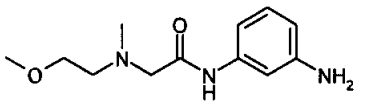
		7.04 (t, 1H); 8.01 (s, 1H) ppm.		
INT88	 3-[2-(4-Methyl-piperidin-1-yl)-ethoxy]-nitrobenzene	($CDCl_3$): δ = 0.93 (d, 4H); 1.29 (m, 2H); 1.37 (m, 2H); 1.68 (m, 2H); 2.10 (m, 2H); 2.80 (m, 2H); 2.97 (d, 2H); 4.18 (m, 2H); 7.25 (m, 1H); 7.43 (t, 1H); 7.77 (m, 1H); 7.81 (dd, 1H) ppm.	264.33 / 265	3-Nitro-phenol / INT16
INT89	 3-(2-Azepan-1-yl-ethoxy)-phenylamine	($CDCl_3$): δ = 1.68 (m, 8H); 2.81 (m, 4H); 2.99 (m, 2H); 3.65 (s, 2H); 4.08 (m, 2H); 6.29 (m, 3H);	234.34 / 235	INT90 / INT77

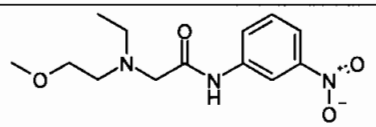
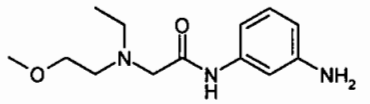
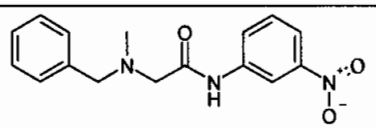
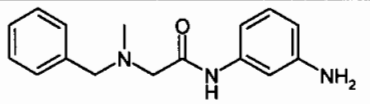
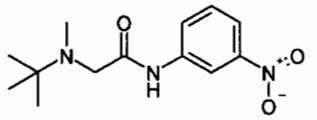
		7.04 (t, 1H) ppm.		
INT90	 3-(2-Azepan-1-yl-ethoxy)-nitrobenzene	($CDCl_3$,): δ = 1.61 (m, 4H); 1.72 (m, 4H); 2.82 (m, 4H); 3.03 (m, 2H); 4.20 (m, 2H); 7.28 (m, 1H); 7.41 (m, 1H); 7.75 (d, 1H); 7.81 (d, 1H) ppm.	264.33 / 265	3-Nitro-phenol / INT16
INT91	 3-(3-Pyrrolidin-1-yl-propyl)-phenylamine	($CDCl_3$): δ = 1.74-1.86 (m, 6H); 2.42-2.68 (m, 8H); 3.54-3.70 (m, 2H); 6.49-6.54 (m, 2H); 6.60 (d, 1H); 7.07 (t, 1H);		INT78 / INT79 + INT77

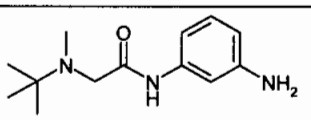
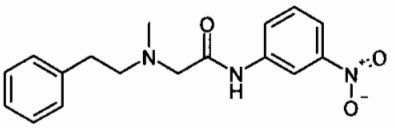
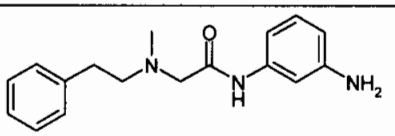
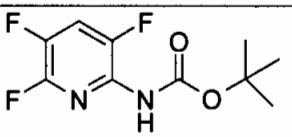
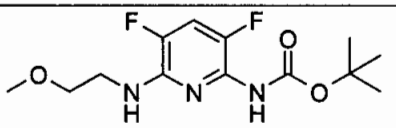
		ppm.		
INT92	 3-(3-Morpholin-4-yl-propyl)-phenylamine	($CDCl_3$): δ = 1.80 (q, 2H); 2.47 (t, 2H); 2.42-2.50 (m, 4H); 2.55 (t, 2H); 3.45-3.78 (m, 2H); 3.72 (q, 4H); 6.50-6.54 (m, 2H); 6.60 (d, 1H); 7.07 (t, 1H); ppm.		INT78 / INT79 + INT77
INT93	 3-(3-Piperidin-1-yl-propyl)-phenylamine	($CDCl_3$): δ = 1.42 (m, 2H); 1.55-1.64 (m, 4H); 1.82 (q, 2H); 2.30-2.44 (m, 6H);		INT79 / INT77

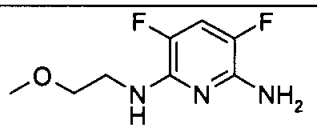
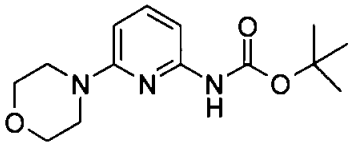
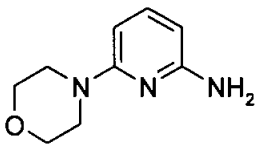
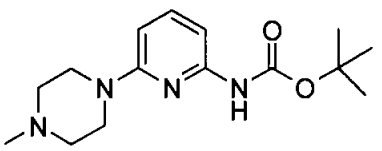
		2.53 (t, 2H); 3.52-3.68 (m, 2H); 6.48-6.53 (m, 2H); 6.60 (d, 1H); 7.06 (t, 1H); ppm.		
INT94	 3-(3-Thiomorpholin-4-yl-propyl)-phenylamine	($CDCl_3$): δ = 1.75 (q, 2H); 2.33 (t, 6H); 2.47 (t, 2H); 2.60-2.71 (m, 8H); 3.34-3.68 (m, 2H); 6.43 (m, 2H); 6.51 (d, 1H); 7.00 (t, 1H); ppm.		INT78 / INT79 + INT77
INT95		(DMSO-d6, stored with		INT78 /

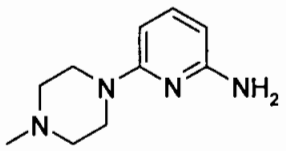
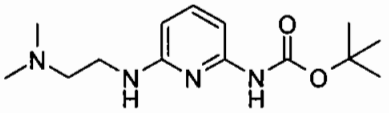
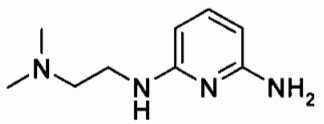
	3-[3-(4,4-Difluoro-piperidin-1-yl)-propyl]-phenylamine	K_2CO_3): δ = 1.62 (q, 2H); 1.81-1.97 (m, 4H); 2.28 (t, 2H); 2.36-2.45 (m, 6H); 4.78-4.94 (s, 2H); 6.26-6.37 (m, 3H); 6.87 (t, 1H); ppm.		INT79 + INT77
INT96	 2-Diethylamino-N-(3-nitro-phenyl)-acetamide		251.29 / 252	INT 13 / INT 14
INT97	 N-(3-Amino-phenyl)-2-diethylamino-acetamide		221.30 / 222	INT 17
INT98			251.29 /	INT 13 /

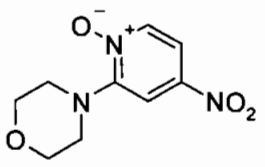
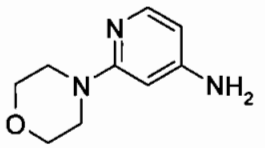
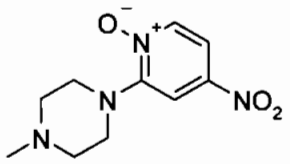
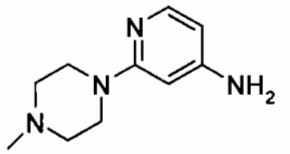
	2-(Methyl-propyl-amino)-N-(3-nitro-phenyl)-acetamide		252	INT 14
INT99	 N-(3-Amino-phenyl)-2-(methyl-propyl-amino)-acetamide		221.30 / 222	INT 17
INT100	 2-(Isopropyl-methyl-amino)-N-(3-nitro-phenyl)-aetamide		251.29 / 252	INT 13 / INT 14
INT101	 N-(3-Amino-phenyl)-2-(iso-ropyl-methyl-amino)-acetamide		221.30 / 222	INT 17
INT102	 2-[(2-Methoxy-ethyl)-methyl-amino]-N-(3-nitro-phenyl)-acetamide		267.29 / 268	INT 13 / INT 14
INT103	 N-(3-Amino-phenyl)-2-[(2-methoxy-ethyl)-methyl-		237.30 / 238	INT 17

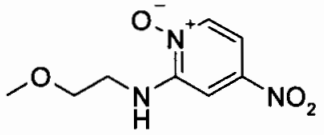
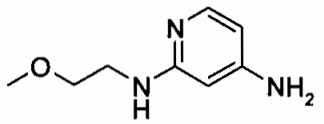
	amino]-acetamide			
INT104	 2-[Ethyl-(2-methoxy-ethyl)-amino]-N-(3-nitro-phenyl)-acetamide		281.31 / 282	INT 13 / INT 14
INT105	 N-(3-Amino-phenyl)-2-[ethyl-(2-methoxy-ethyl)-amino]-acetamide		251.33 / 252	INT 17
INT106	 2-(Benzyl-methyl-amino)-N-(3-nitro-phenyl)-acetamide		299.33 / 300	INT 13 / INT 14
INT107	 N-(3-Amino-phenyl)-2-(benzyl-methyl-amino)-acetamide		269.35 / 267	INT 17
INT108	 2-(tert-Butyl-methyl-amino)-N-(3-nitro-phenyl)-acetamide		265.31 / 266	INT 13 / INT 14

INT109	 N-(3-Amino-phenyl)-2-(tert-butyl-methyl-amino)-acetamide		235.33 / 236	INT 17
INT110	 2-(Methyl-phenethyl-amino)-N-(3-nitro-phenyl)-acetamide		313.36 / 314	INT 13 / INT 14
INT111	 N-(3-Amino-phenyl)-2-(methyl-phenethyl-amino)-acetamide		283.38 / 284	INT 17
INT112	 (3,5,6-Trifluoro-pyridin-2-yl)-carbamic acid tert-butyl ester		248.207 / 249	INT84 / INT81
INT113	 [3,5-Difluoro-6-(2-methoxy-ethylamino)-pyridin-2-yl]-carbamic acid tert-butyl ester		303.311 / 304	INT112 / INT82

INT114	 3,5-Difluoro-N-(2-methoxy-ethyl)-pyridine-2,6-diamine		203.193 / 305	INT113 / INT83
INT115	 (6-Morpholin-4-yl-pyridin-2-yl)-carbamic acid tert-butyl ester	($CDCl_3$): δ = 1.50, (s, 9H); 3.30 (m, 4H); 3.66 (m, 4H); 6.28 (d, 1H); 6.86 (s,1H); 7.20 (d, 1H); 7.48 (t, 1H) ppm.	279.342 / 280	INT81 / INT82
INT116	 6-Morpholin-4-yl-pyridin-2-ylamine	($CDCl_3$): δ = 3.40 (m, 4H); 3.74 (m, 4H); 4.20 (s, 2H); 5.90 (d, 1H); 6.00 (d,1H); 7.22 (t, 1H) ppm.	179.223 / 180	INT115 / INT83
INT117	 [6-(4-Methyl-piperazin-1-yl)-pyridin-2-yl]-carbamic acid tert-butyl ester	($CDCl_3$): δ = 1.56, (s, 9H); 2.58 (s, 3H); 2.50 (m, 4H); 3.50 (m, 4H); 6.26 (d, 1H);	292.384 / 293	INT81 / INT82

		6.90 (s,1H); 7.16 (d, 1H); 7.48 (t, 1H) ppm.		
INT118	 6-(4-Methyl-piperazin-1-yl)-pyridin-2-ylamine	($CDCl_3$): δ = 2.88 (s, 3H); 3.60 (m, 4H); 3.74 (m, 4H); 5.92 (d,1H); 6.20 (d, 1H); 7.54 (t, 1H) ppm.	192.266 / 193	INT117 / INT83
INT119	 [6-(2-Dimethylamino-ethylamino)-pyridin-2-yl]-carbamic acid tert-butyl ester	($CDCl_3$): δ = 1.46, (s, 9H); 2.42 (s, 6H); 3.22 (m, 2H); 3.34 (m,2H); 4.70 (t, 1H); 6.06 (d, 1H); 7.14 (d, 1H); 7.36 (t, 1H) ppm.	280.373 / 281	INT81 / INT82
INT120	 N-(2-Dimethylamino-ethyl)-pyridine-2,6-diamine	(DMSO-d6): δ = 2.44 (s, 6H); 2.50 (t, 2H); 3.34 (m,2H); 5.78 (m, 2H); 7.20 (t, 1H) ppm.	180.255 / 181	INT119 / INT83

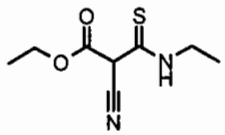
INT121		($CDCl_3$): δ = 3.46 (m, 4H); 3.94 (m, 4H); 7.66 (s, 1H); 7.72 (dd,1H); 8.20 (d, 1H) ppm.	225.206 / 226	- / INT11
INT122	 2-Morpholin-4-yl-pyridin-4-ylamine	($CDCl_3$): δ = 3.42 (m, 4H); 3.82 (m, 4H); 5.84 (s, 1H); 6,02 (d,1H); 7.88 (d, 1H) ppm.	179.223 / 180	INT121 / INT12
INT123		($CDCl_3$): δ = 2.36 (s, 3H); 3.40 (m, 4H); 3.74 (m, 4H); 7.22 (dd, 1H); 7.30 (s,1H); 8,34 (d, 1H) ppm.	238.248 / 239	- / INT11
INT124	 2-(4-Methyl-piperazin-1-yl)-pyridin-4-ylamine	($CDCl_3$): δ = 2.30 (s, 3H); 2.45 (m, 4H); 3.48 (m, 4H); 5.88 (s, 1H); 5.96 (dd,1H); 7.84 (d,	192.266 / 193	INT123 / INT12

		1H) ppm.		
INT125		($CDCl_3$): δ = 3.42 (s, 3H); 3.64 (m, 2H); 3.72 (m,2H); 7.14 (s, 1H); 7.46 (dd, 1H); 7.58 (dd,1H); 7.26 (d, 1H) ppm.	213.195 / 214	- / INT11
INT126 N*2*-(2-Methoxy-ethyl)-pyridine-2,4-diamine		(DMSO-d6): δ = 3.40 (s, 3H); 3.46 (m, 2H); 3.64 (m, 2H); 5.54 (s, 1H); 5.60 (s, 2H); 5.80 (dd,1H); 5.88 (t,1H); 7.44 (d, 1H) ppm.	167.212 / 168	INT125 / INT12

2. Synthesis of Templates

Intermediate Compound INTT1)

Cyano-ethylthiocarbamoyl-acetic Acid Ethyl Ester

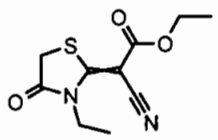


4.25 ml of ethyl isothiocyanate is added to a mixture that consists of 5 g of cyanoacetic acid ethyl ester and 5 ml of triethylamine at 25°C. Then, it is allowed to stir for 6 more hours at 50°C. Then, the reaction mixture is concentrated by evaporation in a vacuum. The residue is taken up in ethanol and poured into 150 ml of ice-cold 1N hydrochloric acid. It is allowed to stir for 3 more hours at 25°C, and then the residue is filtered off. The solid that is obtained is rewashed with water. 7 g of product is obtained.

Molar Mass = 200.261; MS (ESI): [M+1]+ = 201.

Intermediate Compound INTT2)

(E or Z)-Cyano-(3-ethyl-4-oxo-thiazolidin-2-ylidene)-acetic Acid Ethyl Ester



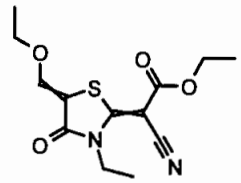
7.82 g of the compound that is described under Intermediate Compound INTT1) is dissolved in 100 ml of tetrahydrofuran. A solution of 3.9 ml of bromoacetyl chloride is slowly added and allowed to stir for 8 more hours at 25°C. Then, the reaction mixture is poured into saturated aqueous sodium bicarbonate solution. It is allowed to stir for 1 more hour and then extracted with ethyl acetate. The organic phase is washed with saturated sodium chloride solution, dried on sodium sulfate, and concentrated by evaporation in a vacuum. The crude

product that is obtained is recrystallized from a mixture of ethyl acetate/diisopropyl ester. 7.7 g of product is obtained.

1H-NMR (CDCl3): δ = 1.36 (6H); 3.70 (2H); 4.32 (4H) ppm.

Intermediate Compound INTT3)

(E or Z)-Cyano-(5-(E/Z)-ethoxymethylene-3-ethyl-4-oxo-thiazolidin-2-ylidene)-acetic acid ethyl ester

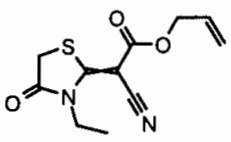


A mixture that consists of 1.54 g of the substance that is described under Intermediate Compound INTT2), 2.5 ml of triethylorthoformate and 3.5 ml of acetic acid anhydride are refluxed for 8 hours. Then, the reaction mixture is poured into ice water. It is allowed to stir for 3 more hours, and then the residue is filtered off. The solid that is obtained is rewashed with water. 1.28 g of product is obtained.

1H-NMR (CDCl3): δ =1.38 (9H); 4.20-4.40 (6H); 7.72 (1H) ppm.

Intermediate Compound INTT4)

(E or Z)-Cyano-(3-ethyl-4-oxo-thiazolidin-2-ylidene)-acetic acid allyl ester



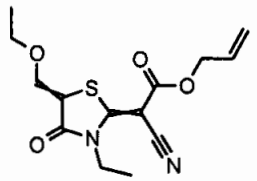
A solution of 37.6 ml of cyanoacetic acid allyl ester in 60 ml of dimethylformamide is added to a suspension of 12.8 g of sodium hydride (60%) in 200 ml of dimethylformamide at 0°C. It is stirred for 10 more minutes at 0°C, and then a solution of 28.0 ml of ethyl isothiocyanate in 60 ml of dimethylformamide is added. It is then stirred for 2 more hours at

25°C. Then, a solution of 32 ml of bromoacetyl chloride in 60 ml of dimethylformamide is added at 0°C, and it is stirred for 15 more hours at 25°C. Then, the reaction mixture is poured into saturated sodium bicarbonate solution. It is extracted with ethyl acetate, the organic phase is washed with saturated sodium chloride solution, dried on sodium sulfate and concentrated by evaporation in a vacuum. The crude product is purified by column chromatography on silica gel with a mixture that consists of hexane/ethyl acetate. 33.9 g of product is obtained.

1H-NMR (CDCl3): δ = 1.23 (3H); 4.11 (2H); 4.71 (2H); 5.25 (1H); 5.37 (1H); 5.90-6.04 (1H) ppm.

Intermediate Compound INTT5)

(E or Z)-Cyano-(5-(E/Z)-ethoxymethylene-3-ethyl-4-oxo-thiazolidin-2-yliden)-acetic acid allyl ester

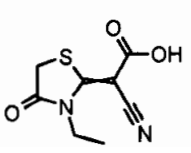


Analogously to Intermediate Compound INTT3), 14.8 g of product is obtained from 12.8 g of the compound that is described under Intermediate Compound INTT4), 20.9 ml of triethylorthoformate and 29.4 ml of acetic acid anhydride.

1H-NMR (CDCl3): δ = 1.32-1.45 (6H); 4.23 (2H); 4.38 (2H); 4.73 (2H); 5.29 (1H); 5.41 (1H); 5.92-6.05 (1H); 7.72 (1H) ppm.

Intermediate Compound INTT6)

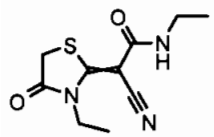
Cyano-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid



5.04 g of the compound that is described under intermediate compound INTT4) is dissolved in 300 ml of tetrahydrofuran. 3.42 g of 1,3-dimethylbarbituric acid and 1.17 g of tetrakis-(triphenylphosphine)-palladium are added. It is stirred for 30 minutes at room temperature, and the reaction mixture is evaporated to the dry state in a rotary evaporator. The thus obtained crude product is used without additional purification.

1H-NMR (DMSO-d6, selected signals) δ = 1.21 (t, 3H); 3.89 (s, 2H); 4.10 (q, 2H); 13.24 (s,b, 1H) ppm.

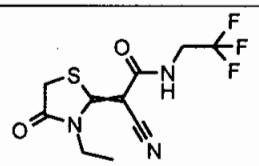
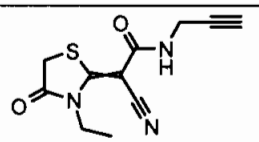
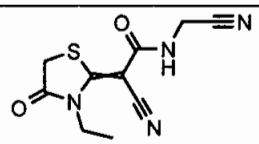
Intermediate Compound INTT7) 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide

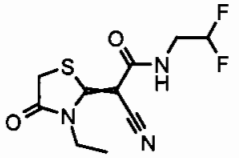
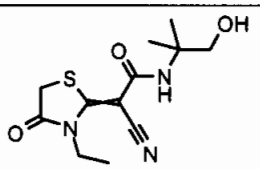


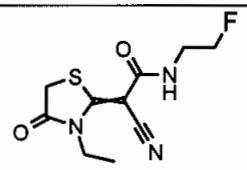
About 4.15 g of the compound that is described under intermediate compound INTT6) (crude product, which was obtained from 2.5 g of the compound that is described under intermediate compound INTT4) is dissolved in 100 ml of dimethylformamide. 3.34 g of sodium bicarbonate, 6.0 ml of a solution of ethylamine in tetrahydrofuran (c= 2.0 M), and 3.88 g of TBTU are added. It is stirred for 3 hours at room temperature. The reaction mixture is mixed with water and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, and concentrated by evaporation. After purification by recrystallization from ethanol, 1.47 g of the title compound is obtained.

1H-NMR (DMSO-d6): δ =1.05 (t, 3H); 1.21 (t, 3H); 3.18 (pentuplet, 2H); 3.70 (s, 2H); 4.10 (q, 2H); 7.81 (t, 1H) ppm.

The compounds below are produced analogously to the above-described process.

Example No.	Structure and Name	^{1}H-NMR	Mol. Weight	Educt/ Synthesis Analogous to
INTT8	 2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3): δ = 1.22 (t, 3H); 3.84 (s, 2H); 3.95 (m, 2H); 4.11 (q, 2H); 8.33 (t, 1H) ppm.	293.27	INTT6/ INTT7
INTT9	 2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3): δ = 1.21 (t, 3H); 3.07 (m, 1H); 3.83 (s, 2H); 3.90 (m, 2H); 4.10 (q, 2H); 8.22 (t, 1H) ppm.	249.29	INTT6/ INTT7
INTT10		(DMSO-d6, stored with K_2CO_3): δ =	250.28	INTT6/ INTT7

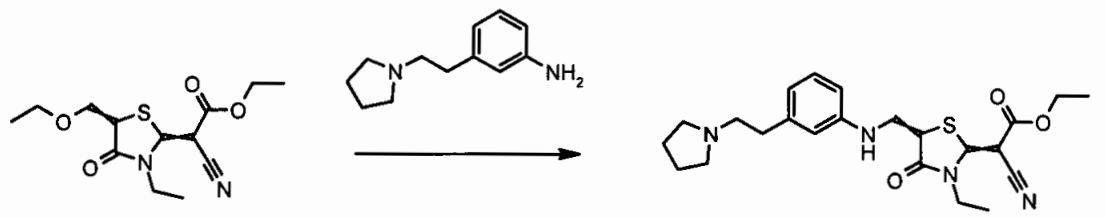
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight	Educt/ Synthesis Analogous to
	2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	1.21 (t, 3H); 3.88 (s, 2H); 4.10 (q, 2H); 4.17 (d, 2H); 8.47 (t, 1H) ppm.		
INTT11	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3): δ = 1.17 (t, 3H); 3.03 (m, 2H); 3.78 (s, 2H); 4.07 (q, 2H); 6.02 (m, 1H); 8.01 (m, 1H) ppm.	275.27 / 276	INTT6 / INTT7
INTT12	 2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-	($CDCl_3$): δ = 1.32 (t, 3H); 1.36 (s, 6H); 3.60 (s, 2H); 3.68 (m, 2H); 4.24 (q, 2H);	283.35 / 284	INTT6 / INTT7

Example No.	Structure and Name	^{1}H-NMR	Mol. Weight	Educt/ Synthesis Analogous to
	dimethyl-ethyl)-acetamide	6.30 (s, 1H) ppm.		
INTT13	 2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	($CDCl_3$): δ = 1.32 (t, 3H); 3.62 (s, 2H); 3.64 (m, 2H); 4.35 (q, 2H); 4.50 (m, 2H); 6.63 (s, 1H) ppm.	257.28 / 258	INTT6 / INTT7

3. Synthesis of Ethyl Ester and Allyl Ester Intermediate Products

Intermediate Compound INTE1

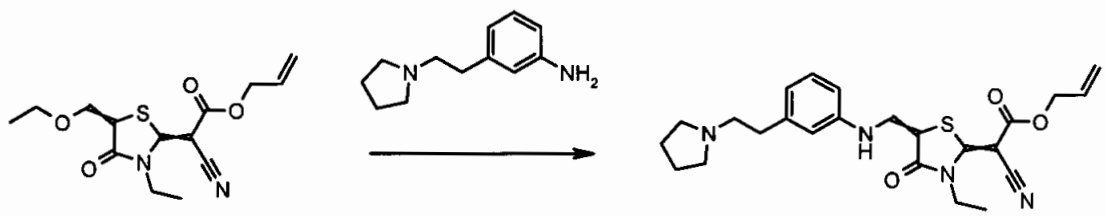
Cyano-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester



740 mg of the compound that is described under Intermediate Compound INT3) is dissolved in 50 ml of ethanol. 1.1 g of the compound that is described under Intermediate Compound INTT3) is added, and it is stirred for 5 hours under reflux. The solvent is condensed in a rotary evaporator. After purification by chromatography on silica gel, 540 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (CDCl3, main isomer): δ = 1.38 (t, 3H); 1.42 (t, 3H); 1.83 (m, 4H); 2.60 (m, 4H); 2.72 (m, 2H); 2.86 (m, 2H); 4.31 (q, 2H); 4.43 (q, 2H); 6.87-6.97 (m, 2H); 7.00 (d, 1H); 7.29 (t, 1H); 7.62 (d, 1H); 10.56 (d, 1H) ppm.

Intermediate Compound INTE2 Cyano-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester



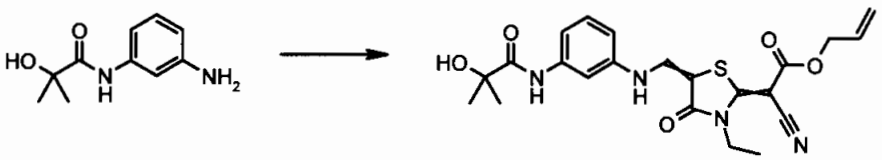
1.35 g of the compound that is described under Intermediate Compound INT3) is dissolved in 400 ml of ethanol. 2.19 g of the compound that is described under Intermediate

Compound INTT5) is added, and it is stirred for 4 hours under reflux. The solvent is condensed in a rotary evaporator. After purification by chromatography on silica gel, 2.2 g of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 1.69 (m, 4H); 2.50 (m, 4H); 2.66 (m, 2H); 2.76 (m, 2H); 4.25 (q, 2H); 4.71 (d, 2H); 5.26 (d, 1H); 5.38 (d, 1H); 5.90-6.08 (m, 1H); 6.96 (d, 1H); 7.12 (d, 1H); 7.22 (s, 1H); 7.26 (t, 1H); 8.22 (s, 1H); 10.53 (s,b, 1H) ppm.

Intermediate Compound INTE3

Cyano-[3-ethyl-5-[1-[3-(2-hydroxy-2-methyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester

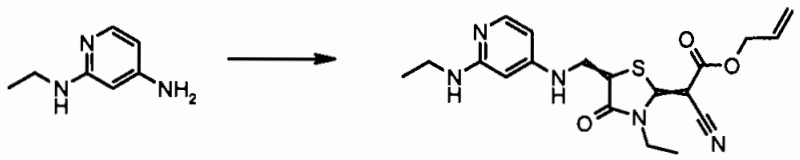


1.26 g of the compound that is described under Intermediate Compound INT6) is dissolved in 400 ml of ethanol. 2.0 g of the compound that is described under Intermediate Compound INTT5) is added, and it is stirred under reflux for 6 hours. After cooling, the reaction mixture is filtered, and the solid that is obtained is recrystallized from ethanol. 1.4 g of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture. The solution that is obtained with the filtration is concentrated by evaporation in a rotary evaporator. After purification by chromatography on silica gel, the residue produces another 1.1 g of the title compound as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K2CO3, main isomer): δ = 1.28 (t, 3H); 1.38 (s, 6H); 4.26 (q, 2H); 4.72 (d, 2H); 5.27 (d, 1H); 5.39 (d, 1H); 5.76 (s, 1H); 5.90-6.08 (m, 1H); 6.99 (d, 1H); 7.27 (t, 1H); 7.46 (d, 1H); 7.89 (s, 1H); 8.16 (s, 1H); 9.67 (s, 1H); 10.63 (s, 1H) ppm.

Intermediate Compound INTE4

Cyano-[3-ethyl-5-[1-(2-ethylamino-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester

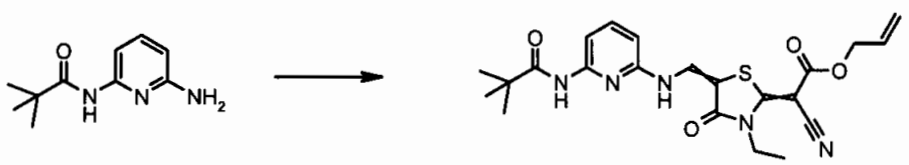


0.94 g of the compound that is described under Intermediate Compound INT12) is dissolved in 50 ml of 1-propanol. 1.85 g of the compound that is described under Intermediate Compound INTT5) is added, and it is stirred for 4 hours under reflux. After cooling, the reaction mixture is filtered. After purification by chromatography on silica gel, the solid that is obtained yields 1.48 g of the title compound as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.13 (t, 3H); 1.26 (t, 3H); 3.24 (pentuplet, 2H); 4.25 (q, 2H); 4.72 (d, 1H); 5.28 (d, 1H); 5.39 (d, 1H); 5.90-6.07 (m, 1H); 6.25 (d, 1H); 6.44 (dd, 1H); 6.49 (t, 1H); 7.85 (d, 1H); 8.13 (s, 1H); 10.47 (s, 1H) ppm.

Intermediate Compound INTE5

Cyano-[5-[1-[6-(2,2-dimethyl-propionylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester

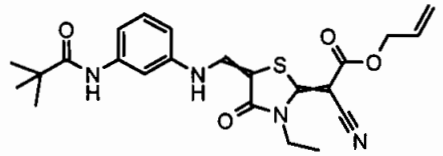


1.35 g of the compound that is described under Intermediate Compound INT9) is dissolved in 50 ml of 1-propanol. 2.0 g of the compound that is described under Intermediate Compound INTT5) is added, and it is stirred under reflux for 3 hours. After cooling, the

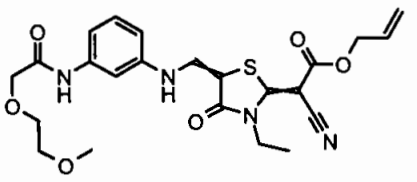
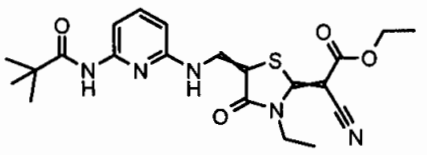
reaction mixture is filtered, and the solid that is obtained is recrystallized from ethanol. 2.47 g of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20-1.31 (m, 12H); 4.27 (q, 2H); 4.72 (d, 2H); 5.28 (d, 2H); 5.39 (d, 2H); 5.91-6.06 (m, 1H); 6.29 (d, 2H); 7.68-7.80 (m, 2H); 8.86 (s, 1H); 9.71 (s, 1H); 10.94 (s, 1H) ppm.

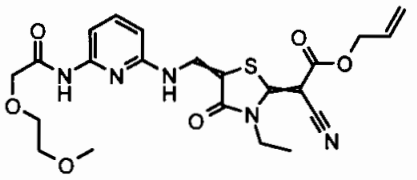
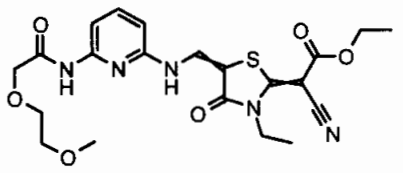
The compounds below are produced analogously to the above-described process.

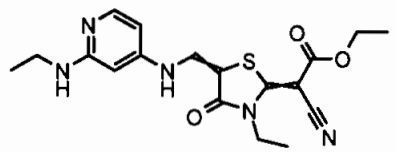
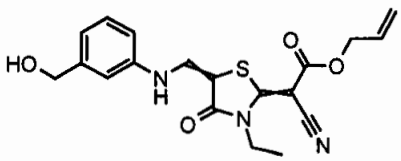
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight / MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
INTE6	 Cyano-[5-[1-[3-(2,2-dimethyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.19-1.32 (m, 12H); 4.27 (q, 2H); 4.72 (d, 2H); 5.27 (m, 1H); 5.39 (m, 1H); 5.91-6.07 (m, 1H); 6.99 (d, 1H); 7.28 (t, 1H);	454.55/ 455	INTT5/ INTE2

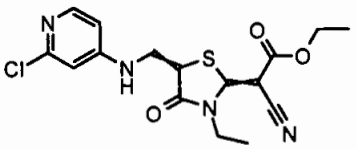
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight / MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.39 (d, 1H); 7.78 (s, 1H); 8.13 (d, 1H); 9.28 (s, 1H); 10.67 (d, 1H) ppm.		

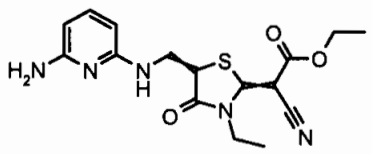
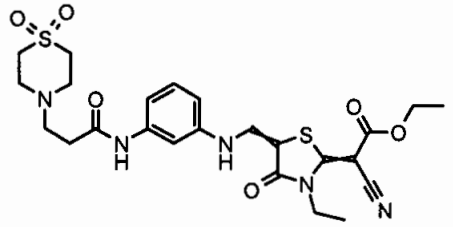
INTE7	 Cyano-[3-ethyl-5-[1-{3-[2-(2-methoxy-ethoxy)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 3.30 (s, 3H); 3.55 (m, 2H); 3.68 (m, 2H); 4.10 (s, 2H); 4.26 (q, 2H); 4.72 (d, 2H); 5.77 (d, 1H); 5.89 (d, 1H); 5.90-6.07 (m, 1H); 7.03 (m, 1H); 7.24-7.36 (m, 2H); 7.78 (s, 1H); 8.15 (s, 1H); 9.72 (s, 1H); 10.69 (s, 1H) ppm.	486.55/ 487	INTT5/ INTE2
INTE8	 Cyano-[5-[1-[6-(2,2-dimethyl-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.18-1.32 (m, 15H);	443.53/ 444	INTT3/ INTE1

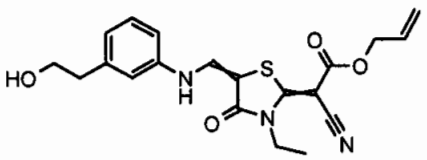
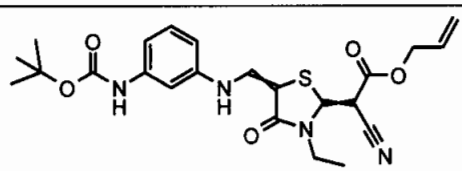
	propionylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	4.16-4.31 (m, 4H); 6.80 (d, 1H); 7.68-7.79 (m, 2H); 8.86 (s, 1H); 9.70 (s, 1H); 10.92 (s, 1H) ppm.		

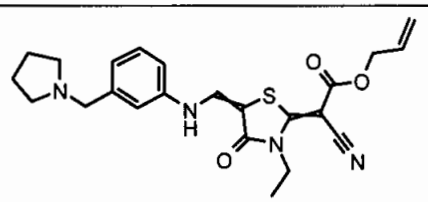
INTE9	 Cyano-[3-ethyl-5-[1-{6-[2-(2-methoxy-ethoxy)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 3.33 (s, 3H); 3.52 (m, 2H); 3.70 (m, 2H); 4.26 (q, 2H); 4.71 (d, 1H); 5.27 (d, 1H); 5.39 (d, 1H); 5.92-6.07 (m, 1H); 6.80 (d, 1H); 7.70-7.83 (m, 2H); 8.80 (s, 1H); 9.97 (s, 1H); 11.01 (s, 1H) ppm.	487.53/ 488	INTT5/ INTE2
INTE10	 Cyano-[3-ethyl-5-[1-{6-[2-(2-methoxy-ethoxy)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20-1.32 (m, 6H); 3.32 (s, 3H); 3.53 (m, 2H);	475.52/ 476	INTT3/ INTE1

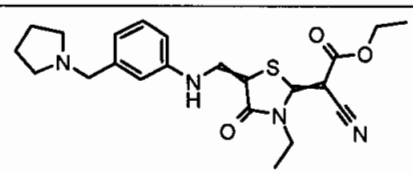
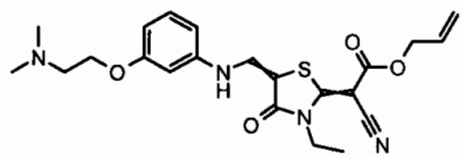
	ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	3.70 (m, 2H); 4.25 (s, 2H); 4.20-4.31 (m, 4H); 6.82 (d, 1H); 7.71-8.84 (m, 2H); 8.74 (s, 1H); 10.00 (s, 1H); 10.98 (s, 1H) ppm.		
INTE11	 Cyano-[3-ethyl-5-[1-(2-ethylamino-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.12 (t, 3H); 1.19-1.32 (m, 6H); 3.23 (m, 2H); 4.15-4.31 (m, 4H); 6.25 (d, 1H); 6.44 (dd, 1H); 6.49 (t, 1H); 7.35 (d, 1H); 8.11 (s, 1H); 10.46 (s, 1H) ppm.	387.46/ 388	INTT3/ INTE1
INTE12		(DMSO-d6, stored with K_2CO_3, main isomer):	385.44/ 386	INTT5/ INTE2

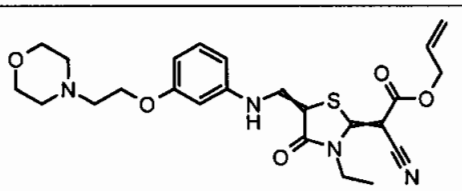
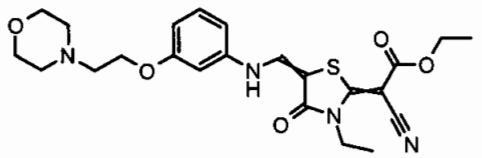
	Cyano-[3-ethyl-5-[1-(3-hydroxymethyl-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	δ = 1.15 (t, 3H); 4.26 (q, 2H); 4.51 (d, 2H); 4.72 (d, 2H); 5.21-5.32 (m, 2H); 5.39 (d, 1H); 5.90-6.08 (m, 1H); 7.04 (d, 1H); 7.18 (d, 1H); 7.25-7.38 (m, 2H); 8.21 (s, 1H); 10.60 (s, 1H) ppm.		
INTE13	 [5-[1-(2-Chloro-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.19-1.32 (m, 6H); 4.19-4.31 (m, 4H); 7.30 (d, 1H); 7.44 (s, 1H); 8.21 (d, 1H); 8.32 (d, 1H); 10.67 (s, 1H) ppm.	378.84/ 379	INTT3/ INTE1

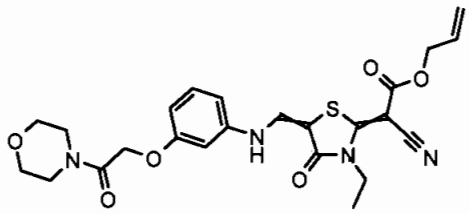
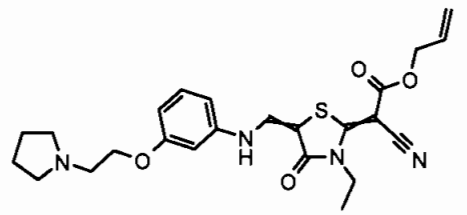
INTE14	 [5-[1-(6-Amino-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.18-1.31 (m, 6H); 4.15-4.31 (m, 4H); 6.09-6.25 (m, 4H); 7.33 (t, 1H); 8.77 (s, 1H); 10.73 (s, 1H) ppm.	359.41/ 360	INTT3/ INTE1
INTE15	 Cyano-[5-[1-{3-[3-(1,1-dioxo-1lambda*6*-thiomorpholin-4-yl)-propionylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.16-1.33 (m, 6H); 2.48 (m, 2H); 2.86 (m, 2H); 2.93 (m, 4H); 3.08 (m, 4H); 4.13-4.30 (m, 4H); 7.00 (d, 1H); 7.19 (d, 1H); 7.28 (t, 1H); 7.73 (s, 1H); 8.10 (s, 1H);	547.65/ 548	INTT3/ INTE1

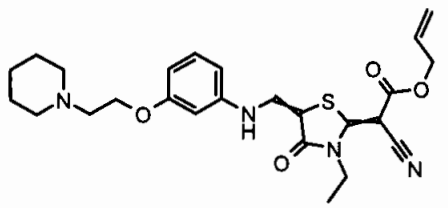
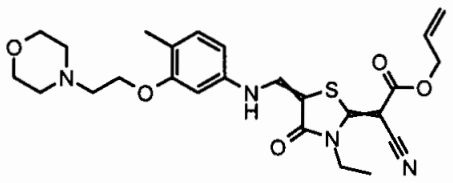
		10.40 (s, 1H); 10.64 (s, 1H) ppm.		
INTE16	 Cyano-[3-ethyl-5-[1-[3-(2-hydroxy-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 2.72 (t, 2H); 3.61 (q, 2H); 4.24 (q, 2H); 4.65 (t, 1H); 4.70 (d, 2H); 5.27 (d, 1H); 5.39 (d, 1H); 5.91-6.08 (m, 1H); 6.94 (d, 1H); 7.11 (d, 1H); 7.18 (s, 1H); 7.24 (t, 1H); 8.23 (s, 1H); 10.55 (s, 1H) ppm.	399.47/ 400	INTT5/ INTE2
INTE17	 [5-[1-(3-tert-Butoxycarbonylamino-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =	470.55/ 471	INTT5/ INTE2

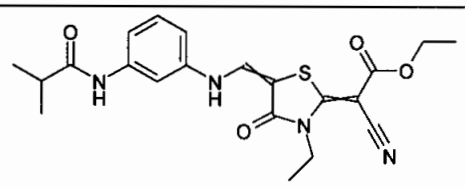
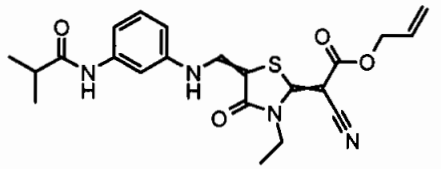
	phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester	1.07 (t, 3H); 1.26 (t, 3H); 4.25 (q, 2H); 4.71 (d, 2H); 5.28 (d, 1H); 5.39 (d, 1H); 5.91-6.08 (m, 1H); 6.92 (d, 1H); 7.09 (d, 1H); 7.21 (t, 1H); 7.60 (s, 1H); 8.11 (s, 1H); 9.48 (s, 1H); 10.67 (s, 1H) ppm.		
INTE18	 Cyano-[3-ethyl-4-oxo-5-[1-(3-pyrrolidin-1-ylmethyl-phenylamino)-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	^{1}H-NMR ($CDCl_3$, 300 MHz) (selected peaks) δ = 1.38 (m, 3H); 1.75 (m, 4H); 2.48 (m, 4H); 3.59 (s, 2H); 4.41 (m, 2H); 4.72 (m, 2H); 5.23 (dd, 1H); 5.39 (dd, 1H); 5.97 (m, 1H); 6.97 (dd, 1H); 7.11 (m, 2H); 7.30 (m, 1H); 7.68 (s, 1H);	MW: 438.55 MS (ESI) $[M+1]^+$: 439	INTT5/ INTE2

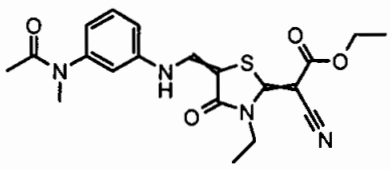
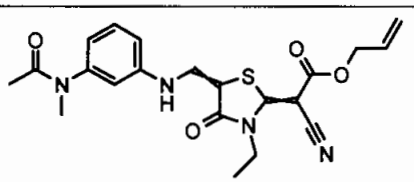
		10.52 (s, 1H).		
INTE19	 Cyano-[3-ethyl-4-oxo-5-[1-(3-pyrrolidin-1-ylmethyl-phenylamino)-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	^{1}H-NMR ($CDCl_3$, 300 MHz) (selected peaks) δ = 1.38 (m, 6H); 1.80 (m, 4H); 2.51 (m, 4H); 3.62 (s, 2H); 4.33 (m, 3H); 4.46 (m, 2H); 6.98 (dd, 1H); 7.10 (m, 2H); 7.30 (m, 1H); 7.68 (d, 1H); 10.58 (d, 1H).	MW: 426.54 MS (ESI) $[M+1]^+$: 427	INTT3/ INTE1
INTE20	 Cyano-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.29 (m, 3H); 2.22 (s, 6H); 2.63 (m, 2H); 4.08 (m, 2H); 4.27 (m, 2H); 4.71 (d, 2H); 5.28 (dd, 1H); 5.39 (dd, 1H); 6.00 (m, 1H); 6.67 (dd, 1H); 6.91 (m, 1H); 7.24 (m, 1H); 8.22 (s, 1H); 10.48 (s, 1H).	MW: 442.54 MS (ESI) $[M+1]^+$: 443	INTT5/ INTE2

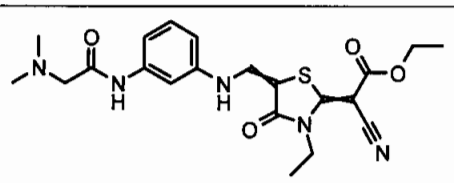
INTE21	 Cyano-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	^{1}H-NMR ($CDCl_3$, 300 MHz) (selected peaks) δ = 1.21 (m, 3H); 2.67 (m, 2H); 3.58 (m, 4H); 4.09 (m, 2H); 4.21 (m, 2H); 4.70 (d, 2H); 5.28 (dd, 1H); 5.40 (dd, 1H); 6.00 (m, 1H); 6.65 (dd, 1H); 6.86 (m, 2H); 7.21 (m, 1H); 8.16 (s, 1H); 10.39 (s, 1H).	MW: 484.57 MS (ESI) $[M+1]^+$: 485	INTT5/ INTE2
INTE22	 Cyano-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	^{1}H-NMR ($CDCl_3$, 300 MHz) (selected peaks) δ = 1.36 (m, 6H); 2.59 (m, 4H); 2.81 (m, 2H); 3.73 (m, 4H); 4.11 (m, 2H); 4.30 (m, 2H); 4.42 (m, 2H); 6.65 (m, 3H); 7.23 (m, 1H); 7.58 (d, 1H); 10.50 (d, 1H).	MW: 472.56 MS (ESI) $[M+1]^+$: 473	INTT3/ INTE1

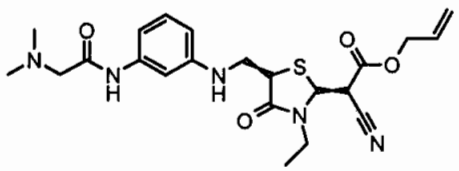
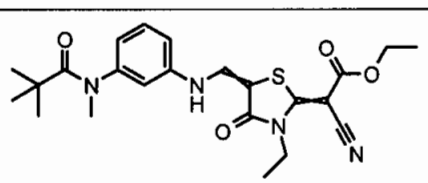
INTE23	 Cyano-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-2-oxo-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.29 (m, 3H); 3.36 (s, 2H); 3.62 (m, 4H); 4.28 (m, 2H); 4.71 (d, 2H); 4.87 (m, 2H); 5.29 (dd, 1H); 5.40 (dd, 1H); 6.01 (m, 1H); 6.68 (dd, 1H); 6.92 (m, 2H); 7.28 (m, 1H); 8.20 (d, 1H); 10.49 (d, 1H).	MW: 498.56 MS (ESI) $[M+1]^+$: 499	INTT5/ INTE2
INTE24	 Cyano-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.23 (m, 3H); 1.69 (m, 4H); 2.80 (m, 2H); 4.08 (m, 2H); 4.26 (m, 2H); 4.71 (d, 2H); 5.28 (dd, 1H); 5.40 (dd, 1H); 6.00 (m, 1H); 6.68 (dd, 1H); 6.90 (m, 2H); 7.27 (m, 1H); 8.27 (s, 1H); 10.48 (s, 1H).	MW: 468.58 MS (ESI) $[M+1]^+$: 469	INTT5/ INTE2

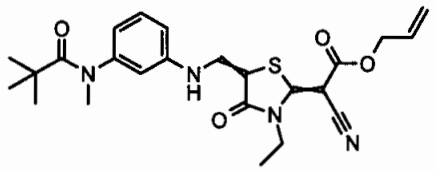
INTE25	 Cyano-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.25 (m, 3H); 1.39 (m, 2H); 1.49 (m, 4H); 2.63 (m, 2H); 4.10 (m, 2H); 4.25 (m, 2H); 4.72 (d, 2H); 5.28 (dd, 1H); 5.39 (dd, 1H); 5.98 (m, 1H); 6.65 (dd, 1H); 6.90 (m, 2H); 7.25 (m, 1H); 8.27 (s, 1H); 10.43 (s, 1H).	MW: 482.60 MS (ESI) $[M+1]^+$: 483	INTT5/ INTE2
INTE26	 Cyano-[3-ethyl-5-[1-[4-methyl-3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	^{1}H-NMR ($CDCl_3$, 300 MHz) (selected peaks) δ = 1.40 (m, 3H); 2.19 (s, 3H); 2.68 (m, 4H); 2.89 (m, 2H); 3.75 (m, 4H); 4.13 (m, 2H); 4.42 (m, 2H); 4.73 (m, 2H); 5.28 (dd, 1H); 5.41 (dd, 1H); 5.99 (m, 1H); 6.55 (m, 2H); 7.11 (m, 2H); 8.10 (d, 1H).	MW: 498.61 MS (ESI) $[M+1]^+$: 499	INTT5/ INTE2

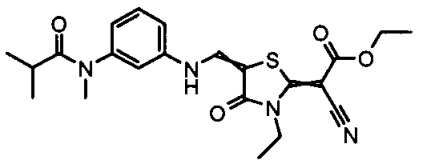
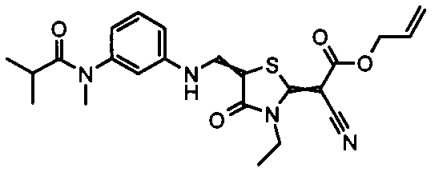
INTE27	 Cyano-{3-ethyl-5-[1-(3-isobutyryl-amino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.10 (d, 6H); 1.21-1.28 (m, 6H); 2.58 (m, 1H); 4.19-4.25 (m, 4H); 6.93 (d, 1H); 7.17-7.25 (m, 2H); 7.72 (s, 1H); 8.06 (1H); 9.87 (s, 1H); 10.58 (1H) ppm.	428.51/ 429	INTT3/ INTE1
INTE28	 Cyano-{3-ethyl-5-[1-(3-isobutyryl-amino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.10 (d, 6H); 1.24 (t, 3H); 2.58 (m, 1H); 4.23 (q, 2H); 4.27 (d, 2H); 5.23-5.26 (m,1H); 5.34-5.39 (m, 1H);	440.53/ 441	INTT5/I NTE2

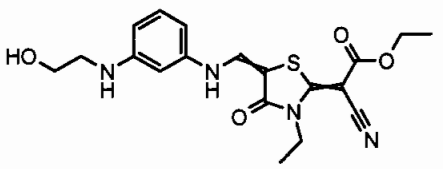
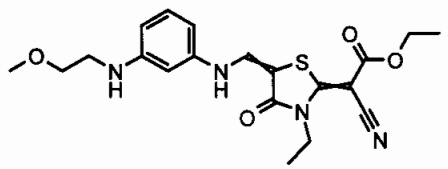
		5.92-6.01 (m, 1H); 6.95 (d, 1H); 7.19-7.26 (m, 2H); 7.74 (s, 1H); 8.09 (1H); 9.88 (s, 1H); 10.62 (1H) ppm.		
INTE29	 {5-[1-[3-(Acetyl-methyl-amino)-phenyl-amino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-cyanoacetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21-1.27 (2t, 6H); 1.80 (3H); 3.15 (3H); 4.19-4.25 (m, 4H); 7.01 (d, 1H); 7.24-7.26 (m, 1H); 7.35-7.39 (m, 2H); 8.25 (d, 1H); 10.51 (1H) ppm.	414.49/ 415	INTT3/ INTE1
INTE30	 {5-[1-[3-(Acetyl-methyl-amino)-phenyl-amino]-meth-(E/Z)-ylidene]-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H);	426.50/ 427	INTT5/ INTE2

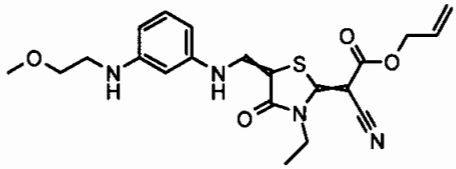
	3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-cyano-acetic acid allyl ester	1.80 (3H); 3.15 (3H); 4.24 (q, 2H); 4.69-4.71 (m, 2H); 5.24-5.27 (m, 1H); 5.34-5.39 (m, 1H); 5.92-6.02 (m, 1H); 7.02 (d, 1H); 7.25-7.27 (m, 1H); 7.36-7.40 (m, 2H); 8.27 (1H); 10.51 (1H) ppm.		
INTE31	 Cyano-{5-[1-[3-(2-dimethylamino-acetyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 1.26 (t, 3H); 2.28 (s, 6H); 3.07 (s, 2H); 4.18-4.25 (m, 4H); 6.94-6.97 (m, 1H); 7.23 (t, 1H); 7.29-7.32 (m, 1H); 7.77 (1H);	443.53/ 444	INTT3/ INTE1

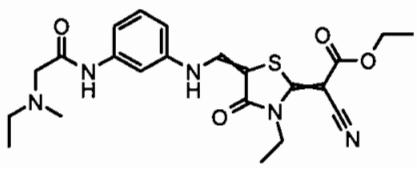
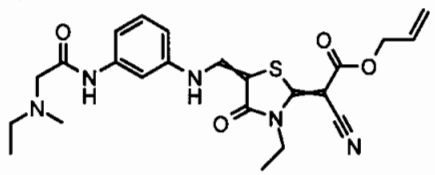
		8.09 (s, 1H); 9.76 (s, 1H); 10.58 (1H) ppm.		
INTE32	 Cyano-{5-[1-[3-(2-dimethylamino-acetyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 2.28 (s, 6H); 3.08 (s, 2H); 4.24 (q, 2H); 4.69-4.71 (m, 2H); 5.23-5.27 (2q, 2H); 5.92-6.02 (m, 1H); 6.96-6.99 (m, 1H); 7.24 (t, 1H); 7.30-7.33 (m, 1H); 7.79 (1H); 8.12 (s, 1H); 9.78 (s, 1H); 10.62 (1H) ppm.	455.54/ 456	INTT5/ INTE2
INTE33	 Cyano-[5-[1-{3-[(2,2-dimethyl-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =	456.57/ 457	INTT3/ INTE1

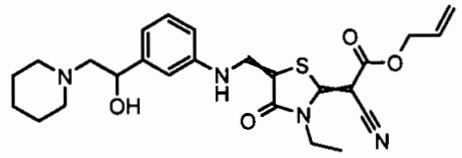
	propionyl)-methyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	1.00 (s, 9H); 1.24 (t, 3H); 1.26 (t, 3H); 3.10 (s, 3H); 4.19-4.26 (m, 4H); 6.99-7.01 (m, 1H); 7.28-7.39 (m, 3H); 8.23 (s, 1H); 10.49 (s, 1H) ppm.		
INTE34	 Cyano-[5-[1-{3-[(2,2-dimethyl-propionyl)-methyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.00 (s, 9H); 1.25 (t, 3H); 3.10 (s, 3H); 4.23 (q, 2H); 4.68-4.70 (m, 2H); 5.22-5.26 (m, 1H); 5.33-5.39 (m, 1H); 5.91-6.00 (m, 1H); 6.98-7.00 (m, 1H); 7.28-7.38 (m, 3H); 8.23 (1H); 10.49 (1H) ppm.	468.58/ 467	INTT5/ INTE2

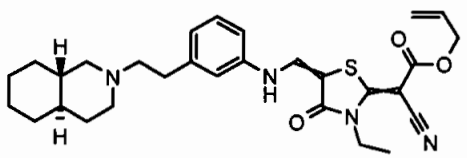
INTE35	 Cyano-{3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.93 (d, 6H); 1.22-1.28 (m,6H); 2.47 (m, 1H); 3.14 (s, 3H); 4.20-4.26 (m, 4H); 7.00-7.02 (m, 1H); 7.29-7.42 (m, 3H); 8.25 (s, 1H); 10.51 (s, 1H) ppm.	442.54/ 443	INTT3/ INTE1
INTE36	 Cyano-{3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.93 (d, 6H); 1.25 (t, 3H); 2.47 (m, 1H); 3.14 (s, 3H); 4.25 (q, 2H); 4.71 (d, 2H); 5.24-5.27 (m, 1H); 5.35-5.40 (m, 1H);	454.55/ 455	INTT5/ INTE2

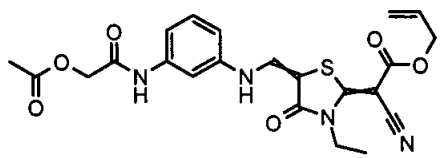
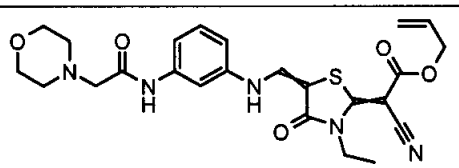
		5.93-6.02 (m, 1H); 7.02 (d, 1H); 7.29-7.43 (m, 3H); 8.27 (s, 1H); 10.54 (s, 1H) ppm.		
INTE37	 Cyano-{3-ethyl-5-[1-[3-(2-hydroxy-ethyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21-1.28 (m, 6H); 3.09 (q, 2H); 3.54 (q, 2H); 4.19-4.26 (m, 4H); 4.70 (t, 1H); 5.75 (t, 1H); 6.32-6.35 (m, 1H); 6.43-6.49 (m,2H); 7.02 (t,1H); 8.10 (1H); 10.39 (H) ppm.	402.48/ 403	INTT3/ INTE1
INTE38	 Cyano-{3-ethyl-5-[1-[3-(2-methoxy-ethylamino)-phenylamino]-meth-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21-1.28 (m, 6H);	416.50/ 417	INTT3/ INTE2

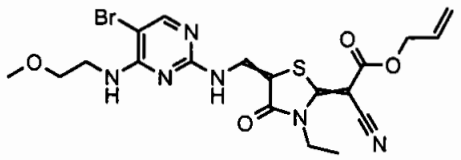
	(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid ethyl ester	3.19 (q, 2H); 3.28 (s, 3H); 3.48 (t, 2H); 4.19-4.26 (m, 4H); 5.80 (t, 1H); 6.33-6.36 (dd, 1H); 6.44-6.49 (dd, 1H); 6.51 (m, 1H); 7.02 (t, 1H); 8.09-8.11 (m, 1H); 10.39 (1H) ppm.		
INTE39	 Cyano-{3-ethyl-5-[1-[3-(2-methoxy-ethylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 3.19 (q, 2H); 3.28 (s, 3H); 3.47 (t, 2H); 4.23 (q,2H); 4.68-4.70 (m, 2H); 5.23-5.26 (m, 1H); 5.34-5.39 (m, 1H); 5.80 (t,1H); 5.92-6.01 (m, 1H);	428.51/ 429	INTT5/ INTE2

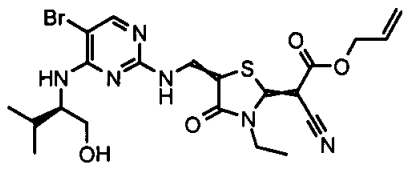
		6.32-6.35 (dd, 1H); 6.43-6.45 (dd, 1H); 6.49-6.51 (m, 1H); 7.01 (t, 1H); 8.10 (1H); 10.39 (1H) ppm.		
INTE40	 Cyano-[3-ethyl-5-[1-{3-[2-(ethyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.04 (t, 3H); 1.22-1.28 (m, 6H); 2.28 (s, 3H); 3.12 (s, 3H); 4.20-4.26 (m, 4H); 6.97-6.99 (m, 1H); 7.24-7.34 (m, 2H); 7.78 (s, 1H); 8.13 (s, 1H); 8.73 (s, 1H); 10.61 (s, 1H) ppm.	457.56/ 458	INTT3/ INTE1
INTE41	 Cyano-[3-ethyl-5-[1-{3-[2-(ethyl-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =	469.57/ 470	INTT5/ INTE2

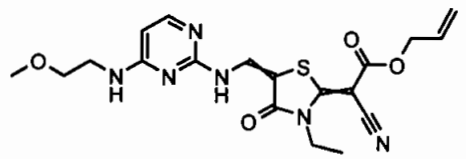
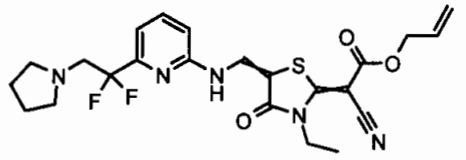
	methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	1.04 (t, 3H); 1.24 (t, 3H); 2.28 (s, 3H); 3.12 (s, 3H); 4.24 (q, 2H); 4.69-4.71 (m, 2H); 5.24-5.27 (m, 1H); 5.35-5.40 (m, 1H); 5.92-6.02 (m, 1H); 6.97-6.99 (m, 1H); 7.23-7.33 (m, 2H); 7.78 (1H); 8.13 (1H); 9.73 (s, 1H); 10.62 (s, 1H) ppm.		
INTE42	 Cyano-[3-ethyl-5-[1-[3-(1-hydroxy-2-piperidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 1.26-1.54 (m, 6H); 2.22-2.44 (m, 6H); 4.21 (q, 2H); 4.58-4.74 (m, 3H); 4.92 (s,b, 1H); 5.22 (d, 1H);		INTT4 + INT54 / 5

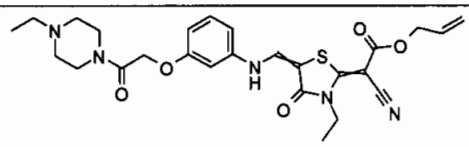
		5.33 (d, 1H); 5.84-6.04 (m, 1H); 6.91-7.11 (m, 2H); 7.13-7.33 (m, 2H); 8.20 (s, 1H); 10.56 (s,b, 1H) ppm.		
INTE43	 Cyano-[3-ethyl-5-[1-{3-[(4aR,8aS)-2-(octahydro-isoquinolin-2-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.72-1.28 (m, 10H); 1.40-1.69 (m, 6H); 1.90 (t, 1H); 2.37-2.50 (m, 2H); 2.62-2.72 (m, 2H); 2.76 (d, 2H); 2.90 (d, 2H); 4.21 (q, 2H); 4.67 (d, 2H); 5.22 (d, 1H); 5.34 (d, 1H); 5.88-6.01 (m, 1H); 6.91 (d, 1H); 7.08 (d, 1H); 7.15-7.26 (m, 2H);		INTT5 + INT57 / INTE2

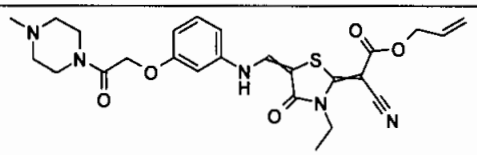
		8.19 (s, 1H); 10.49 (s,b, 1H) ppm.		
INTE44	 [5-[1-[3-(2-Acetoxy-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 2.09 (s, 3H); 4.21 (q, 2H); 4.56-4.73 (m, 4H); 5.16-5.44 (dd, 1H); 5.83-6.06 (m, 1H); 6.98 (d, 1H); 7.16 (d, 1H); 7.25 (t, 1H); 7.64 (s, 1H); 8.09 (s, 1H); 10.11 (s, 1H); 10.63 (s, 1H) ppm.		INTT5 + INT27 / INTE2
INTE45	 Cyano-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-acetylamino)-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 2.41-2.58 (m, 4H);		INTT5 + INT24 / INTE2

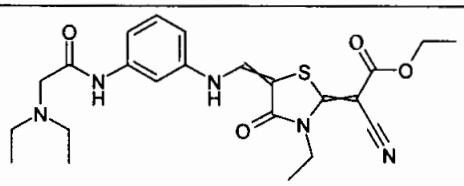
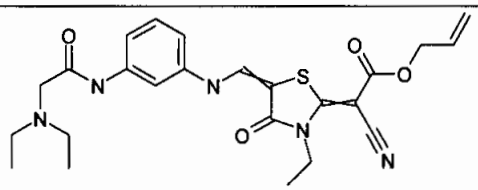
	phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	3.10 (s, 2H); 3.55-3.68 (m, 4H); 4.22 (q, 2H); 4.68 (d, 2H); 5.22 (dd, 1H); 5.35 (dd, 1H); 5.88-6.05 (m, 1H); 6.91-7.02 (m, 1H); 7.20-7.34 (m, 2H); 7.71 (s, 1H); 8.12 (s, 1H); 9.78 (s, 1H); 10.61 (s,b, 1H) ppm.		
INTE46	 [5-[1-[5-Bromo-4-(2-methoxy-ethylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 3.25 (s, 3H); 3.42-3.65 (m, 4H); 4.20 (q, 2H); 4.69 (d, 2H); 5.22 (d, 1H); 5.34 (d, 1H); 5.87-6.03 (m, 1H);		INTT5 + INT64 / INTE2

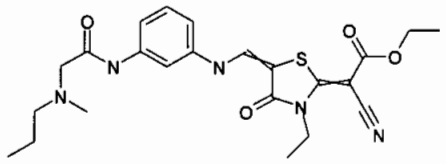
		7.29 (t, 1H); 8.13 (s, 1H); 8.57 (s, 1H); 11.18 (s, 1H) ppm.		
INTE47	 [5-[1-[5-Bromo-4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.84 (d, 3H); 0.90 (d, 3H); 1.20 (t, 3H); 1.89-2.01 (m, 1H); 3.49-3.63 (m, 2H); 4.01 (m, 1H); 4.20 (q, 2H); 4.68 (d, 2H); 4.72 (t, 1H); 5.22 (d, 1H); 5.34 (d, 1H); 5.88-6.01 (m, 1H); 6.40 (s,b, 1H); 8.11 (s, 1H); 8.69 (s,b, 1H); 11.13 (s, 1H) ppm.		INTT5 + INT67 / INTE4

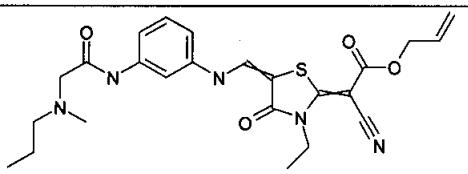
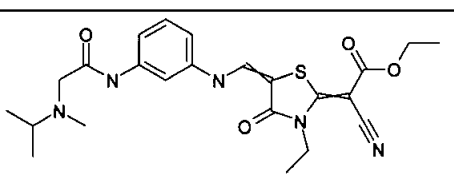
INTE48	 Cyano-[3-ethyl-5-[1-[4-(2-methoxy-ethylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 3.26 (s, 3H); 3.48 (b, 4H); 4.20 (q, 2H); 4.69 (d, 2H); 5.22 (d, 1H); 5.33 (d, 1H); 5.87-6.03 (m, 1H); 6.19 (d, 1H); 7.70 (s,b, 1H); 7.81 (s,b, 1H); 8.69 (s, 1H); 11.08 (s,b, 1H) ppm.		INTT5 + INT65 / INTE4
INTE49	 Cyano-[5-[1-[6-(1,1-difluoro-2-pyrrolidin-1-yl-ethyl)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.22 (t, 3H); 1.57 (b, 4H); 2.50 (b, 4H); 3.18-3.36 (m, 2H); 4.21 (q, 2H);		INTT5 + INT75 / INTE4

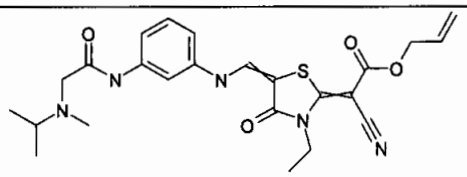
		4.69 (d, 2H); 5.23 (m, 1H); 5.35 (m, 1H); 5.84-6.06 (m, 1H); 7.16 (d, 1H); 7.32 (d, 1H); 7.87 (t, 1H); 8.67 (s, 1H); 11.11 (s, 1H) ppm.		
INTE50	 Cyano-[3-ethyl-5-[1-{3-[2-(4-ethyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.99 (t, 3H); 1.20 (t, 3H); 2.32 (m, 6H); 3.41 (m, 4H); 4.23 (m, 2H); 4.69 (m, 2H); 4.82 (s, 2H); 5.21 (d, 1H); 5.32 (d, 1H); 5.97 (m, 1H); 6.62 (dd, 1H); 6.86 (s, 1H);	525.63 / 526	INTE78 / INTE79

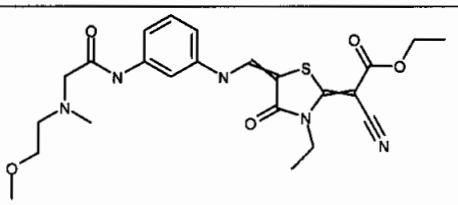
		6.89 (d, 1H); 7.21 (t, 1H); 8.18 (s, 1H); 10.47 (s, 1H) ppm.		
INTE51	 Cyano-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.27 (m, 3H); 2.20 (s, 3H); 2.29 (m, 2H); 2.38 (m, 2H); 3.48 (m, 4H); 4.28 (m, 2H); 4.71 (m, 2H); 4.82 (s, 2H); 5.29 (d, 1H); 5.38 (d, 1H); 6.00 (m, 1H); 6.68 (dd, 1H); 6.89 (s, 1H); 6.92 (d, 1H); 7.28 (t, 1H); 8.20 (s, 1H); 10.51 (s, 1H) ppm.	511.60 / 512	INTE78 / INTE79

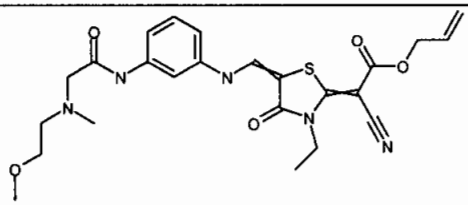
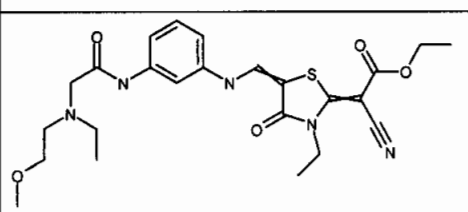
INTE52	 Cyano-[5-[1-[3-(2-diethylamino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6H); 1.24 (t, 3H); 1.26 (t, 3H) ; 2.61 (q, 4H); 3.16 (s, 2H); 4.22 (q, 2H); 6.99-7.01 (m, 1H); 7.24-7.34 (m, 2H); 7.77 (1H); 8.14 (1H); 9.71 (s, 1H); 10.60 (s, 1H) ppm.	469.57 / 470	INTT3 / INTE1
INTE53	 Cyano-[5-[1-[3-(2-diethylamino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6H); 1.24 (t, 6H); 2.60 (q, 4H); 3.16 (s, 2H); 4.24 (q, 2H); 4.71 (m, 2H); 5.24-5.27 (m, 1H);	483.59 / 484	INTT5 / INTE2

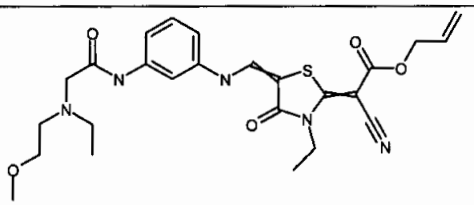
		5.36-5.40 (m, 1H); 5.93-6.02 (m, 1H); 6.99-7.01 (m, 1H); 7.24-7.35 (m, 2H); 7.78 (1H); 8.16 (1H); 9.72 (s, 1H); 10.64 (s, 1H) ppm.		
INTE54	 Cyano-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.87 (t, 3H); 1.22-1.28 (m, 6H); 1.45-1.50 (m, 2H); 2.29 (s, 3H) ; 2.40 (t, 2H); 3.12 (s, 2H); 4.19-4.26 (m, 4H); 6.90-6.99 (m, 1H); 7.24-7.30 (m, 2H); 7.77 (1H); 8.12 (1H); 9.69 (s, 1H); 10.61 (s, 1H) ppm.	471.58 / 472	INTT3 / INTE1

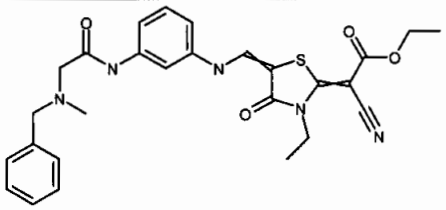
INTE55	 Cyano-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.88 (t, 3H); 1.24 (t, 3H); 1.43-1.52 (m, 2H); 2.29 (s, 3H) ; 2.40 (t, 2H); 3.13 (s, 2H); 4.24 (q, 2H); 4.71 (m, 2H); 5.24-5.27 (m, 1H); 5.36-5.40 (m, 1H); 5.93-6.02 (m, 1H); 6.99-7.01 (m, 1H); 7.24-7.31 (m, 2H); 7.78 (1H); 8.12-8.15 (1H); 9.72 (s, 1H); 10.63-10.66 (1H) ppm.	483.59 / 484	INTT5 / INTE2
INTE56	 Cyano-[3-ethyl-5-[1-{3-[2-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (d, 6H);	471.58 / 472	INTT3 / INTE1

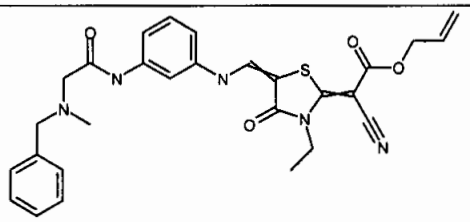
	(isopropyl-methyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	1.22-1.28 (m, 6H); 2.25 (s, 3H) ; 2.84-2.91 (m, 1H); 3.10 (s, 2H); 4.19-4.26 (m, 4H); 4.71 (m, 2H); 5.24-5.27 (m, 1H); 5.36-5.40 (m, 1H); 5.93-6.02 (m, 1H); 6.98-7.00 (m, 1H); 7.24-7.36 (m, 2H); 7.77 (1H); 8.14 (1H); 9.70 (s, 1H); 10.61 (s, 1H) ppm.		
INTE57	 Cyano-[3-ethyl-5-[1-{3-[2-(isopropyl-methyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (d, 6H); 1.24 (t, 3H); 2.25 (s, 3H) ; 2.84-2.91 (m, 1H); 3.10 (s, 2H); 4.23 (q, 2H); 4.71 (m, 2H);	483.59 / 484	INTT5 / INTE2

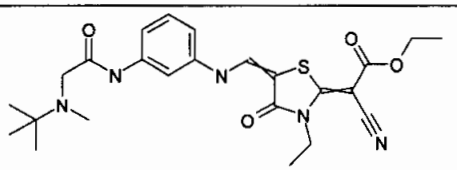
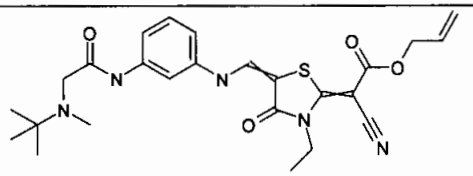
		5.24-5.27 (m, 1H); 5.36-5.40 (m, 1H); 5.93-6.02 (m, 1H); 6.98-7.00 (m, 1H); 7.24-7.36 (m, 2H); 7.77 (1H); 8.15 (1H); 9.69 (s, 1H); 10.63 (s, 1H) ppm.		
INTE58	 Cyano-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22-1.28 (m, 6H); 1.45-1.50 (m, 2H); 2.36 (s, 3H) ; 2.64 (t, 1H); 3.19 (s, 2H); 3.27 (s, 3H); 3.46 (t, 1H); 4.19-4.26 (m, 4H); 6.98-7.00 (m, 1H); 7.22-7.29 (m, 2H); 7.76 (1H); 8.12 (1H); 9.79 (s, 1H);	487.58 / 488	INTT3 / INTE1

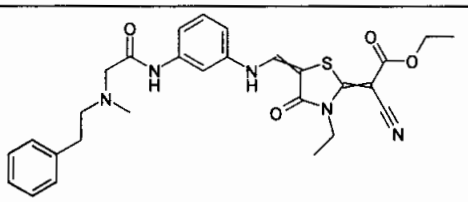
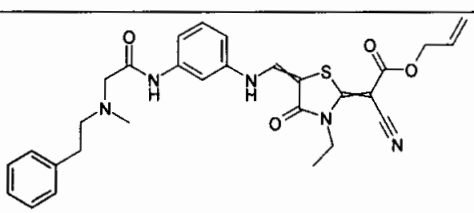
		10.63 (s, 1H) ppm.		
INTE59	 Cyano-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.24 (t, 3H); 1.45-1.50 (m, 2H); 2.36 (s, 3H) ; 2.64 (t, 1H); 3.18 (s, 2H); 3.27 (s, 3H); 3.46 (t, 1H); 4.24 (q, 2H); 4.71 (m, 2H); 5.24-5.27 (m, 1H); 5.36-5.40 (m, 1H); 5.93-6.03 (m, 1H); 6.99-7.01 (m, 1H); 7.22-7.30 (m, 2H); 7.77 (1H); 8.13 (1H); 9.79 (s, 1H); 10.65 (s, 1H) ppm.	499.59 / 500	INTT5 / INTE2
INTE60		(DMSO-d6, stored with K2CO3, main isomer): δ =	501.61 / 502	INTT3 / INTE1

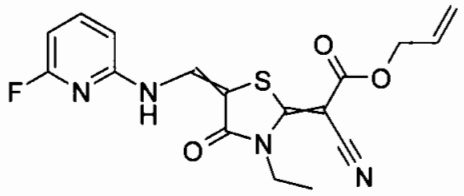
	Cyano-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetyl-amino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	1.01 (t, 3H); 1.24 (t, 3H); 1.26 (t, 3H); 2.36 (s, 3H) ; 2.66 (q, 2H); 2.72 (t, 2H); 3.21 (s, 2H); 3.26 (s, 3H); 3.44 (t, 1H); 4.20-4.26 (m, 4H); 7.00-7.02 (m, 1H); 7.21-7.30 (m, 2H); 7.74 (1H); 8.12-8.14 (1H); 9.79 (s, 1H); 10.62-10.64 (1H) ppm.		
INTE61	 Cyano-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetyl-amino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.01 (t, 3H); 1.24 (t, 3H); 2.36 (s, 3H) ; 2.66 (q, 2H); 2.72 (t, 2H);	513.62 / 514	INTT5 / INTE2

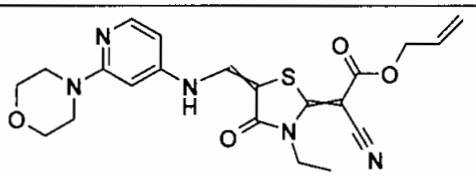
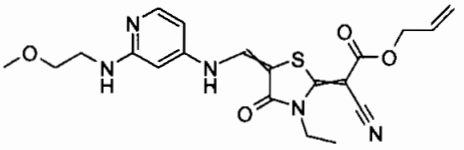
	acid allyl ester	3.21 (s, 2H); 3.26 (s, 3H); 3.44 (t, 1H); 4.24 (q, 4H); 4.71 (m, 2H); 5.24-5.27 (m, 1H); 5.35-5.40 (m, 1H); 5.93-6.02 (m, 1H); 7.00-7.02 (m, 1H); 7.21-7.32 (m, 2H); 7.75 (1H); 8.13-8.15 (1H); 9.79 (s, 1H); 10.65-10.66 (1H) ppm.		
INTE62	 [5-[1-{3-[2-(Benzyl-methyl-amino)-acetylamino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z)-ylidene]-cyano-acetic acid ethyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22-1.28 (m, 6H); 1.26 (t, 3H); 2.27 (s, 3H) ; 3.19 (s, 2H); 3.65 (s, 2H); 4.19-4.26 (m, 4H); 6.98-7.00 (m, 1H);	519.63 / 520	INTT3 / INTE1

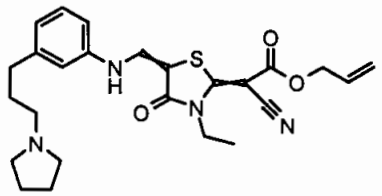
		7.21-7.40 (m, 7H); 7.78 (1H); 8.12 (1H); 9.81 (s, 1H); 10.63 (1H) ppm.		
INTE63	 [5-[1-{3-[2-(Benzyl-methyl-amino)-acetylamino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.24 (t, 3H); 2.27 (s, 3H) ; 3.19 (s, 2H); 3.65 (s, 2H); 4.22-4.27 (q, 2H); 4.71 (m, 2H); 5.24-5.27 (m, 1H); 5.35-5.40 (m, 1H); 5.93-6.03 (m, 1H); 6.98-7.00 (m, 1H); 7.21-7.40 (m, 7H); 7.78 (1H); 8.14 (1H); 9.81 (s, 1H); 10.66 (1H) ppm.	531.64 / 532	INTT5 / INTE2

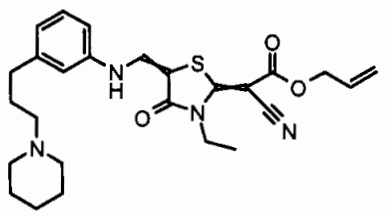
INTE64	 [5-[1-{3-[2-(tert-Butyl-methyl-amino)-acetylamino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2 (E or Z)-ylidene]-cyano-acetic acid ethyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.09 (s, 9H); 1.22-1.28 (m, 6H); 2.25 (s, 3H) ; 3.11 (s, 2H); 4.19-4.26 (m, 4H); 7.00-7.02 (m, 1H); 7.25-7.37 (m, 2H); 7.74 (1H); 8.15 (1H); 9.68 (s, 1H); 10.61 (1H) ppm.	485.61 / 486	INTT3 / INTE1
INTE65	 [5-[1-{3-[2-(tert-Butyl-methyl-amino)-acetylamino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.09 (s, 9H); 1.25, (t, 3H); 2.25 (s, 3H) ; 3.11 (s, 2H); 4.24 (q, 2H); 4.71 (m, 2H); 5.24-5.27 (m, 1H); 5.36-5.40 (m, 1H);	497.62 / 498	INTT5 / INTE2

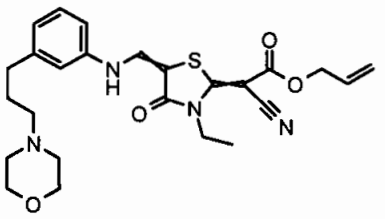
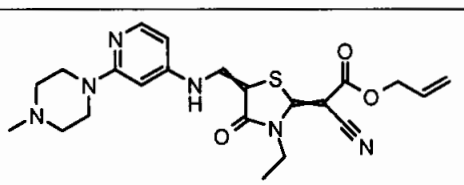
		5.93-6.02 (m, 1H); 7.01-7.03 (m, 1H); 7.25-7.37 (m, 2H); 7.75 (1H); 8.16 (1H); 9.69 (s, 1H); 10.64 (1H) ppm.		
INTE66	 Cyano-[3-ethyl-5-[1-{3-[2-(methyl-phenethyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid ethyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22-1.28 (m, 6H); 2.37 (s, 3H) ; 2.71-2.81 (m, 4H); 3.20 (s, 2H); 4.19-4.27 (m, 4H); 6.97-6.99 (m, 1H); 7.12-7.30 (m, 7H); 7.64 (1H); 8.11 (1H); 9.50 (s, 1H); 10.61 (1H) ppm.	533.65 / 534	INTT3 / INTE1
INTE67	 Cyano-[3-ethyl-5-[1-{3-[2-(methyl-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.24 (t, 3H);	545.67 / 546	INTT5 / INTE2

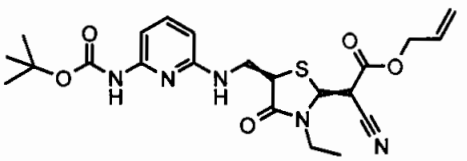
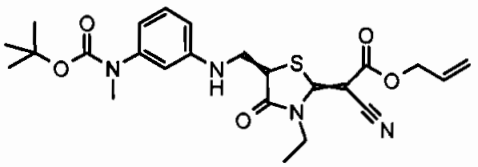
	phenethyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	2.37 (s, 3H) ; 2.71-2.81 (m, 4H); 3.20 (s, 2H); 4.25 (q, 4H); 4.70 (m, 2H); 5.24-5.27 (m, 1H); 5.35-5.40 (m, 1H); 5.93-6.02 (m, 1H); 6.97-6.99 (m, 1H); 7.12-7.30 (m, 2H); 7.64 (1H); 8.12 (1H); 9.50 (s, 1H); 10.64 (1H) ppm.		
INTE68	 Cyano-[3-ethyl-5-[1-(6-fluoro-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.28 (t, 3H); 4.20 (q, 2H); 4.72 (d, 2H); 5.20-5.46 (m, 2H); 5.84-6.05 (m, 1H); 6.77 (d, 1H); 6.98 (d, 1H); 7.90 (q, 1H);	374.397 / 375	INTT5 / INTE5

		8.49 (d,1H); 11.26 (s, 1H) ppm.		
INTE69	 Cyano-[3-ethyl-5-[1-(2-morpholin-4-yl-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.24 (t, 3H); 3.40 (m, 4H); 3.68 (m, 4H); 4.20 (q, 2H); 4.64 (d, 2H); 5.14-5.41 (m, 2H); 5.86-6.00 (m, 1H); 6.62 (m, 2H); 7.96(d, 1H); 8.46 (s,1H); 10.42 (s, 1H) ppm.	441.513 / 442	INTT5 + INT122 / INTE5
INTE70	 Cyano-[3-ethyl-5-[1-[2-(2-methoxy-ethylamino)-pyridin-4-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.40 (t, 3H); 3.38 (s, 3H); 3.42 (m, 2H); 3.56 (m, 2H); 4.4 (q, 2H); 4.78 (d, 2H)	429.501 / 430	INTT5 / INTE5

		5.24-5.48 (m, 2H); 5.86 (m, 1H); 6.32 (m, 1H); 7.60(d, 1H); 7.76 (d,1H); 8.00 (d,1H); 10.42 (s, 1H) ppm.		
INTE71	 Cyano-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.18 (t, 3H); 1.67 (m, 4H); 1.72 (m, 2H); 2.42-2.50 (m, 6H); 2.55 (t, 2H); 4.21 (q, 2H); 4.67 (d, 2H); 5.22 (d, 2H); 5.34 (d, 2H); 5.87-6.01 (m, 1H); 6.89 (d, 1H); 7.08 (d, 1H); 7.14 (s, 1H); 7.21 (t, 1H);		INTT5 / INTE2

		8.18 (s, 1H); 10.52 (s, 1H) ppm.		
INTE72	 Cyano-[3-ethyl-4-oxo-5-[1-[3-(3-piperidin-1-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.34 (m, 2H); 1.46 (m, 4H); 1.70 (m, 2H); 2.20-2.37 (m, 6H); 2.53 (t, 2H); 4.20 (q, 2H); 4.67 (d, 2H); 5.22 (d, 2H); 5.34 (d, 2H); 5.87-6.01 (m, 1H); 6.88 (d, 1H); 7.08 (d, 1H); 7.12 (s, 1H); 7.21 (t, 1H); 8.16 (s, 1H); 10.45 (s, 1H) ppm.		INTT5 / INTE2

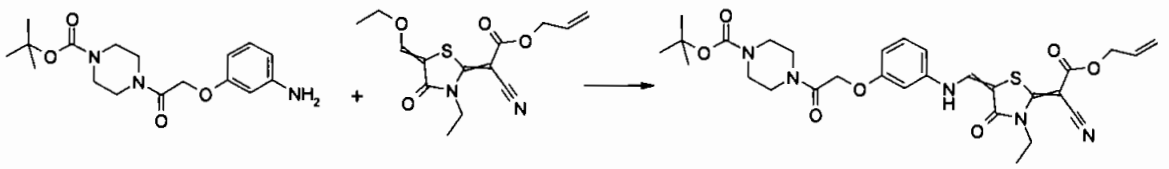
INTE73	 Cyano-[3-ethyl-5-[1-[3-(3-morpho477lin-4-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 1.69 (m, 2H); 2.22 (t, 2H); 2.30 (m, 4H); 2.53 (t, 2H); 3.54 (t, 4H); 4.21 (q, 2H); 4.67 (d, 2H); 5.22 (d, 2H); 5.34 (d, 2H); 5.88-6.01 (m, 1H); 6.91 (d, 1H); 7.08 (d, 1H); 7.15 (s, 1H); 7.21 (t, 1H); 8.18 (m, 1H); 10.46 (m, 1H) ppm.		INTT5 / INTE2
INTE74	 Cyano-[3-ethyl-5-[1-[2-(4-methyl-		454.555 / 455	INTT5 + INT124 /

	piperazin-1-yl)-pyridin-4-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester			INTE5
INTE75	 [5-[1-(6-tert-Butoxycarbonylamino-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester		471.539 / 472	INTT5 / INTE2
INTE76	 [5-[1-[3-(tert-Butoxycarbonyl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester		484 / 485	INTT5 / INTE1

Intermediate Compound INTE77

4-[2-(3-{[2-[1-Allyloxycarbonyl-1-cyano-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenoxy)-acetyl]-piperazine-1-carboxylic acid tert-butyl

ester

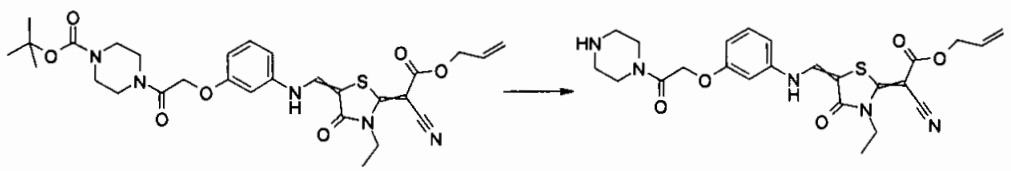


4.8 g of the compound that is described under **INT77** and 4.4 g of the compound that is described under **INTT5** are dissolved in ethanol (140 ml) and stirred at a bath temperature of 95°C under argon for three hours. The precipitate that is produced is suctioned off and washed with ethanol. The title compound (5.7 g) is obtained in a 67% yield. The crude product is used without additional purification in the next stage.

^{1}H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 1.40 (s, 2H); 3.32 (m, 4H); 3.45 (m, 4H); 4.28 (m, 2H); 4.72 (d, 2H); 4.89 (s, 2H); 5.29 (dd, 1H); 5.40 (dd, 1H); 5.99 (m, 1H); 6.68 (dd, 1H); 6.90 (s, 1H); 6.93 (d, 1H); 7.28 (t, 1H); 8.21 (d, 1H); 10.47 (d, 1H) ppm.

Intermediate Compound INTE78

Cyano-[3-ethyl-4-oxo-5-[1-[3-(2-oxo-2-piperazin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid allyl ester



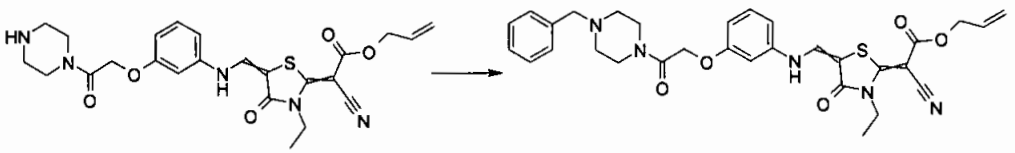
2.99 g of the compound that is described under **INTE77** is dissolved in dichloromethane (100 ml) and trifluoroacetic acid (10 ml) is slowly added at room temperature. It is stirred for 2.5 hours under argon at room temperature, and then the reaction is completed by adding 10% aqueous sodium carbonate solution (about 170 ml). The reaction mixture is extracted with dichloromethane (3 x 100 ml), the combined organic phases are washed with sodium chloride solution (1 x 100 ml) and then dried on sodium sulfate. After

the solvent is distilled off in a rotary evaporator, the title compound is obtained (2 g) in an 80% yield. The product is used without additional purification in the next stage.

^{1}H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.23 (m, 3H); 2.68 (m, 2H); 2.71 (m, 2H); 4.25 (m, 2H); 4.73 (m, 2H); 4.82 (s, 2H); 5.29 (dd, 1H); 5.39 (dd, 1H); 5.99 (m, 1H); 6.64 (dd, 1H); 6.88 (s, 1H); 6.91 (d, 1H); 7.27 (t, 1H); 8.22 (s, 1H) ppm.

Intermediate Compound INTE79

[5-[1-{3-[2-(4-Benzyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid allyl ester



2.9 g of the compound that is described under **INTE78** and 0.92 ml of benzaldehyde are suspended in methanol (240 ml), and acetic acid (24 ml) and sodium cyanoborohydride (0.7 g) are added at room temperature. The batch is stirred for 5 hours at room temperature under argon, the reaction mixture is neutralized by adding sodium carbonate, and the precipitate that is produced is suctioned off. The title compound (2.54 g) is obtained in 71% yield. The product is used without additional purification in the next stage.

^{1}H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): ^{1}H-NMR δ = 1.29 (m, 3H); 2.32 (m, 2H); 2.41 (m, 2H); 3.43 (m, 4H); 4.26 (m, 2H); 4.72 (d, 2H); 4.86 (s, 2H); 5.29 (d, 1H); 5.40 (d, 1H); 6.00 (m, 1H); 6.68 (dd, 1H); 6.89 (s, 1H); 6.92 (d, 1H); 7.30 (m, 6H); 8.21 (d, 1H); 10.50 (d, 1H) ppm.

4. Synthesis of Acid Intermediate Compounds

Intermediate Compound INTA1

Production Variant 1

Cyano-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid



1.1 g of potassium-(tert)-butylate is introduced into 50 ml of tetrahydrofuran at 0°C and mixed with 45 µl of water. 540 mg of the compound that is described under Intermediate Compound INTE1) is added, and it is stirred for 30 minutes at 0°C, and for 20 hours at room temperature. 0.25 ml of triethylamine and 10.5 ml of 2 M hydrochloric acid in diethyl ether are added at 0°C, and it is stirred for one hour at room temperature. The solvent is condensed under high vacuum, and the residue is further reacted without additional purification.

MW: 412.51; MS (ESI) $[M+1]^+$: 413

Production Variant 2



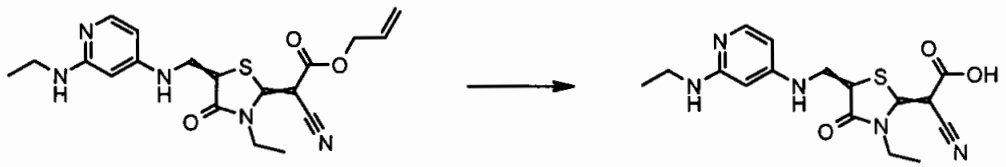
300 mg of the compound that is described under Intermediate Compound INTE2), 80 mg of $Pd(PPh_3)_4$ and 0.6 ml of morpholine are dissolved in 18 ml of tetrahydrofuran and stirred for 15 hours. After the addition of 40 ml of diethyl ether, the solid that is obtained is filtered off, dried in a vacuum, and dissolved in 10 ml of dimethylformamide. The solution is added to a suspension of 770 mg of PL-MIA resin of the Polymer Laboratories GmbH

Company in 5 ml of dimethylformamide, and it is stirred for 15 hours at room temperature. The reaction mixture is filtered, and the solvent is condensed under high vacuum. 280 mg of the title compound is obtained as a crude product.

1H-NMR (DMSO-d6, stored with K_2CO_3): δ = 1.20 (t, 3H); 1.88 (m, 4H); 2.50 (m, 4H); 3.09 (m, 2H); 3.20 (m, 2H); 4.20 (q, 2H); 6.93 (d, 1H); 7.04-7.12 (m, 2H); 7.23 (t, 1H); 7.88 (s, 1H); 9.97 (s, 1H) ppm.

Intermediate Compound INTA2

Cyano-[3-ethyl-5-[1-(2-ethylamino-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid



1.2 g of the compound that is described under Intermediate Compound INTE4), 350 mg of $Pd(PPh_3)_4$ and 2.6 ml of morpholine are dissolved in 60 ml of tetrahydrofuran and stirred for one hour at room temperature. After 40 ml of hexane is added, the solid that is obtained is filtered off, dried in a vacuum, and dissolved in 20 ml of dimethylformamide. The solution is added to a suspension of 6.0 g of PL-MIA resin of the Polymer Laboratories GmbH Company in 30 ml of dimethylformamide, and it is stirred for 15 hours at room temperature. The reaction mixture is filtered, and the solvent is condensed under high vacuum. 970 mg of the title compound is obtained as a crude product.

MW: 359.41; MS (ESI) $[M+1]^+$: 360

1H-NMR (DMSO-d6, stored with K_2CO_3): δ = 1.11 (t, 3H); 1.22 (t, 3H); 3.23 (m, 2H); 4.22 (q, 2H); 6.25 (s, 1H); 6.42 (d, 1H); 6.54 (s,b, 1H); 7.81 (d, 1H); 7.95 (s, 1H); 10.20 (s, 1H) ppm.

Intermediate Compound INTA3

Cyano-[5-[1-[6-(2,2-dimethyl-propionylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid

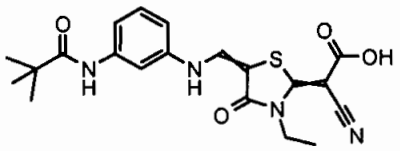
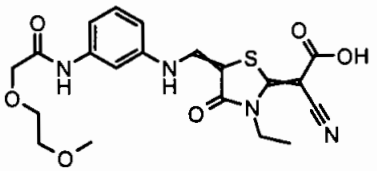


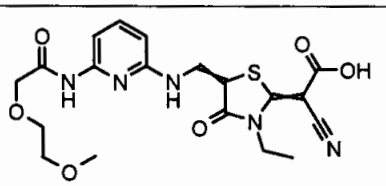
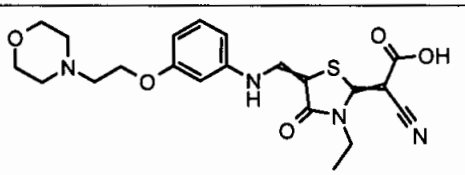
2.2 g of the compound that is described under Intermediate Compound INTE5), 560 mg of $Pd(PPh_3)_4$ and 4.2 ml of morpholine are dissolved in 110 ml of tetrahydrofuran and stirred for one hour at room temperature. After 50 ml of hexane is added, the precipitated solid is filtered off, dried in a vacuum, and dissolved in 25 ml of dimethylformamide. The solution is added to a suspension of 9.6 g of PL-MIA resin of the Polymer Laboratories GmbH Company in 50 ml of dimethylformamide and stirred for 15 hours at room temperature. The reaction mixture is filtered, and the solvent is condensed under high vacuum. 2.1 g of the title compound is obtained as a crude product.

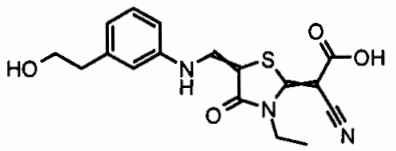
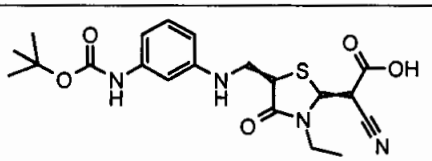
MW: 415.47; MS (ESI) $[M+1]^+$: 416

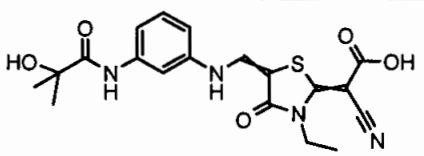
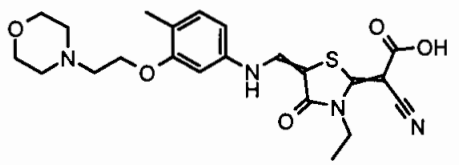
1H-NMR (DMSO-d6, stored with K_2CO_3): δ = 1.15-1.30 (m, 12H); 4.23 (q, 2H); 6.80 (m, 1H); 7.64-7.74 (m, 2H); 8.73 (d, 1H); 9.68 (s, 1H); 10.68 (d, 1H) ppm.

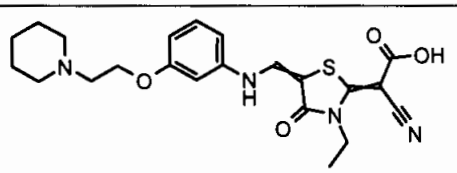
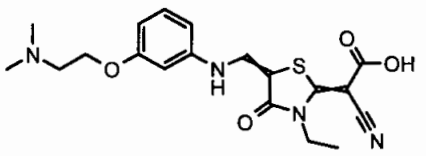
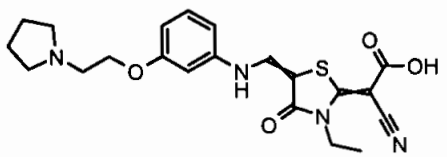
The compounds below are produced analogously to the above-described process.

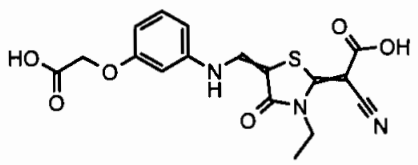
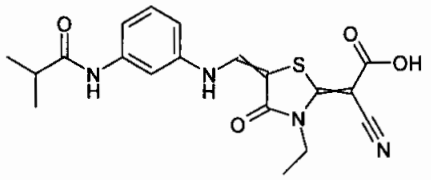
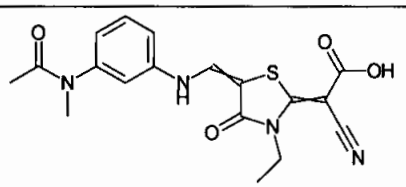
Example No.	Structure and Name	^{1}H-NMR	Molecular Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
INTA4	 Cyano-[5-[1-[3-(2,2-dimethyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		MW: 414.49 MS (ESI) $[M+1]^+$: 415	INTE6/ INTA3
INTA5	 Cyano-[3-ethyl-5-[1-{3-[2-(2-methoxy-ethoxy)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K_2CO_3): δ = 1.22 (t, 3H); 3.30 (s, 2H); 3.54 (m, 2H); 3.68 (m, 2H); 4.09 (s, 2H); 4.23 (q, 2H); 7.01 (m, 1H);	MW: 446.48 MS (ESI) $[M+1]^+$: 447	INTE7/ INTA3

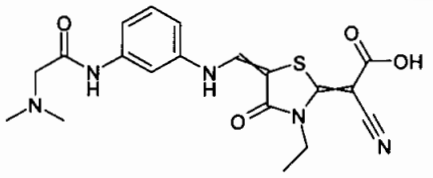
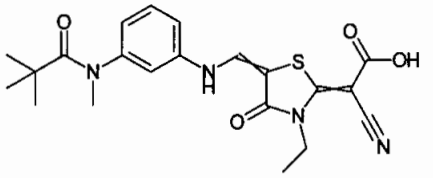
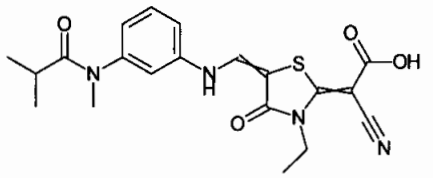
		7.22-7.32 (m, 2H); 7.75 (s, 1H); 8.04 (d, 1H); 9.71 (s, 1H); 10.50 (d, 1H) ppm.		
INTA6	 Cyano-[3-ethyl-5-[1-{6-[2-(2-methoxy-ethoxy)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K_2CO_3): δ = 1.23 (t, 3H); 3.34 (s, 3H); 3.51 (m, 2H); 3.69 (m, 2H); 4.15 (s, 2H); 4.22 (q, 2H); 6.81 (dd, 1H); 7.69-7.78 (m, 2H); 7.95 (s, 1H); 8.64 (d, 1H); 9.98 (s, 1H); 10.73 (d, 1H) ppm.	MW: 447.47 MS (ESI) $[M+1]^+$: 448	INTE9/ INTA3
INTA7	 Cyano-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-		444.51/ 445	INTE21 / INTA3

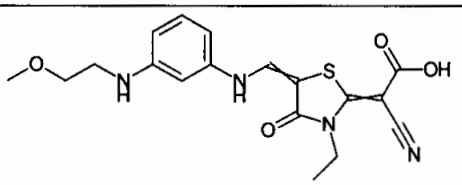
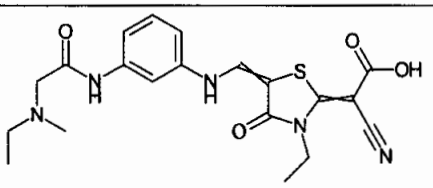
	phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid			
INTA8	 Cyano-[3-ethyl-5-[1-[3-(2-hydroxy-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K_2CO_3) (selected signals): δ = 1.23 (t, 3H); 2.71 (t, 2H); 3.61 (t, 2H); 4.23 (q, 2H); 4.68 (b, 1H); 6.91 (d, 1H); 7.10 (d, 1H); 7.16 (s, 1H); 7.23 (t, 1H); 8.05 (d, 1H); 10.23 (d, 1H) ppm.	359.41/ 360	INTE16 / INTA3
INTA9	 [5-[1-(3-tert-Butoxycarbonylamino-phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid	(DMSO-d6, stored with K_2CO_3) (selected signals): δ = 1.20 (t, 3H); 1.48 (s, 9H); 4.20 (q, 2H);	430.49/ 431	INTE17 / INTA3

		6.83 (d, 1H); 7.03 (d, 1H); 7.18 (t, 1H); 7.51 (s, 1H); 7.88 (d, 1H); 9.40 (s, 1H); 10.16 (d, 1H) ppm.		
INTA10	 Cyano-[3-ethyl-5-[1-[3-(2-hydroxy-2-methyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		416.46/ 417	INTE3/ INTA3
INTA11	 Cyano-[3-ethyl-5-[1-[4-methyl-3-(2-Morpholin-4-yl-ethoxy)-phenylamino] -meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.25 (m, 3H); 2.09 (s, 3H); 2.58 (m, 4H); 2.81 (m, 2H); 3.61 (m, 4H); 4.15 (m, 2H); 4.26 (m, 2H); 6.81 (dd, 1H); 6.92 (s, 1H); 7.10 (d, 1H); 8.20 (d, 1H); 10.35 (d, 1H);	MW: 458.536 MS (ESI) $[M+1]^+$: 459	INTE26 / INTA3

		11.08 (s, 1H).		
INTA12	 Cyano-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.19 (m, 3H); 1.47 (m, 2H); 1.66 (m, 4H); 2.88 (m, 4H); 3.10 (m, 2H); 4.12 (m, 2H); 4.21 (m, 2H); 6.62 (dd, 1H); 6.82 (m, 2H); 7.21 (m, 1H); 8.00 (d, 1H); 10.00 (d, 1H).	MW: 442.537 MS (ESI) $[M+1]^+$: 443	INTE25 / INTA3
INTA13	 Cyano-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	^{1}H-NMR ($CDCl_3$, 300 MHz) (selected peaks) δ = 1.23 (m, 3H); 2.88 (s, 6H); 4.23 (m, 2H); 4.37 (m, 2H); 6.73 (dd, 1H); 6.97 (m, 2H); 7.30 (m, 1H); 8.20 (s, 1H).	MW: 402.472 MS (ESI) $[M+1]^+$: 403	INTE20 / INTA3
INTA14	 Cyano-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-		MW: 428.51 MS (ESI) $[M+1]^+$:	INTE24 / INTA3

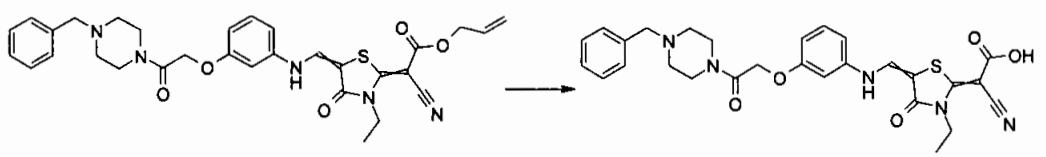
	thiazolidin-(2-(Z or E))-ylidene]-acetic acid		429	
INTA15	 [5-[1-(3-Carboxymethoxy-phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid		MW: 389.39 MS (ESI) $[M+1]^+$: 390	INTE23 / INTA3
INTA16	 Cyano-[3-ethyl-5-[1-(3-isobutyryl-amino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		MW: 400.460 / MS (ESI) $[M+1]^+$: 401	INTE28 / INTA3
INTA17	 [5-[1-[3-(Acetyl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid		MW: 386.433 / MS (ESI) $[M+1]^+$: 387	INTE30 / INTA3

INTA18	 Cyano-[5-[1-[3-(2-dimethylamino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		MW: 415.474 / MS (ESI) $[M+1]^+$: 416	INTE32 / INTA3
INTA19	 Cyano-[5-[1-{3-[(2,2-dimethyl-propionyl)-methyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		MW: 428.514 / MS (ESI) $[M+1]^+$: 429	INTE34 / INTA3
INTA20	 Cyano-[3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		MW: 414.486 / MS (ESI) $[M+1]^+$: 415	INTE36 / INTA3

INTA21	 Cyano-[3-ethyl-5-[1-[3-(2-methoxy-ethylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		MW: 388.448 / MS (ESI) $[M+1]^+$: 389	INTE39 / INTA3
INTA22	 Cyano-[3-ethyl-5-[1-{3-[2-(ethyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2Z)-ylidene]-acetic acid		MW: 429.501 / MS (ESI) $[M+1]^+$: 430	INTE41 / INTA3

Intermediate Compound INTA23

[5-[1-{3-[2-(4-Benzyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid

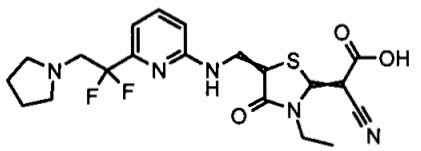


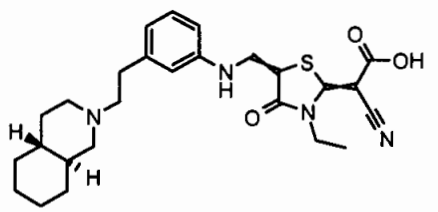
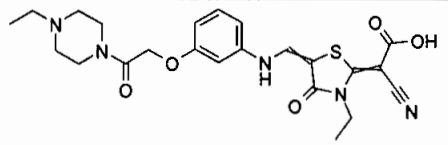
2.5 g of the compound that is described under **INTE79** is suspended in THF (320 ml), and barbituric acid (0.6 g) and $Pd(PPh_3)_4$ (0.49 g) are added. The reaction mixture is stirred overnight, the reaction mixture is concentrated by evaporation in a rotary evaporator until

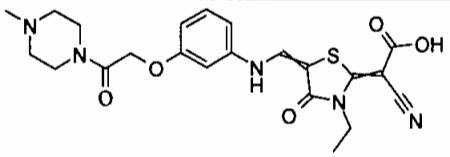
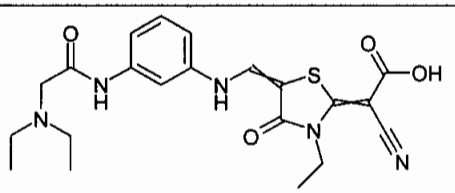
precipitation occurs, and the precipitate that is produced is suctioned off. The title compound (522 mg) is obtained in a yield of 23%. The product is used without additional purification in the next stage.

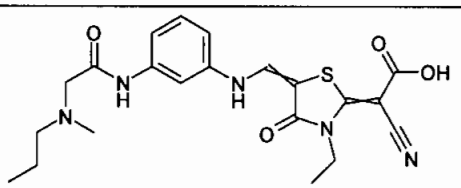
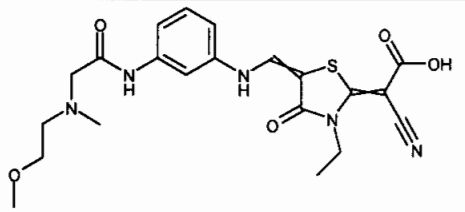
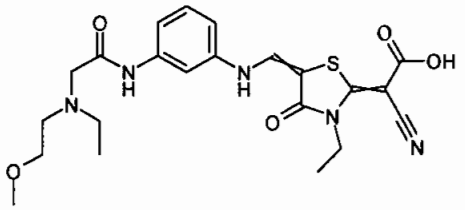
EI-MS = 548.

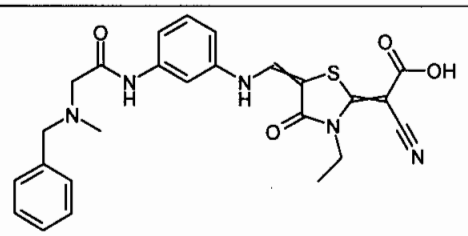
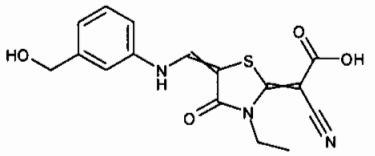
The following compounds are produced analogously to the above-described process.

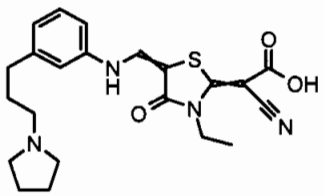
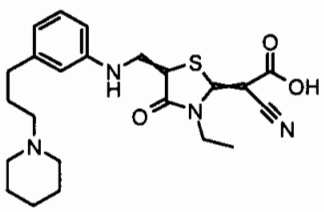
Ex-am-ple No.	Structure and Name	^{1}H-NMR	Mol. Weight / MS (ESI) $[M+1]^+$	Educt / Syn-thesis Analo-gous to
INT A24	 Cyano-[5-[1-[6-(1,1-difluoro-2-pyrrolidin-1-yl-ethyl)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K_2CO_3, selected signals): δ = 1.18 (t, 3H); 1.58 (b, 4H); 2.50 (b, 4H); 3.19-3.37 (m, 2H); 4.15 (q, 2H); 7.13 (d, 1H); 7.20 (d, 1H); 7.80 (t, 1H); 8.40 (s,b, 1H);		INTE49 / INTA3

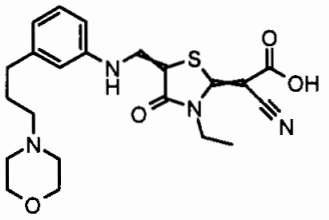
		10.50 (s,b, 1H) ppm.		
INT A25	 Cyano-[3-ethyl-5-({3-[(4aR,8aS)-2-(octahydro-isoquinolin-2-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene -4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		480.63 / 481	INTE43 / INTA3
INT A26	 Cyano-[3-ethyl-5-[1-{3-[2-(4-ethyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.00 (m, 3H); 1.20 (m, 3H); 1.72 (m, 2H); 2.39 (m, 6H); 3.57 (m, 2H); 4.20 (m, 2H); 4.81 (s, 2H); 6.60 (dd, 1H); 6.82 (s, 1H); 6.88 (d, 1H);	485.57 / 486	INTE50 / INTA23

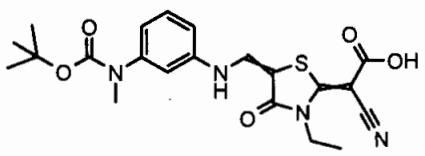
		7.20 (t, 1H); 8.09 (d, 1H); 10.29 (d, 1H) ppm.		
INT A27	 Cyano-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 2.22 (m, 2H); 2.41 (m, 2H); 3.43 (m, 4H); 4.19 (m, 2H); 4.81 (s, 2H); 6.60 (dd, 1H); 6.82 (s, 1H); 6.88 (d, 1H); 7.20 (t, 1H); 8.08 (d, 1H); 10.29 (d, 1H) ppm.	471.54 / 472	INTE5 1 / INTA2 3
INT A28	 Cyano-[5-[1-[3-(2-diethylamino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-		MW: 443.53 / MS (ESI) [M+1]+:	INTE5 3 / INTA3

	thiazolidin-(2-(E or Z))-ylidene]-acetic acid		444	
INT A29	 Cyano-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		MW: 443.53 / MS (ESI) [M+1]+: 444	INTE55 / INTA3
INT A30	 Cyano-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		MW: 459.53 / MS (ESI) [M+1]+: 460	INTE59 / INTA3
INT A31	 Cyano-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-		MW: 473.55 / MS (ESI)	INTE61 / INTA3

	acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid		[M+1]+: 474	
INT A32	 [5-[1-{3-[2-(Benzyl-methyl-amino)-acetylamino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazol-idin-(2-(E or Z))-ylidene]-cyano-acetic acid		MW: 491.57 / MS (ESI) [M+1]+: 492	INTE63 / INTA3
INT A33	 Cyano-[3-ethyl-5-[1-(3-hydroxymethyl-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.26 (t, 3H); 4.25 (q, 2H); 4.51 (s, 2H); 5.27 (s, 1H); 7.04 (d, 1H); 7.18 (d, 2H); 7.27-7.34 (m, 2H); 8.17 (d, 1H); 10.53 (d, 1H);		INTE12 / INTA23

		13.03 (s, 1H) ppm.		
INT A34	 Cyano-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22 (t, 3H); 1.94 (m, 4H); 2.05 (m, 2H); 2.54-2.62 (m, 6H); 2.70 (t, 2H); 4.25 (q, 2H); 6.98 (d, 1H); 7.10-7.18 (m, 2H); 7.32 (t, 1H); 7.95 (m, 1H); 10.08 (m, 1H); 11.60 (m, 1H) ppm.		INTE71 / INTA23
INT A35	 Cyano-[3-ethyl-4-oxo-5-[1-[3-(3-piperidin-1-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.15 (t, 3H); 1.46 (m, 2H); 1.62-1.70 (m, 4H); 1.94 (m, 2H);		INTE72 / INTA23

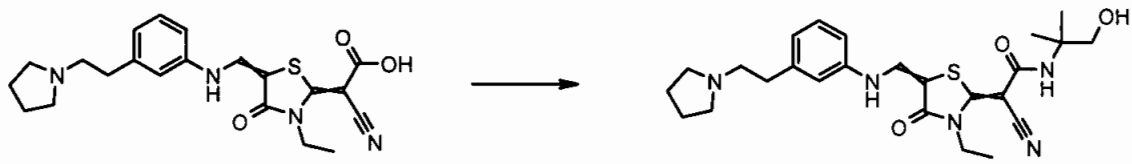
	ylidene]-acetic acid	2.47-2.61 (m, 4H); 2.78 (m, 2H); 2.92 (m, 2H); 4.15 (q, 2H); 6.86 (d, 1H); 7.00-7.08 (m, 2H); 7.20 (t, 1H); 7.86 (m, 1H); 9.98 (m, 1H); 11.48 (m, 1H) ppm.		
INT A36	 Cyano-[3-ethyl-5-[1-[3-(3-morpholin-4-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetic acid	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.18 (t, 3H); 1.71 (m, 2H); 2.35 (t, 2H); 2.40-2.58 (m, 6H); 3.52-3.63 (m, 4H); 4.18 (q, 2H); 6.87 (d, 1H); 7.07 (d, 1H); 7.13 (s, 1H); 7.20 (t, 1H); 8.08 (d, 1H);		INTE73 / INTA23

		10.29 (d, 1H); 11.47 (s, 1H) ppm.		
INT A37	 [5-[1-[3-(tert-Butoxycarbonyl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-cyano-acetic acid		444 / 445	INTE76 / INTA2

5. Synthesis of Amides

Example 1

2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide

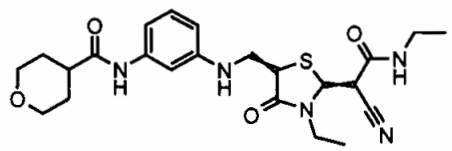


170 mg of the crude product that is described under Intermediate Compound INTA1) (about 0.42 mmol) is dissolved in 10 ml of dimethylformamide, mixed with 248 mg of sodium bicarbonate, 62 µl of 2-amino-2-methyl-propan-1-ol, and 200 mg of TBTU, and stirred for 18 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with dichloromethane. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by chromatography on silica gel, 61 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.30 (t, 3H); 1.36 (s, 6H); 1.74 (m, 4H); 2.54 (m, 4H); 2.69 (m, 2H); 2.79 (m, 2H); 3.43 (d, 2H); 4.27 (q, 2H); 5.27 (t, 1H); 6.74 (s, 1H); 7.00 (d, 1H); 7.18 (d, 1H); 7.25-7.35 (m, 2H); 8.19 (s, 1H); 10.31 (s, 1H) ppm.

Example 2

Tetrahydropyran-4-carboxylic acid (3-{[2-[1-cyano-1-ethylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-amide

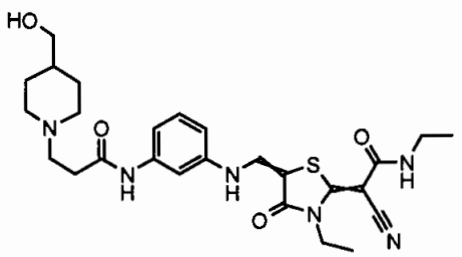


42 mg of tetrahydropyran-4-carboxylic acid is dissolved in 10 ml of tetrahydrofuran. At 0°C, 80 µl of triethylamine and 42 µl of isobutylchloroformate are added. It is stirred for 30 minutes at room temperature. Then, 100 mg of the compound that is described under Example 6) is added. It is stirred for 12 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with dichloromethane. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation and after purification by chromatography on silica gel, 49 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.07 (t, 3H); 1.22 (t, 3H); 1.68 (m, 4H); 2.58 (m, 2H); 3.19 (pentuplet, 2H); 3.39 (m, 1H); 3.90 (m, 1H); 4.21 (q, 2H); 6.90 (s, 1H); 7.12-7.31 (m, 2H); 7.50-7.80 (m, 2H); 8.04 (s, 1H); 9.81-9.99 (s,b, 1H); 10.39 (s, 1H) ppm.

Example 3

2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{3-[3-(4-hydroxymethyl-piperidin-1-yl)-propionylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide



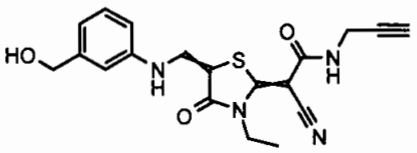
150 mg of the compound that is described under Example 19) is dissolved in 5 ml of tetrahydrofuran. 0.25 ml of treithylamine and 62 mg of piperidin-4-yl-methanol are added. It is refluxed for 12 hours. The reaction mixture is mixed with semi-saturated sodium

bicarbonate solution and extracted with dichloromethane. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation and after purification by chromatography on silica gel, 37 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.97-1.40 (m, 9H); 1.64 (d, 2H); 1.90 (t, 2H); 2.45 (m, 2H); 2.60 (t, 2H); 2.89 (m, 2H); 3.11-3.29 (m, 4H); 4.21 (q, 2H); 4.49 (t, 1H); 6.92 (s, 1H); 7.13 (d, 1H); 7.24 (t, 1H); 7.56-7.80 (m, 2H); 8.02 (s, 1H); 10.18 (s, 1H); 10.40 (s, 1H) ppm.

Example 4

2-Cyano-2-[3-ethyl-5-[1-(3-hydroxymethyl-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide

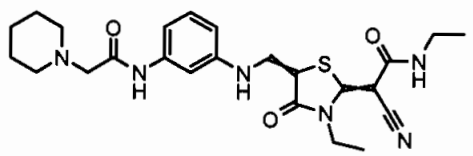


50 mg of the compound that is described under intermediate compound INT9) is dissolved in 5 ml of ethanol. 148 mg of 3-aminobenzyl alcohol and 100 µl of triethyl orthoformate are added. It is stirred under reflux for 3 hours. After the reaction mixture is cooled, the precipitated product is filtered off. After purification by recrystallization from ethanol, 56 mg of the title compound is obtained.

1H-NMR (DMSO-d6, stored with K2CO3, main isomer): δ =1.24 (t, 3H); 3.07 (s,b, 1H); 3.92 (m, 2H); 4.23 (q, 2H); 4.49 (d, 2H); 5.25 (t, 1H); 7.00 (d, 1H); 7.13 (d, 1H); 7.21-7.35 (m, 2H); 7.95-8.20 (m, 2H); 10.40 (s, 1H) ppm.

Example 5

2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide

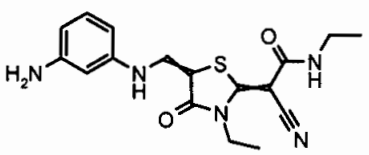


50 mg of the compound that is described under intermediate compound INTT7) is dissolved in 10 ml of ethanol. 140 mg of the compound that is described under intermediate compound INT20) and 100 µl of triethylorthoformate are added. It is stirred under reflux for 3 hours. The reaction mixture is concentrated by evaporation. After purification by recrystallization from ethanol, 26 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.07 (t, 1H); 1.25 (t, 3H); 1.41 (m, 2H); 1.59 (m, 4H); 2.44 (m, 4H); 3.06 (s, 2H); 3.20 (pentuplet, 2H); 4.23 (q, 2H); 6.96 (d, 1H); 7.20-7.33 (m, 2H); 7.60-7.77 (m, 2H); 8.03 (s, 1H); 9.70 (s, 1H); 10.39 (s, 1H) ppm.

Example 6

2-[5-[1-(3-Amino-phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide



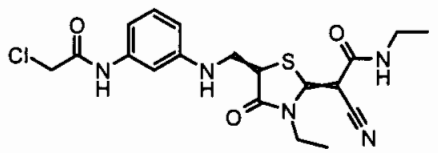
7.75 g of the compound that is produced under Example 79) is suspended in 120 ml of dichloromethane. 70 ml of trifluoroacetic acid is added. It is stirred for one hour at room temperature. The reaction mixture is concentrated by evaporation, mixed with dichloromethane and hexane, and again concentrated by evaporation. After good drying in a

vacuum, 11.2 g of the title compound is obtained as a trifluoroacetic acid salt. This crude product is used for the following reaction without further purification.

1H-NMR (DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3H); 1.26 (t, 3H); 3.20 (m, 2H); 4.22 (q, 2H); 6.80 (d, 1H); 7.01 (s, 1H); 7.05 (d, 1H); 7.30 (t, 1H); 7.74 (t, 1H); 8.01 (d, 1H); 9.20 (s, b, 3H); 10.35 (d, 1H) ppm.

Example 7

2-[5-[1-[3-(2-Chloro-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide

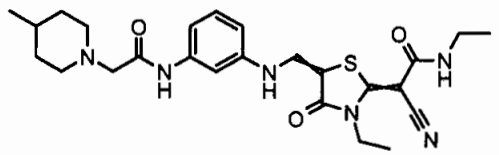


About 16.9 mmol of the crude product of the compound (11.2 g) that is produced under Example 6) is suspended in 500 ml of tetrahydrofuran. 5.15 ml of triethylamine is added at room temperature, and then 3.28 g of chloroacetic acid anhydride is added at 15°C in portions. It is stirred for two hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution, and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by recrystallization from ethanol, 5.26 g of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.09 (t, 3H); 1.26 (t, 3H); 3.21 (pentuplet, 2H); 4.21 (q, 2H); 4.28 (s, 2H); 7.00 (d, 1H); 7.20 (d, 1H); 7.29 (t, 1H); 7.58-7.77 (m, 1H); 8.01 (s, 1H); 10.35 (s, 1H); 10.41 (s, 1H) ppm.

Example 8

2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide

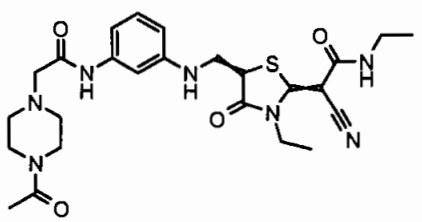


100 mg of the compound that is described under Example 7) is dissolved in 5 ml of dimethylformamide. 0.15 ml of triethylamine, 6 mg of potassium iodide, and 38 µl of 4-methylpiperidine are added. It is stirred for 4 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by chromatography on silica gel, 62 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K2CO3, main isomer): δ = 0.91 (d, 3H); 1.08 (t, 3H); 1.14-1.40 (m, 6H); 1.59 (d, 2H); 2.12 (t, 2H); 2.83 (d, 2H); 3.09 (s, 2H); 3.21 (m, 2H); 4.22 (q, 4H); 6.96 (d, 2H); 7.20-7.33 (m, 2H); 7.58-7.78 (m, 2H); 8.04 (s, 1H); 9.69 (s, 1H); 10.40 (s, 1H) ppm.

Example 9

2-[5-[1-{3-[2-(4-Acetyl-piperazin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide



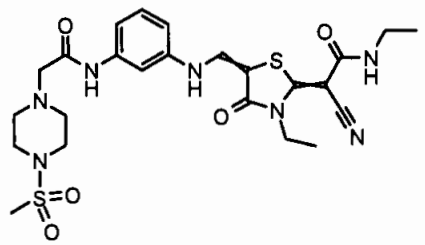
94 mg of the compound that is produced under Example 80) is suspended in 5 ml of dichloromethane. 2.5 ml of trifluoroacetic acid is added. It is stirred for 30 minutes at room

temperature. The reaction mixture is concentrated by evaporation, mixed with dichloromethane and hexane, and again concentrated by evaporation. After good drying in a vacuum, the thus obtained residue is suspended in 5 ml of dimethylformamide. 50 µl of acetic acid, 67 mg of sodium bicarbonate, and 62 mg of TBTU are added. It is stirred for 12 hours at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by recrystallization from ethanol, 48 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.07 (t, 3H); 1.25 (t, 3H); 2.00 (s, 3H); 2.41-2.60 (m, 4H); 3.14-3.28 (m, 4H); 3.50 (m, 4H); 4.22 (q, 2H); 6.98 (m, 1H); 7.21-7.31 (m, 2H); 7.63-7.76 (m, 2H); 8.00 (s, 1H); 9.81 (s, 1H); 10.40 (s, 1H) ppm.

Example 10

2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{3-[2-(4-methanesulfonyl-piperazin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide



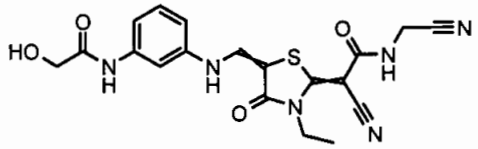
120 mg of the compound that is produced under Example 80) is suspended in 5 ml of dichloromethane. 2.5 ml of trifluoroacetic acid is added. It is stirred for 30 minutes at room temperature. The reaction mixture is concentrated by evaporation, mixed with dichloromethane and hexane, and again concentrated by evaporation. After good drying in a vacuum, the thus obtained residue is suspended in 5 ml of tetrahydrofuran. 150 µl of triethylamine and 20 µl of methanesulfonic acid chloride are added. It is stirred for 3 hours at

room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by recrystallization from ethanol, 46 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.24 (t, 3H); 2.63 (m, 4H); 2.91 (s, 3H); 3.10-3.28 (m, 8H); 4.22 (q, 2H); 6.95 (s, 1H); 7.20-7.30 (m, 2H); 7.56-7.75 (m, 2H); 8.05 (s, 1H); 9.80 (s, 1H); 10.40 (s, 1H) ppm.

Example 11

2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(2-hydroxy-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide

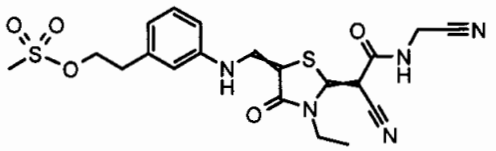


100 mg of the compound that is produced under Example 95) is dissolved in 10 ml of methanol. 1 ml of water and 30 mg of potassium carbonate are added. It is stirred for 2 hours at room temperature. The reaction mixture is mixed with water and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and after purification by recrystallization from ethanol, 72 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 4.01 (d, 1H); 4.17 (d, 2H); 4.25 (q, 2H); 5.70 (t, 1H); 6.99 (d, 2H); 7.28 (t, 1H); 7.40 (d, 1H); 7.81 (s, 1H); 8.09 (s, 1H); 8.35 (s, 1H); 9.73 (s, 1H); 10.53 (s, 1H) ppm.

Example 12

Methanesulfonic acid 2-(3-{[2-[1-cyano-1-(cyanomethyl-carbamoyl)-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-ethyl ester

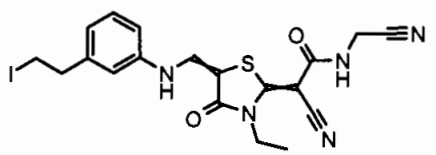


1.0 g of the compound that is produced under Example 71) is dissolved in 10 ml of dimethylformamide and 200 ml of tetrahydrofuran. 0.9 ml of triethylamine and 0.31 ml of methanesulfonic acid chloride are added at –10°C. It is stirred for one hour at room temperature. The reaction mixture is mixed with semi-saturated sodium bicarbonate solution and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, and concentrated by evaporation. The solid that is obtained is mixed with dichloromethane, stirred for one hour at room temperature, and filtered off. 1.0 g of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 3.00 (t, 2H); 3.11 (s, 3H); 4.17 (m, 2H); 4.24 (q, 2H); 4.45 (t, 2H); 7.01 (d, 1H); 7.19 (d, 1H); 7.25-7.36 (m, 2H); 8.19 (s, 1H); 8.34 (t, 1H); 10.41 (s, 1H) ppm.

Example 13

2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(2-iodo-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide



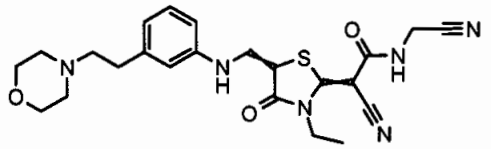
4.5 g of the compound that is produced under Example 12) is dissolved in 400 ml of butanone. 1.72 g of sodium iodide is added. It is stirred for eight hours under reflux. The reaction mixture is mixed with water and extracted with ethyl acetate. 1.6 g of the starting

material is recovered from the aqueous phase. The organic solution is dried on sodium sulfate and concentrated by evaporation. 3.0 g of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

1H-NMR (DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.27 (t, 3H); 3.12 (t, 2H); 3.50 (t, 2H); 4.16 (d, 2H); 4.24 (q, 2H); 6.98 (d, 1H); 7.18 (d, 1H); 7.22-7.34 (m, 2H); 8.20 (d, 1H); 8.35 (t, 1H); 10.41 (d, 1H) ppm.

Example 14

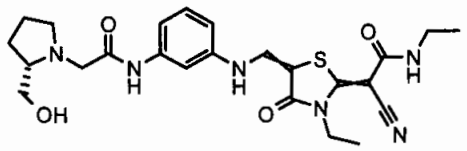
2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide

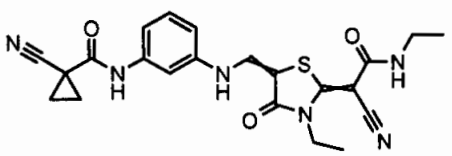
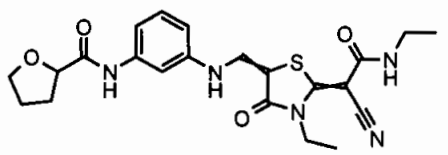


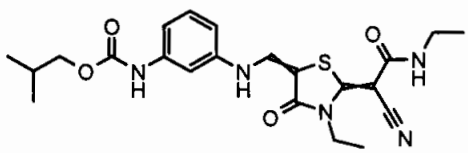
120 mg of the compound that is produced under Example 13) is dissolved in 5 ml of dimethylformamide. 42 mg of morpholine and 65 mg of potassium carbonate are added. It is stirred for 12 hours at room temperature. The reaction mixture is mixed with water and extracted with ethyl acetate. The organic solution is washed with saturated sodium chloride solution, dried on sodium sulfate, concentrated by evaporation, and afer purification by chromatography on silica gel, 40 mg of the title compound is obtained as a pH-dependent 5-(E/Z)-isomer mixture.

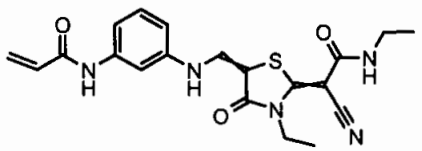
1H-NMR (DMSO-d6, stored with K2CO3, main isomer): δ =1.27 (t, 3H); 2.43 (m, 4H); 2.52 (m, 2H); 2.74 (m, 2H); 3.59 (m, 4H); 4.17 (m, 2H); 4.23 (q, 2H); 6.95 (d, 1H); 7.11 (d, 1H); 7.19-7.30 (m, 2H); 8.18 (s, 1H); 8.32 (s, 1H); 10.39 (s, 1H) ppm.

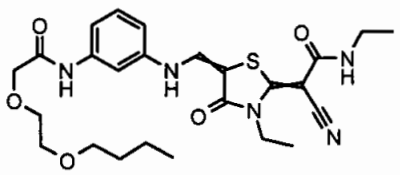
The following compounds are produced analogously to the above-described process.

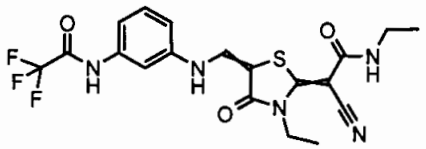
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
15	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{3-[2-((S)-2-hydroxymethyl-pyrrolidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.06 (t, 3H); 1.23 (t, 3H); 1.51-1.91 (m, 4H); 2.44 (m, 1H); 2.62-2.75 (m, 1H); 3.01-3.77 (m, 4H); 3.38 (m, 2H); 3.54 (d, 1H); 4.22 (q, 2H); 4.68 (t, 1H); 6.97 (s, 1H); 7.20-7.32 (m, 2H); 7.56-7.78 (m, 2H); 8.04 (s, 1H); 9.81 (s, 1H); 10.40 (s, 1H) ppm.	498.61/ 499	7 / 8

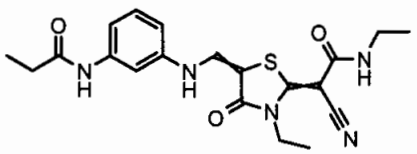
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
16	 1-Cyano-cyclopropanecarboxylic acid (3-{[2-[1-cyano-1-ethylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-amide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.23 (t, 3H); 1.69 (s, 4H); 3.20 (pentuplet, 2H); 4.21 (q, 2H); 7.00 (s, 1H); 7.21-7.33 (m, 2H); 7.52-7.77 (m, 2H); 8.02 (s, 1H); 10.03 (s, 1H); 10.38 (s, 1H) ppm.	450.52/ 451	6 / 2
17	 Tetrahydrofuran-2-carboxylic acid (3-{[2-[1-cyano-1-ethylcarbamoyl-meth-	($CDCl_3$, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.39 (t, 3H);	455.54/ 456	6 / 2

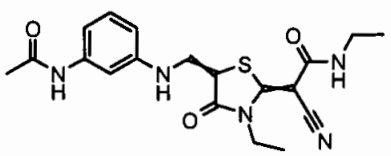
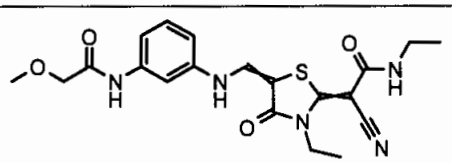
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-amide	1.85-1.97 (m, 4H); 3.30-3.48 (m, 2H); 3.89-4.11 (m, 2H); 4.37 (m, 2H); 4.48 (m, 1H); 6.19 (m, 1H); 6.80 (d, 1H); 7.05 (d, 1H); 7.25-7.42 (m, 1H); 7.58 (d, 1H); 7.70 (s, 1H); 8.56 (s, 1H); 10.49 (d, 1H) ppm.		
18	 (3-{[2-[1-Cyano-1-ethylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-carbamic acid isobutyl	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.93 (d, 6H); 1.08 (t, 3H); 1.24 (t, 3H);	457.55/ 458	6 / 7

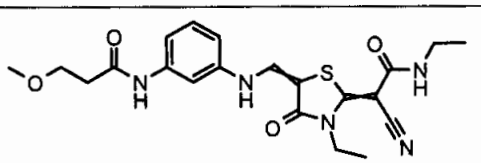
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	ester	1.93 (m, 1H); 3.20 (pentuplet, 2H); 3.89 (d, 2H); 4.22 (q, 2H); 7.39 (s, 1H); 7.09 (d, 1H); 7.21 (t, 1H); 7.49 (s, 1H); 7.68 (s, 1H); 8.00 (s, 1H); 9.68 (s, 1H); 10.40 (s, 1H) ppm.		
19	 N-(3-{[2-[1-Cyano-1-ethylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-acrylamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.24 (t, 3H); 3.20 (pentuplet, 2H); 4.21 (q, 2H);	411.48/ 412	6 / 2

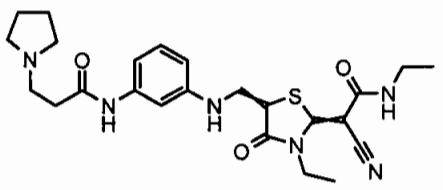
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		5.28 (m, 1H); 6.27 (m, 1H); 6.44 (m, 1H); 6.91-7.04 (m, 1H); 7.21-7.32 (m, 2H); 7.69 (m, 1H); 7.77 (s, 1H); 8.00 (s, 1H); 10.22 (s, 1H) ppm.		
20	 2-[5-[1-{3-[2-(2-Butoxy-ethoxy)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.88 (t, 3H); 1.08 (t, 3H); 1.18-1.38 (m, 5H); 1.49 (pentuplet, 2H); 3.21 (pentuplet, 2H); 3.42 (t, 2H); 3.57 (t, 2H);	515.63/ 516	6 / 2

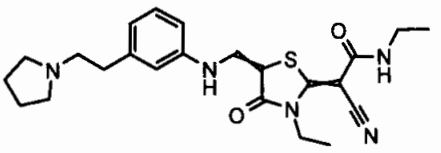
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		3.68 (t, 2H); 4.10 (s, 2H); 4.23 (q, 2H); 6.99 (m, 1H); 7.21-7.32 (m, 2H); 7.64-7.78 (m, 2H); 8.01 (d, 1H); 9.69 (s, 1H); 10.40 (d, 1H) ppm.		
21	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2,2,2-trifluoro-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H); 3.21 (pentuplet, 2H); 4.22 (q, 2H); 7.04 (s, 1H); 7.23-7.35 (m, 2H); 7.53-7.67 (m, 2H);	453.44/ 454	6 / 2

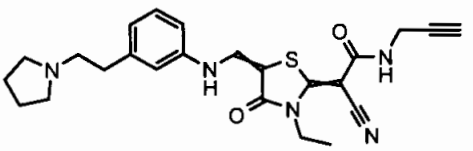
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.05 (s, 1H); 10.30-11.20 (b, 2H) ppm.		
22	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-(3-propionylamino-phenylamino)-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.00-1.14 (m, 6H); 1.26 (t, 3H); 3.32 (q, 2H); 3.21 (pentuplet, 2H); 4.22 (q, 2H); 6.92 (d, 1H); 7.14-7.29 (m, 2H); 7.61-7.74 (m, 2H); 7.99 (s, 1H); 9.92 (s, 1H); 10.40 (s, 1H) ppm.	413.50/ 414	6 / 2

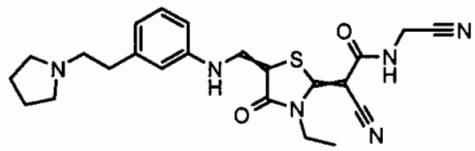
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
23	 2-[5-[1-(3-Acetylamino-phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.09 (t, 3H); 1.24 (t, 3H); 2.05 (s, 3H); 3.21 (pentuplet, 2H); 4.22 (q, 2H); 6.94 (d, 1H); 7.16 (d, 1H); 7.24 (t, 1H); 7.60-7.76 (m, 2H); 7.99 (s, 1H); 10.00 (s, 1H); 10.40 (s, 1H) ppm.	399.47/ 400	6 / 2
24	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[3-(2-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =	429.50/ 430	6 / 2

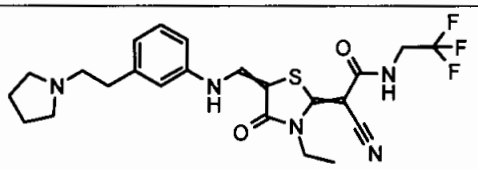
Exam-ple No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analo-gous to
	methoxy-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	1.09 (t, 3H); 1.26 (t, 3H); 3.21 (pentuplet, 2H); 3.39 (s, 3H); 4.02 (s, 2H); 4.22 (q, 2H); 6.98 (d, 1H); 7.26 (t, 1H); 7.34 (d, 1H); 7.71 (t, 1H); 7.76 (s, 1H); 8.00 (d, 1H); 9.82 (s, 1H); 10.40 (d, 1H) ppm.		
25	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[3-(3-methoxy-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H);	443.53/ 444	6 / 2

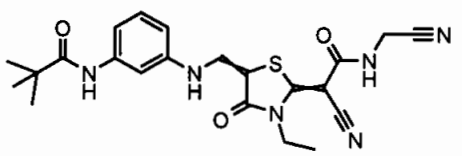
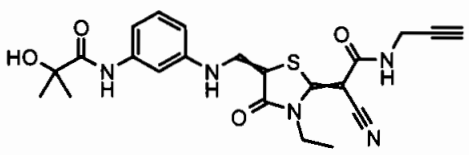
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	2.55 (t, 2H); 3.20 (pentuplet, 2H); 3.25 (s, 3H); 3.62 (t, 2H); 4.22 (q, 2H); 6.93 (d, 1H); 7.19 (d, 1H); 7.24 (t, 1H); 7.58-7.79 (m, 2H); 8.00 (s, 1H); 10.00 (s, 1H); 10.38 (s, 1H) ppm.		
26	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.24 (t, 3H); 1.70 (m, 4H); 2.39-2.60 (m, 6H);	482.60/ 483	19 / 3

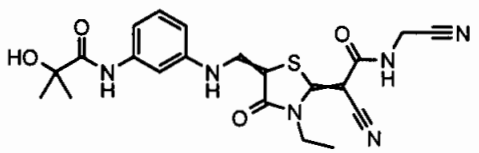
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		2.73 (t, 2H); 3.20 (pentuplet, 2H); 4.23 (q, 2H); 6.96 (d, 1H); 7.16 (d, 1H); 7.25 (t, 1H); 7.65-7.77 (m, 2H); 7.99 (d, 1H); 10.14 (s, 1H); 10.39 (d, 1H) ppm.		
27	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.27 (t, 3H); 1.68 (m, 4H); 2.47 (m, 4H); 2.64 (m, 2H); 2.72 (m, 2H);	439.58/ 440	INTA1/ 1

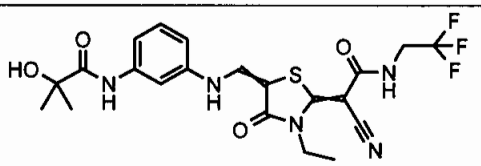
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		3.20 (pentuplet, 2H); 4.22 (q, 2H); 6.92 (d, 1H); 7.10 (d, 1H); 7.16-7.28 (m, 2H); 7.70 (t, 1H); 8.09 (s, 1H); 10.24 (s, 1H) ppm.		
28	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.68 (m, 4H); 2.48 (m, 4H); 2.62 (m, 2H); 2.73 (m, 2H); 3.06 (s,b, 1H); 3.93 (m, 2H); 4.23 (q, 2H);	449.58/ 450	INTA1/ 1

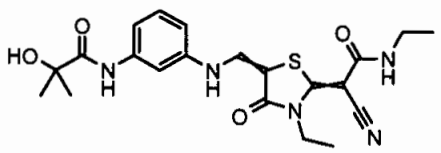
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		6.93 (d, 1H); 7.10 (d, 1H); 7.16-7.30 (m, 2H); 8.08 (t, 1H); 8.12 (s, 1H); 10.30 (s, 1H) ppm.		
29	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.68 (m, 4H); 2.50 (m, 4H); 2.64 (m, 2H); 2.73 (m, 2H); 4.17 (d, 2H); 4.23 (q, 2H); 6.94 (d, 1H); 7.10 (d, 1H); 7.17-7.31 (m, 2H);	450.56/ 451	INTA1/ 1

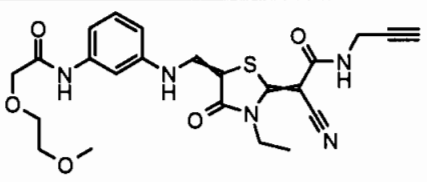
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.16 (s, 1H); 8.35 (s, 1H); 10.38 (s, 1H) ppm.		
30	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 1.70 (m, 4H); 2.47 (m, 4H); 2.63 (m, 2H); 2.74 (m, 2H); 3.97 (m, 2H); 4.25 (q, 2H); 6.95 (d, 1H); 7.12 (d, 1H); 7.19-7.30 (m, 2H); 8.15 (s, 1H); 8.21 (t, 1H); 10.38 (s, 1H) ppm.	493.55/ 494	INTA1/ 1

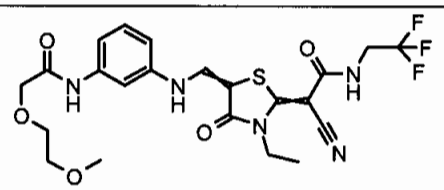
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
31	 2-Cyano-N-cyanomethyl-2-[5-[1-[3-(2,2-dimethyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.19-1.30 (m, 12H); 4.16 (d, 2H); 4.24 (q, 2H); 6.95 (d, 1H); 7.24 (t, 1H); 7.37 (d, 1H); 7.72 (s, 1H); 8.09 (s, 1H); 8.32 (s, 1H); 9.25 (s, 1H); 10.53 (s, 1H) ppm.	452.54/ 453	INTA4/ 1
32	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H);	453.52/ 454	INTA10/ 1

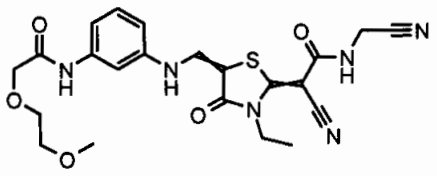
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	hydroxy-2-methyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	1.38 (s, 6H); 3.08 (s,b, 1H); 3.93 (m, 2H); 4.24 (q, 2H); 5.76 (s, 1H); 6.97 (d, 1H); 7.25 (t, 1H); 7.43 (d, 1H); 7.87 (s, 1H); 8.00-8.16 (m, 2H); 9.65 (s, 1H); 10.42 (d, 1H) ppm.		
33	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(2-hydroxy-2-methyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.27 (t, 3H); 1.37 (s, 6H); 4.17 (d, 2H); 4.25 (q, 2H);	454.51/ 455	INTA10/ 1

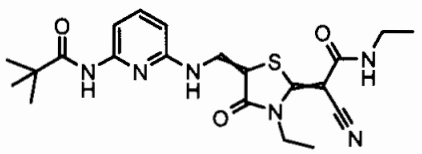
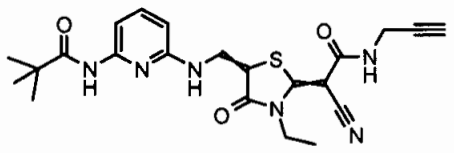
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	or Z))-ylidene]-acetamide	5.76 (s, 1H); 6.98 (d, 1H); 7.26 (t, 1H); 7.45 (d, 1H); 7.38 (s, 1H); 8.09 (d, 1H); 8.34 (t, 1H); 9.66 (s, 1H); 10.50 (d, 1H) ppm.		
34	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-hydroxy-2-methyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.27 (t, 3H); 1.35 (s, 6H); 3.95 (m, 2H); 4.25 (q, 2H); 5.75 (s, 1H); 6.08 (d, 1H); 7.25 (t, 1H);	497.50/ 498	INTA10/ 1

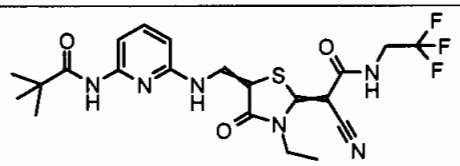
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.43 (d, 1H); 7.88 (s, 1H); 8.09 (d, 1H); 8.21 (t, 1H); 9.65 (s, 1H); 10.48 (d, 1H) ppm.		
35	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[3-(2-hydroxy-2-methyl-propionylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.18 (t, 3H); 1.25 (t, 3H); 1.35 (s, 6H); 3.21 (pentuplet, 2H); 4.24 (q, 2H); 5.75 (s, 1H); 6.96 (d, 1H); 7.24 (t, 1H); 7.42 (d, 1H); 7.70 (t, 1H);	443.53/ 444	INTA10/ 1

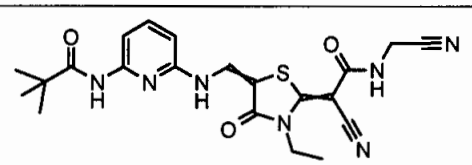
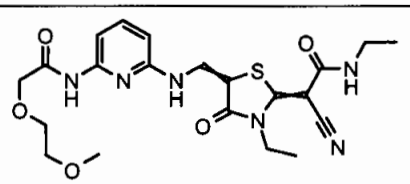
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.85 (s, 1H); 8.03 (d, 1H); 9.64 (s, 1H); 10.36 (d, 1H) ppm.		
36	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(2-methoxy-ethoxy)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 3.06 (m, 1H); 3.31 (s, 3H); 3.54 (m, 2H); 3.68 (m, 2H); 3.93 (m, 2H); 4.10 (s, 2H); 4.23 (q, 2H); 7.01 (m, 1H); 7.23-7.34 (m, 2H); 7.75 (s, 1H); 8.03 (d, 1H);	483.55/ 484	INTA5/ 1

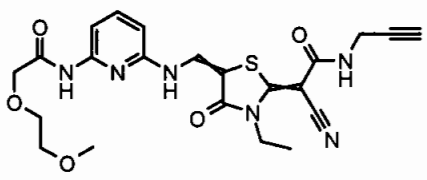
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.10 (t, 1H); 9.70 (s, 1H); 10.45 (d, 1H) ppm.		
37	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(2-methoxy-ethoxy)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 3.31 (s, 3H); 3.54 (m, 2H); 3.69 (m, 2H); 3.97 (m, 2H); 4.10 (s, 2H); 4.25 (q, 2H); 7.01 (m, 1H); 7.22-7.34 (m, 2H); 7.76 (s, 1H); 8.07 (d, 1H); 8.23 (t, 1H); 9.71 (s, 1H);	527.52/ 528	INTA5/ 1

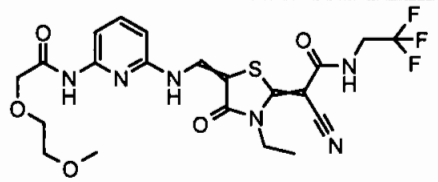
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.51 (d, 1H) ppm.		
38	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(2-methoxy-ethoxy)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 3.31 (s, 3H); 3.54 (m, 2H); 3.68 (m, 2H); 4.10 (s, 2H); 4.18 (d, 2H); 4.25 (q, 2H); 7.02 (m, 1H); 7.23-7.35 (m, 2H); 7.75 (s, 1H); 8.08 (d, 1H); 8.35 (t, 1H); 9.71 (s, 1H); 10.55 (d, 1H) ppm.	484.54/ 485	INTA5/ 1

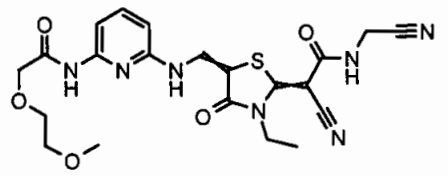
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
39	 2-Cyano-2-[5-[1-[6-(2,2-dimethyl-propionylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.09 (t, 3H); 1.18-1.30 (m, 12H); 3.21 (m, 2H); 4.23 (q, 2H); 6.78 (dd, 1H); 7.63-7.79 (m, 3H); 8.74 (s, 1H); 9.68 (s, 1H); 10.67 (s, 1H) ppm.	442.54/ 443	INTA3/ 1
40	 2-Cyano-2-[5-[1-[6-(2,2-dimethyl-propionylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.19-1.31 (m, 12H); 3.08 (m, 1H); 3.92 (m, 2H);	452.54/ 453	INTA3/ 1

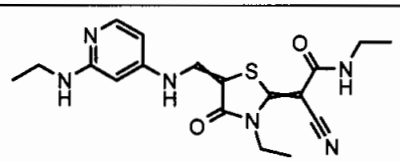
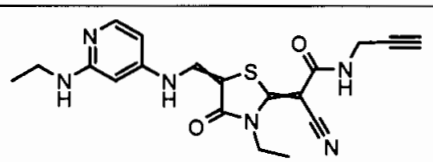
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	4.22 (q, 2H); 6.78 (dd, 1H); 7.65-7.76 (m, 2H); 8.14 (s, 1H); 8.78 (s, 1H); 9.68 (s, 1H); 10.75 (s, 1H) ppm.		
41	 2-Cyano-2-[5-[1-[6-(2,2-dimethyl-propionylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.15-1.31 (m, 12H); 3.95 (m, 2H); 4.22 (q, 2H); 6.71 (d, 1H); 7.58-7.72 (m, 2H); 8.02 (s, 1H); 8.88 (s, 1H); 9.55 (s, 1H); 10.80 (s, 1H) ppm.	496.51/ 497	INTA3/ 1

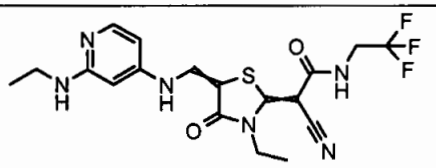
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
42	 2-Cyano-N-cyanomethyl-2-[5-[1-[6-(2,2-dimethyl-propionylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.19-1.32 (m, 12H); 4.18 (d, 2H); 4.25 (q, 2H); 6.80 (d, 1H); 7.65-7.78 (m, 2H); 8.40 (t, 1H); 8.80 (s, 1H); 9.70 (s, 1H); 10.81 (s, 1H) ppm.	453.52/ 454	INTA3/ 1
43	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{6-[2-(2-methoxy-ethoxy)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H); 3.21 (m, 2H);	474.54/ 475	INTA6/ 1

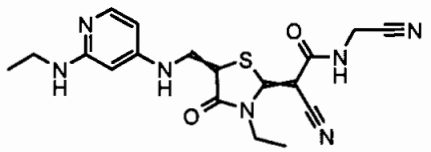
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	3.33 (s, 3H); 3.52 (m, 2H); 3.69 (m, 2H); 4.15 (s, 2H); 4.22 (q, 2H); 6.79 (dd, 1H); 7.64-7.81 (m, 3H); 8.67 (s, 1H); 9.94 (s, 1H); 10.75 (s, 1H) ppm.		
44	 2-Cyano-2-[3-ethyl-5-[1-{6-[2-(2-methoxy-ethoxy)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 3.09 (m, 1H); 3.35 (s, 3H); 3.51 (m, 2H); 3.69 (m, 2H); 3.92 (m, 2H);	484.53/ 485	INTA6/ 1

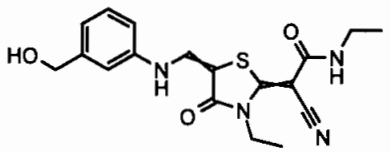
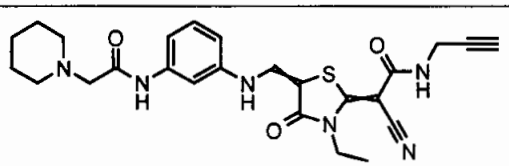
Exam-ple No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analo-gous to
		4.15 (s, 2H); 4.22 (q, 2H); 6.82 (dd, 1H); 7.69-7.81 (m, 2H); 8.17 (t, 1H); 8.68 (s, 1H); 9.99 (s, 1H); 10.85 (s, 1H) ppm.		
45	 2-Cyano-2-[3-ethyl-5-[1-{6-[2-(2-methoxy-ethoxy)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 3.33 (s, 3H); 3.51 (m, 2H); 3.69 (m, 2H); 3.97 (m, 2H); 4.15 (s, 2H); 4.24 (q, 2H); 6.80 (dd, 1H);	528.51/ 529	INTA6/ 1

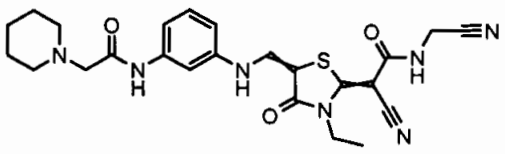
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.68-7.82 (m, 2H); 8.28 (t, 1H); 8.70 (s, 1H); 9.99 (s, 1H); 10.87 (s, 1H) ppm.		
46	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{6-[2-(2-methoxy-ethoxy)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 3.34 (s, 3H); 3.52 (m, 2H); 3.69 (m, 2H); 4.08-4.32 (m, 6H); 6.79 (d, 1H); 7.65-7.81 (m, 2H); 8.35 (s, 1H); 8.73 (s, 1H); 9.95 (s, 1H); 10.88 (s, 1H) ppm.	485.52/ 486	INTA6/ 1

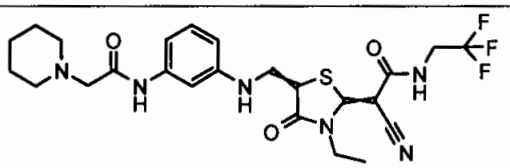
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
47	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(2-ethylamino-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.99-1.17 (m, 6H); 1.25 (t, 3H); 3.12-3.29 (m, 4H); 4.21 (q, 1H); 6.22 (s, 1H); 6.38-6.50 (m, 2H); 7.75 (t, 1H); 7.83 (d, 1H); 7.99 (d, 1H); 10.20 (d, 1H) ppm.	386.48/ 387	INTA2/ 1
48	 2-Cyano-2-[3-ethyl-5-[1-(2-ethylamino-pyridin-4-ylamino)-meth-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.11 (t, 3H); 1.26 (t, 3H);	396.47/ 397	INTA2/ 1

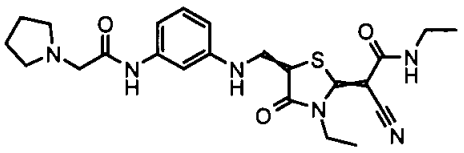
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	3.07 (m, 1H); 3.23 (m, 2H); 3.92 (m, 2H); 4.22 (q, 2H); 6.28 (d, 1H); 6.44 (dd, 1H); 6.53 (t, 1H); 7.84 (d, 1H); 8.01 (d, 1H); 8.17 (t, 1H); 10.30 (d, 1H) ppm.		
49	 2-Cyano-2-[3-ethyl-5-[1-(2-ethylamino-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.11 (t, 3H); 1.26 (t, 3H); 3.22 (m, 2H); 3.97 (m, 2H); 4.24 (q, 2H);	440.45/ 441	INTA2/ 1

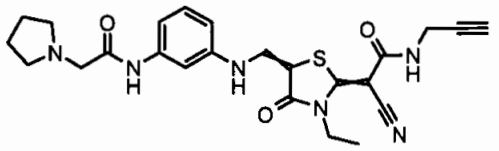
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		6.24 (d, 1H); 6.40-6.50 (m, 2H); 7.84 (d, 1H); 8.03 (s, 1H); 8.27 (t, 1H); 10.31 (s, 1H) ppm.		
50	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-(2-ethylamino-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.11 (t, 3H); 1.26 (t, 3H); 3.22 (m, 2H); 4.18 (d, 2H); 4.23 (q, 2H); 6.26 (d, 1H); 6.38-6.51 (m, 2H); 7.35 (d, 1H); 8.06 (d, 1H); 8.40 (t, 1H);	397.46/ 398	INTA2/ 1

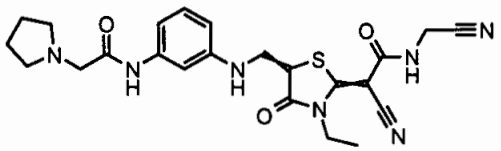
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.34 (d, 1H) ppm.		
51	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(3-hydroxymethyl-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H); 3.21 (pentuplet, 2H); 4.23 (q, 2H); 4.50 (d, 2H); 5.24 (t, 1H); 7.00 (d, 1H); 7.14 (d, 1H); 7.23-7.33 (m, 2H); 7.69 (t, 1H); 8.08 (s, 1H); 10.33 (s, 1H) ppm.	372.45/ 373	INTT7/ 4
52		(DMSO-d6, stored with K_2CO_3, main isomer):	492.60/ 493	INTT9 + INT20/ 5

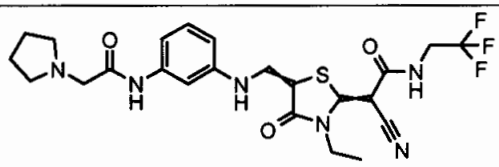
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	δ = 1.26 (t, 3H); 1.41 (m, 2H); 1.59 (m, 4H); 2.45 (m, 4H); 3.01-3.11 (m, 3H); 3.92 (m, 2H); 4.24 (q, 2H); 7.00 (d, 1H); 7.21-7.35 (m, 2H); 7.72 (s, 1H); 8.00-8.15 (m, 2H); 9.71 (s, 1H); 10.43 (d, 1H) ppm.		
53	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.27 (t, 3H); 1.42 (m, 2H);	493.59/ 494	INTT10 + INTT20/ 5

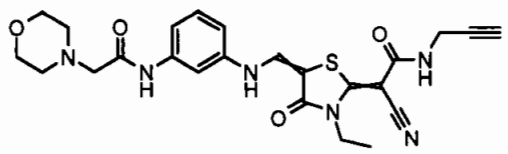
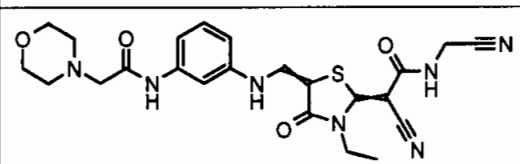
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	1.58 (m, 4H); 2.47 (m, 4H); 3.08 (s, 2H); 4.17 (d, 2H); 4.24 (q, 2H); 6.94-7.05 (m, 1H); 7.21-7.34 (m, 2H); 7.75 (s, 1H); 8.09 (d, 1H); 8.36 (t, 1H); 9.74 (s, 1H); 10.52 (d, 1H) ppm.		
54	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.27 (t, 3H); 1.41 (m, 2H); 1.59 (m, 4H); 2.45 (m, 4H);	536.58/ 537	INTT8 + INT20/ 5

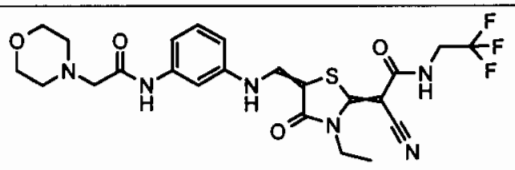
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	(2,2,2-trifluoro-ethyl)-acetamide	3.08 (s, 2H); 3.97 (m, 2H); 4.24 (q, 2H); 7.00 (d, 1H); 7.21-7.34 (m, 2H); 7.74 (s, 1H); 8.08 (s, 1H); 8.21 (t, 1H); 9.72 (s, 1H); 10.50 (s, 1H) ppm.		
55	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H); 1.77 (m, 4H); 2.60 (m, 4H); 3.21 (pentuplet, 2H); 3.26 (s, 2H);	468.58/ 469	INTT7 + INT22/ 5

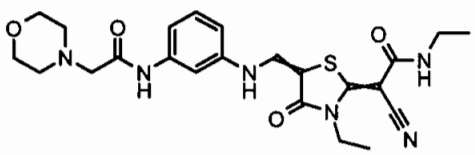
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		4.23 (q, 2H); 6.97 (d, 2H); 7.20-7.37 (m, 2H); 7.62-7.78 (m, 2H); 8.02 (s, 1H); 9.76 (s, 1H); 10.39 (s, 1H) ppm.		
56	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 1.76 (m, 4H); 2.60 (m, 4H); 3.05 (m, 1H); 3.25 (s, 2H); 3.91 (m, 2H); 4.23 (q, 2H); 6.88 (s, 1H); 7.20 (t, 1H);	478.57/ 479	INTT9 + INT22/ 5

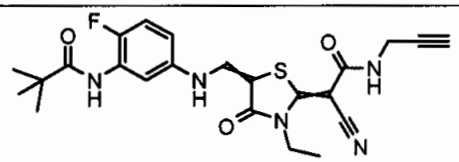
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.29 (d, 1H); 7.61 (s, 1H); 7.73-8.01 (b, 1H); 8.12 (s, 1H); 9.70 (s, 1H); 10.45 (s, 1H) ppm.		
57	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 1.87 (m, 4H); 3.02 (m, 4H); 3.80 (s, 2H); 4.17 (d, 2H); 4.24 (q, 2H); 6.99-7.09 (m, 1H); 7.24-7.38 (m, 2H); 7.74 (s, 1H); 8.07 (d, 1H);	479.56/ 480	INTT10 + INT22/ 5

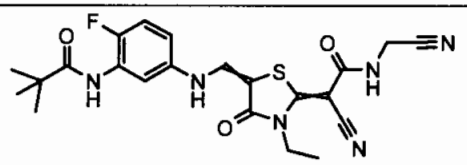
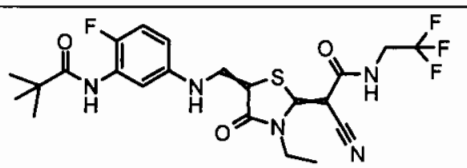
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.36 (t, 1H); 10.35 (s, 1H); 10.58 (d, 1H) ppm.		
58	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 1.75 (m, 4H); 2.60 (m, 4H); 3.25 (s, 2H); 3.96 (m, 2H); 4.24 (q, 2H); 6.98 (d, 1H); 7.21-7.38 (m, 2H); 7.75 (s, 1H); 8.08 (s, 1H); 8.21 (t, 1H); 9.78 (s, 1H); 10.50 (s, 1H) ppm.	522.55/ 523	INTT8 + INT22/ 5

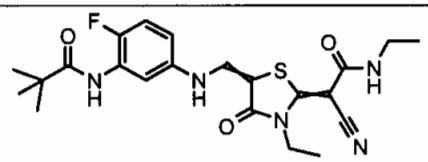
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
59	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 2.51 (m, 4H); 3.06 (m, 1H); 3.13 (s, 2H); 3.65 (m, 4H); 3.92 (m, 2H); 4.24 (q, 2H); 6.95 (s, 1H); 7.20-7.33 (m, 2H); 7.67 (s, 1H); 7.92-8.15 (m, 2H); 9.78 (s, 1H); 10.45 (s, 1H) ppm.	494.57/ 495	INTT9 + INT24/ 5
60		(DMSO-d6, stored with K_2CO_3, main isomer):	495.56/ 496	INTT10 + INT24/ 5

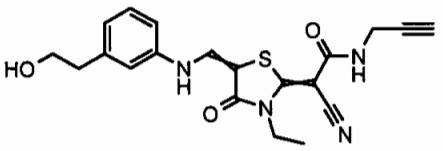
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	δ = 1.27 (t, 3H); 2.51 (m, 4H); 3.15 (s, 2H); 3.64 (m, 4H); 4.15 (d, 2H); 4.24 (q, 2H); 6.96-7.06 (m, 1H); 7.23-7.36 (m, 2H); 7.74 (s, 1H); 8.08 (d, 1H); 8.35 (t, 1H); 9.81 (s, 1H); 10.53 (d, 1H) ppm.		
61	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.27 (t, 3H); 2.51 (m, 4H);	538.55/ 539	INTT8 + INT24/ 5

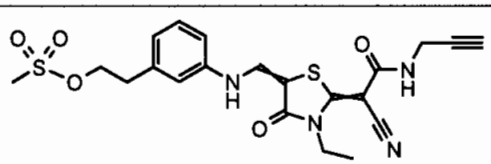
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	3.14 (s, 2H); 3.64 (m, 4H); 3.97 (m, 2H); 4.25 (q, 2H); 6.95-7.04 (m, 1H); 7.22-7.33 (m, 2H); 7.73 (s, 1H); 8.07 (d, 1H); 8.21 (t, 1H); 9.80 (s, 1H); 10.50 (d, 1H) ppm.		
62	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H); 2.50 (m, 4H); 3.13 (s, 2H); 3.20 (m, 2H);	484.58/ 485	INTT7 + INT24/ 5

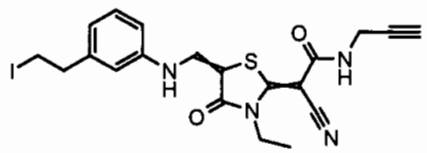
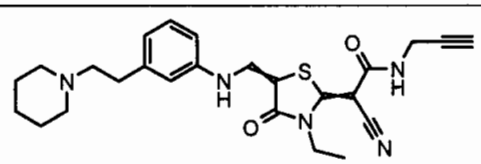
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		3.65 (m, 4H); 4.23 (q, 2H); 6.91-7.02 (m, 1H); 7.20-7.33 (m, 2H); 7.63-7.75 (m, 2H); 8.01 (s, 1H); 9.79 (s, 1H); 10.39 (s, 1H) ppm.		
63	 2-Cyano-2-[5-[1-[3-(2,2-dimethyl-propionylamino)-4-fluoro-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.19-1.30 (m, 12H); 3.07 (m, 1H); 3.92 (m, 2H); 4.23 (q, 2H); 7.09-7.18 (m, 1H); 7.22 (t, 1H); 7.51 (m, 1H); 8.02 (d, 1H);	469.54/ 470	INTT9 + INT19/ 5

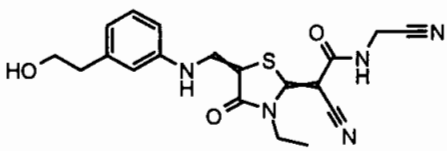
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.10 (t, 1H); 9.08 (s, 1H); 10.39 (d, 1H) ppm.		
64	 2-Cyano-N-cyanomethyl-2-[5-[1-[3-(2,2-dimethyl-propionylamino)-4-fluoro-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.16-1.31 (m, 12H); 4.17 (d, 2H); 4.23 (q, 2H); 7.11-7.19 (m, 1H); 7.24 (t, 1H); 7.03 (m, 1H); 8.07 (d, 1H); 8.36 (t, 1H); 9.09 (s, 1H); 10.45 (d, 1H) ppm.	470.53/ 471	INTT10 + INT19/ 5
65		(DMSO-d6, stored with K_2CO_3, main isomer):	513.51/ 514	INTT8 + INT19/ 5

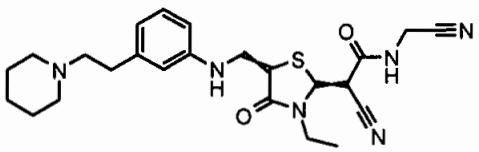
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-[5-[1-[3-(2,2-dimethyl-propionylamino)-4-fluoro-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	δ = 1.17-1.33 (m, 12H); 3.97 (m, 2H); 4.23 (q, 2H); 7.11 (s, 1H); 7.21 (t, 1H); 7.49 (s, 1H); 8.08 (s, 1H); 8.13 (s, 1H); 9.06 (s, 1H); 10.44 (s, 1H) ppm.		
66	 2-Cyano-2-[5-[1-[3-(2,2-dimethyl-propionylamino)-4-fluoro-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.15-1.30 (m, 12H); 3.20 (pentuplet, 2H); 4.21 (q, 2H); 7.08-7.16 (m, 1H);	459.54/ 460	INTT7 + INT19/ 5

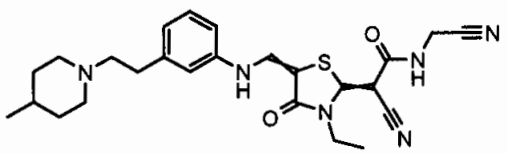
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.21 (t, 1H); 7.51 (m, 1H); 7.70 (t, 1H); 8.00 (s, 1H); 9.08 (s, 1H); 10.31 (s, 1H) ppm.		
67	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-hydroxy-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 2.72 (t, 2H); 3.07 (m, 1H); 3.62 (q, 2H); 3.92 (m, 2H); 4.23 (q, 2H); 4.65 (t, 1H); 6.92 (d, 1H); 7.11 (d, 1H); 7.17 (s, 1H);	396.47/ 397	INTA8/ 1

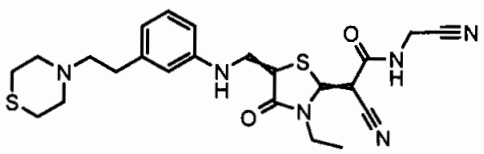
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.23 (t, 1H); 8.06 (s, 1H); 8.12 (s, 1H); 10.33 (s, 1H) ppm.		
68	 Methanesulfonic acid 2-(3-{[2-[1-cyano-1-prop-2-ynylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-ethyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 3.01 (t, 2H); 3.07 (m, 1H); 3.12 (s, 3H); 3.93 (m, 2H); 4.24 (q, 2H); 4.43 (t, 2H); 7.00 (d, 1H); 7.18 (d, 1H); 7.23-7.34 (m, 2H); 8.09 (t, 1H); 8.16 (s, 1H);	474.56/ 475	67/ 12

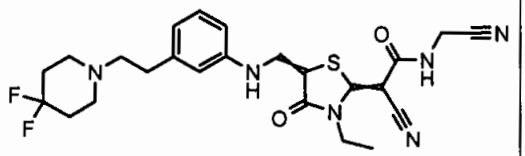
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.32 (s, 1H) ppm.		
69	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-iodo-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 3.06 (m, 1H); 3.13 (t, 2H); 3.50 (t, 2H); 3.92 (m, 2H); 4.21 (q, 2H); 6.96 (d, 1H); 7.16 (d, 1H); 7.20-7.32 (m, 2H); 8.08 (s,b, 1H); 8.15 (s, 1H); 10.31 (s, 1H) ppm.	506.37/ 507	68/ 13
70		(DMSO-d6, stored with K_2CO_3, main isomer):	463.60/ 464	69/ 14

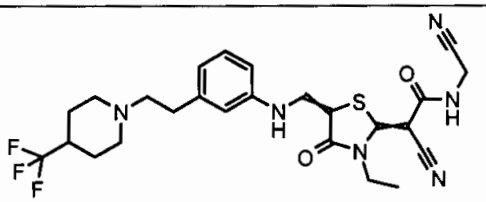
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	δ = 1.25 (t, 3H); 1.39 (m, 1H); 1.50 (m, 4H); 2.30-2.52 (m, 6H); 2.72 (m, 2H); 3.07 (m, 1H); 3.92 (m, 2H); 4.24 (q, 2H); 6.93 (d, 1H); 7.11 (d, 1H); 7.17-7.29 (m, 2H); 8.04-8.18 (m, 2H); 10.30 (s,b, 1H) ppm.		
71	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(2-hydroxy-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 2.72 (t, 2H);	397.46/ 398	INTA8/ 1

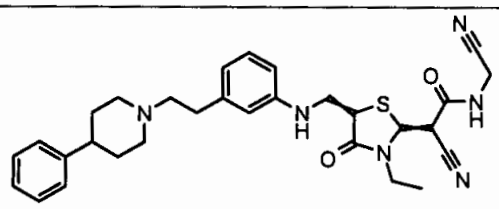
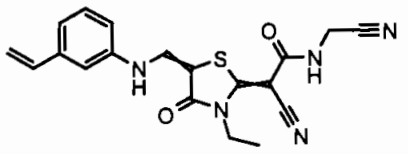
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	(2-(E or Z))-ylidene]-acetamide	3.61 (q, 2H); 4.17 (d, 2H); 4.23 (q, 2H); 4.65 (t, 1H); 6.93 (d, 1H); 7.13 (d, 1H); 7.19 (s, 1H); 7.24 (t, 1H); 8.15 (s, 1H); 8.32 (t, 1H); 10.41 (s, 1H) ppm.		
72	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.39 (m, 2H); 1.50 (m, 4H); 2.40 (m, 4H); 2.49 (t, 2H);	464.59/ 465	13/14

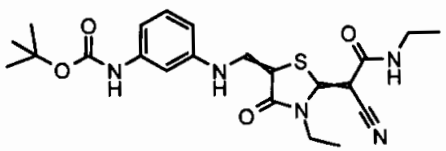
Exam-ple No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analo-gous to
		2.53 (t, 2H); 4.16 (d, 2H); 4.25 (q, 2H); 6.94 (d, 1H); 7.11 (d, 1H); 7.16-7.30 (m, 2H); 8.16 (s, 1H); 8.32 (s, 1H); 10.48 (s,b, 1H) ppm.		
73	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.88 (d, 3H); 1.02-1.20 (m, 2H); 1.20-1.39 (m, 4H); 1.57 (d, 2H); 1.91 (t, 2H); 2.40-2.55 (m, 2H); 2.71 (t, 2H);	478.62/ 479	13/14

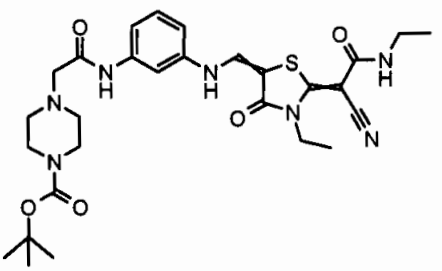
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		2.88 (d, 2H); 4.17 (m, 2H); 4.23 (q, 2H); 6.93 (d, 1H); 7.10 (d, 1H); 7.26-7.30 (m, 2H); 8.18 (s, 1H); 8.31 (s, 1H); 10.39 (s, 1H) ppm.		
74	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-thiomorpholin-4-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 2.51-2.67 (m, 6H); 2.67-2.81 (m, 6H); 4.17 (m, 2H); 4.24 (q, 2H); 6.93 (d, 1H); 7.11 (d, 1H);	482.63/ 483	13/ 14

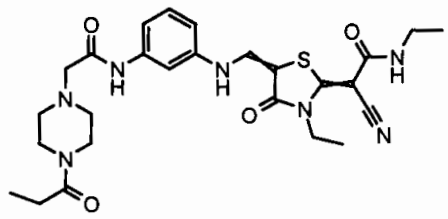
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.20 (s, 1H); 7.24 (t, 1H); 8.17 (s, 1H); 8.32 (s, 1H); 10.39 (s, 1H) ppm.		
75	 2-Cyano-N-cyanomethyl-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 1.85-2.07 (m, 4H); 2.50-2.67 (m, 6H); 2.75 (m, 2H); 4.17 (d, 2H); 4.26 (q, 2H); 6.93 (d, 1H); 7.10 (m, 1H); 7.15-7.31 (m, 2H); 8.18 (s, 1H); 8.28 (s,b, 1H);	500.57/ 501	13/14

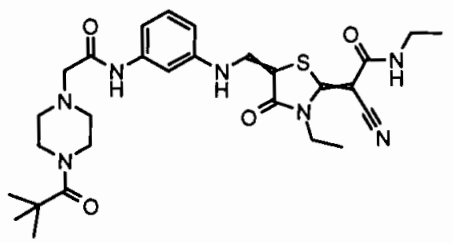
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.39 (s, 1H) ppm.		
76	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-trifluoromethyl-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 1.36-1.52 (m, 2H); 1.78 (d, 2H); 1.99 (t, 2H); 2.13-2.36 (m, 1H); 2.54 (m, 2H); 2.73 (m, 2H); 3.01 (d, 2H); 4.16 (m, 2H); 4.23 (q, 2H); 6.92 (d, 1H); 7.01-7.30 (m, 3H); 8.19 (s, 1H); 8.27 (s, 1H); 10.40 (s, 1H) ppm.	532.59/ 533	13/14

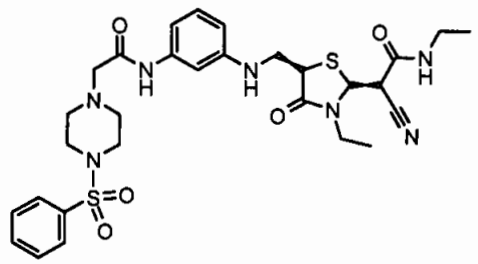
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
77	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-phenyl-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer; selected signals): δ = 1.24 (t, 3H); 1.56-1.99 (m, 4H); 2.09 (t, 2H); 2.56 (m, 2H); 2.76 (m, 2H); 3.04 (d, 2H); 4.13 (d, 2H); 4.24 (q, 2H); 6.94 (d, 1H); 7.01-7.40 (m, 8H); 8.10-8.35 (m, 2H); 10.40 (s, 1H) ppm.	540.69/ 541	13/14
78	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =	379.44/ 380	13/14

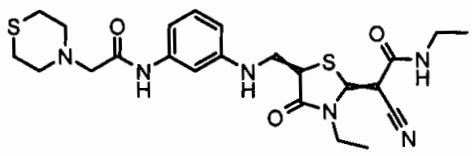
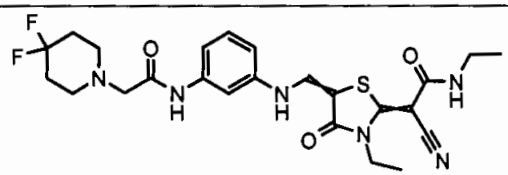
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	oxo-5-[1-(3-vinyl-phenylamino)-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	1.25 (t, 3H); 4.17 (d, 2H); 4.23 (q, 2H); 5.31 (d, 1H); 5.90 (d, 1H); 6.74 (dd, 1H); 7.13-7.26 (m, 2H); 7.32 (t, 1H); 7.44 (s, 1H); 8.20 (s, 1H); 8.34 (t, 1H); 10.41 (s, 1H) ppm.		
79	 (3-{[2-[1-Cyano-1-ethylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-carbamic acid tert-butyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.06 (t, 3H); 1.23 (t, 3H); 1.49 (s, 9H); 3.20 (m, 2H);	457.56/ 458	INTA9/1

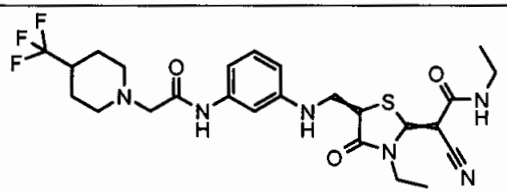
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		4.22 (q, 2H); 6.88 (d, 1H); 7.14 (d, 1H); 7.20 (t, 1H); 7.55 (s, 1H); 7.70 (t, 1H); 7.99 (d, 1H); 9.43 (s, 1H); 10.39 (d, 1H) ppm.		
80	 4-[(3-{[2-[1-Cyano-1-ethylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenylcarbamoyl)-methyl]-piperazine-1-carboxylic acid tert-butyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H); 1.40 (s, 9H); 2.48 (m, 4H); 3.17 (s, 3H); 3.21 (m, 2H); 3.40 (m, 4H);	583.71/ 584	7 / 8

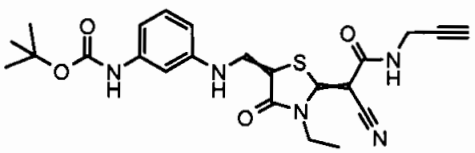
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		4.23 (q, 2H); 6.98 (m, 1H); 7.21-7.34 (m, 2H); 7.64-7.77 (m, 2H); 8.02 (s, 1H); 9.80 (s, 1H); 10.39 (s, 1H) ppm.		
81	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-propionyl-piperazin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.00 (t, 3H); 1.08 (t, 3H); 1.24 (t, 3H); 2.31 (q, 2H); 2.40-2.60 (m, 4H); 3.12-3.28 (m, 4H); 3.50 (m, 4H); 4.22 (q, 2H); 6.98 (m, 1H);	539.66/ 540	80 / 9

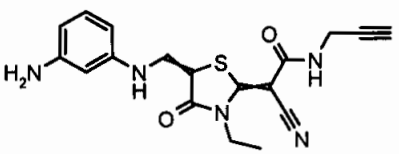
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.21-7.33 (m, 2H); 7.61-7.76 (m, 2H); 8.01 (s, 1H); 9.80 (s, 1H); 10.39 (s, 1H) ppm.		
82	 2-Cyano-2-[5-[1-(3-{2-[4-(2,2-dimethyl-propionyl)-piperazin-1-yl]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.20 (s, 9H); 1.26 (t, 3H); 2.42-2.58 (m, 4H); 3.17 (s, 2H); 3.21 (m, 2H); 3.61 (m, 4H); 4.22 (q, 2H); 6.99 (d, 1H); 7.21-7.32 (m, 2H); 7.62-7.76 (m, 2H);	567.72/ 568	80/ 10

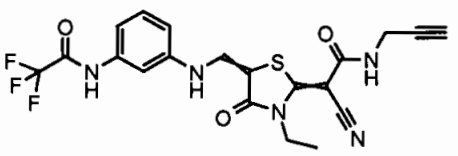
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.01 (s, 1H); 9.80 (s, 1H); 10.40 (s, 1H) ppm.		
83	 2-[5-[1-{3-[2-(4-Benzenesulfonyl-piperazin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H); 2.60 (m, 4H); 2.99 (m, 4H); 3.15 (s, 2H); 3.20 (m, 2H); 4.22 (q, 2H); 6.92 (s, 1H); 7.16-7.28 (m, 2H); 7.52-7.82 (m, 7H); 8.00 (s, 1H); 9.67 (s, 1H); 10.36 (s, 1H) ppm.	623.76/ 624	80/ 10

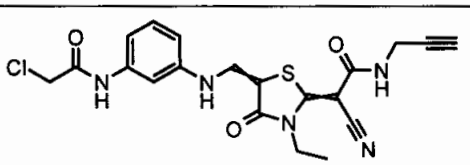
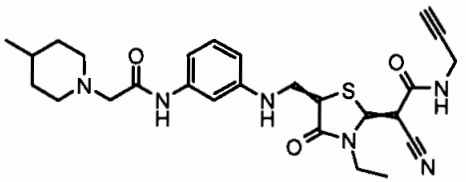
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
84	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-thiomorpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.07 (t, 3H); 1.24 (t, 3H); 2.70 (m, 4H); 2.79 (m, 4H); 3.17 (s, 2H); 3.20 (m, 2H); 4.22 (q, 2H); 6.97 (d, 1H); 7.20-7.34 (m, 2H); 7.55-7.77 (m, 2H); 8.05 (s, 1H); 9.71 (s, 1H); 10.39 (s, 1H) ppm.	500.65/ 501	7 / 8
85		(DMSO-d6, stored with K_2CO_3, main isomer):	518.59/ 519	7 / 8

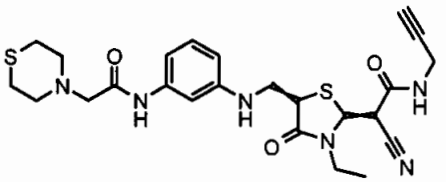
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	δ = 1.08 (t, 3H); 1.25 (t, 3H); 1.94-2.14 (m, 4H); 2.67 (m, 4H); 3.12-3.28 (m, 4H); 4.22 (q, 2H); 6.98 (d, 1H); 7.21-7.35 (m, 2H); 7.60-7.77 (m, 2H); 8.01 (s, 1H); 9.79 (s, 1H); 10.39 (s, 1H) ppm.		
86	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-trifluoromethyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.08 (t, 3H); 1.25 (t, 3H); 1.50-1.70 (m, 2H);	550.61/ 551	7 / 8

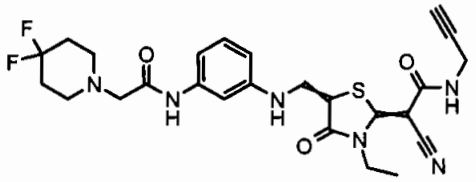
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	or Z))-ylidene]-acetamide	1.80 (d, 2H); 2.12-2.37 (m, 3H); 2.95 (d, 2H); 3.15 (s, 2H); 3.21 (m, 2H); 4.22 (q, 2H); 6.99 (d, 1H); 7.20-7.35 (m, 2H); 7.64-7.76 (m, 2H); 8.01 (d, 1H); 9.73 (s, 1H); 10.39 (d, 1H) ppm.		
87	 (3-{[2-[1-Cyano-1-prop-2-ynylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-carbamic acid tert-butyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.49 (s, 9H); 3.06 (m, 1H); 3.92 (m, 2H);	467.55/ 468	INTA9/ 1

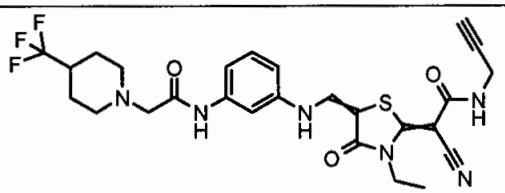
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		4.22 (q, 2H); 6.89 (d, 1H); 7.06 (d, 1H); 7.20 (t, 1H); 7.55 (s, 1H); 7.94-8.13 (m, 2H); 9.43 (s, 1H); 10.44 (s, 1H) ppm.		
88	 2-[5-[1-(3-Amino-phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 3.06 (m, 1H); 3.92 (m, 2H); 4.23 (q, 2H); 6.27 (d, 1H); 6.99-7.09 (m, 2H); 7.29 (t, 1H); 8.04 (d, 1H);	367.43/ 368	87 / 6

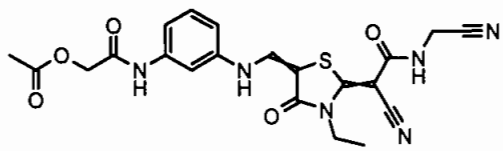
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.13 (t, 1H); 8.65 (b, 3H); 10.40 (d, 1H) ppm.		
89	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2,2,2-trifluoro-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 3.08 (m, 1H); 3.93 (m, 2H); 4.24 (q, 2H); 7.17 (m, 1H); 7.32-7.40 (m, 2H); 7.70 (s, 1H); 8.05 (s, 1H); 8.11 (t, 1H); 10.50 (s, 1H); 11.29 (s, 1H) ppm.	463.44/ 464	87 / 9

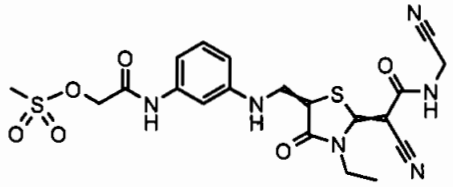
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
90	 2-[5-[1-[3-(2-Chloro-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 3.06 (m, 1H); 3.92 (m, 2H); 4.15-4.30 (m, 4H); 7.02 (d, 1H); 7.20 (d, 1H); 7.30 (t, 1H); 7.68 (s, 1H); 7.99-8.15 (m, 2H); 10.36 (s, 1H); 10.48 (s, 1H) ppm.	443.92/ 444	87 / 9
91	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperidin-1-yl)-acetylamino]-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.91 (d, 3H);	506.63/ 507	90 / 8

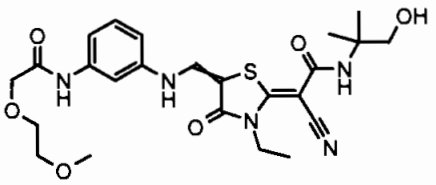
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	1.20-1.37 (m, 6H); 1.60 (d, 2H); 2.13 (t, 2H); 2.83 (d, 2H); 3.06 (m, 1H); 3.09 (s, 2H); 3.92 (m, 2H); 4.24 (q, 2H); 6.99 (d, 1H); 7.21-7.35 (m, 2H); 7.71 (s, 1H); 7.98-8.15 (m, 2H); 9.70 (s, 1H); 10.45 (s, 1H) ppm.		
92	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-thiomorpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 2.70 (m, 4H);	510.64/ 511	90 / 8

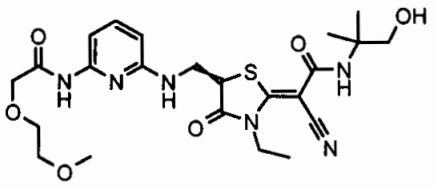
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	2.79 (m, 4H); 3.08 (m, 1H); 3.18 (s, 2H); 3.93 (m, 2H); 4.24 (q, 2H); 6.99 (d, 1H); 7.21-7.36 (m, 2H); 7.73 (s, 1H); 8.00-8.15 (m, 2H); 9.74 (s, 1H); 10.45 (s, 1H) ppm.		
93	 2-Cyano-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 1.97-2.13 (m, 4H); 2.68 (m, 4H); 3.07 (m, 1H); 3.24 (s, 2H);	528.59/ 529	90 / 8

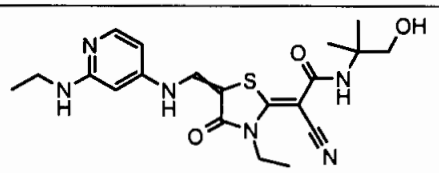
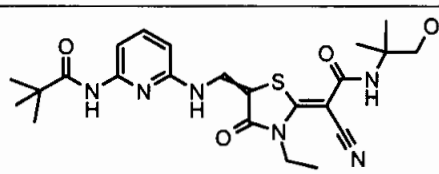
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		3.92 (m, 2H); 4.22 (q, 2H); 6.99 (d, 1H); 7.22-7.36 (m, 2H); 7.73 (s, 1H); 8.00-8.15 (m, 2H); 9.80 (s, 1H); 10.47 (s, 1H) ppm.		
94	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-trifluoromethyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 1.50-1.70 (m, 2H); 1.79 (d, 2H); 2.13-2.36 (m, 3H); 2.95 (d, 2H); 3.08 (m, 1H); 3.15 (s, 2H); 3.92 (m, 2H);	560.60/ 561	90 / 8

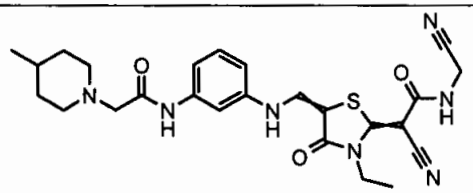
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		4.23 (q, 2H); 6.99 (d, 1H); 7.20-7.37 (m, 2H); 7.71 (s, 1H); 7.97-8.19 (m, 2H); 9.75 (s, 1H); 10.46 (s, 1H) ppm.		
95	 Acetic acid (3-{[2-[1-cyano-1-(cyanomethyl-carbamoyl)-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenylcarbamoyl)-methyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 2.13 (s, 3H); 4.17 (d, 2H); 4.24 (q, 2H); 4.66 (s, 2H); 7.02 (d, 1H); 7.20 (d, 1H); 7.30 (t, 1H); 7.69 (s, 1H);	468.49/ 469	INTT10 + INT27/ 5

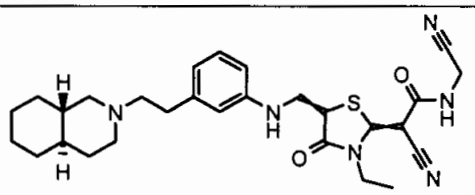
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.06 (d, 1H); 8.35 (t, 1H); 10.17 (s, 1H); 10.54 (d, 1H) ppm.		
96	 Methanesulfonic acid (3-{[2-[1-cyano-1-(cyanomethyl-carbamoyl)-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenylcarbamoyl)-methyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 3.31 (s, 3H); 4.17 (d, 2H); 4.25 (q, 2H); 4.88 (s, 2H); 7.07 (d, 1H); 7.24 (d, 1H); 7.31 (t, 1H); 7.70 (s, 1H); 8.07 (s,b, 1H); 8.37 (s, 1H); 10.26 (s, 1H);	504.55/ 505	11 / 12

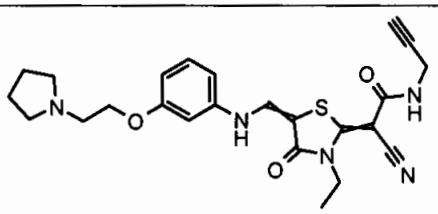
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		10.57 (s, 1H) ppm.		
97	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(2-methoxy-ethoxy)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 1.30 (s, 6H); 3.31 (s, 3H); 3.38 (d, 2H); 3.55 (m, 2H); 3.69 (m, 2H); 4.09 (s, 2H); 4.21 (q, 2H); 5.20 (t, 1H); 6.70 (s, 1H); 7.01 (m, 1H); 7.23-7.32 (m, 2H); 7.74 (s, 1H); 8.02 (d, 1H); 9.70 (s, 1H);	517.60/ 518	INTA5/ 1

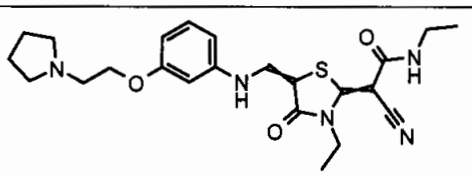
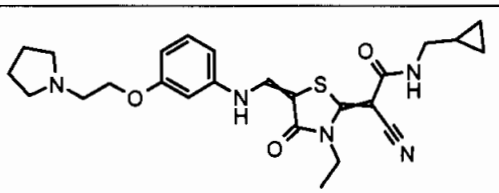
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.40 (d, 1H) ppm.		
98	 2-Cyano-2-[3-ethyl-5-[1-{6-[2-(2-methoxy-ethoxy)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.23 (t, 3H); 1.30 (s, 6H); 3.32 (s, 3H); 3.38 (d, 2H); 3.51 (m, 2H); 3.68 (m, 2H); 4.15 (s, 2H); 4.20 (q, 2H); 5.71 (t, 1H); 6.71 (s, 1H); 6.80 (d, 1H); 7.69-7.80 (m, 2H); 8.69 (s, 1H); 9.95 (s, 1H); 10.75 (s, 1H) ppm.	518.59/ 519	INTA6/ 1

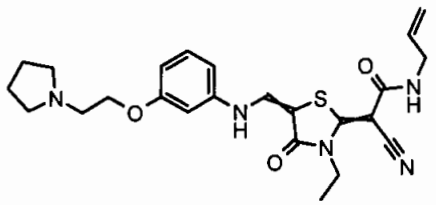
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
99	 2-Cyano-2-[3-ethyl-5-[1-(2-ethylamino-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.11 (t, 3H); 1.24 (t, 3H); 1.30 (s, 6H); 3.22 (m, 2H); 3.28 (d, 2H); 4.20 (q, 2H); 5.20 (t, 1H); 6.23 (s, 1H); 6.37-6.49 (m, 2H); 6.71 (s, 1H); 7.83 (d, 1H); 8.00 (s, 1H); 10.20 (s, 1H) ppm.	430.53/ 431	INTA2/ 1
100		(DMSO-d6, stored with K_2CO_3, main isomer):	486.59/ 487	INTA3/ 1

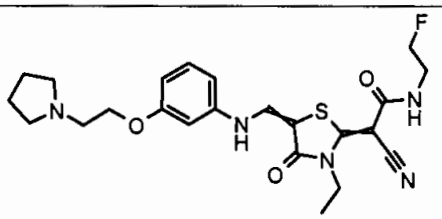
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-[5-[1-[6-(2,2-dimethyl-propionylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide	δ = 1.06 (t, 3H); 1.25 (s, 9H); 1.30 (s, 6H); 3.39 (d, 2H); 4.21 (q, 2H); 5.20 (t, 1H); 6.72 (s, 1H); 6.79 (dd, 1H); 7.65-7.77 (m, 2H); 8.55 (s, 1H); 9.68 (s, 1H); 10.68 (s, 1H) ppm.		
101	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.91 (d, 3H); 1.15-1.40 (m, 6H); 1.60 (d, 2H);	507.62/ 508	96/ 8

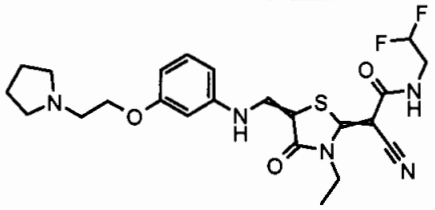
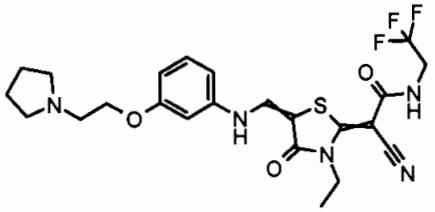
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	or Z))-ylidene]-acetamide	2.11 (t, 2H); 2.81 (d, 2H); 3.09 (s, 2H); 4.17 (d, 2H); 4.23 (q, 2H); 7.00 (d, 1H); 7.21-7.35 (m, 2H); 7.73 (s, 1H); 8.10 (s, 1H); 8.34 (s, 1H); 9.71 (s, 1H); 10.51 (s, 1H) ppm.		
102	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[(4a-(R or S),8a-(R or S))-2-(octahydro-isoquinolin-2-yl)-ethyl]-phenylamino-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.74-1.02 (m, 3H); 1.02-1.35 (m, 7H); 1.43-1.88 (m, 6H); 1.98 (m, 1H);	518.69/ 519	13/ 14

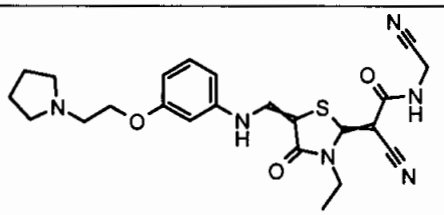
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	acetamide	2.35-2.53 (m, 2H); 2.63-3.06 (m, 4H); 4.16 (d, 2H); 4.24 (q, 2H); 6.93 (d, 1H); 7.11 (d, 1H); 7.18-7.31 (m, 2H); 8.17 (s, 1H); 8.36 (t, 1H); 10.39 (s, 1H) ppm.		
103	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.26 (m, 3H); 1.71 (m, 4H); 2.79 (m, 2H); 3.06 (m, 1H); 3.94 (m, 2H); 4.10 (m, 2H); 4.28 (m, 2H); 6.63 (dd, 1H);	465.58/ 466	INTA14/ 1

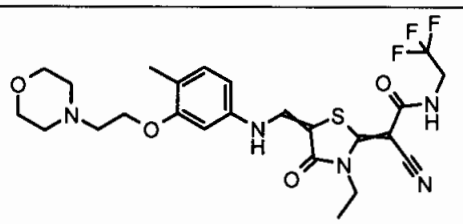
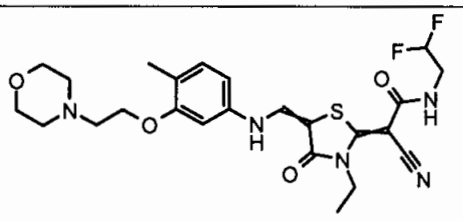
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		6.89 (m, 2H); 7.22 (m, 1H); 8.13 (m, 2H); 10.28 (s, 1H).		
104	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.02 (m, 3H); 1.25 (m, 3H); 1.68 (m, 4H); 2.79 (m, 2H); 3.21 (m, 2H); 4.09 (m, 2H); 4.22 (m, 2H); 6.62 (dd, 1H); 6.88 (m, 2H); 7.22 (m, 1H); 7.70 (m, 1H); 8.10 (s, 1H); 10.18 (s, 1H).	455.58/ 456	INTA14/ 1
105		^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ =	481.62/ 482	INTA14/ 1

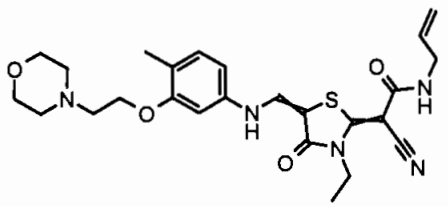
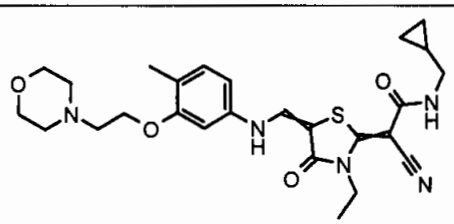
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-N-cyclopropylmethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	0.22 (m, 2H); 0.40 (m, 2H); 1.02 (m, 1H); 1.26 (m, 3H); 1.69 (m, 4H); 2.79 (m, 2H); 3.03 (m, 2H); 4.09 (m, 2H); 4.22 (m, 2H); 6.62 (dd, 1H); 6.88 (m, 2H); 7.24 (m, 1H); 7.73 (m, 1H); 8.10 (s, 1H); 10.19 (s, 1H).		
106	 N-Allyl-2-cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.23 (m, 3H); 1.68 (m, 4H); 2.80 (m, 2H); 3.79 (m, 2H); 4.09 (m, 2H); 4.21 (m, 2H); 5.08 (dd, 1H); 5.11 (dd, 1H);	467.59/ 468	INTA14/ 1

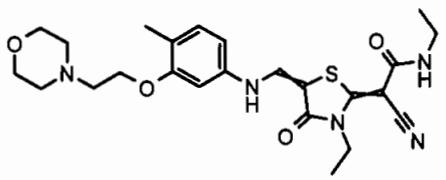
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		5.83 (m, 1H); 6.62 (dd, 1H); 6.88 (m, 1H); 7.22 (m, 1H); 7.98 (m, 1H); 8.12 (s, 1H); 10.20 (s, 1H).		
107	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.27 (m, 3H); 1.70 (m, 4H); 2.81 (m, 2H); 3.42 (m, 1H); 3.50 (m, 1H); 4.10 (m, 2H); 4.20 (m, 2H); 4.42 (m, 1H); 4.54 (m, 1H); 6.63 (dd, 1H); 6.88 (m, 2H); 7.21 (m, 1H); 7.80 (m, 1H); 8.12 (s, 1H); 10.22 (s, 1H).	473.57/ 474	INTA14/ 1

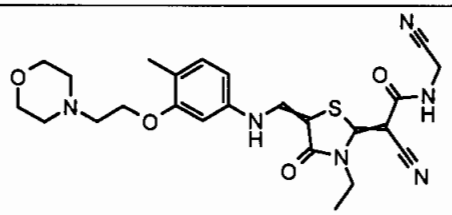
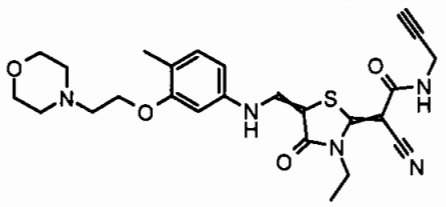
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
108	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.27 (m, 3H); 1.69 (m, 4H); 2.79 (m, 2H); 3.58 (m, 2H); 4.10 (m, 2H); 4.25 (m, 2H); 6.09 (tt, 1H); 6.65 (dd, 1H); 6.89 (m, 2H); 7.24 (m, 1H); 7.97 (m, 1H); 8.14 (s, 1H); 10.28 (s, 1H).	491.56/ 492	INTA14/ 1
109	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.22 (m, 3H); 1.70 (m, 4H); 2.81 (m, 2H); 3.96 (m, 2H); 4.09 (m, 2H); 4.22	509.55/ 510	INTA14/ 1

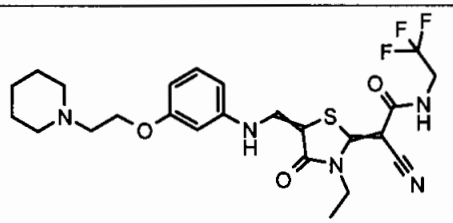
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(m, 2H); 6.66 (dd, 1H); 6.88 (m, 2H); 7.22 (m, 1H); 8.18 (m, 2H); 10.29 (s, 1H).		
110	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-pyrrolidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.26 (m, 3H); 1.70 (m, 4H); 2.81 (m, 2H); 4.09 (m, 2H); 4.19 (d, 2H); 4.23 (m, 2H); 6.64 (dd, 1H); 6.89 (m, 2H); 7.25 (m, 1H); 8.18 (s, 1H); 8.35 (m, 1H); 10.32 (s, 1H).	466.56/ 467	INTA14/ 1

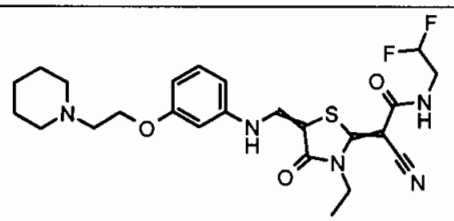
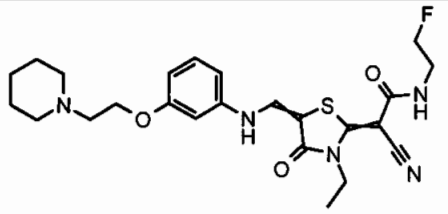
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
111	 2-Cyano-2-[3-ethyl-5-[1-[4-methyl-3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	^{1}H-NMR ($CDCl_3$, 300 MHz) δ = 1.40 (m, 3H); 2.17 (s, 3H); 2.61 (m, 4H); 2.87 (m, 2H); 3.71 (m, 4H); 3.97 (m, 2H); 4.07 (m, 2H); 4.35 (m, 2H); 6.60 (m, 3H); 7.09 (d, 1H); 7.57 (m, 1H); 10.50 (d, 1H).	MW: 539.58 MS (ESI) $[M+1]^+$: 540	INTA11/ 1
112	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-[4-methyl-3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E or Z)-ylidene]-4-oxo-thiazolidin-(2Z/E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.22 (m, 3H); 2.10 (s, 3H); 2.72 (m, 2H); 3.58 (m, 4H); 4.11 (m, 2H); 4.21 (m, 2H); 6.05 (tt, 1H); 6.79 (dd, 1H); 6.91 (s,	MW: 521.59 MS (ESI) $[M+1]^+$: 522	INTA11/ 1

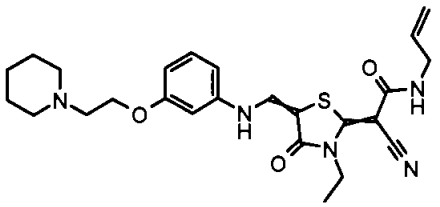
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		1H); 7.08 (d, 1H); 7.95 (m, 1H); 8.16 (s, 1H); 10.27 (s, 1H).		
113	 N-Allyl-2-cyano-2-[3-ethyl-5-[1-[4-methyl-3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E or Z)-ylidene]-4-oxo-thiazolidin-(2Z/E)-ylidene]-acetamide	^{1}H-NMR ($CDCl_3$, 300 MHz) δ = 1.39 (m, 3H); 2.17 (s, 3H); 2.58 (m, 4H); 2.86 (m, 2H); 3.72 (m, 4H); 3.95 (m, 2H); 4.07 (m, 2H); 4.36 (m, 2H); 5.20 (m, 2H); 5.86 (m, 1H); 6.27 (m, 1H); 6.50 (d, 1H); 6.58 (m, 1H); 7.08 (d, 1H); 7.56 (d, 1H); 10.45 (d, 1H).	MW: 497.62 MS (ESI) $[M+1]^+$: 498	INTA11/ 1
114		^{1}H-NMR ($CDCl_3$, 300 MHz) (selected peaks) δ = 0.19 (m, 2H); 0.50 (m, 2H);	MW: 511.64 MS	INTA11/ 1

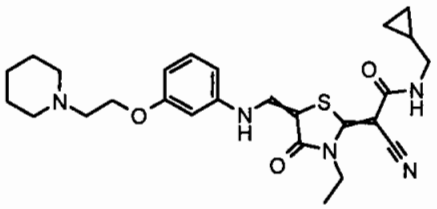
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-N-cyclopropylmethyl-2-[3-ethyl-5-[1-[4-methyl-3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	0.99 (m, 1H); 1.38 (m, 3H); 2.12 (s, 3H); 2.60 (m, 4H); 3.17 (m, 2H); 3.70 (m, 4H); 4.09 (m, 2H); 4.32 (m, 2H); 6.30 (m, 1H); 6.60 (m, 2H); 7.05 (m, 1H); 7.55 (d, 1H); 10.42 (d, 1H).	(ESI) $[M+1]^+$: 512	
115	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[4-methyl-3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.10 (m, 3H); 1.22 (m, 3H); 2.11 (s, 3H); 2.73 (m, 2H); 3.21 (m, 2H); 3.60 (m, 4H); 4.11 (m, 2H); 4.21 (m, 2H); 6.78 (dd, 1H); 6.91 (d, 1H); 7.08 (d,	MW: 485.61 MS (ESI) $[M+1]^+$: 486	INTA11/ 1

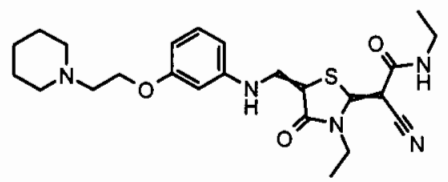
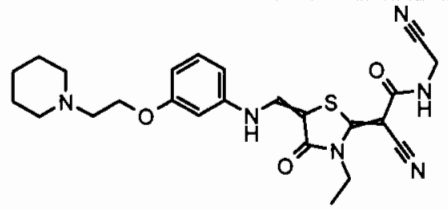
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		1H); 7.68 (m, 1H); 8.11 (d, 1H); 10.16 (d, 1H).		
116	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[4-methyl-3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.26 (m, 3H); 2.18 (s, 3H); 3.60 (m, 2H); 3.92 (m, 4H); 4.11 (s, 2H); 4.28 (m, 2H); 4.49 (m, 2H); 6.88 (dd, 1H); 6.97 (s, 1H); 7.13 (d, 1H); 8.21 (d, 1H); 10.43 (d, 1H); 11.11 (s, 1H).	MW: 496.59 MS (ESI) $[M+1]^+$: 497	INTA11/ 1
117	 2-Cyano-2-[3-ethyl-5-[1-[4-methyl-3-(2-morpholin-4-yl-ethoxy)-	^{1}H-NMR ($CDCl_3$, 300 MHz) δ =1.40 (m, 3H); 2.19 (s, 3H); 2.28 (s, 1H); 2.65 (m, 4H); 2.88 (m, 2H);	MW: 495.60 MS (ESI)	INTA11/ 1

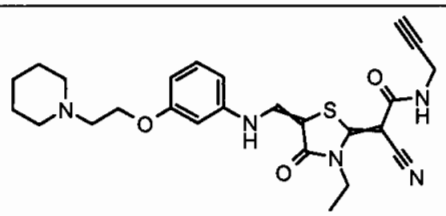
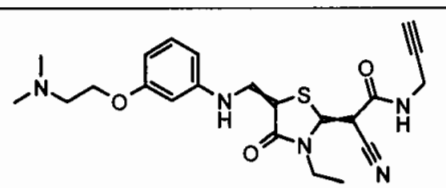
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	3.72 (m, 4H); 4.15 (m, 4H), 4.37 (m, 2H); 6.36 (m, 1H); 6.50 (d, 1H); 6.11 (dd, 1H); 7.09 (d, 1H), 7.53 (d, 1H); 10.48 (d, 1H).	$[M+1]^+$: 496	
118	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.28 (m, 3H); 1.38 (m, 2H); 1.50 (m, 4H); 2.40 (m, 4H); 2.68 (m, 2H); 3.92 (m, 2H); 4.03 (m, 2H); 4.21 (m, 2H); 6.63 (dd, 1H); 6.90 (m, 2H); 7.24 (m, 1H); 8.20 (m, 2H); 10.30 (s, 1H).	MW: 523.58 MS (ESI) $[M+1]^+$: 524	INTA12/ 1

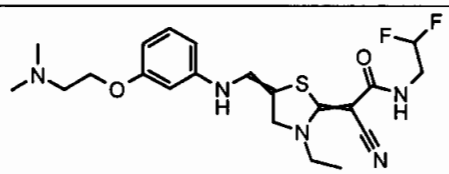
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
119	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.29 (m, 3H); 1.38 (m, 2H); 1.49 (m, 4H); 2.42 (m, 4H); 2.68 (m, 2H); 3.57 (m, 2H); 4.10 (m, 2H); 4.23 (m, 2H); 6.08 (tt, 1H); 6.62 (dd, 1H); 6.98 (m, 2H); 7.22 (m, 1H); 7.98 (m, 1H); 8.12 (s, 1H); 10.27 (s, 1H).	MW: 505.59 MS (ESI) $[M+1]^+$: 506	INTA12/ 1
120	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.28 (m, 3H); 1.38 (m, 2H); 1.50 (m, 4H); 2.42 (m, 4H); 2.68 (m,	MW: 487.60 MS (ESI) $[M+1]^+$:	INTA12/ 1

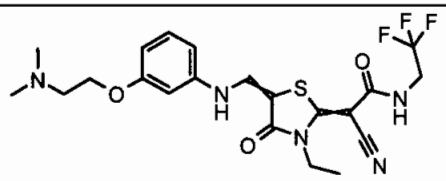
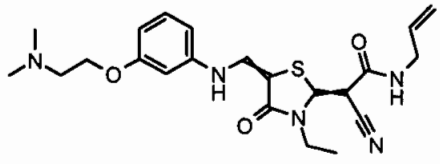
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoroethyl)-acetamide	2H); 3.47 (m, 1H); 3.54 (m, 1H); 4.09 (m, 2H); 4.21 (m, 2H); 4.42 (m, 1H); 4.59 (m, 1H); 6.63 (dd, 1H); 6.89 (m, 2H); 7.24 (m, 1H); 7.82 (m, 1H); 8.10 (s, 1H); 10.22 (s, 1H).	488	
121	 N-Allyl-2-cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.28 (m, 3H); 1.39 (m, 2H); 1.50 (m, 4H); 2.47 (m, 4H); 2.68 (m, 2H); 3.80 (m, 2H); 4.09 (m, 2H); 4.25 (m, 2H); 5.09 (dd, 1H); 5.12 (dd, 1H); 5.85 (m, 1H); 6.62 (dd, 1H);	MW: 481.62 MS (ESI) $[M+1]^+$: 482	INTA12/ 1

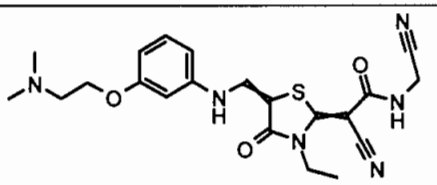
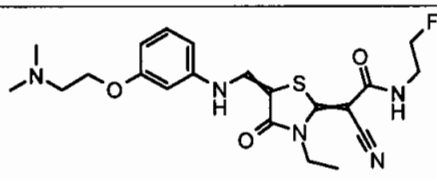
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		6.88 (m, 2H); 7.22 (m, 1H); 7.85 (m, 1H); 8.10 (s, 1H); 10.19 (s, 1H).		
122	 2-Cyano-N-cyclopropylmethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 0.21 (m, 2H); 0.40 (m, 2H); 1.00 (m, 1H); 1.22 (m, 3H); 1.38 (m, 2H); 1.50 (m, 4H); 2.41 (m, 4H); 2.62 (m, 2H); 3.04 (m, 2H); 4.09 (m, 2H); 4.21 (m, 2H); 6.63 (dd, 1H); 6.89 (m, 2H); 7.22 (m, 1H); 7.77 (m, 1H); 8.09 (s, 1H); 10.20 (s, 1H).	MW: 495.64 MS (ESI) $[M+1]^+$: 496	INTA12/ 1

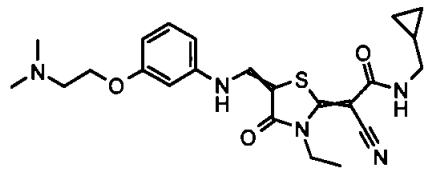
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
123	 2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.09 (m, 3H); 1.23 (m, 3H); 1.39 (m, 2H); 1.49 (m, 4H); 2.41 (m, 4H); 2.67 (m, 2H); 3.21 (m, 2H); 4.09 (m, 2H); 4.20 (m, 2H); 6.62 (dd, 1H); 6.87 (m, 2H); 7.21 (m, 1H); 7.70 (m, 1H); 8.10 (s, 1H); 10.18 (s, 1H).	MW: 469.61 MS (ESI) $[M+1]^+$: 470	INTA12/ 1
124	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.28 (m, 3H); 1.38 (m, 2H); 1.49 (m, 4H); 2.44 (m, 4H); 2.67 (m, 2H); 4.08 (m, 2H); 4.15 (d,	MW: 480.59 MS (ESI) $[M+1]^+$:	INTA12/ 1

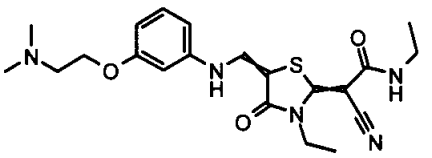
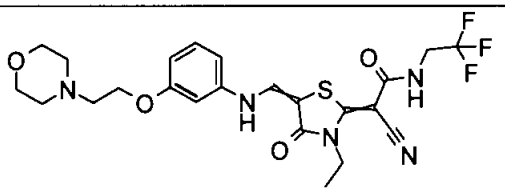
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	acetamide	2H); 4.21 (m, 2H); 6.64 (dd, 1H); 6.90 (m, 2H); 7.22 (m, 1H); 8.17 (s, 1H); 8.38 (m, 1H); 10.31 (s, 1H).	481	
125	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-piperidin-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.25 (m, 3H); 1.37 (m, 2H); 1.47 (m, 4H); 2.68 (m, 2H); 3.07 (m, 1H); 3.91 (m, 2H); 4.05 (m, 2H); 4.20 (m, 2H); 6.64 (dd, 1H); 6.89 (m, 2H); 7.24 (m, 1H); 8.11 (m, 2H); 10.27 (s, 1H).	MW: 479.60 MS (ESI) $[M+1]^+$: 480	INTA12/ 1
126		^{1}H-NMR ($CDCl_3$, 300 MHz) δ = 1.40 (m, 3H); 2.25 (m, 1H);	MW: 439.54	INTA13/ 1

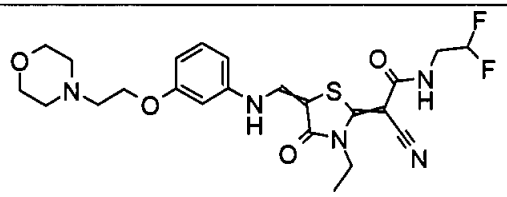
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2Z or E)-ylidene]-N-prop-2-ynyl-acetamide	2.34 (s, 6H); 2.71 (m, 2H); 4.03 (m, 2H); 4.11 (m, 2H); 4.38 (m, 2H); 6.39 (m, 1H); 6.62 (dd, 1H); 6.69 (m, 1H); 7.21 (d, 1H); 7.56 (s, 1H); 10.48 (s, 1H).	MS (ESI) $[M+1]^+$: 440	
127	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.21 (m, 3H); 2.28 (s, 6H); 2.63 (m, 2H); 3.58 (m, 2H); 4.05 (m, 2H); 4.25 (m, 2H); 6.08 (tt, 1H); 6.62 (dd, 1H); 6.88 (m, 2H); 7.22 (m, 1H); 7.99 (m, 1H); 8.13 (s, 1H); 10.29 (s, 1H).	MW: 465.52 MS (ESI) $[M+1]^+$: 466	INTA13/ 1

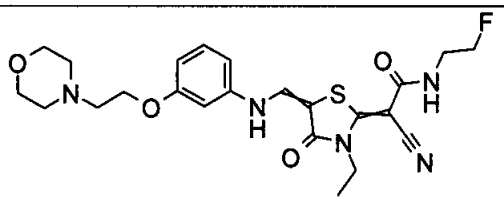
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
128	 2-Cyano-2-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2Z or E)-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	^{1}H-NMR (DSMO-d6, 300 MHz) (selected peaks) δ = 1.22 (m, 3H); 2.25 (s, 6H); 2.67 (m, 2H); 3.96 (m, 2H); 4.09 (m, 2H); 4.26 (m, 2H); 6.63 (dd, 1H); 6.90 (m, 2H); 7.23 (m, 1H); 8.13 (s, 1H); 8.22 (m, 1H); 10.30 (s, 1H).	MW: 483.51 MS (ESI) $[M+1]^+$: 486	INTA13/ 1
129	 N-Allyl-2-cyano-2-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DSMO-d6, 300 MHz) (selected peaks) δ = 1.23 (m, 3H); 2.22 (s, 6H); 2.61 (m, 2H); 3.79 (m, 2H); 4.08 (m, 2H); 4.22 (m, 2H); 5.08 (dd, 1H); 5.12 (dd, 1H); 5.81 (m, 1H); 6.63 (dd,	MW: 441.55 MS (ESI) $[M+1]^+$: 442	INTA13/ 1

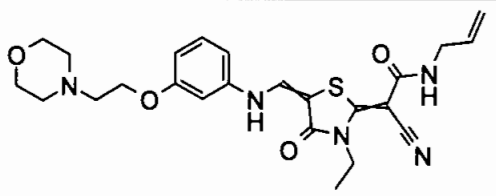
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		1H); 6.89 (m, 2H); 7.22 (m, 1H); 7.83 (m, 1H); 8.10 (s, 1H); 10.20 (s, 1H).		
130	 2-Cyano-N-cyanomethyl-2-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.27 (m, 3H); 2.26 (s, 6H); 2.62 (m, 2H); 4.07 (m, 2H); 4.16 (m, 2H); 4.22 (m, 2H); 6.63 (dd, 1H); 6.90 (m, 2H); 7.25 (m, 1H); 8.18 (s, 1H); 8.37 (m, 1H); 10.33 (s, 1H).	MW: 440.53 MS (ESI) $[M+1]^+$: 441	INTA13/ 1
131	 2-Cyano-2-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.26 (m, 3H); 2.24 (s, 6H); 2.62 (m, 2H); 3.45 (m, 1H);	MW: 447.53 MS (ESI)	INTA13/ 1

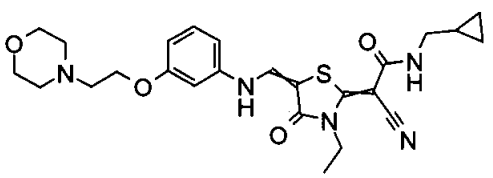
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	ylidene]-3-ethyl-4-oxo-thiazolidin-(2Z or E)-ylidene]-N-(2-fluoro-ethyl)-acetamide	3.51 (m, 1H); 4.09 (m, 2H); 4.21 (m, 2H); 4.42 (m, 2H); 4.58 (m, 2H); 6.62 (dd, 1H); 6.89 (m, 2H); 7.22 (m, 1H); 7.81 (m, 1H); 8.11 (s, 1H); 10.23 (s, 1H).	[M+1]$^+$: 448	
132	 2-Cyano-N-cyclopropylmethyl-2-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 0.21 (m, 2H); 0.41 (m, 2H); 1.00 (m, 1H); 1.25 (m, 3H); 2.21 (s, 6H); 2.61 (m, 2H); 3.04 (m, 2H); 4.07 (m, 2H); 4.21 (m, 2H); 6.62 (dd, 1H); 6.88 (m, 2H); 7.22 (m, 1H); 7.77 (m, 1H); 8.09 (s, 1H); 10.19 (s,	MW: 455.58 MS (ESI) [M+1]$^+$: 456	INTA13/ 1

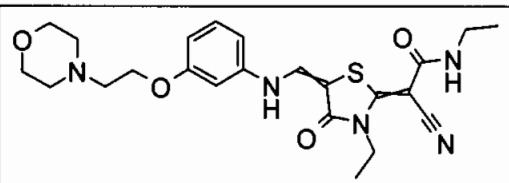
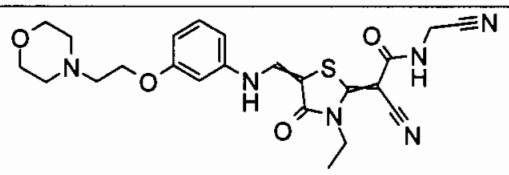
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		1H).		
133	 2-Cyano-2-[5-[1-[3-(2-dimethylamino-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2Z or E)-ylidene]-N-ethyl-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.05 (m, 3H); 1.22 (m, 3H); 2.22 (s, 6H); 2.65 (m, 2H); 3.21 (m, 2H); 4.03 (m, 2H); 4.20 (m, 2H); 6.63 (dd, 1H); 6.89 (m, 2H); 7.21 (m, 1H); 7.70 (m, 1H); 8.10 (s, 1H); 10.20 (s, 1H).	MW: 429.54 MS (ESI) [M+1]$^+$: 430	INTA13/ 1
134	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth(E/Z ylidene]-4-oxo-thiazolidin-	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.29 (m, 3H); 2.71 (m, 2H); 3.58 (m, 4H); 3.95 (m, 2H);	525.5/ 526	INTA7/ 1

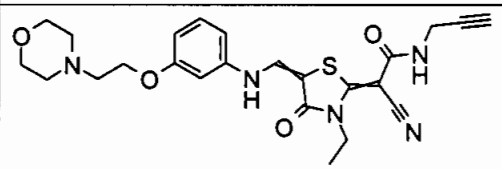
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	(2Z or E)-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	4.10 (m, 2H); 4.22 (m, 2H); 6.65 (dd, 1H); 6.90 (m, 2H); 7.22 (m, 1H); 8.20 (m, 2H); 10.31 (d, 1H).		
135	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.25 (m, 3H); 2.70 (m, 2H); 3.60 (m, 6H); 4.11 (m, 2H); 4.22 (m, 2H); 6.08 (tt, 1H); 6.64 (ddm 1H); 6.89 (m, 2H); 7.21 (m, 1H); 7.98 (m, 1H);	507.5/ 508	INTA7/ 1

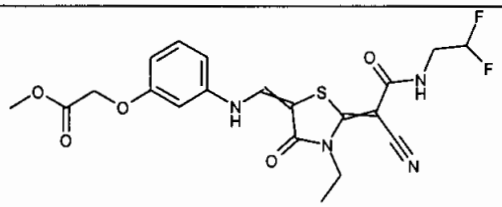
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.12 (s, 1H); 10.29 (s, 1H).		
136	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)phenylamino]-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-N-(2-fluoro-ethyl)-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.26 (m, 3H); 2.70 (m, 2H); 3.48 (m, 1H); 3.51 (m, 1H); 3.60 (m, 4H); 4.11 (m, 2H); 4.22 (m, 2H); 4.40 (m, 1H); 4.58 (m, 1H); 6.63 (dd, 1H); 6.89 (m, 2H); 7.21 (m, 1H); 7.81 (m, 1H); 8.11 (d, 1H); 10.26 (d, 1H).	489.5/ 490	INTA7/ 1

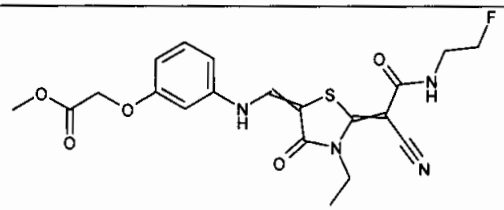
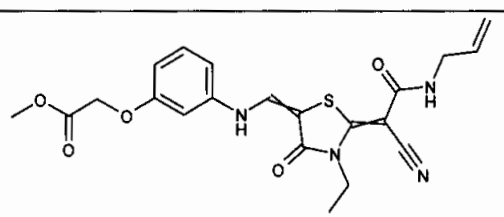
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
137	 N-Allyl-2-cyano-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.27 (m, 3H); 2.70 (m, 2H); 3.58 (m, 4H); 3.80 (m, 2H); 4.11 (m, 2H); 4.22 (m, 2H); 5.08 (dd, 1H); 5.12 (dd, 1H); 5.82 (m, 1H); 6.63 (dd, 1H); 6.88 (m, 2H); 7.21 (m, 1H); 7.87 (m, 1H); 8.10 (s, 1H); 10.20 (s, 1H).	483.5/ 484	INTA7/ 1

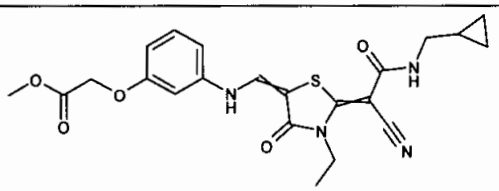
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
138	 2-Cyano-N-cyclopropylmethyl-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 0.21 (m, 2H); 0.42 (m, 2H); 1.02 (m, 1H); 1.27 (m, 3H); 2.70 (m, 2H); 3.03 (m, 2H); 3.61 (m, 4H); 4.10 (m, 2H); 4.27 (m, 2H); 6.66 (dd, 1H); 6.88 (m, 2H); 7.22 (m, 1H); 7.78 (m, 1H); 8.11 (s, 1H); 10.19 (s, 1H).	497.6/ 498	INTA7/ 1

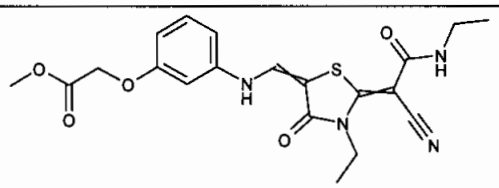
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
139	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.08 (m, 3H); 1.28 (m, 3H); 2.70 (m, 2H); 3.20 (m, 2H); 3.59 (m, 4H); 4.11 (m, 2H); 4.22 (m, 2H); 6.62 (dd, 1H); 6.97 (m, 2H); 7.23 (m, 1H); 7.72 (m, 1H); 8.10 (s, 1H); 10.20 (s, 1H).	471.5/ 472	INTA7/ 1
140		^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.25 (m, 3H);	482.5/ 483	INTA7/ 1

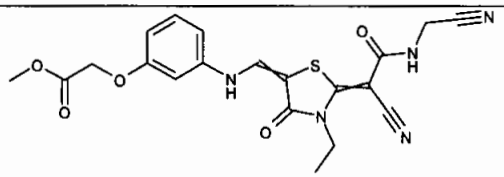
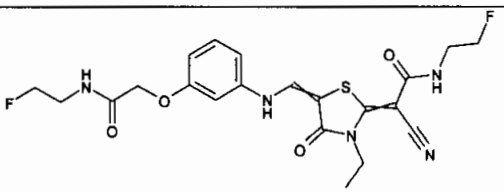
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	2.71 (m, 2H); 3.60 (m, 4H); 4.13 (m, 2H); 4.16 (m, 2H); 4.21 (m, 2H); 6.69 (dd, 1H); 6.90 (m, 2H); 7.21 (m, 1H); 8.18 (d, 1H); 8.37 (m, 1H); 10.32 (d, 1H).		
141	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-morpholin-4-yl-ethoxy)-phenylamino]-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-N-prop-2-ynyl-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.25 (m, 3H); 2.71 (m, 2H); 3.09 (m, 1H); 3.58 (m, 4H); 3.93 (m, 2H); 4.10 (m, 2H);	481.5/ 482	INTA7/ 1

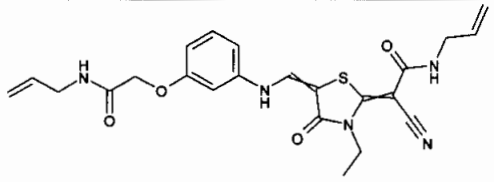
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		4.22 (m, 2H); 6.63 (dd, 1H); 6.89 (m, 2H); 7.22 (m, 1H); 8.12 (m, 2H); 10.27 (s, 1H).		
142	 (3-{[2-[1-Cyano-1-(2,2-difluoro-ethylcarbamoyl)-meth-(Z or E)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5E / Z)-ylidenemethyl]-amino}-phenoxy)-acetic acid methyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.18 (m, 3H); 3.48 (m, 2H); 3.69 (s, 3H); 4.19 (m, 2H); 4.50 (s, 2H); 5.98 (tt, 1H); 6.60 (dd, 1H); 6.83 (m, 2H); 7.19 (m, 1H); 8.18 (s, 1H); 8.40 (m, 1H);	466.4/ 467	INTA15/ 1

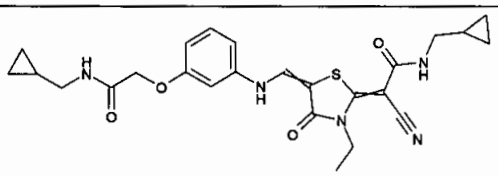
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.50 (s, 1H).		
143	 (3-{[2-[1-Cyano-1-(2-fluoro-ethylcarbamoyl)-meth-(Z or E)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5E or Z)-ylidenemethyl]-amino}-phenoxy)-acetic acid methyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.25 (m, 3H); 3.50 (m, 1H); 3.78 (s, 3H); 4.23 (m, 2H); 4.40 (m, 1H); 4.57 (m, 3H); 6.70 (dd, 1H); 6.93 (m, 2H); 7.28 (m, 1H); 8.21 (s, 1H); 8.32 (m, 1H); 10.57 (s. 1H).	448.4/ 449	INTA15/ 1
144	 (3-{[2-[1-Allylcarbamoyl-1-cyano-	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.24 (m, 3H);	442.4/ 443	INTA15/ 1

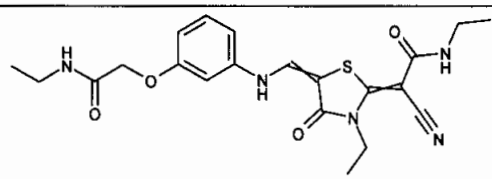
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	meth-(Z or E)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5E / Z)-ylidenemethyl]-amino}-phenoxy)-acetic acid methyl ester	3.79 (m, 5H); 4.27 (m, 2H); 4.58 (s, 2H); 5.07 (dd, 1H); 5.11 (dd, 1H); 5.81 (m, 1H); 6.70 (dd, 1H); 6.92 (m, 2H); 7.28 (m, 1H); 8.22 (s, 1H); 8.30 (m, 1H); 10.58 (s, 1H).		
145	 (3-{[2-[1-Cyano-1-cyclopropylmethyl-carbamoyl)-eth-(Z or E)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5E / Z)-ylidenemethyl]-amino}-phenoxy)-	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 0.19 (m, 2H); 0.39 (m, 2H); 0.45 (m, 1H); 1.21 (m, 3H); 3.02 (m, 2H);	456.5/ 457	INTA15/ 1

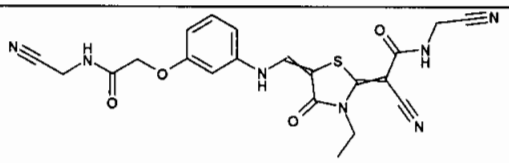
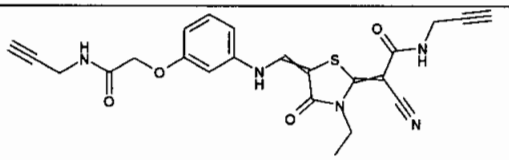
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	acetic acid methyl ester	3.79 (s, 3H); 4.25 (m, 2H); 4.51 (s, 2H); 6.70 (dd, 1H); 6.94 (m, 2H); 7.29 (m, 1H); 8.18 (m, 1H); 8.26 (s, 1H); 10.58 (s, 1H).		
146	 (3-{[2-[1-Cyano-1-ethylcarbamoyl-meth-(Z or E)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5E / Z)-ylidenemethyl]-amino}-phenoxy)-acetic acid methyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.02 (m, 3H); 1.22 (m, 3H); 3.18 (m, 2H); 3.79 (s, 3H); 4.28 (m, 2H); 4.50 (s, 2H); 6.71 (dd, 1H); 6.98 (m, 2H);	430.4/ 431	INTA15/ 1

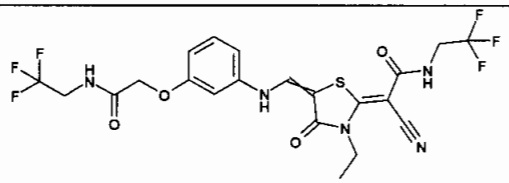
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.28 (m, 1H); 8.11 (m, 1H); 8.22 (s, 1H); 10.48 (s, 1H).		
147	 (3-{[2-[1-Cyano-1-(cyanomethyl-carbamoyl)-meth-(Z or E)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5E / Z)-ylidenemethyl]-amino}-phenoxy)-acetic acid methyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.22 (m, 3H); 3.79 (s, 3H); 4.22 (m, 4H); 4.68 (s, 2H); 6.71 (dd, 1H); 6.95 (m, 2H); 7.30 (m, 1H); 8.22 (s, 1H); 8.86 (m, 1H); 10.53 (s, 1H).	441.4/ 442	INTA15/ 1
148		^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks)	479.5/ 480	INTA15/ 1

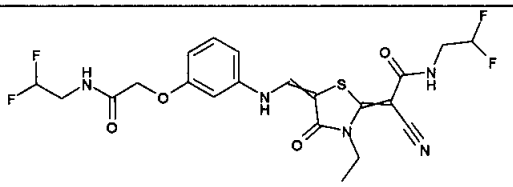
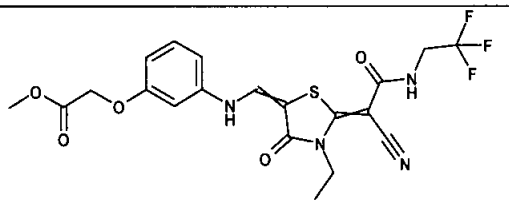
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-[3-ethyl-5-[1-{3-[(2-fluoro-ethylcarbamoyl)-methoxy]-phenylamino}-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-N-(2-fluoro-ethyl)-acetamide	δ = 1.24 (m, 3H); 3.50 (m, 4H); 4.24 (m, 2H); 4.40 (m, 2H); 4.57 (m, 4H); 6.68 (dd, 1H); 6.92 (m, 2H); 7.28 (m, 1H); 7.80 (m, 1H); 8.10 (s, 1H); 8.32 (m, 1H); 10.31 (s, 1H).		
149	 N-Allyl-2-[5-[1-(3-allylcarbamoylmethoxy-phenylamino)-meth-(E / Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2Z or E)-ylidene]-2-cyano-	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.28 (m, 3H); 3.78 (m, 4H); 4.26 (m, 2H); 4.54 (s, 2H); 5.10 (m, 4H);	467.5/ 468	INTA15/ 1

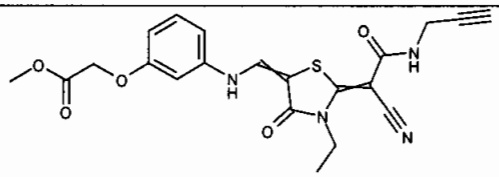
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	acetamide	5.81 (m, 2H); 6.69 (dd, 1H); 6.91 (m, 2H); 7.27 (m, 1H); 7.88 (m 1H); 8.10 (d, 1H); 8.30 (m, 1H); 10.28 (d, 1H).		
150	 2-Cyano-N-cyclopropylmethyl-2-[5-[1-{3-[(cyclopropylmethyl-carbamoyl)-methoxy]-phenylamino}-meth-(E / Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 0.20 (m, 4H); 0.39 (m, 4H); 0.97 (m, 2H); 1.27 (m, 3H); 3.04 (m, 4H); 4.21 (m, 2H); 4.50 (s, 2H); 6.68 (dd, 1H); 6.91 (m, 2H);	495.6/ 496	INTA15/ 1

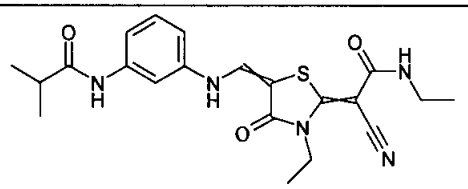
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.22 (m, 1H); 7.75 (s, 1H); 8.09 (s, 1H); 8.16 (m, 1H); 10.27 (s, 1H).		
151	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(3-ethylcarbamoylmethoxy-phenylamino)-meth-(E / Z)-ylidene]-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.09 (m, 6H); 1.28 (m, 3H); 3.20 (m, 4H); 4.21 (m, 2H); 4.50 (s, 2H); 6.68 (dd, 1H); 6.92 (m, 2H); 7.27 (m, 1H); 7.70 (m, 1H); 8.10 (d, 1H); 10.26 (d, 1H).	443.5/ 444	INTA15/ 1

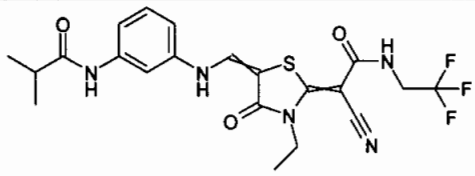
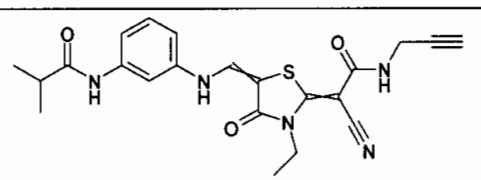
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
152	 2-Cyano-N-cyanomethyl-2-[5-[1-{3-[(cyanomethyl-carbamoyl)-methoxy]-phenylamino}-meth-(E / Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.19 (m, 3H); 4.10 (m, 6H); 4.57 (s, 2H); 6.60 (dd, 1H); 6.88 (m, 2H); 7.19 (m, 1H); 8.08 (s, 1H); 8.26 (s, 1H); 8.78 (m, 1H); 10.33 (s, 1H).	465.4/ 466	INTA15/ 1
153	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-(3-prop-2-ynylcarbamoylmethoxy-phenylamino)-meth-(E / Z)-ylidene]-	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.28 (m, 3H); 3.08 (m, 1H); 3.13 (m, 1H); 3.92 (m, 4H);	463.5/ 464	INTA15/ 1

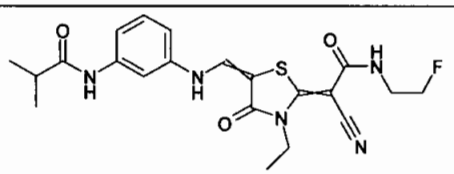
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	thiazolidin-(2Z or E)-ylidene]-N-prop-2-ynyl-acetamide	4.22 (m, 2H); 4.55 (s, 2H); 6.69 (dd, 1H); 6.92 (m, 2H); 7.28 (m, 1H); 8.11 (d, s, 1H); 8.60 (m, 1H); 10.31 (s, 1H).		
154	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-{3-[(2,2,2-trifluoro-ethylcarbamoyl)-methoxy]-phenylamino}-meth-(E / Z)-ylidene]-thiazolidin-(2Z or E)-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.28 (m, 3H); 3.97 (m, 4H); 4.22 (m, 2H); 4.63 (s, 2H); 6.69 (dd, 1H); 6.93 (m, 2H); 7.28 (m, 1H); 8.20 (m, 2H); 8.78 (m, 1H);	551.4/ 552	INTA15/ 1

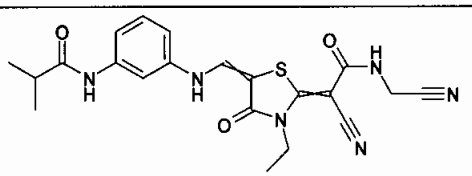
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.40 (s, 1H).		
155	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[5-[1-{3-[(2,2-difluoro-ethylcarbamoyl)-methoxy]-phenylamino}-meth-(E / Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2Z or E)-ylidene]-acetamide	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.20 (m, 3H); 3.47 (m, 4H); 4.15 (m, 2H); 4.50 (s, 2H); 5.97 (tm, 2H); 6.60 (dd, 1H); 6.82 (m, 2H); 7.19 (m, 1H); 7.88 (s, 1H); 8.03 (s, 1H); 8.40 (m, 1H); 10.26 (s, 1H).	515.4/ 516	INTA15/ 1
156		^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.19 (m, 3H);	484.4/ 485	INTA15/ 1

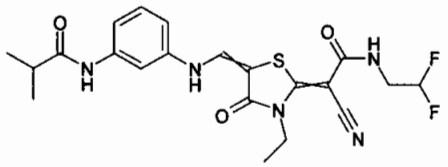
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	(3-{[2-[1-Cyano-1-(2,2,2-trifluoro-ethylcarbamoyl)-meth-(Z or E)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5E / Z)-ylidenemethyl]-amino}-phenoxy)-acetic acid methyl ester	3.68 (s, 3H); 3.89 (m, 2H); 4.18 (m, 2H); 4.57 (s, 2H); 6.60 (d, 1H); 6.83 (m, 2H); 7.69 (m, 1H); 8.15 (s, 1H); 8.70 (m, 1H); 10.50 (s, 1H).		
157	 (3-{[2-[1-Cyano-1-prop-2-ynylcarbamoyl-meth-(Z or E)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5E / Z)-ylidenemethyl]-amino}-phenoxy)-acetic acid methyl ester	^{1}H-NMR (DMSO-d6, 300 MHz) (selected peaks) δ = 1.27 (m, 3H); 3.11 (m, 1H); 3.79 (s, 3H); 3.93 (m, 2H); 4.25 (m, 2H); 4.58 (s, 2H); 6.71 (dd, 1H);	440.4/ 441	INTA15/ 1

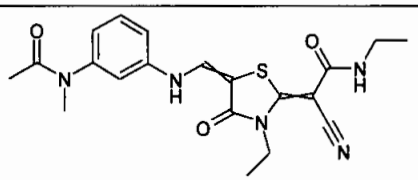
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		6.97 (m, 2H); 7.29 (m, 1H); 8.22 (s, 1H); 8.60 (m, 1H); 10.57 (s, 1H).		
158	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(3-isobutyrylamino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.05-1.11 (m, 9H); 1.24 (t, 3H); 2.54-2.61 (m, 1H); 3.14-3.23 (m, 2H); 4.21 (d, 2H); 6.91 (d, 1H); 7.18-7.24 (m, 2H); 7.67-7.69 (m, 2H); 7.96 (d, 2H); 9.87 (s, 1H); 10.36 (d, 1H) ppm.	427.53/ 428	INTA16/ 1

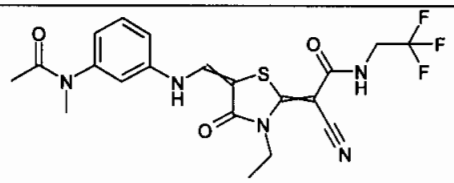
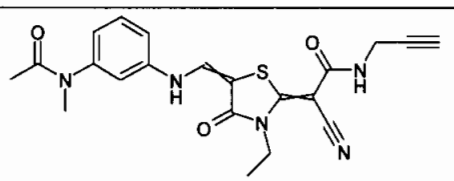
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
159	 2-Cyano-2-{3-ethyl-5-[1-(3-isobutyryl-amino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.11 (d, 6H); 1.25 (t, 3H); 2.58 (m, 1H); 3.94 (m, 2H); 4.23 (q, 2H); 6.92 (d, 1H); 7.18-7.25 (m, 2H); 7.70 (s, 1H); 8.01 (d, 1H); 8.19 (t, 1H); 9.87 (s, 1H); 10.47 (d, 1H) ppm.	481.50/ 482	INTA16/ 1
160	 2-Cyano-2-{3-ethyl-5-[1-(3-isobutyryl-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =	437.52/ 438	INTA16/ 1

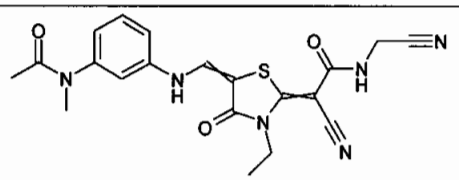
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	amino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-prop-2-ynyl-acetamide	1.11 (d, 6H); 1.24 (t, 3H); 2.53-2.63 (m, 1H); 3.05 (t,1H); 3.92 (dd, 2H); 4.22 (q, 2H); 6.92 (d, 1H); 7.18-7.25 (m, 2H); 7.70 (s, 1H); 8.80 (d, 1H); 8.07 (t, 1H); 9.86 (s, 1H); 10.42 (d, 1H) ppm.		
161	 2-Cyano-2-{3-ethyl-5-[1-(3-isobutyryl-amino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-(2-fluoro-ethyl)-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.11 (d, 6H); 1.24 (t, 3H); 2.53-2.63 (m, 1H);	445.52/ 446	INTA16/ 1

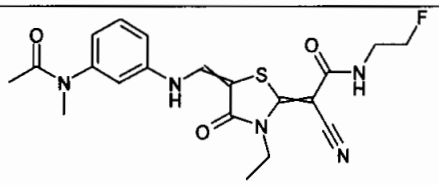
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	acetamide	3.43-3.53 (2q,1H); 4.22 (t,1H); 4.40-4.55 (2t, 1H); 6.92 (d, 1H); 7.18-7.25 (m, 2H); 7.69 (s, 1H); 7.74 (t, 1H); 7.98 (1H); 9.86 (s, 1H); 10.40 (1H) ppm.		
162	 2-Cyano-N-cyanomethyl-2-{3-ethyl-5-[1-(3-isobutyrylamino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.11 (d, 6H); 1.25 (t, 3H); 2.53-2.63 (m, 1H); 4.15 (d, 2H); 4.22 (q, 2H); 6.94 (d, 1H);	438.51/ 439	INTA16/ 1

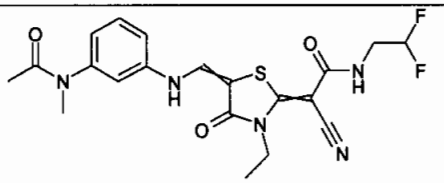
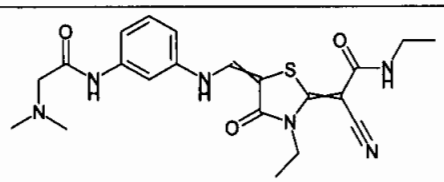
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.19-7.26 (m, 2H); 7.72 (s, 1H); 7.74 (t, 1H); 8.03 (1H); 9.88 (s, 1H); 10.50 (1H) ppm.		
163	 2-Cyano-N-(2,2-difluoro-ethyl)-2-{3-ethyl-5-[1-(3-isobutyrylamino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.10 (d, 6H); 1.24 (t, 3H); 2.54-2.61 (m, 1H); 3.52-3.62 (m, 2H); 4.22 (q, 2H); 5.88-6.18 (3t, 1H); 6.91 (d, 1H); 7.17-7.24 (m, 2H); 7.69 (s, 1H); 7.91 (t, 1H);	463.51/ 464	INTA16/ 1

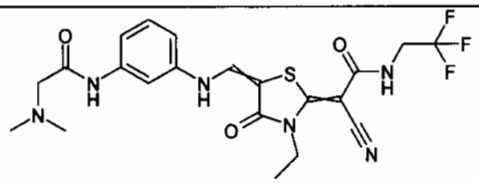
Exam-ple No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analo-gous to
		7.98 (d, 1H); 9.85 (s, 1H); 10.45 (1H) ppm.		
164	 2-{5-[1-[3-(Acetyl-methyl-amino)-phenyl-amino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-2-cyano-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.06 (t, 3H); 1.25 (t, 3H); 1.80 (3H); 3.10-3.23 (m, 5H); 4.21 (q, 2H); 6.96 (d, 1H); 7.22 (d, 1H); 7.30-7.37 (m, 2H); 7.68 (t, 1H); 8.11 (t, 1H); 10.40 (1H) ppm.	413.50/ 414	INTA17/ 1

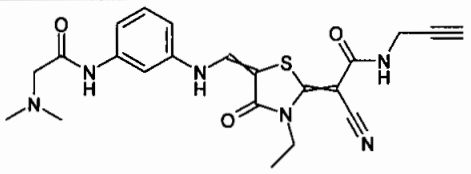
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
165	 2-{5-[1-[3-(Acetyl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.80 (3H); 3.14 (3H); 3.89-3.98 (m, 2H); 4.23 (q, 2H); 6.97 (d, 1H); 7.22 (m, 1H); 7.28-7.36 (m, 2H); 8.15-8.21 (m, 2H); 10.34 (d, 1H) ppm.	467.47/ 468	INTA17/ 1
166	 2-{5-[1-[3-(Acetyl-methyl-amino)-phenyl-amino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 1.80 (3H);	423.50/ 424	INTA17/ 1

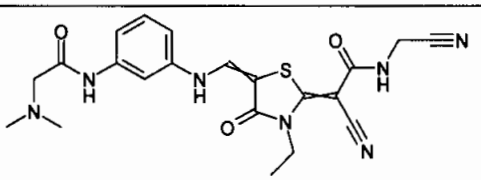
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	ylidene}-2-cyano-N-prop-2-ynyl-acetamide	3.05 (t, 1H); 3.15 (3H); 3.90-3.92 (m, 2H); 4.18 (q, 2H); 6.98 (d, 1H); 7.24 (m, 1H); 7.33-7.38 (m, 2H); 8.10 (t, 1H); 8.16 (d, 1H); 10.32 (d, 1H) ppm.		
167	 2-{5-[1-[3-(Acetyl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-2-cyano-N-cyanomethyl-acetamide	DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.80 (3H); 3.15 (t, 1H); 3.15 (3H); 4.14 (d, 2H); 4.22 (q, 2H);	424.48/ 425	INTA17/ 1

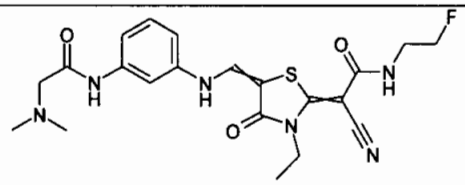
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		6.98 (d, 1H); 7.22-7.24 (m, 1H); 7.33-7.37 (m, 2H); 8.18 (d, 1H); 8.33 (t, 1H); 10.37 (d, 1H) ppm.		
168	 2-{5-[1-[3-(Acetyl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-2-cyano-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 1.80 (3H); 3.15 (s, 3H); 3.43-3.53 (2q, 2H); 4.22 (q, 2H); 4.40-4.55 (2t, 2H); 6.97 (d, 1H); 7.21-7.37 (m, 3H); 7.78 (t, 1H); 8.13 (1H);	431.49/ 432	INTA17/ 1

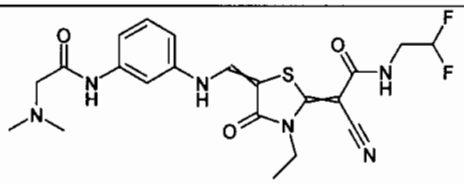
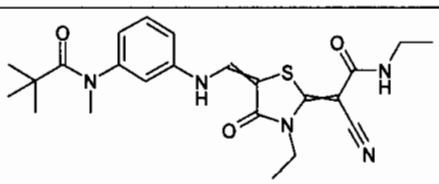
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.23 (d, 1H) ppm.		
169	 2-{5-[1-[3-(Acetyl-methyl-amino)-phenyl-amino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-2-cyano-N-(2,2-difluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.81 (3H); 3.15 (s, 3H); 3.50-3.63 (m, 2H); 4.23 (q, 2H); 5.90-6.20 (tt, 1H); 6.99 (d, 1H); 7.23-7.39 (m, 3H); 7.95 (t, 1H); 8.17 (s, 1H); 10.32 (1H) ppm.	449.48/ 450	INTA17/ 1
170	 2-Cyano-2-{5-[1-[3-(2-dimethyl-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =	442.54/ 443	INTA18/ 1

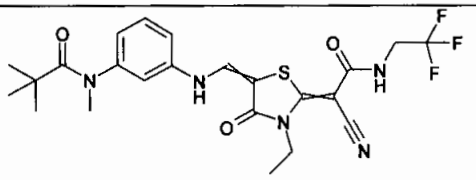
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	amino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-ethyl-acetamide	1.06 (t, 3H); 1.24 (t, 3H); 2.28 (s, 2H); 3.07 (s, 2H); 3.20 (p, 2H); 4.21 (q, 2H); 6.94 (d, 1H); 7.20-7.31 (m, 2H); 7.68 (t, 1H); 7.74 (s, 1H); 7.99 (d, 1H); 9.76 (s, 1H); 10.35 (d, 1H) ppm.		
171	 2-Cyano-2-{5-[1-[3-(2-dimethyl-amino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 2.28 (s, 6H); 3.07 (s, 2H);	496.52/ 497	INTA18/ 1

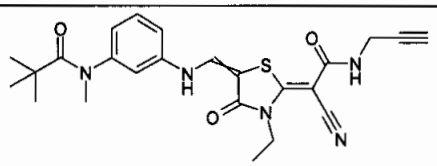
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	(2,2,2-trifluoro-ethyl)-acetamide	3.79-3.98 (m, 4H); 4.23 (q, 2H); 6.80 (t, 1H); 6.96 (m, 1H); 7.22-7.32 (m, 2H); 7.76 (s, 1H); 8.05 (d, 1H); 8.19 (t, 1H); 9.77 (s, 1H); 10.47 (d, 1H) ppm.		
172	 2-Cyano-2-{5-[1-[3-(2-dimethyl-amino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 2.28 (s, 6H); 3.05 (t, 1H); 3.07 (s, 2H); 3.91-3.93 (m, 2H); 4.22 (q, 2H);	452.54/ 453	INTA18/ 1

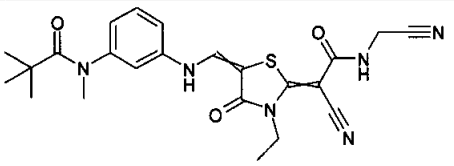
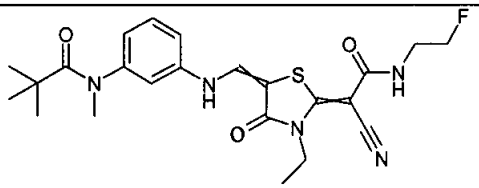
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		6.96 (d, 1H); 7.22-7.33 (m, 2H); 7.76 (s, 1H); 8.03 (d, 1H); 8.08 (t, 1H); 9.77 (s, 1H); 10.43 (d, 1H) ppm.		
173	 2-Cyano-N-cyanomethyl-2-{5-[1-[3-(2-dimethylamino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 2.28 (s, 6H); 3.07 (s, 2H); 4.14-4.16 (m, 2H); 4.23 (q, 2H); 6.97 (d, 1H); 7.23-7.33 (m, 2H); 7.77 (s, 1H); 8.07 (d, 1H);	453.53/ 454	INTA18/ 1

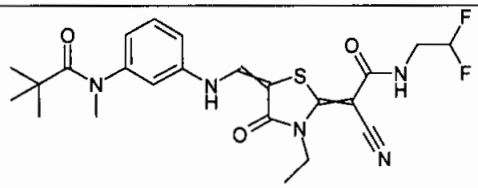
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		8.33 (t, 1H); 9.78 (1H); 10.51 (1H) ppm.		
174	 2-Cyano-2-{5-[1-[3-(2-dimethyl-amino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 2.27 (s, 6H); 3.06 (s, 2H); 3.43-3.53 (2q, 2H); 4.22 (q, 2H); 4.40-4.55 (2t, 2H); 6.93-6.95 (m, 1H); 7.20-7.31 (m, 2H); 7.74-7.78 (m, 2H); 8.01 (d, 1H); 9.75 (s, 1H); 10.38 (d, 1H) ppm.	460.53/ 461	INTA18/ 1

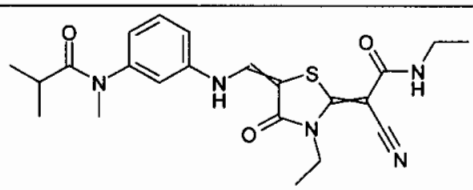
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
175	 2-Cyano-N-(2,2-difluoro-ethyl)-2-{5-[1-[3-(2-dimethylamino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 2.27 (s, 6H); 3.06 (s, 2H); 3.52-3.62 (m, 2H); 4.22 (q, 2H); 5.89-6.19 (3t, 1H); 6.94 (d, 1H); 7.20-7.31 (m, 2H); 7.37 (1H); 7.91 (1H); 8.04 (1H); 9.75 (s, 1H); 10.44 (s, 1H) ppm.	478.52/ 479	INTA18/ 1
176		(DMSO-d6, stored with K_2CO_3, main isomer):	455.58/ 456	INTA19/ 1

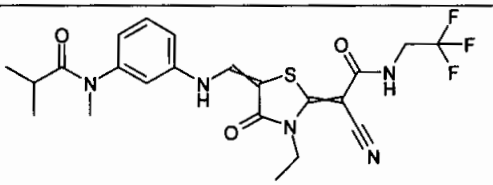
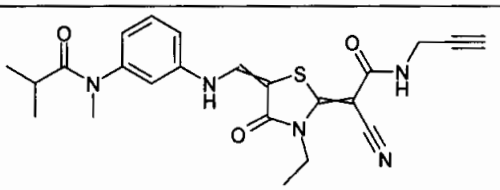
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-[5-[1-{3-[(2,2-dimethyl-propionyl)-methyl-amino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	δ = 0.99 (s, 9H); 1.07 (t, 3H); 1.24 (t, 3H); 3.10 (s, 3H); 3.17-3.23 (m, 2H); 4.22 (q, 2H); 6.97 (d, 1H); 7.27-7.38 (m, 2H); 7.70 (t, 1H); 8.11 (s, 1H); 10.26 (s, 1H) ppm.		
177	 2-Cyano-2-[5-[1-{3-[(2,2-dimethyl-propionyl)-methyl-amino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.99 (s, 9H); 1.25 (t, 3H); 3.10 (s, 3H); 3.90-3.99 (m, 2H);	509.55/ 510	INTA19/ 1

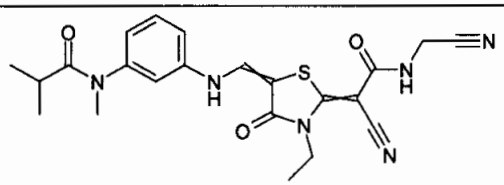
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		4.23 (q, 2H); 6.99 (d, 1H); 7.28-7.41 (m, 3H); 8.17 (d, 1H); 8.23 (t, 1H); 10.37 (d, 1H) ppm.		
178	 2-Cyano-2-[5-[1-{3-[(2,2-dimethyl-propionyl)-methyl-amino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.00 (s, 9H); 1.24 (t, 3H); 3.06 (t, 1H); 3.10 (s, 3H); 3.91-3.93 (m, 2H); 4.22 (q, 2H); 6.98 (d, 1H); 7.28-7.41 (m, 3H); 8.11 (t, 1H); 8.14 (d, 1H);	465.58/ 466	INTA19/ 1

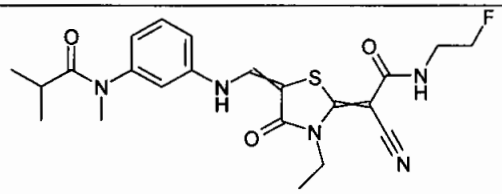
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.32 (d, 1H) ppm.		
179	 2-Cyano-2-[5-[1-{3-[(2,2-dimethyl-propionyl)-methyl-amino]-phenyl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.00 (s, 9H); 1.26 (t, 3H); 3.12 (s, 3H); 4.16 (d, 2H); 4.24 (q, 2H); 7.00 (d, 1H); 7.30-7.43 (m, 3H); 8.19 (d, 1H); 8.37 (t, 1H); 10.41 (d, 1H) ppm.	466.56/ 467	INTA19/ 1
180	 2-Cyano-2-[5-[1-{3-[(2,2-dimethyl-propionyl)-methyl-amino]-phenyl-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.99 (s, 9H);	473.57/ 474	INTA19/ 1

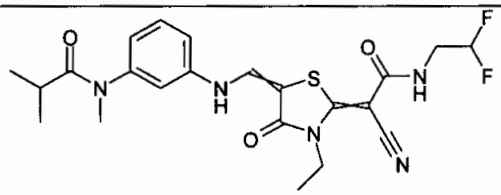
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	1.24 (t, 3H); 3.09 (s, 3H); 3.42-3.52 (2q, 2H); 4.21 (q, 2H); 4.41 (t, 1H); 4.53 (t, 1H); 6.95 (d, 1H); 7.24-7.36 (m, 3H); 7.78 (d, 1H); 8.12 (s, 1H); 10.29 (s, 1H) ppm.		
181	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[5-[1-{3-[(2,2-dimethyl-propionyl)-methyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.01 (s, 9H); 1.26 (t, 3H); 3.09 (s, 3H); 3.51-3.61 (m, 2H); 4.21 (q, 2H);	491.56/ 492	INTA19/ 1

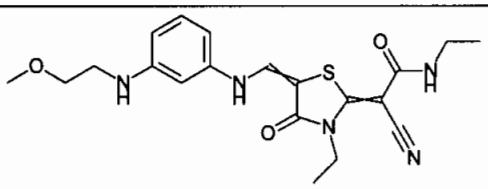
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		5.86-6.16 (3t, 1H); 6.94 (d, 1H); 7.23-7.37 (m, 3H); 7.94 (t, 1H); 8.12 (1H); 10.26 (1H) ppm.		
182	 2-Cyano-N-ethyl-2-{3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenyl-amino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.93 (d, 6H); 1.07 (t, 3H); 1.24 (t, 3H); 2.47 (m, 1H); 3.13 (s, 3H); 3.17-3.23 (m, 2H); 4.20 (q, 2H); 6.98 (d, 1H); 7.27-7.32 (m, 2H); 7.39 (t, 1H);	441.56/ 442	INTA20/ 1

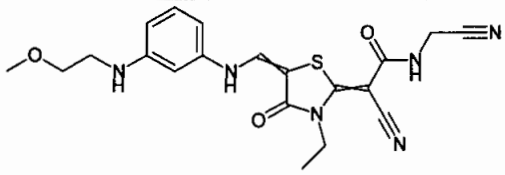
Exam-ple No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analo-gous to
		7.72 (t, 1H); 8.13 (d,1H); 10.27 (d, 1H) ppm.		
183	 2-Cyano-2-{[3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenyl-amino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.93 (d, 6H); 1.25 (t, 3H); 2.47 (m, H); 3.13 (s, 3H); 3.90-3.99 (m, 2H); 4.23 (q, 2H); 6.99 (d, 1H); 7.28-7.43 (m, 3H); 8.20-8.23 (m, 2H); 10.38 (1H) ppm.	495.53/ 469	INTA20/ 1
184		(DMSO-d6, stored with K_2CO_3, main isomer):	451.51/ 452	INTA20/ 1

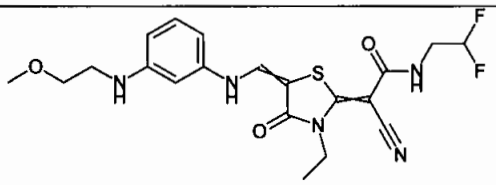
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	2-Cyano-2-{3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-prop-2-ynyl-acetamide	δ = 0.93 (d, 6H); 1.24 (t, 3H); 2.47 (m, H); 3.06 (t, H); 3.14 (s, 3H); 3.91-3.93 (m, 2H); 4.22 (q, 2H); 6.98 (d, 1H); 7.28-7.33 (m, 2H); 7.39 (t, 1H); 8.11 (t, 1H); 8.16 (1H); 10.33 (1H) ppm.		
185	 2-Cyano-N-cyanomethyl-2-{3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-4-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.93 (d, 6H); 1.25 (t, 3H);	452.54/ 453	INTA20/ 1

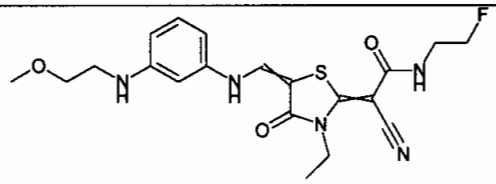
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
	oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	2.47 (m, H); 3.14 (s, 3H); 4.15 (d, 2H); 4.23 (q, 2H); 6.99 (d, 1H); 7.28-7.30 (m, 1H); 7.34-7.41 (m, 2H); 8.20 (1H); 8.36 (t, 1H); 10.40 (1H) ppm.		
186	 2-Cyano-2-{3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenyl-amino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.93 (d, 6H); 1.24 (t, 3H); 2.47 (m, H); 3.13 (s, 3H); 3.44-3.54 (2q, 2H); 4.22 (q, 2H);	459.55/ 460	INTA20/ 1

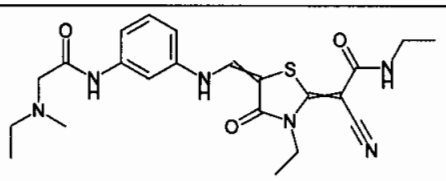
Exam-ple No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analo-gous to
		(t, 1H); 4.54 (t, 1H); 6.97 (d, 1H); 7.25-7.31 (m, 2H); 7.37 (t, 1H); 7.79 (t, 1H); 8.13 (t, 1H); 10.28 (1H) ppm.		
187	 2-Cyano-N-(2,2-difluoro-ethyl)-2-{3-ethyl-5-[1-[3-(isobutyryl-methyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.93 (d, 6H); 1.24 (t, 3H); 2.47 (m, H); 3.13 (s, 3H); 3.53-3.62 (m, 2H); 4.23 (q, 2H); 5.90-6.20 (tt, 1H); 6.90 (d, 1H);	477.54/ 478	INTA20/ 1

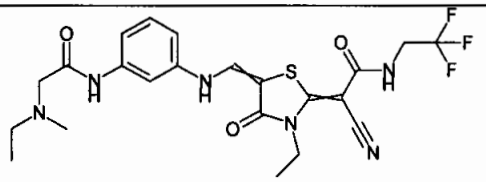
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.27-7.33 (m, 2H); 7.39 (t, 1H); 7.98 (t, 1H); 8.18 (1H); 10.37 (1H) ppm.		
188	 2-Cyano-N-ethyl-2-{3-ethyl-5-[1-[3-(2-methoxy-ethylamino)-phenyl-amino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene}-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.06 (t, 3H); 1.23 (t, 3H); 3.19 (q, 2H); 3.28 (s, 3H); 3.47 (t, 2H); 4.21 (q, 2H); 5.78 (t, 1H); 6.30-6.32 (dd, 1H); 6.41-6.44 (dd, 1H); 6.49 (t, 1H); 7.00 (t, 1H);	415.52/ 416	INTA21/ 1

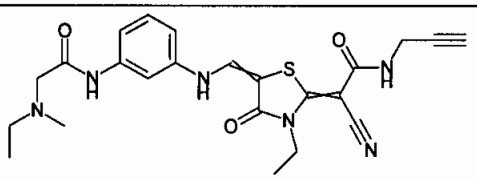
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		7.68 (t, 1H); 7.98 (d, 1H); 10.13 (d, 1H) ppm.		
189	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(2-methoxy-ethylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 3.19 (q, 2H); 3.28 (s, 3H); 3.47 (t, 2H); 4.14 (d, 2H); 4.22 (q, 2H); 5.78 (t, 1H); 6.31-6.33 (dd, 1H); 6.42-6.45 (dd, 1H); 6.49 (1H); 7.01 (t, 1H); 8.05 (s, 1H); 8.29 (1H);	426.50/ 427	INTA21/ 1

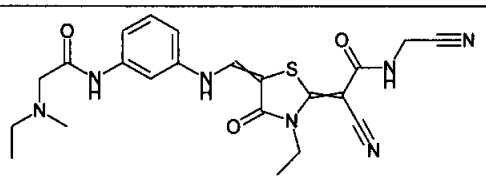
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
		10.27 (s, 1H) ppm.		
190	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-[3-(2-methoxy-ethyl-amino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 3.19 (q, 2H); 3.28 (s, 3H); 3.47 (t, 2H); 3.53-3.63 (m, 2H); 4.22 (q, 2H); 5.78 (t, 1H); 5.90-6.20 (tt, 1H); 6.31-6.33 (dd, 1H); 6.42-6.44 (dd, 1H); 6.49 (t, 1H); 7.01 (t, 1H); 7.92 (t, 1H); 8.02 (d,1H); 10.22 (d, 1H) ppm.	451.50/ 452	INTA21/ 1

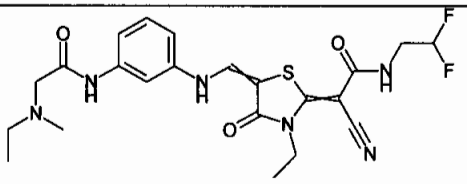
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
191	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-methoxy-ethylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.24 (t, 3H); 3.18 (q, 2H); 3.28 (s, 3H); 3.43-3.53 (m, 4H); 3.53-3.63 (m, 2H); 4.22 (q, 2H); 4.42 (t, 1H); 4.54 (t, 1H); 5.77 (t, 1H); 6.30-6.33 (dd, 1H); 6.41-6.44 (dd, 1H); 6.49 (1H); 7.00 (t, 1H); 7.76 (t, 1H); 8.00 (d,1H); 10.16 (d, 1H) ppm.	433.51/ 434	INTA21/ 1

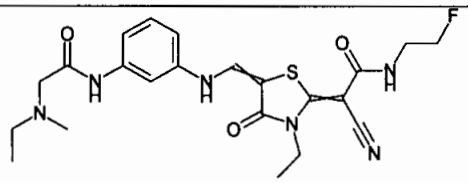
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
192	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{3-[2-(ethyl-methyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.02-1.08 (m, 6H); 1.23 (t, 3H); 2.28 (s, 3H); 2.51 (q, 2H); 3.11 (s, 2H); 3.16-3.23 (m, 2H); 4.21 (q, 2H); 6.93-6.96 (m, 1H); 7.21-7.31 (m, 2H); 7.68 (t, 1H); 7.73 (1H); 8.00 (d, 1H); 9.71 (s, 1H); 10.35 (d, 1H) ppm.	456.57/ 457	INTA22/ 1

Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
193	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(ethyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.04 (t, 3H); 1.25 (t, 3H); 2.27 (s, 3H); 2.51 (m, 2H); 3.11 (s, 2H); 3.90-3.98 (m, 2H); 4.23 (q, 2H); 6.96 (d, 1H); 7.22-7.32 (m, 2H); 7.74 (s, 1H); 8.07 (1H); 8.19 (1H); 9.71 (s, 1H); 10.46 (1H) ppm.	510.54/ 511	INTA22/ 1

Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
194	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(ethyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.04 (t, 3H); 1.24 (t, 3H); 2.28 (s, 3H); 2.51 (q, 2H); 3.12 (s, 2H); 3.91-3.92 (dd, 2H); 4.22 (q, 2H); 6.95-6.97 (m, 1H); 7.22-7.31 (m, 2H); 7.73 (s, 1H); 8.03 (d, 2H); 8.07 (t, 1H); 9.72 (s, 1H); 10.41 (d, 1H) ppm.	466.57/ 467	INTA22/ 1

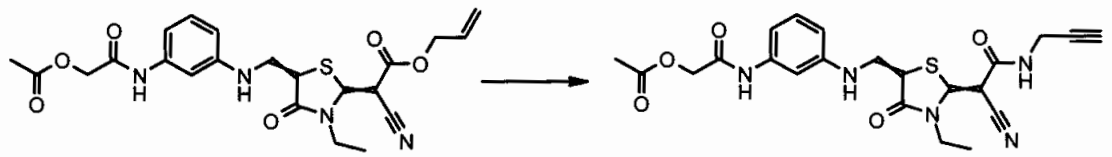
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
195	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(ethyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.04 (t, 3H); 1.25 (t, 3H); 2.28 (s, 3H); 2.51 (m, 2H); 3.12 (s, 2H); 4.15 (d, 2H); 4.23 (q, 2H); 6.96-6.98 (m, 1H); 7.22-7.32 (m, 2H); 7.75 (1H); 8.07 (d, 2H); 8.33 (t, 1H); 9.72 (1H); 10.50 (d, 1H) ppm.	467.55/ 468	INTA22/ 1

Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
196	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-{3-[2-(ethyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.04 (t, 3H); 1.25 (t, 3H); 2.28 (s, 3H); 2.51 (m, 2H); 3.11 (s, 2H); 3.54-3.62 (m, 2H); 4.23 (q, 2H); 5.90-6.20 (tt, 1H); 6.95-6.97 (m, 1H); 7.22-7.32 (m, 2H); 7.74 (s, 1H); 7.95 (t, 1H); 8.05 (d, 1H); 9.71 (s, 1H); 10.44 (d, 1H) ppm.	492.55/ 493	INTA22/ 1

Example No.	Structure and Name	^{1}H-NMR	Mol. Weight/ MS (ESI) $[M+1]^+$	Educt/ Synthesis Analogous to
197	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(ethyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.04 (t, 3H); 1.254 (t, 3H); 2.28 (s, 3H); 2.51 (m, 2H); 3.12 (s, 2H); 3.43-3.53 (2q, 2H); 4.22 (q, 2H); 4.42 (t, 1H); 4.54 (t, 1H); 6.94-6.96 (m, 1H); 7.21-7.31 (m, 2H); 7.73 (s, 1H); 7.79 (t, 1H); 8.02 (d, 1H); 9.71 (s, 1H); 10.40 (d, 1H) ppm.	474.56/ 475	INTA22/ 1

Example 198

Acetic acid (3-{[2-[1-cyano-1-prop-2-ynylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenylcarbamoyl)-methyl ester



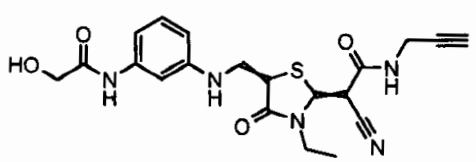
2.5 g of the compound that is described under intermediate compound INTE44 is dissolved in 160 ml of tetrahydrofuran, mixed with 1.66 g of N,N-dimethylbarbituric acid and 614 mg of $Pd(PPh_3)_4$, and stirred for two hours at room temperature. Then, 3.68 ml of triethylamine, 1.09 ml of propargylamine and 5.12 g of TBTU are added, and it is stirred for another 15 hours at room temperature. 250 ml of ethyl acetate is added, and it is washed once with 100 ml of water. The organic phase is dried on sodium sulfate. After purification by recrystallization from dichloromethane and subsequent recrystallization from ethanol, 1.68 g of the title compound is obtained.

^{1}H NMR (DMSO-d6, stored with K_2CO_3, main isomer):

δ = 1.25 (t, 3H); 2.14 (s, 3H); 3.07 (t, 1H); 3.88-4.00 (m, 2H); 4.24 (q, 2H); 4.66 (s, 2H); 7.02 (d, 1H); 7.20 (d, 1H); 7.29 (t, 1H); 7.67 (s, 1H); 8.02 (d, 1H); 8.11 (t, 1H); 10.16 (s, 1H); 10.46 (d, 1H) ppm.

Example 199

2-Cyano-2-[3-ethyl-5-[1-[3-(2-hydroxy-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide



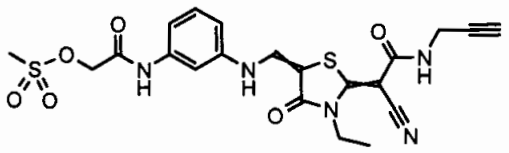
2.6 g of the compound that is described under **Example 198** is dissolved in 80 ml of dimethylformamide and mixed with 40 ml of methanol and 40 ml of water. 1.15 g of potassium carbonate is added, and it is stirred for two hours at room temperature. 1000 ml of ethyl acetate is added, the organic phase is separated, and it is washed three times with 75 ml each of semi-saturated sodium chloride solution. The organic phase is dried on sodium sulfate. 2.19 g of the title compound is obtained.

(DMSO-d6, stored with K_2CO_3, main isomer):

δ =1.21 (t, 3H); 3.02 (b, 1H); 3.83-3.93 (m, 2H); 3.96 (d, 2H); 4.19 (q, 2H); 5.67 (t, 1H); 6.94 (d, 1H); 7.22 (t, 1H); 7.35 (d, 1H); 7.77 (s, 1H); 7.94-8.12 (m, 2H); 9.70 (s, 1H); 10.40 (d,b, 1H) ppm.

Example 200

Methanesulfonic acid (3-{[2-[1-cyano-1-prop-2-ynylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenylcarbamoyl)-methyl ester



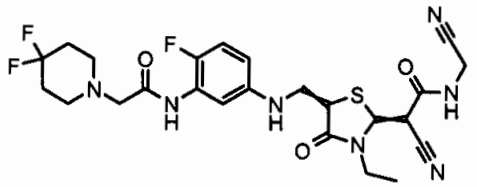
2.18 g of the compound that is described under **Example 199** is dissolved in 18 ml of dimethylformamide and mixed with 320 ml of tetrahydrofuran. At 0°C, 1.78 ml of triethylamine and 0.60 ml of methanesulfonic acid chloride are added, and it is stirred for one hour at room temperature. 500 ml of ethyl acetate and 200 ml of water are added, the organic phase is separated, and it is washed three times with 75 ml each of semi-saturated sodium chloride solution. The organic phase is dried on sodium sulfate. After purification by stirring the solid with dichloromethane, 2.02 g of the title compound is obtained.

(DMSO-d6, stored with K_2CO_3, main isomer):

δ =1.24 (t, 3H); 3.06 (b, 1H); 3.31 (s, 3H); 3.86-3.99 (m, 2H); 4.22 (q, 2H); 4.85 (s, 2H); 7.04 (d, 1H); 7.22 (d, 1H); 7.30 (t, 1H); 7.68 (s, 1H); 8.03 (d, 1H); 8.10 (t, 1H); 10.24 (s, 1H); 10.47 (d,b, 1H) ppm.

Example 201

2-Cyano-N-cyanomethyl-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-4-fluoro-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide



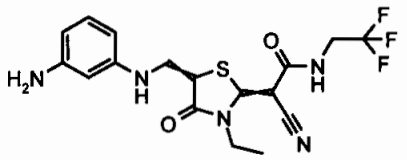
60 mg of the compound that is described under **INTT10** is suspended in 3 ml of 1-propanol and mixed with 138 mg of the compound that is described under **INT62** and 0.16 ml of triethyl orthoformate. It is stirred for 4 hours at 140°C in a bomb tube. The reaction mixture is slowly cooled to room temperature and stirred for 15 hours at room temperature. The precipitated solid is filtered off and washed in succession with ethanol and diethyl ether. After purification by filtration through silica gel and subsequent recrystallization from ethanol, 106 mg of the title compound is obtained.

(DMSO-d6, stored with K_2CO_3, main isomer):

δ = 1.20 (t, 3H); 1.83-2.10 (m, 4H); 2.66 (m, 4H); 3.26 (s, 2H); 4.11 (d, 2H); 4.19 (q, 2H); 6.95-7.12 (m, 1H); 7.22 (t, 1H); 7.93 (s,b, 1H); 8.02 (s, 1H); 8.27 (s,b, 1H); 9.62 (s, 1H); 10.50 (s,b, 1H) ppm.

Example 202

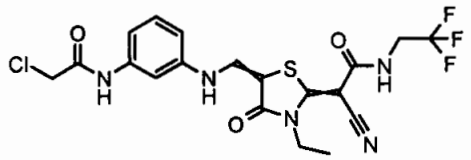
2-[5-[1-(3-Amino-phenylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide



1.6 g of the compound that is described under **Example 204** is suspended in 40 ml of dichloromethane. 24 ml of trifluoroacetic acid is added. It is stirred for one hour at room temperature. The reaction mixture is concentrated by evaporation, mixed with dichloromethane and hexane and concentrated by evaporation again. After good drying in a vacuum, 1.7 g of the title compound is obtained as a trifluoroacetic acid salt. This crude product is used without additional purification for the following reactions.

Example 203

2-[5-[1-[3-(2-Chloro-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide



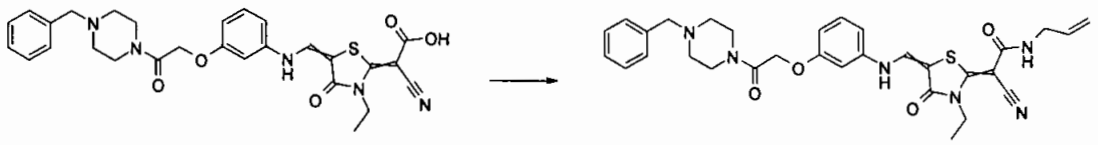
3.1 mmol of the trifluoroacetic acid salt of the compound that is described under **Example 202** is dissolved in 45 ml of tetrahydrofuran. At 0°C, 0.64 ml of pyridine and 0.60 mg of chloroacetic acid anhydride are added, and it is stirred for 30 minutes at room temperature. 200 ml of ethyl acetate and 100 ml of water are added, the organic phase is separated, and it is dried on sodium sulfate. After purification by recrystallization from ethanol, 1.12 g of the title compound is obtained.

(DMSO-d6, stored with K_2CO_3, main isomer):

δ = 1.27 (t, 3H); 3.98 (m, 2H); 4.19-4.31 (m, 4H); 7.04 (d, 1H); 7.22 (d, 1H); 7.31 (t, 1H); 7.70 (s, 1H); 8.06 (b, 1H); 8.21 (b, 1H); 10.40 (s, 1H); 10.54 (s,b, 1H) ppm.

Example 204

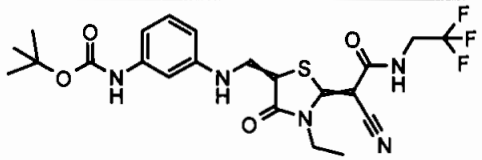
N-Allyl-2-[5-[1-{3-[2-(4-benzyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-acetamide

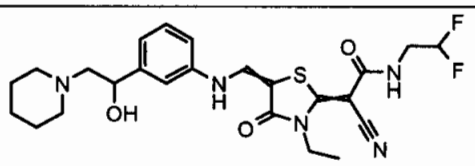
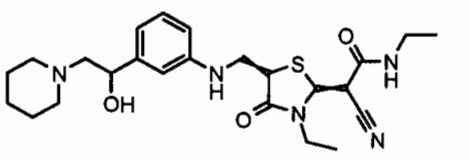


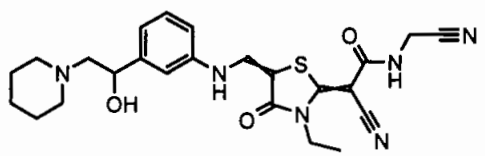
95 mg of the compound that is described under **INTA23** is dissolved 3 ml of DMF, and HATU (194 mg) as well as allylamine (34 µl) are added. The reaction batch is stirred overnight at room temperature under argon, diluted with water (about 20 ml), made alkaline by adding sodium carbonate solution, and extracted with ethyl acetate (3 x 10 ml). The combined organic phases are dried on sodium sulfate, and the solvent is distilled off in a rotary evaporator. The crude product is purified by chromatography on a Flashmaster. The title compound (45 mg) is obtained in a 45% yield.

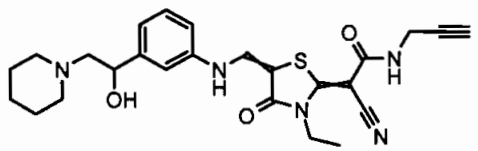
^{1}H-NMR ($CDCl_3$, main isomer): δ = 1.39 (m, 3H); 2.49 (m, 4H); 3.61 (m, 4H); 3.69 (m, 2H); 3.97 (m, 2H); 4.38 (m, 2H); 4.80 (s, 2H); 5.21 (m, 2H); 5.88 (m, 1H); 6.38 (t, 1H); 6.58 (m, 3H); 7.12 (t, 1H); 7.50 (m, 2H); 7.68 (m, 1H); 8.00 (d, 1H); 8.65 (d, 1H); 10.40 (d, 1H) ppm.

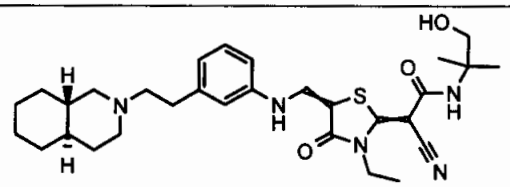
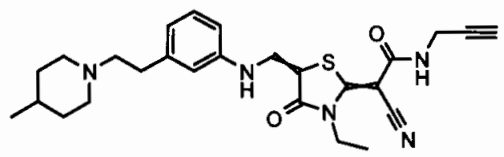
The compounds below are produced analogously to the above-described process.

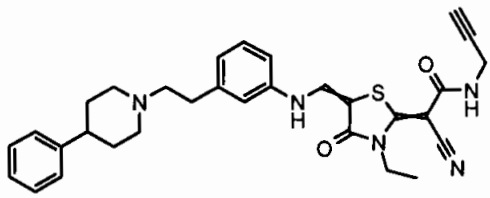
Example No.	Structure and Name	^{1}H-NMR	Mol. Weight / MS (ESI) $[M+1]^+$	Educt / Synthesis Analogous to
205	 (3-{[2-[1-Cyano-1-(2,2,2-trifluoro-ethylcarbamoyl)-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-carbamic acid tert-butyl ester	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.49 (s, 9H); 3.97 (m, 2H); 4.25 (q, 2H); 6.90 (d, 1H); 7.07 (d, 1H); 7.21 (t, 1H); 7.57 (s, 1H); 8.03 (b, 1H); 8.22 (b, 1H); 9.45 (s, 1H); 10.50 (s,b, 1H) ppm.		INTA9 / 1

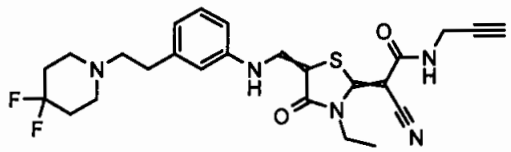
206	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-[3-(1-hydroxy-2-piperidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.27-1.56 (m, 6H); 2.27-2.42 (m, 6H); 3.45-3.63 (m, 2H); 4.20 (q, 2H); 4.65 (s,b, 1H); 4.95 (s,b, 1H); 6.02 (tt, 1H); 6.99 (d, 1H); 7.10 (d, 1H); 7.17-7.33 (m, 2H); 7.90 (s,b, 1H); 8.09 (s, 1H); 10.37 (s,b, 1H) ppm.		INTT1 1 / INT54 / 5
207	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[3-(1-hydroxy-2-piperidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.03 (t, 3H); 1.20 (t, 3H);		INTT7 / INT54 / 5

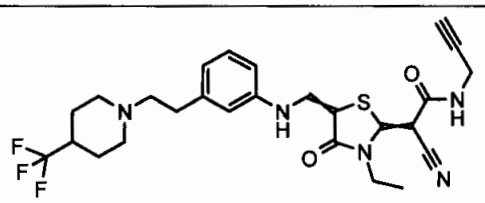
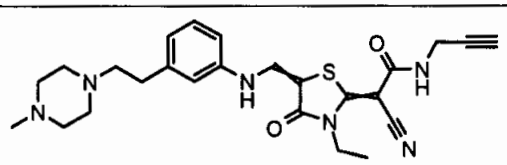
	oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	1.27-1.54 (m, 6H); 2.23-2.44 (m, 6H); 3.16 (m, 2H); 4.18 (q, 2H); 4.62-4.66 (m, 1H); 4.94 (s,b, 1H); 6.98 (d, 1H); 7.08 (d, 1H); 7.17-7.33 (m, 2H); 7.62 (s,b, 1H); 8.05 (s, 1H); 10.27 (s,b, 1H) ppm.		
208	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[3-(1-hydroxy-2-piperidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.28-1.56 (m, 6H); 2.24-2.43 (m, 6H); 4.12 (d, 2H); 4.19 (q, 2H); 4.65 (s,b, 1H); 4.95 (s,b, 1H); 7.00 (d, 1H);		INTT10 / INT54 / 5

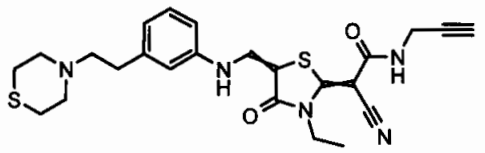
		7.13 (d, 1H); 7.17-7.33 (m, 2H); 8.11 (s, 1H); 8.28 (s,b, 1H); 10.41 (s,b, 1H) ppm.		
209	 2-Cyano-2-[3-ethyl-5-[1-[3-(1-hydroxy-2-piperidin-1-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 1.27-1.54 (m, 6H); 2.24-2.44 (m, 6H); 3.02 (m, 1H); 3.88 (m, 2H); 4.19 (q, 2H); 4.65 (s,b, 1H); 4.94 (s,b, 1H); 6.99 (d, 1H); 7.10 (d, 1H); 7.16-7.32 (m, 2H); 7.95-8.14 (m, 2H); 10.33 (s,b, 1H); ppm.		INTT9 / INT54 / 5

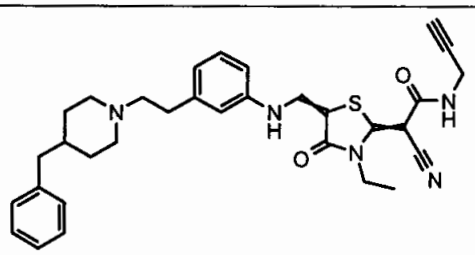
210	 2-Cyano-2-[3-ethyl-5-[1-{3-[(4aR,8aS)-2-(octahydro-isoquinolin-2-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.70-1.30 (m, 16H); 1.40-1.71 (m, 6H); 1.88 (t, 1H); 2.35-2.50 (m, 2H); 2.60-2.71 (m, 1H); 2.75 (d, 1H); 2.88 (d, 1H); 3.33 (d, 2H); 4.16 (q, 2H); 5.14 (t, 1H); 6.60 (s,b, 1H); 6.86 (d, 1H); 6.97-7.23 (m, 3H); 8.09 (s, 1H); 10.20 (s,b, 1H) ppm.		INTA2 4 / 1
211	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperidin-1-yl)-ethyl]-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.84 (d, 3H);		69 / 14

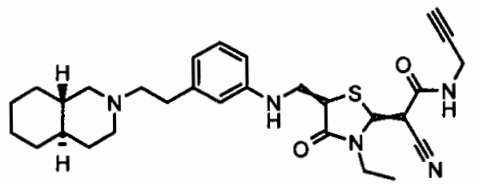
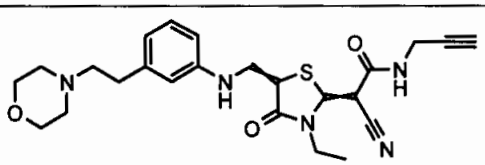
	phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	0.99-1.36 (m, 6H); 1.53 (d, 2H); 1.88 (t, 2H); 2.35-2.50 (m, 2H); 2.60-2.71 (m, 2H); 2.78-2.90 (m, 2H); 3.00 (b, 1H); 3.82-3.92 (m, 2H); 4.19 (q, 2H); 6.82 (d, 1H); 6.95 (d, 1H); 7.02 (s, 1H); 7.14 (t, 1H); 7.74 (s,b, 1H); 8.13 (s, 1H); 10.24 (s,b, 1H) ppm.		
212	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-phenyl-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.53-1.76 (m, 4H); 2.02 (t, 2H); 2.38-2.58 (m, 3H);		69 / 14

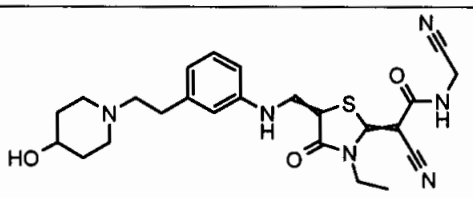
	prop-2-ynyl-acetamide	2.71 (t, 2H); 2.95-3.07 (m, 3H); 3.83-3.93 (m, 2H); 4.20 (q, 2H); 6.91 (d, 1H); 7.03-7.30 (m, 8H); 8.05 (s,b, 1H); 8.11 (s, 1H); 10.29 (s,b, 1H) ppm.		
213	 2-Cyano-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.80-2.00 (m, 4H); 2.40-2.61 (m, 6H); 2.70 (t, 2H); 3.02 (b, 1H); 3.83-3.92 (m, 2H); 4.20 (q, 2H); 6.90 (d, 1H); 7.00-7.28 (m, 3H); 7.91-8.17 (m, 2H); 10.30 (s,b, 1H)		69 / 14

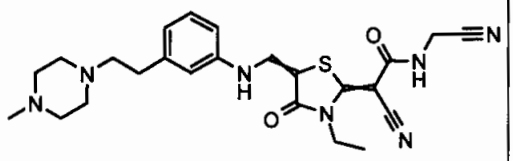
		ppm.		
214	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-trifluoromethyl-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 1.30-1.49 (m, 2H); 1.73 (d, 2H); 1.95 (t, 2H); 2.10-2.32 (m, 1H); 2.45-2.57 (m, 2H); 2.62-2.75 (m, 2H); 2.91-3.05 (m, 3H); 3.83-3.94 (m, 2H); 4.19 (q, 2H); 6.87 (d, 1H); 7.01 (d, 1H); 7.09 (s, 1H); 7.18 (t, 1H); 7.87 (s,b, 1H); 8.11 (s, 1H); 10.26 (s,b, 1H) ppm.		69 / 14
215		(DMSO-d6, stored with K_2CO_3, main isomer):		69 / 14

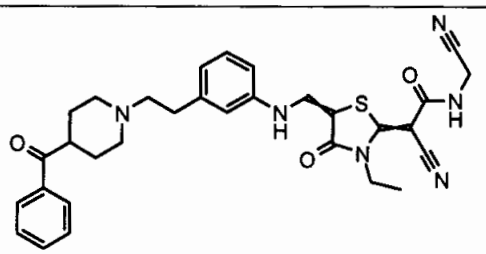
	2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	δ = 1.21 (t, 3H); 2.40-3.10 (m,b 15H); 3.02 (b, 1H); 3.83-3.96 (m, 2H); 4.20 (q, 2H); 6.92 (d, 1H); 7.09 (d, 1H); 7.15-7.29 (m, 2H); 8.00-8.15 (m, 2H); 10.27 (d, 1H) ppm.		
216	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(2-thiomorpholin-4-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.22 (t, 3H); 2.50-2.66 (m, 6H); 2.66-2.80 (m, 6H); 3.03 (m, 1H); 3.87-3.98 (m, 2H); 4.22 (q, 2H); 6.90 (d, 1H); 7.05 (d, 1H); 7.13 (s, 1H);		69 / 14

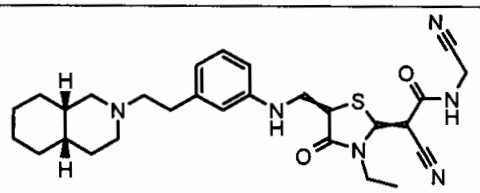
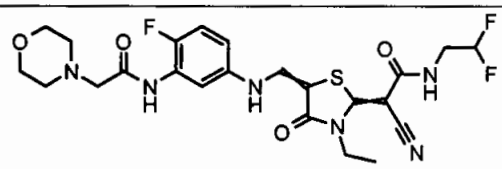
		7.21 (t, 1H); 7.96 (s,b, 1H); 8.11 (s, 1H); 10.30 (s,b, 1H) ppm.		
217	 2-[5-[1-{3-[2-(4-Benzyl-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 1.32-1.56 (m, 3H); 1.83 (t, 2H); 2.35-2.50 (m, 4H); 2.65 (t, 2H); 2.80-2.90 (m, 2H); 3.00 (m, 1H); 3.83-3.93 (m, 2H); 4.20 (q, 2H); 6.87 (d, 1H); 6.98-7.30 (m, 8H); 7.99 (s,b, 1H); 8.09 (s, 1H); 10.24 (s,b, 1H) ppm.		69 / 14

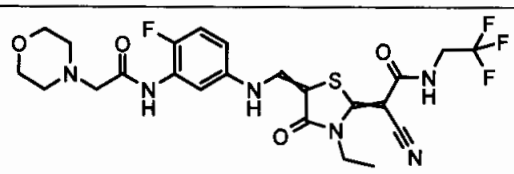
218	 2-Cyano-2-[3-ethyl-5-({3-[(4aR,8aS)-2-(octahydro-isoquinolin-2-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.70-1.00 (m, 3H); 1.00-1.30 (m, 7H); 1.40-1.70 (m, 6H); 1.90 (t, 1H); 2.37-2.50 (m, 2H); 2.61-2.95 (m, 4H); 3.00 (b, 1H); 3.85-3.93 (m, 2H); 4.20 (q, 2H); 6.89 (d, 1H); 7.06 (d, 1H); 7.15 (s,b, 1H); 7.20 (t, 1H); 8.00 (s,b, 1H); 8.09 (s, 1H); 10.25 (s,b, 1H) ppm.		69 / 14 or INTA24 / 1
219	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =		69 / 14

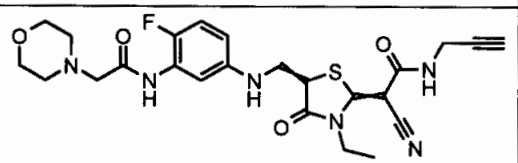
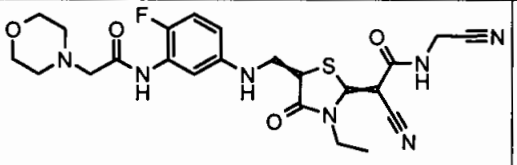
	morpholin-4-yl-ethyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	1.25 (t, 3H); 2.36-2.60 (m, 6H); 2.73 (t, 2H); 3.05 (b, 1H); 3.52-3.63 (m, 4H); 3.89-3.98 (m, 2H); 4.22 (q, 2H); 6.92 (d, 1H); 7.09 (d, 1H); 7.19 (s,b, 1H); 7.22 (t, 1H); 8.01 (s,b, 1H); 8.13 (s, 1H); 10.30 (s,b, 1H) ppm.		
220	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(4-hydroxy-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.22 (t, 3H); 1.31-1.53 (m,b, 2H); 1.64-1.82 (m,b, 2H); 1.98-2.28 (m,b, 2H); 2.38-2.66 (m,b, 2H); 2.66-2.96 (m,b, 4H);		13 / 14

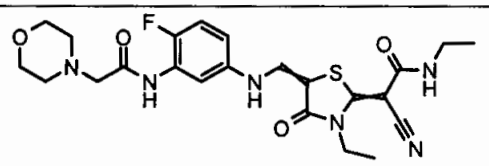
		3.50 (b, 1H); 4.17 (d, 2H); 4.25 (q, 2H); 6.61 (b, 1H); 6.95 (d, 1H); 7.12 (d, 1H); 7.21 (s,b, 1H); 7.26 (t, 1H); 8.18 (s,b, 1H); 8.35 (t, 1H); 10.40 (s,b, 1H) ppm.		
221	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 2.16 (s, 3H); 2.21-2.60 (m, 10H); 2.71 (t, 2H); 4.18 (d, 2H); 4.24 (q, 2H); 6.94 (d, 1H); 7.11 (d, 1H); 7.21 (s,b, 1H);		13 / 14

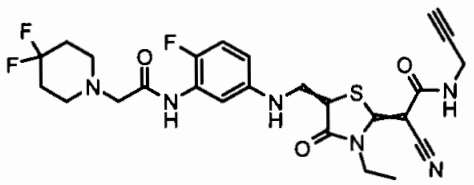
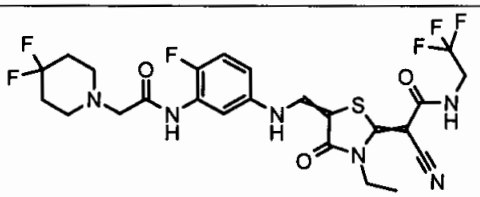
		7.24 (t, 1H); 8.16 (s,b, 1H); 8.35 (t, 1H); 10.39 (s,b, 1H) ppm.		
222	 2-[5-[1-{3-[2-(4-Benzoyl-piperidin-1-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-cyanomethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.48-1.88 (m, 4H); 2.14 (t, 2H); 2.45-2.60 (m, 2H); 2.65-2.80 (m, 2H); 2.90-3.07 (m, 2H); 3.30-3.48 (m, 1H); 4.11 (d, 2H); 4.22 (q, 2H); 6.74-7.25 (m, 4H); 7.47-7.70 (m, 3H); 7.90-8.06 (m, 3H); 8.23 (s,b, 1H); 10.40 (s,b, 1H) ppm.		13 / 14

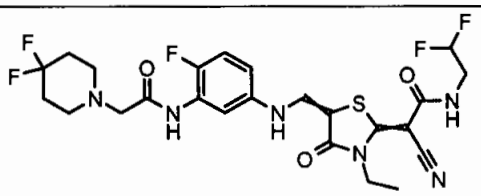
223	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[(4aS,8aS)-2-(octahydro-isoquinolin-2-yl)-ethyl]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.10-1.80 (m, 17H); 2.00-2.22 (m, 2H); 2.40-2.60 (m, 2H); 2.70 (t, 2H); 4.16 (d, 2H); 4.24 (q, 2H); 6.93 (d, 1H); 7.10 (d, 1H); 7.19 (s,b, 1H); 7.22 (t, 1H); 8.16 (s, 1H); 8.30 (s,b, 1H); 10.40 (s,b, 1H) ppm.		13 / 14
224	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-[4-fluoro-3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 2.51 (b, 4H); 3.16 (s, 2H);		INTT1 1 / INT60 / 201

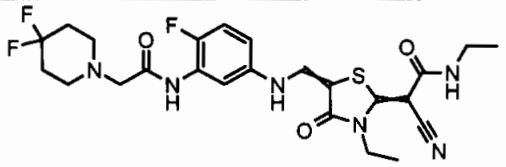
	or Z))-ylidene]-acetamide	3.40-3.70 (m, 6H); 4.19 (q, 2H); 6.02 (tt, 1H); 6.95-7.10 (m, 1H); 7.22 (t, 1H); 7.82-8.11 (m, 3H); 9.62 (s, 1H); 10.44 (s,b, 1H) ppm.		
225	 2-Cyano-2-[3-ethyl-5-[1-[4-fluoro-3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 2.51 (b, 4H); 3.16 (s, 2H); 3.60 (b, 4H); 3.81-3.99 (m, 2H); 4.19 (q, 2H); 6.95-7.11 (m, 1H); 7.22 (t, 1H); 8.00 (b, 2H); 8.16 (s,b, 1H); 9.63 (s, 1H);		INTT8 / INT60 / 201

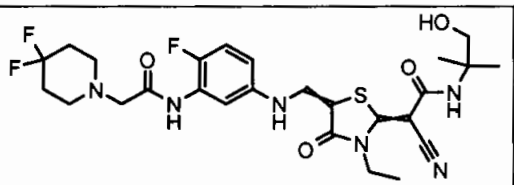
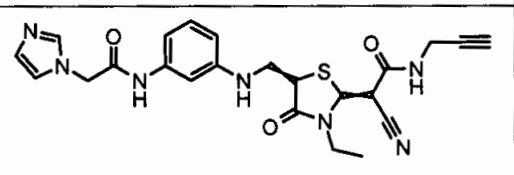
		10.47 (s,b, 1H); ppm.		
226	 2-Cyano-2-[3-ethyl-5-[1-[4-fluoro-3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 2.51 (b, 4H); 2.97-3.07 (m, 1H); 3.16 (s, 2H); 3.60 (b, 4H); 3.80-3.95 (m, 2H); 4.18 (q, 2H); 6.96-7.12 (m, 1H); 7.22 (t, 1H); 7.85-8.20 (m, 3H); 9.62 (s, 1H); 10.42 (s,b, 1H) ppm.		INTT9 / INT60 / 201
227	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[4-fluoro-3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 2.51 (b, 4H); 3.16 (s, 2H);		INTT10 / INT60 / 201

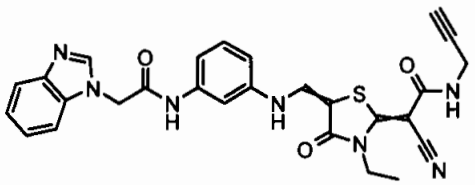
	or Z))-ylidene]-acetamide	3.60 (b, 4H); 4.12 (d, 2H); 4.19 (q, 2H); 6.97-7.12 (m, 1H); 7.23 (t, 1H); 8.00 (b, 2H); 8.29 (s,b, 1H); 9.63 (s, 1H); 10.49 (s,b, 1H) ppm.		
228	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[4-fluoro-3-(2-morpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.03 (t, 3H); 1.19 (t, 3H); 2.51 (b, 4H); 3.07-3.23 (m, 4H); 3.60 (b, 4H); 4.18 (q, 2H); 6.90-7.15 (m, 1H); 7.21 (t, 1H); 7.64 (s,b, 1H); 7.70-8.10 (m, 2H); 9.62 (s, 1H);		INTT7 / INT60 / 201

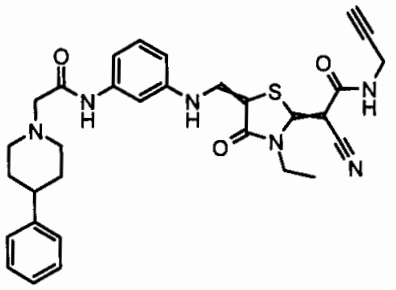
		10.36 (s,b, 1H) ppm.		
229	 2-Cyano-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-4-fluoro-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.17 (t, 3H); 1.85-2.11 (m, 4H); 2.57-2.76 (m, 4H); 2.99 (b, 1H); 3.24 (s, 2H); 3.75-3.95 (m, 2H); 4.17 (q, 2H); 6.88 (b, 1H); 7.13 (t, 1H); 7.74 (m,b, 2H); 8.08 (s, 1H); 9.54 (s, 1H); 10.42 (s, 1H) ppm.		INTT9 / INT62 / 201
230	 2-Cyano-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-4-fluoro-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H);		INTT8 / INT62 / 201

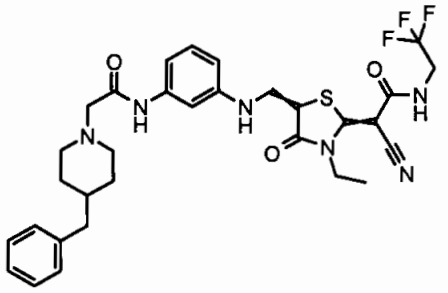
	phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	1.85-2.09 (m, 4H); 2.56-2.75 (m, 4H); 3.26 (s, 2H); 3.85-3.95 (m, 2H); 4.19 (q, 2H); 7.05 (b, 1H); 7.21 (t, 1H); 7.93 (b, 1H); 8.01 (s, 1H); 8.14 (b, 1H); 9.61 (s, 1H); 10.47 (s, 1H) ppm.		
231	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-4-fluoro-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 1.85-2.12 (m, 4H); 2.57-2.73 (m, 4H); 3.26 (s, 2H); 3.42-3.65 (m, 2H); 4.19 (q, 2H); 6.02 (tt, 1H); 6.95-7.20 (b, 1H);		INTT11 / INT62 / 201

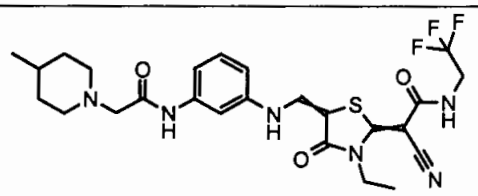
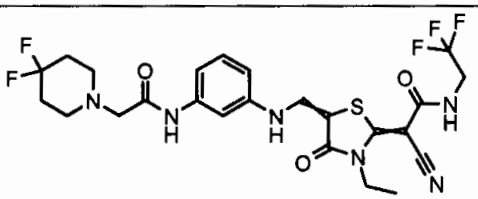
		7.21 (t, 1H); 7.75-8.07 (m, 3H); 9.61 (s, 1H); 10.44 (s,b, 1H) ppm.		
232	 2-Cyano-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-4-fluoro-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.02 (t, 3H); 1.19 (t, 3H); 1.87-2.10 (m, 4H); 2.58-2.75 (m, 4H); 3.16 (m, 2H); 3.25 (s, 2H); 4.17 (q, 2H); 7.01 (b, 1H); 7.19 (t, 1H); 7.56 (b, 1H); 7.87 (b, 1H); 7.96 (s, 1H); 9.60 (s, 1H); 10.35 (s, 1H) ppm.		INTT7 / INT62 / 201

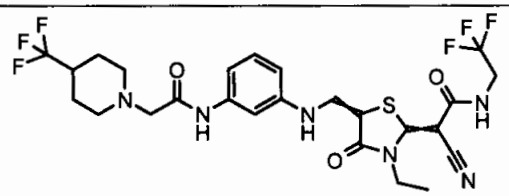
233	 2-Cyano-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-4-fluoro-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.22 (t, 3H); 1.29 (s, 6H); 1.89-2.12 (m, 4H); 2.62-2.76 (m, 4H); 3.29 (s, 2H); 3.37 (d, 2H); 4.19 (q, 2H); 5.18 (t, 1H); 6.63 (s,b, 1H); 7.05 (s,b, 1H); 7.23 (t, 1H); 7.93 (s,b, 1H); 8.01 (s, 1H); 9.64 (s, 1H); 10.39 (s,b, 1H) ppm.		INTT12 / INT62 / 201
234	 2-Cyano-2-[3-ethyl-5-[1-[3-(2-imidazol-1-yl-acetylamino)-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H);		200 / 8

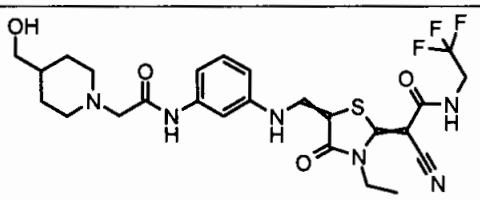
	phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	2.96-3.08 (m, 1H); 3.82-3.94 (m, 2H); 4.18 (q, 2H); 4.87 (s, 2H); 6.86 (s, 1H); 6.96 (d, 1H); 7.10-7.19 (m, 2H); 7.25 (t, 1H); 7.60 (s,b, 2H); 7.98 (s, 1H); 8.03 (s,b, 1H); 10.33 (s, 1H); 10.41 (s,b, 1H) ppm.		
235	 2-[5-[1-[3-(2-Benzoimidazol-1-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.19 (t, 3H); 3.01 (b, 1H); 3.82-3.93 (m, 2H); 4.17 (q, 2H); 5.15 (s, 2H); 6.95 (s,b, 1H); 7.12-7.33 (m, 4H);		200 / 8

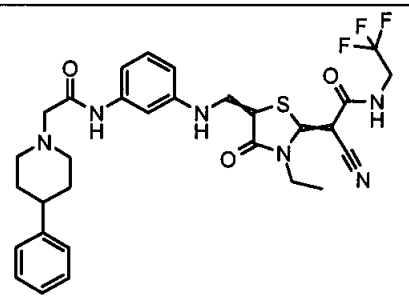
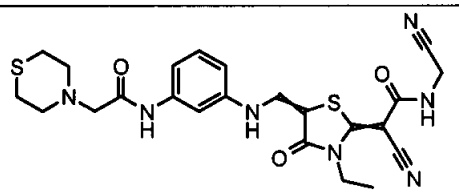
		7.51 (d, 1H); 7.58 (s,b, 1H); 7.64 (d, 1H); 7.99 (b, 2H); 8.20 (s, 1H); 10.40 (s, 1H); 10.48 (s, 1H) ppm.		
236	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-phenyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.20 (t, 3H); 1.63-1.87 (m, 4H); 2.16-2.34 (m, 2H); 2.45-2.55 (m, 1H); 2.95 (d, 2H); 3.01 (b, 1H); 3.12 (s, 2H); 3.81-3.95 (m, 2H); 4.19 (q, 2H); 6.94 (d, 1H); 7.09-7.37 (m, 7H); 7.69 (s,b, 1H); 7.86-8.11 (m, 2H);		200 / 8

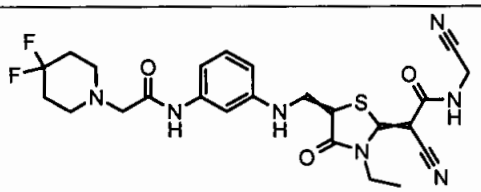
		9.72 (s, 1H); 10.39 (s,b, 1H) ppm.		
237	 2-[5-[1-{3-[2-(4-Benzyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.15-1.41 (m, 5H); 1.41-1.65 (m, 3H); 2.09 (t, 2H); 2.49-2.60 (m, 2H); 2.85 (d, 2H); 3.06 (s, 2H); 3.87-4.14 (m, 2H); 4.25 (q, 2H); 6.92 (d, 1H); 7.12-7.35 (m, 7H); 7.61 (s,b, 1H); 8.02 (s,b, 1H); 8.11 (s, 1H); 9.64 (s, 1H); 10.50 (s, 1H) ppm.		203 / 8

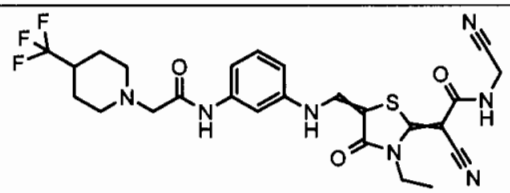
238	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.91 (d, 3H); 1.16-1.42 (m, 6H); 1.52-1.55 (m, 2H); 2.03-2.20 (m, 2H); 2.83 (d, 2H); 3.09 (s, 2H); 3.88-4.05 (m, 2H); 4.26 (q, 2H); 7.00 (d, 1H); 7.22-7.38 (m, 2H); 7.71 (s, 1H); 8.09 (s, 1H); 8.20 (s,b, 1H); 9.71 (s, 1H); 10.50 (s,b, 1H) ppm.		203 / 8
239	 2-Cyano-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H);		203 / 8

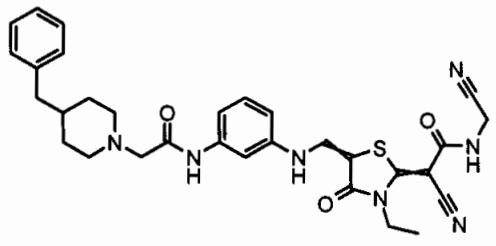
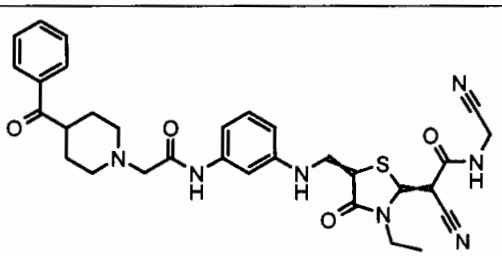
	phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	1.91-2.10 (m, 4H); 2.63 (b, 4H); 3.20 (s, 2H); 3.84-4.00 (m, 2H); 4.21 (q, 2H); 6.96 (d, 1H); 7.20-7.32 (m, 2H); 7.71 (s, 1H); 8.05 (d, 1H); 8.20 (t, 1H); 9.79 (s, 1H); 10.50 (d, 1H) ppm.		
240	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-trifluoromethyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.49-1.63 (m, 2H); 1.75 (d, 2H); 2.10-2.31 (m, 2H); 2.90 (d, 2H); 3.11 (s, 2H); 3.85-3.98 (m, 2H); 4.21 (q, 2H);		203 / 8

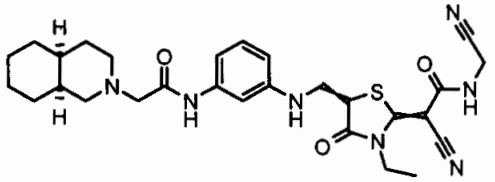
		6.97 (d, 1H); 7.19-7.32 (m, 2H); 7.70 (s, 1H); 8.03 (s,b, 1H); 8.19 (t, 1H); 9.71 (s, 1H); 10.50 (s,b, 1H) ppm.		
241	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-hydroxymethyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.13-1.40 (m, 6H); 1.65 (d, 2H); 2.11 (t, 2H); 2.87 (d, 2H); 3.10 (s, 2H); 3.28 (t, 2H); 3.88-4.04 (m, 2H); 4.25 (q, 2H); 4.45 (t, 1H); 6.96 (d, 1H); 7.20-7.36 (m, 2H); 7.70 (s,b, 1H); 8.12 (b, 2H);		203 / 8

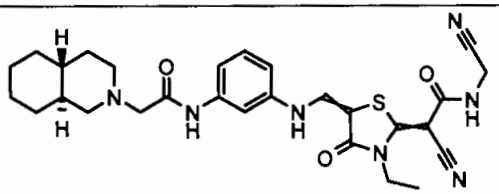
		9.71 (s, 1H); 10.50 (s, 1H) ppm.		
242	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-phenyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.69-1.91 (m, 4H); 2.20-2.35 (m, 2H); 2.44-2.60 (m, 1H); 2.99 (d, 2H); 3.15 (s, 2H); 3.85-4.02 (m, 2H); 4.23 (q, 2H); 6.81 (s,b, 1H); 7.12-7.68 (m, 9H); 8.23 (s,b, 1H); 9.65 (s,b, 1H); 10.50 (s, 1H) ppm.		203 / 8
243	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-	(DMSO-d6, stored with K_2CO_3, main isomer): δ =		96 / 8

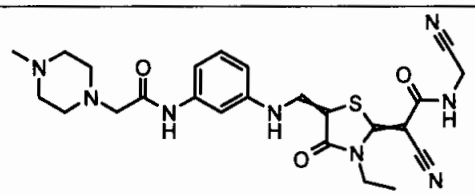
	oxo-5-[1-[3-(2-thiomorpholin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	1.25 (t, 3H); 2.62-2.87 (m, 8H); 3.17 (s, 2H); 4.16 (d, 2H); 4.23 (q, 2H); 6.93 (b, 1H); 7.19-7.37 (m, 2H); 7.64 (s,b, 1H); 8.02-8.37 (m, 2H); 9.70 (s, 1H); 10.52 (s,b, 1H) ppm.		
244	 2-Cyano-N-cyanomethyl-2-[5-[1-{3-[2-(4,4-difluoro-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.26 (t, 3H); 1.93-2.16 (m, 4H); 2.60-2.74 (m, 4H); 3.24 (s, 2H); 4.17 (d, 2H); 4.25 (q, 2H); 6.99 (d, 1H); 7.20-7.40 (m, 2H); 7.72 (s, 1H);		96 / 8

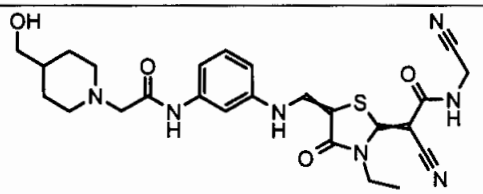
		8.09 (s, 1H); 8.31 (s,b, 1H); 9.80 (s, 1H); 10.53 (s,b, 1H) ppm.		
245	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-trifluoromethyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 1.50-1.70 (m, 2H); 1.80 (d, 2H); 2.11-2.39 (m, 3H); 2.95 (d, 2H); 3.16 (s, 2H); 4.17 (d, 2H); 4.23 (q, 2H); 7.00 (d, 1H); 7.21-7.38 (m, 2H); 7.73 (s, 1H); 8.09 (s, 1H); 8.34 (t, 1H); 9.77 (s, 1H); 10.52 (s,b, 1H) ppm.		96 / 8

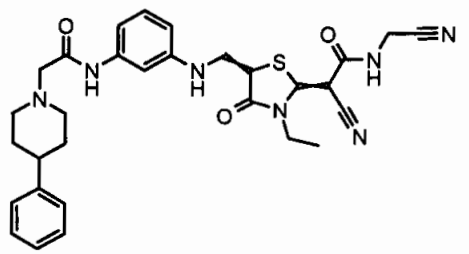
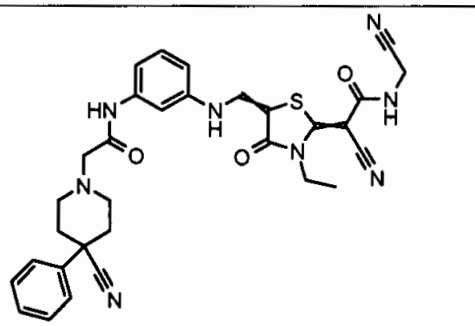
246	 2-[5-[1-{3-[2-(4-Benzyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-cyanomethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.17-1.41 (m, 5H); 1.41-1.63 (m, 3H); 2.08 (t, 2H); 2.42-2.60 (m, 2H); 2.83 (d, 2H); 3.08 (s, 2H); 4.17 (d, 2H); 4.23 (q, 2H); 6.99 (d, 1H); 7.11-7.36 (m, 7H); 7.72 (s, 1H); 8.08 (s,b, 1H); 8.34 (t, 1H); 9.70 (s, 1H); 10.51 (s,b, 1H) ppm.		96 / 8
247		(DMSO-d6, stored with K_2CO_3, main isomer): δ =		96 / 8

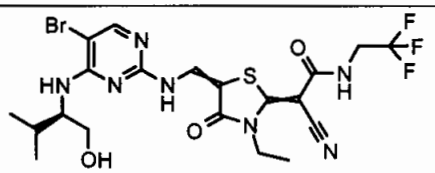
	2-[5-[1-{3-[2-(4-Benzoyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-cyanomethyl-acetamide	1.24 (t, 3H); 1.63-1.87 (m, 4H); 2.26-2.43 (m, 2H); 2.85-3.00 (m, 2H); 3.17 (s, 2H); 3.36-3.50 (m, 1H); 4.17 (d, 2H); 4.23 (q, 2H); 7.00 (d, 1H); 7.21-7.40 (m, 2H); 7.56 (t, 2H); 7.65 (t, 1H); 7.75 (s, 1H); 7.99 (d, 2H); 8.10 (s, 1H); 8.32 (s,b, 1H); 9.77 (s, 1H); 10.51 (s,b, 1H) ppm.		
248	 2-Cyano-N-cyanomethyl-2-(3-ethyl-5-{[3-((4aS,8aS)-2-octahydro-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.15-2.05 (m, 15H); 2.15-2.38 (m, 2H);		96 / 8

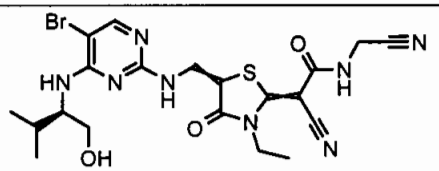
	isoquinolin-2-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene}-4-oxo-thiazolidin-(2-(E or Z))-ylidene)-acetamide	2.48-2.65 (m, 2H); 2.90-3.20 (m, 2H); 4.16 (d, 2H); 4.24 (q, 2H); 7.01 (d, 1H); 7.20-7.34 (m, 2H); 7.73 (s, 1H); 8.08 (s,b, 1H); 8.34 (t, 1H); 9.62 (s, 1H); 10.52 (s,b, 1H) ppm.		
249	 2-Cyano-N-cyanomethyl-2-(3-ethyl-5-{[3-((4aR,8aS)-2-octahydro-isoquinolin-2-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene}-4-oxo-thiazolidin-(2-(E or Z))-ylidene)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.75-1.13 (m, 3H); 1.10-1.41 (m, 7H); 1.41-1.75 (m, 5H); 1.80 (t, 1H); 2.07-2.23 (m, 1H); 2.72 (d, 1H); 2.88 (d, 1H); 3.10 (s, 2H); 4.17 (d, 2H);		96 / 8

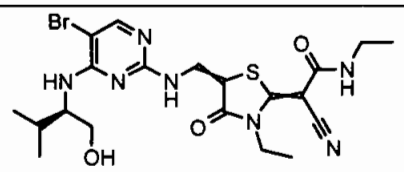
		4.24 (q, 2H); 7.00 (d, 1H); 7.20-7.35 (m, 2H); 7.72 (s, 1H); 8.10 (s,b, 1H); 8.34 (t, 1H); 9.72 (s, 1H); 10.52 (s,b, 1H) ppm.		
250	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H); 2.18 (s, 3H); 2.30-2.55 (m, 8H); 3.12 (s, 2H); 4.18 (d, 2H); 4.25 (q, 2H); 6.99 (d, 1H); 7.20-7.36 (m, 2H); 7.71 (s, 1H); 8.10 (s, 1H); 8.34 (s,b, 1H); 9.75 (s, 1H);		96 / 8

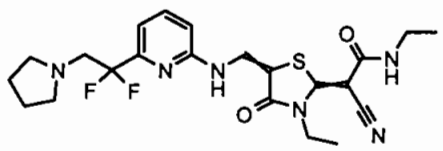
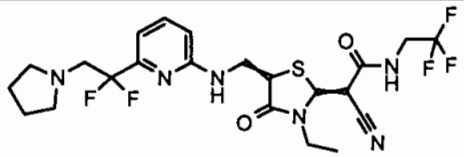
		10.51 (s,b, 1H) ppm.		
251	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(4-hydroxymethyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.14-1.40 (m, 6H); 1.65 (d, 2H); 2.10 (t, 2H); 2.85 (d, 2H); 3.10 (s, 2H); 3.26 (t, 2H); 4.16 (d, 2H); 4.24 (q, 2H); 4.44 (t, 1H); 7.00 (d, 1H); 7.21-7.36 (m, 2H); 7.72 (s, 1H); 8.08 (s,b, 1H); 8.33 (t, 1H); 9.71 (s, 1H); 10.52 (s,b, 1H) ppm.		96 / 8

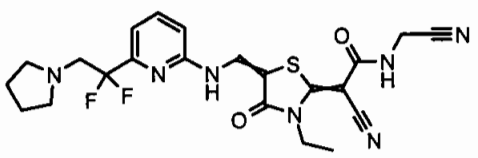
252	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-{3-[2-(4-phenyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.64-1.82 (m, 4H); 2.18-2.32 (m, 2H); 2.47-2.57 (m, 1H); 2.94 (d, 2H); 3.13 (s, 2H); 4.12 (d, 2H); 4.21 (q, 2H); 6.97 (d, 1H); 7.10-7.34 (m, 7H); 7.74 (s, 1H); 8.05 (s,b, 1H); 8.31 (t, 1H); 9.73 (s, 1H); 10.50 (s,b, 1H) ppm.		96 / 8
253		(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.25 (t, 3H);		96 / 8

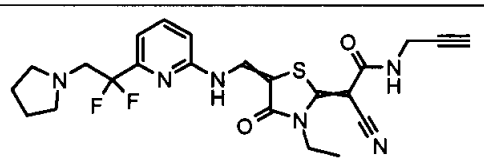
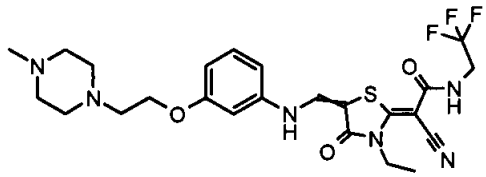
	2-Cyano-N-cyanomethyl-2-[5-[1-{3-[2-(4-cyano-4-phenyl-piperidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	2.08-2.29 (m, 4H); 2.55-2.69 (m, 2H); 3.04 (d, 2H); 3.27 (s, 2H); 4.17 (d, 2H); 4.24 (q, 2H); 7.00 (d, 1H); 7.21-7.53 (m, 5H); 7.59 (d, 2H); 7.77 (s, 1H); 8.08 (s,b, 1H); 8.35 (t, 1H); 9.81 (s, 1H); 10.54 (s,b, 1H) ppm.		
254	 2-[5-[1-[5-Bromo-4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.97 (d, 3H); 1.01 (d, 3H); 1.32 (t, 3H); 2.07 (m, 1H); 3.67 (m, 2H); 4.03 (m, 2H);		INTT8 + INT67 / 201

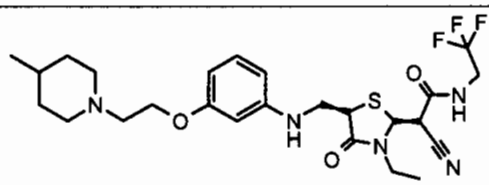
		4.10 (m, 1H); 4.30 (q, 2H); 4.86 (s,b, 1H); 6.60 (s,b, 1H); 8.25 (s, 1H); 8.35 (s,b, 1H); 8.62 (s,b, 1H); 11.09 (s,b, 1H) ppm.		
255	 2-[5-[1-[5-Bromo-4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-cyanomethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.90 (d, 3H); 0.96 (d, 3H); 1.26 (t, 3H); 1.94-2.04 (m, 1H); 3.55-3.69 (m, 2H); 4.05 (m, 1H); 4.18 (d, 2H); 4.23 (q, 2H); 4.79 (t, 1H); 6.55 (d, 1H); 8.19 (s, 1H); 8.41 (t, 1H);		INTT10 + INT67 / 201

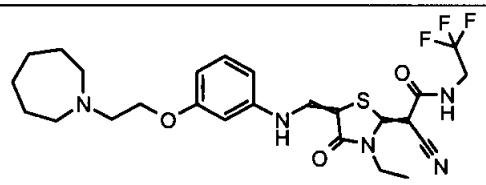
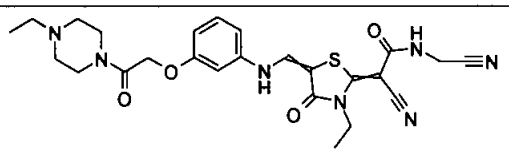
		8.57 (s,b, 1H); 11.04 (s,b, 1H) ppm.		
256	 2-[5-[1-[5-Bromo-4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 0.88 (d, 3H); 0.92 (d, 3H); 1.06 (t, 3H); 1.23 (t, 3H); 1.90-2.01 (m, 1H); 3.12-3.22 (m, 2H); 3.51-3.66 (m, 2H); 4.03 (m, 1H); 4.20 (q, 2H); 4.77 (t, 1H); 6.50 (s,b, 1H); 7.75 (s,b, 1H); 8.15 (s, 1H); 8.50 (s, 1H); 10.90 (s, 1H) ppm.		INTT7 + INT67 / 201

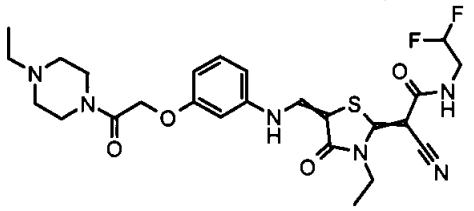
257	 2-Cyano-2-[5-[1-[6-(1,1-difluoro-2-pyrrolidin-1-yl-ethyl)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.03 (t, 3H); 1.21 (t, 3H); 1.57 (b, 4H); 2.50 (b, 4H); 3.10-3.35 (m, 4H); 4.18 (q, 2H); 7.15 (d, 1H); 7.28 (d, 1H); 7.76 (t, 1H); 7.85 (t, 1H); 8.55 (d, 1H); 10.46 (d, 1H) ppm.		INTA2 3 / 1
258	 2-Cyano-2-[5-[1-[6-(1,1-difluoro-2-pyrrolidin-1-yl-ethyl)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.22 (t, 3H); 1.57 (b, 4H); 2.50 (b, 4H); 3.20-3.32 (m, 2H);		INTA2 3 / 1

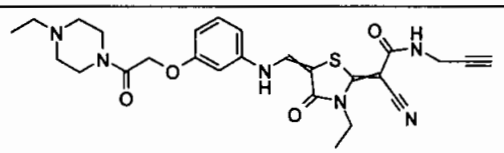
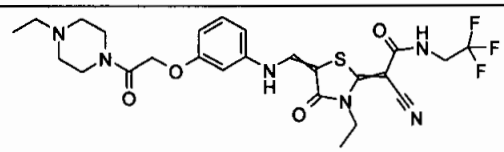
	acetamide	3.85-3.99 (m, 2H); 4.20 (q, 2H); 7.15 (d, 1H); 7.30 (d, 1H); 7.86 (t, 1H); 8.27 (t, 1H); 8.61 (s,b, 1H); 10.97 (s,b, 1H) ppm.		
259	 2-Cyano-N-cyanomethyl-2-[5-[1-[6-(1,1-difluoro-2-pyrrolidin-1-yl-ethyl)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.22 (t, 3H); 1.58 (b, 4H); 2.52 (b, 4H); 3.20-3.35 (m, 2H); 4.13 (d, 2H); 4.20 (q, 2H); 7.27 (d, 1H); 7.31 (d, 1H); 7.88 (t, 1H); 8.41 (t, 1H); 8.61 (s,b, 1H); 11.00 (s,b, 1H)		INTA2 3 / 1

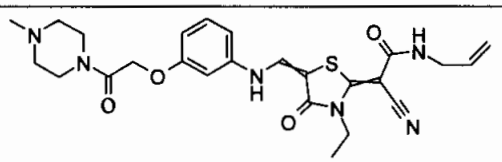
		ppm.		
260	 2-Cyano-2-[5-[1-[6-(1,1-difluoro-2-pyrrolidin-1-yl-ethyl)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K_2CO_3, main isomer): δ = 1.21 (t, 3H); 1.57 (b, 4H); 2.50 (b, 4H); 3.03 (b, 1H); 3.16-3.36 (m, 2H); 3.83-3.95 (m, 2H); 4.19 (q, 2H); 7.15 (d, 1H); 7.29 (d, 1H); 7.85 (t, 1H); 8.16 (t, 1H); 8.58 (d, 1H); 10.92 (d, 1H) ppm.		INTA2 3 / 1
261	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-	(Methanol): δ = 1.30 (m, 3H); 2.71 (s, 3H); 2.88 (m, 6H, breit); 3.10 (m, 4H);	538.60 / 539	INTT8 / INT85 / 5

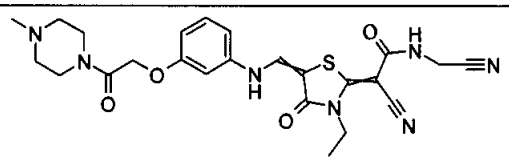
	oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	3.99 (m, 2H); 4.18 (m, 2H); 4.33 (m, 2H); 6.70 (dd, 1H); 6.80 (m, 2H); 7.28 (t, 1H); 8.19 (s, 1H) ppm.		
262	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperidin-1-yl)-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.85 (d, 3H); 1.19 (m, 6H, breit); 1.54 (m, 2H); 2.00 (m, 2H); 2.63 (m, 2H); 2.88 (m, 2H); 3.90 (m, 2H); 4.07 (m, 2H); 4.22 (m, 2H); 6.61 (dd, 1H); 6.88 (m, 2H); 7.20 (t, 1H); 8.12 (m, 2H); 10.25 (d, 1H) ppm.	537.61 / 538	INTT8 / INT87 / 5

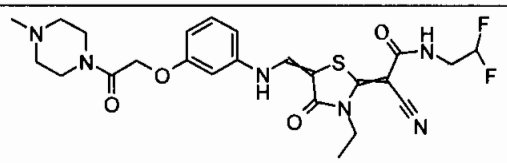
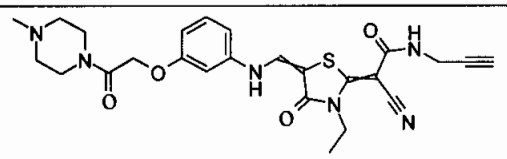
263	 2-[5-[1-[3-(2-Azepan-1-yl-ethoxy)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-lidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22 (m, 3H); 1.52 (m, 8H); 2.65 (m, 4H); 2.82 (m, 2H); 3.90 (m, 2H); 4.01 (m, 2H); 4.20 (m, 2H); 6.61 (dd, 1H); 6.83 (m, 2H); 7.19 (m, 1H); 8.08 (s, 1H); 8.16 (t, 1H); 10.29 (s, 1H) ppm.	537.61 / 538	INTT8 / INT89 / 5
264	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(4-ethyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.98 (t, 3H); 1.20 (m, 3H); 2.31 (m, 6H); 3.40 (m, 4H);	523.62 / 524	INTA2 6 / 204

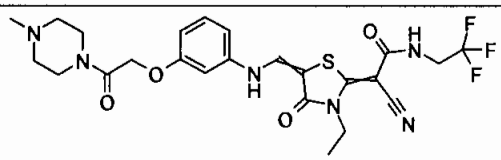
		4.12 (d, 2H); 4.20 (m, 2H); 4.80 (s, 2H); 6.60 (dd, 2H); 6.81 (d, 1H); 6.88 (dd, 1H); 7.20 (t, 1H); 8.10 (s, 1H); 8.29 (t, 1H); 10.21 (s, 1H) ppm.		
265	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-{3-[2-(4-ethyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.99 (t, 3H); 1.21 (t, 3H); 2.30 (m, 6H); 3.41 (m, 4H); 3.55 (m, 2H); 4.20 (m, 2H); 4.79 (s, 2H); 6.03 (tt, 1H); 6.58 (dd, 1H); 6.81 (m, 2H); 7.19 (t, 1H);	548.62 / 549	INTA2 6 / 204

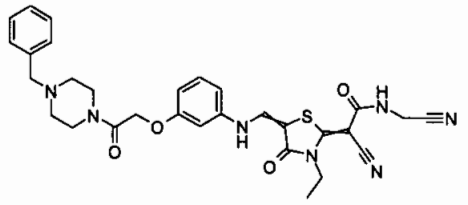
		7.80 (m, 1H); 8.10 (s, 1H); 9.95 (s, 1H) ppm.		
266	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-ethyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.99 (t, 3H); 1.21 (t, 3H); 2.31 (m, 6H); 3.41 (m, 4H); 3.89 (m, 2H); 4.17 (m, 2H); 4.79 (s, 2H); 6.57 (dd, 1H); 6.83 (m, 2H); 7.20 (t, 1H); 8.07 (m, 1H); 10.20 (s, 1H) ppm.	522.63 / 523	INTA2 6 / 204
267	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-ethyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.99 (t, 3H); 1.23 (m, 3H);	566.61 / 567	INTA2 6 / 204

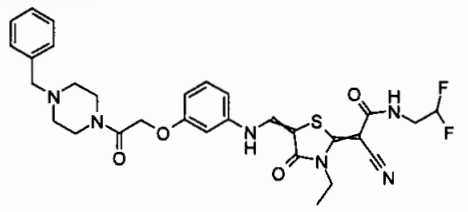
	oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	2.31 (m, 6H); 3.41 (m, 4H); 3.90 (m, 2H); 4.20 (m, 2H); 4.79 (s, 2H); 6.57 (dd, 1H); 6.80 (s, 1H); 6.83 (d, 1H); 7.21 (t, 1H); 8.09 (m, 2H); 10.10 (s, 1H) ppm.		
268	 N-Allyl-2-cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 2.18 (s, 3H); 2.21 (m, 2H); 2.30 (m, 2H); 3.42 (m, 4H); 4.20 (m, 2H); 4.81 (s, 2H); 5.09 (m, 2H); 5.81 (m, 1H); 6.60 (dd, 1H);	510.62 / 511	INTA2 7 / 204

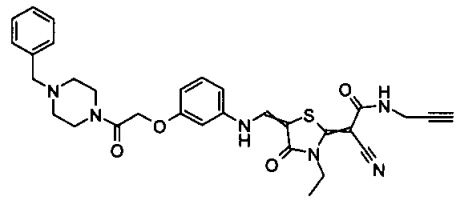
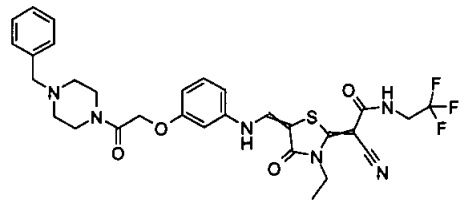
		6.80 (s, 1H); 6.88 (d, 1H); 7.20 (d, 1H); 7.83 (t, 1H); 8.08 (d, 1H); 10.20 (d, 1H) ppm.		
269	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 2.18 (s, 3H); 2.21 (m, 2H); 2.40 (m, 2H); 3.39 (m, 4H); 4.12 (d, 2H); 4.20 (m, 2H); 4.80 (s, 2H); 6.58 (dd, 1H); 6.82 (s, 1H); 6.87 (d, 1H); 7.21 (t, 1H); 8.10 (s, 1H); 8.31 (t, 1H); 10.30 (s, 1H) ppm.	509.59 / 510	INTA2 7 / 204

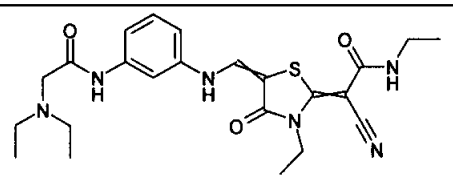
270	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-{3-[2-(4-methyl-iperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 2.18 (s, 3H); 2.21 (m, 2H); 2.32 (m, 2H); 3.40 (m, 4H); 3.52 (m, 2H); 4.20 (m, 2H); 4.79 (s, 2H); 6.03 (tt, 1H); 6.59 (dd, 1H); 6.81 (s, 1H); 6.86 (d, 1H); 7.19 (t, 1H); 7.92 (m, 1H); 8.08 (m, 1H); 10.31 (d, 1H) ppm.	534.59 / 535	INTA2 7 / 204
271	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethoxy]-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H);	508.60 / 509	INTA2 7 / 204

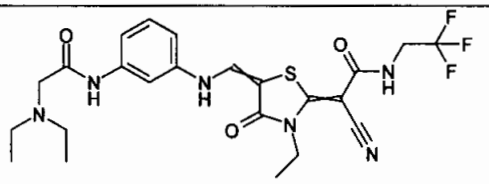
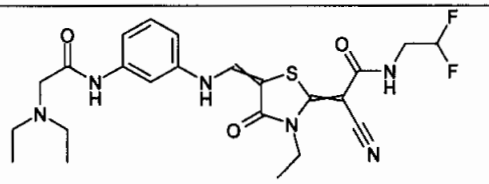
	phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	2.19 (s, 3H); 2.22 (m, 2H); 2.31 (m, 2H); 3.02 (m, 1H); 3.39 (m, 4H); 3.88 (m, 2H); 4.20 (m, 2H); 4.80 (s, 2H); 6.58 (dd, 1H); 6.80 (s, 1H); 6.83 (d, 1H); 7.19 (t, 3H); 8.08 (s, 2H); 10.25 (s, 1H) ppm.		
272	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22 (t, 3H); 2.18 (s, 3H); 2.22 (m, 2H); 2.31 (m, 2H); 3.41 (m, 4H); 3.91 (m, 2H); 4.20 (m, 2H);	552.58 / 553	INTA2 7 / 204

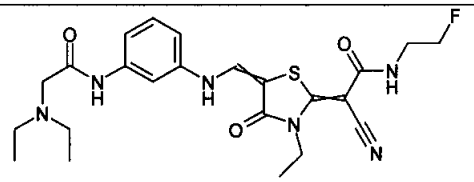
		4.80 (s, 2H); 6.61 (dd, 1H); 6.80 (s, 1H); 6.88 (d, 1H); 7.21 (t, 1H); 8.10 (s, 1H); 8.18 (m, 1H); 10.21 (s, 1H) ppm.		
273	 2-[5-[1-{3-[2-(4-Benzyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-cyanomethyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 2.30 (m, 2H); 2.39 (m, 2H); 3.41 (m, 4H); 3.49 (s, 2H); 4.11 (d, 2H); 4.20 (m, 2H); 4.79 (s, 2H); 6.60 (dd, 1H); 6.80 (s, 1H); 6.85 (d, 1H); 7.21 (t, 1H); 7.29 (m, 5H);	585.69 / 586	INTA2 3 / 204

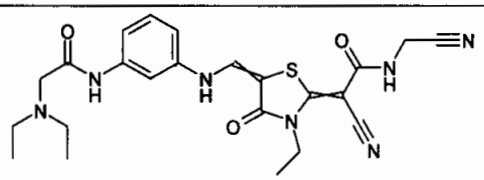
		8.09 (s, 1H); 8.31 (t, 1H); 10.30 (s, 1H) ppm.		
274	 2-[5-[1-{3-[2-(4-Benzyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2-difluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 2.29 (m, 2H); 2.49 (m, 2H); 3.42 (m, 4H); 3.48 (s, 2H); 3.57 (m, 2H); 4.20 (m, 2H); 4.80 (s, 2H); 6.02 (tt, 1H); 6.48 (dd, 1H); 6.80 (s, 1H); 6.86 (d, 1H); 7.20 (t, 1H); 7.29 (m, 5H); 7.92 (t, 1H); 8.08 (d, 1H); 10.27 (d, 1H) ppm.	610.69 / 611	INTA2 3 / 204

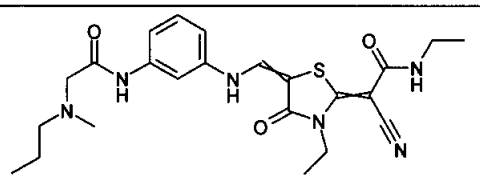
275	 2-[5-[1-{3-[2-(4-Benzyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 2.28 (m, 2H); 2.39 (m, 2H); 3.02 (m, 1H); 3.47 (m, 4H); 3.49 (s, 2H); 3.90 (m, 2H); 4.20 (m, 2H); 4.79 (s, 2H); 6.58 (dd, 1H); 6.80 (s, 1H); 6.86 (d, 1H); 7.18 (t, 1H); 7.29 (m, 5H); 8.07 (m, 2H); 10.21 (d, 1H) ppm.	584.70 / 585	INTA2 3 / 204
276	 2-[5-[1-{3-[2-(4-Benzyl-piperazin-1-yl)-2-oxo-ethoxy]-phenylamino}-	(CDCl3, main isomer): δ = 1.41 (m, 3H); 3.08 (m, 4H);	628.68 / 629	INTA2 3 / 204

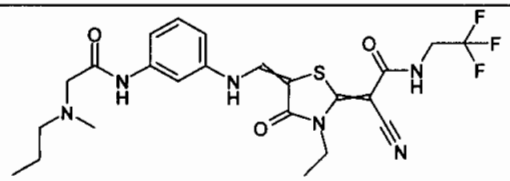
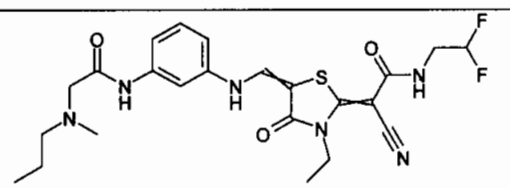
	meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide	3.66 (m, 4H); 3.80 (m, 2H); 4.00 (m, 2H); 4.38 (m, 2H); 4.74 (s, 2H); 6.65 (m, 4H); 7.33 (m, 4H); 7.62 (m, 2H); 8.07 (d, 1H); 10.50 (d, 1H) ppm.		
277	 2-Cyano-2-[5-[1-[3-(2-diethylamino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6H); 1.07 (t, 3H); 1.24 (t, 3H); 2.60 (q, 4H); 3.15 (s, 2H); 3.16-3.23 (m, 2H); 4.22 (q, 2H); 6.95-6.97 (m, 1H); 7.22-7.32 (m, 2H); 7.69 (t, 1H); 7.72 (1H);	470.60 / 471	INTA2 8 / 1

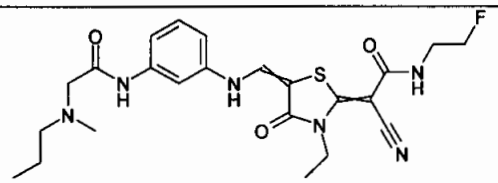
		8.02 (1H); 9.68 (s,1H); 10.35 (1H) ppm.		
278	 2-Cyano-2-[5-[1-[3-(2-diethyl-amino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6H); 1.24 (t, 3H); 2.60 (q, 4H); 3.15 (s, 2H); 3.90-3.99 (m, 2H); 4.23 (q, 2H); 6.97-6.99 (m, 1H); 7.23-7.33 (m, 2H); 7.74 (s, 1H); 8.08 (1H); 8.20 (t, 1H); 9.69 (s,1H); 10.74 (1H) ppm.	524.57 / 525	INTA2 8 / 1
279	 2-Cyano-2-[5-[1-[3-(2-diethyl-amino-acetylamino)-phenylamino]-meth-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6H);	506.58 / 507	INTA2 8 / 1

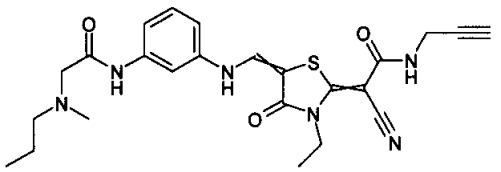
	(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2-difluoro-ethyl)-acetamide	1.25 (t, 3H); 2.60 (q, 4H); 3.15 (s, 2H); 3.58 (tt, 2H); 4.23 (q, 2H); 6.05 (tt, 1H); 6.97-6.99 (m, 1H); 7.23-7.30 (m, 2H); 7.74 (s, 1H); 7.95 (t, 1H); 8.05-8.07 (1H); 9.70 (s,1H); 10.43-10.46(1H) ppm.		
280	 2-Cyano-2-[5-[1-[3-(2-diethyl-amino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6H); 1.24 (t, 3H); 2.60 (q, 4H); 3.15 (s, 2H); 3.44-3.54 (2q, 2H); 4.22 (q, 2H); 4.42 (t, 1H);	488.59 / 489	INTA2 8 / 1

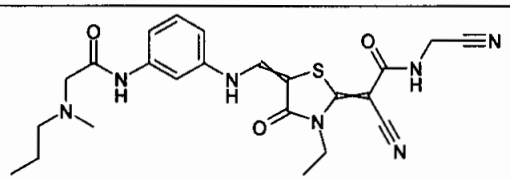
		4.54 (t, 1H) 6.05 (tt, 1H); 6.96-6.98 (m, 1H); 7.23-7.32 (m, 2H); 7.73 (s, 1H); 7.78 (t, 1H); 8.03-8.06 (1H); 9.70 (s,1H); 10.39-10.42 (1H) ppm.		
281	 2-Cyano-N-cyanomethyl-2-[5-[1-[3-(2-diethylamino-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2 (E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6H); 1.25 (t, 3H); 2.60 (q, 4H); 3.15 (s, 2H); 3.44-3.54 (2q, 2H); 4.15 (d, 2H); 4.22 (q, 1H); 6.98-7.00 (m, 1H); 7.23-7.34 (m, 2H); 7.75 (s, 1H); 8.07-8.10 (1H);	481.58 / 459	INTA2 8 / 1

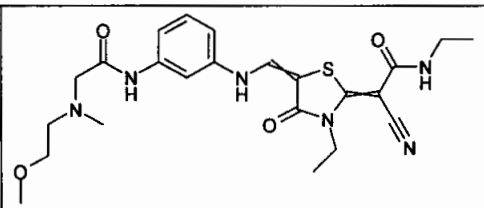
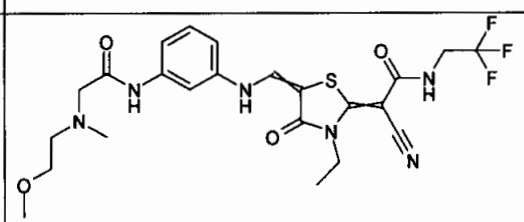
		8.34 (t, 1H); 9.70 (s,1H); 10.49-10.52 (1H) ppm.		
282	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.87 (t, 3H); 1.07 (t, 3H); 1.24 (t, 3H); 1.43-1.53 (m, 2H); 2.29 (s, 3H); 2.39 (t, 2H); 3.12 (s, 2H); 3.16-3.23 (m, 2H); 4.21 (q, 2H); 6.95-6.97 (m, 1H); 7.22-7.29 (m, 2H); 7.68 (t, 1H); 7.72 (1H); 8.01 (1H); 9.68 (s,1H); 10.37 (1H) ppm.	470.60 / 471	INTA2 9 / 1

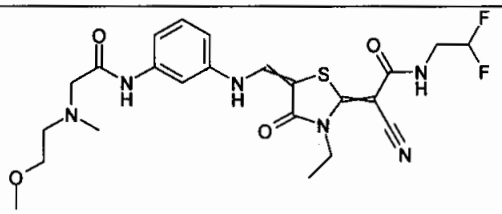
283	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.88 (t, 3H); 1.25 (t, 3H); 1.43-1.52 (m, 2H); 2.29 (s, 3H); 2.40 (t, 2H); 3.12 (s, 2H); 3.90-3.99 (m, 2H); 4.23 (q, 2H); 6.97-6.99 (m, 1H); 7.23-7.30 (m, 2H); 7.75 (s, 1H); 8.05-8.07 (1H); 8.20 (t, 1H); 9.69 (s,1H); 10.47-10.49 (1H) ppm.	524.57 / 525	INTA2 9 / 1
284	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetyl-amino]-	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.88 (t, 3H);	506.58 / 507	INTA2 9 / 1

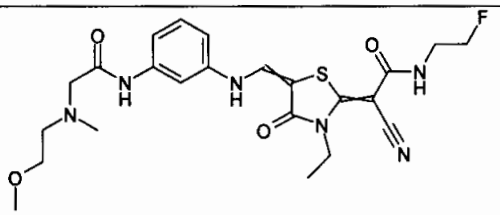
	phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2-difluoro-ethyl)-acetamide	1.25 (t, 3H); 1.43-1.52 (m, 2H); 2.29 (s, 3H); 2.39 (t, 2H); 3.12 (s, 2H); 3.58 (tt, 2H); 4.23 (q, 2H); 6.05 (tt, 1H); 6.96-6.98 (m, 1H); 7.23-7.29 (m, 2H); 7.74 (s, 1H); 7.95 (t, 1H); 8.05 (1H); 9.68 (s,1H); 10.45 (1H) ppm.		
285	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.88 (t, 3H); 1.25 (t, 3H); 1.43-1.52 (m, 2H); 2.29 (s, 3H); 2.40 (t, 2H); 3.12 (s, 2H);	488.59 / 489	INTA2 9 / 1

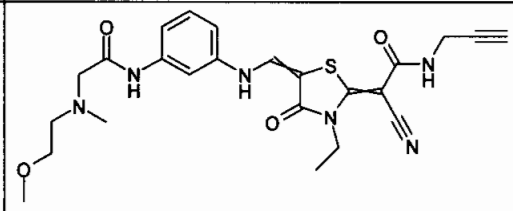
		3.44-3.54 (2q, 2H); 4.23 (q, 2H); 4.41-4.55 (2t, 2H); 6.96-6.98 (m, 1H); 7.22-7.29 (m, 2H); 7.74 (s, 1H); 7.80 (t, 1H); 8.01-8.04 (1H); 9.69 (s,1H); 10.40-10.43 (1H) ppm.		
286	 2-Cyano-2-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.88 (t, 3H); 1.24 (t, 3H); 1.42-1.52 (m, 2H); 2.29 (s, 3H); 2.40 (t, 2H); 3.06 (m, 1H); 3.12 (s, 2H); 3.91-3.93 (m, 2H); 4.22 (q, 2H); 6.96-6.98 (m, 1H);	480.59 / 481	INTA2 9 / 1

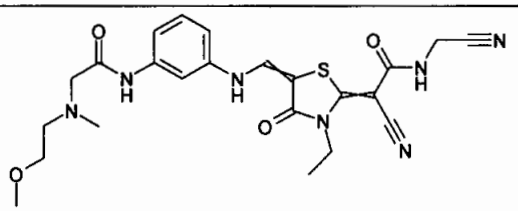
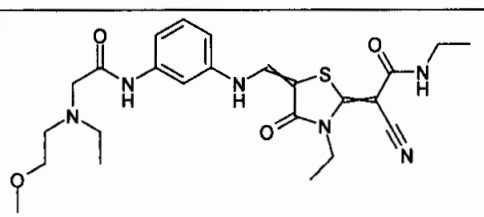
		7.23-7.29 (m, 2H); 7.74 (s, 1H); 8.05-8.08 (1H); 8.01-8.04 (m, 2H); 9.68(s,1H); 10.44 (1H) ppm.		
287	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(methyl-propyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.88 (t, 3H); 1.25 (t, 3H); 1.43-1.52 (m, 2H); 2.29 (s, 3H); 2.40 (t, 2H); 3.12 (s, 2H); 4.15 (d, 2H); 4.23 (q, 2H); 6.97-6.99 (m, 1H); 7.23-7.30 (m, 2H); 7.75 (s, 1H); 8.08 (1H); 8.34 (t, 1H); 9.69 (s,1H); 10.51 (1H) ppm.	481.58 / 459	INTA2 9 / 1

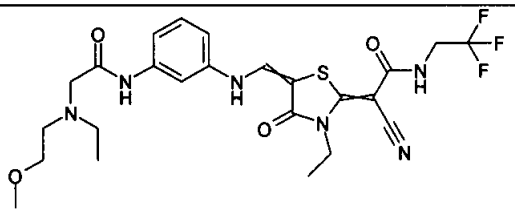
288	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-phenyl-amino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3H); 1.24 (t, 3H); 1.45-1.50 (m, 2H); 2.36 (s, 3H) ; 2.64 (t, 2H); 3.17-3.23 (m, 4H); 3.27 (s, 3H); 3.46 (t, 1H); 4.21 (q, 2H); 6.96-6.98 (m, 1H); 7.20-7.28 (m, 2H); 7.68-7.71 (m, 2H); 8.00 (d, 1H); 9.74 (s, 1H); 10.36-10.39 (s, 1H) ppm.	486.60 / 487	INTA3 0 / 1
289	 2-Cyano-2-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H);	540.57 / 541	INTA3 0 / 1

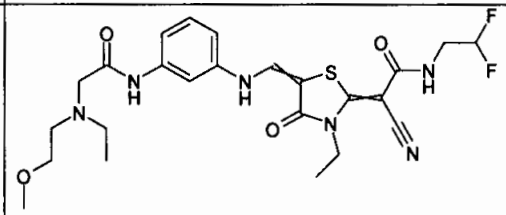
	acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	1.45-1.50 (m, 2H); 2.35 (s, 3H) ; 2.64 (t, 2H); 3.18 (s, 2H); 3.27 (s, 3H); 3.46 (t, 2H); 3.90-3.99 (m, 2H); 4.23 (q, 2H); 6.97-6.99 (m, 1H); 7.21-7.30 (m, 2H); 7.73 (s, 1H); 8.05-8.07 (1H); 8.22 (t, 1H); 9.75 (s, 1H); 10.49-10.51 (s, 1H) ppm.		
290	 2-Cyano-2-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2-difluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.35 (s, 3H) ; 2.64 (t, 2H); 3.18 (s, 2H); 3.27 (s, 3H);	506.58 / 507	INTA3 0 / 1

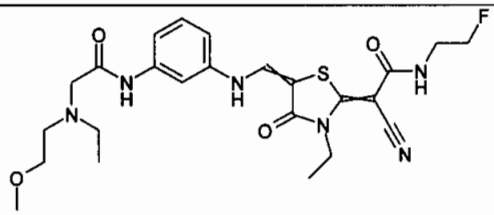
		3.46 (t, 2H); 3.53-3.63 (tt, 2H); 4.30 (q, 2H); 5.90-6.20 (tt, 1H); 6.97-6.99 (m, 1H); 7.21-7.30 (m, 2H); 7.73 (s, 1H); 7.96 (t, 1H); 8.03-8.05 (1H); 9.75 (s, 1H); 10.46-10.49 (1H) ppm.		
291	 2-Cyano-2-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.23 (t, 3H); 2.34 (s, 3H) ; 2.62 (t, 2H); 3.16 (s, 2H); 3.25 (s, 3H); 3.43-3.52 (m, 2H); 4.21 (q, 2H); 4.41 (t, 1H); 4.53 (t 1H);	488.59 / 489	INTA3 0 / 1

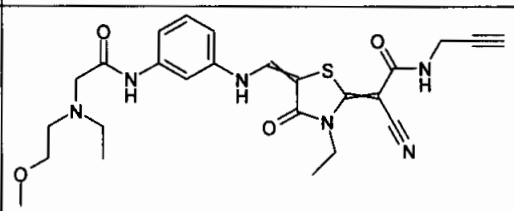
		6.94-6.96 (m, 1H); 7.19-7.28 (m, 2H); 7.70 (s, 1H); 7.78 (t, 1H); 8.01 (1H); 9.73 (s, 1H); 10.42 (1H) ppm.		
292	 2-Cyano-2-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.24 (t, 3H); 2.36 (s, 3H) ; 2.64 (t, 2H); 3.06 (m, 1H); 3.18 (s, 2H); 3.27 (s, 3H); 3.46 (t, 2H); 3.91-3.93 (m, 2H); 4.22 (q, 2H); 6.96-6.98 (m, 1H); 7.21-7.30 (m, 2H); 7.72 (s, 1H); 8.02-8.05 (1H); 8.10 (t, 1H);	496.59 / 497	INTA3 0 / 1

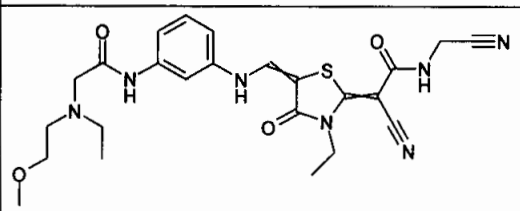
		9.74 (s, 1H); 10.43-10.46 (1H) ppm.		
293	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-(3-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.36 (s, 3H) ; 2.64 (t, 2H); 3.18 (s, 2H); 3.27 (s, 3H); 4.15 (d, 2H); 4.22 (q, 2H); 6.98-7.00 (m, 1H); 7.21-7.31 (m, 2H); 7.74 (s, 1H); 8.05-8.08 (1H); 8.34 (t, 1H); 9.75 (s, 1H); 10.51-10.54 (1H) ppm.	497.58 / 498	INTA3 0 / 1
294		(DMSO-d6, stored with K2CO3, main isomer):	500.62 / 501	INTA3 1 /

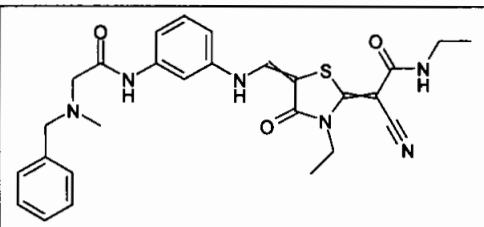
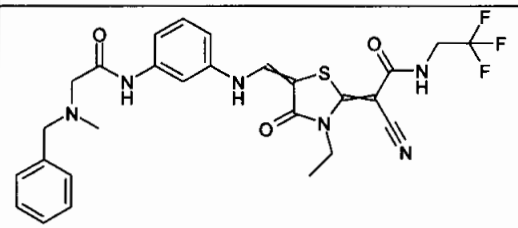
	2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	δ = 1.01 (t, 3H); 1.07 (t, 3H); 1.24 (t, 3H); 2.66 (q, 2H) ; 2.72 (t, 2H); 3.17-3.23 (m, 5H); 3.26 (s, 2H); 3.44 (t, 2H); 4.21 (q, 2H); 6.96-6.98 (m, 1H); 7.20-7.31 (m, 2H); 7.70 (m, 2H); 7.99-8.03 (1H); 9.77 (s, 1H); 10.37-10.40 (1H) ppm.		1
295	 2-Cyano-2-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.01 (t, 3H); 1.25 (t, 3H); 2.66 (q, 2H) ; 2.72 (t, 2H);	554.60 / 555	INTA3 1 / 1

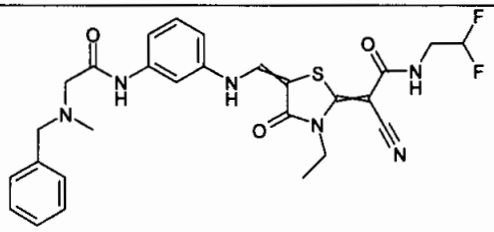
	ethyl)-acetamide	3.21 (s, 2H); 3.26 (s, 3H); 3.43 (t, 2H); 3.90-3.93 (m, 2H); 4.23 (q, 2H); 6.97-6.99 (m, 1H); 7.20-7.31 (m, 2H); 7.70 (m, 1H); 8.07 (1H); 8.19 (1H); 9.77 (s, 1H); 10.50 (1H) ppm.		
296	 2-Cyano-2-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2-difluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.01 (t, 3H); 1.24 (t, 3H); 2.66 (q, 2H) ; 2.72 (t, 2H); 3.21 (s, 2H); 3.26 (s, 3H); 3.44 (t, 2H); 3.53-3.63 (tt, 2H); 4.22 (q, 2H);	536.61 / 537	INTA3 1 / 1

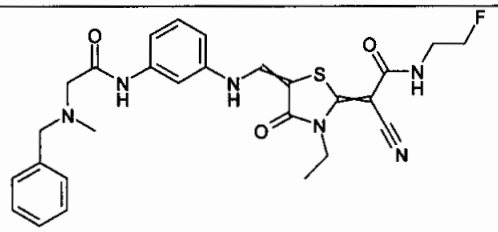
		5.90-6.20 (tt, 1H); 6.97-6.99 (m, 1H); 7.20-7.31 (m, 2H); 7.70 (m, 1H); 7.94 (m, 1H); 8.05 (1H); 9.77 (s, 1H); 10.48 (1H) ppm.		
297	 2-Cyano-2-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.01 (t, 3H); 1.24 (t, 3H); 2.66 (q, 2H) ; 2.72 (t, 2H); 3.21 (s, 2H); 3.26 (s, 3H); 3.42-3.54 (m, 4H); 4.22 (q, 2H); 4.26 (t, 1H); 4.54 (t, 1H); 6.97-6.99 (m, 1H); 7.20-7.31 (m, 2H); 7.70 (m, 1H);	518.61 / 519	INTA3 1 / 1

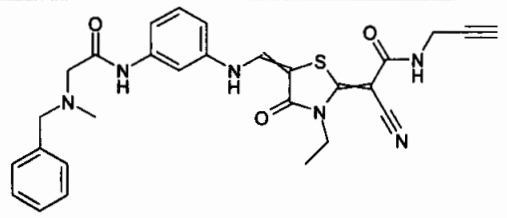
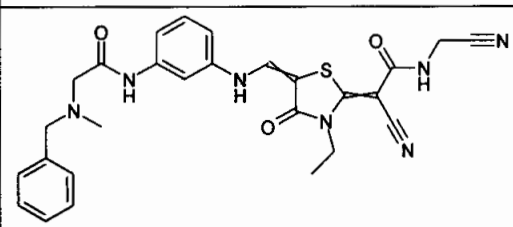
		7.80 (t, 1H); 8.01-8.05 (1H); 9.77 (s, 1H); 10.40-10.44 (1H) ppm.		
298	 2-Cyano-2-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetylamino}-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.01 (t, 3H); 1.24 (t, 3H); 2.66 (q, 2H) ; 2.72 (t, 2H); 3.06 (m, 1H); 3.21 (s, 2H); 3.26 (s, 3H); 3.44 (t, 3H); 3.91-3.93 (m, 2H); 4.22 (q, 2H); 6.97-6.99 (m, 1H); 7.20-7.31 (m, 2H); 7.71 (m, 1H); 8.02-8.06 (1H); 8.09 (t, 1H); 9.78 (s, 1H);	510.62 / 511	INTA3 1 / 1

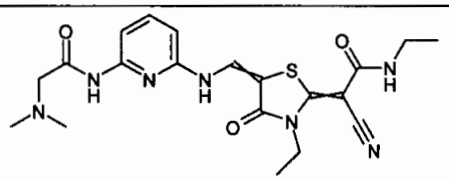
		10.43-10.46 (1H) ppm.		
299	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-(3-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetylamino}-phenyl-amino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.01 (t, 3H); 1.25 (t, 3H); 2.66 (q, 2H) ; 2.72 (t, 2H); 3.21 (s, 2H); 3.26 (s, 3H); 3.44 (t, 3H); 4.15 (d, 2H); 4.22 (q, 2H); 6.98-7.00 (m, 1H); 7.21-7.32 (m, 2H); 7.71 (m, 1H); 8.08 (1H); 8.33 (1H); 9.78 (s, 1H); 10.53 (1H) ppm.	511.61 / 512	INTA3 1 / 1

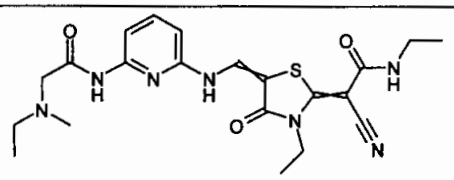
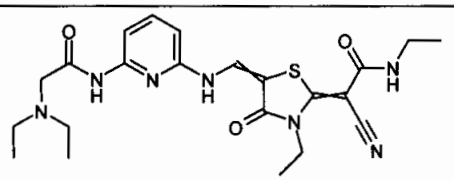
300	 2-[5-[1-{3-[2-(Benzyl-methyl-amino) -acetylamino]-phenylamino}-meth- (E/Z)-ylidene]-3-ethyl-4-oxo- thiazolidin-(2-(E or Z))-ylidene]-2- cyano-N-ethyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3H); 1.24 (t, 3H); 2.27 (s, 3H) ; 3.13-3.23 (m, 4H); 3.65 (s, 2H); 4.22 (q, 4H); 6.98-7.00 (m, 1H); 7.22-7.40 (m, 7H); 7.69 (t, 1H); 7.74 (1H); 8.02 (1H); 9.80 (s, 1H); 10.37-10.39 (1H) ppm.	518.64 / 519	INTA3 2 / 1
301	 2-[5-[1-{3-[2-(Benzyl-methyl-amino) -acetylamino]-phenylamino}-meth- (E/Z)-ylidene]-3-ethyl-4-oxo-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.26 (s, 3H) ; 3.18 (s, 4H);	572.61 / 573	INTA3 2 / 1

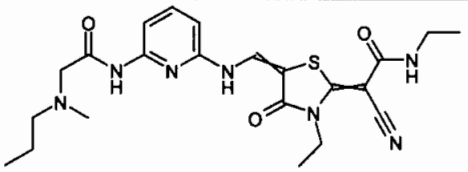
	thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide	3.65 (s, 2H); 3.90-3.99 (m, 2H); 4.23 (q, 2H) 6.96-6.98 (m, 1H); 7.23-7.40 (m, 7H); 7.75 (1H); 8.08 (1H); 8.20 (1H); 9.80 (s, 1H); 10.51 (1H) ppm.		
302	 2-[5-[1-{3-[2-(Benzyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2-difluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.27 (s, 3H) ; 3.18 (s, 4H); 3.53-3.65 (m, 4H); 3.90-3.99 (m, 2H); 4.23 (q, 2H) 5.90-6.20 (tt, 1H); 6.96-6.98 (m, 1H); 7.23-7.40 (m, 7H); 7.75 (1H); 7.95 (t, 1H);	554.62 / 555	INTA3 2 / 1

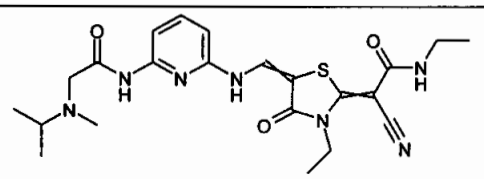
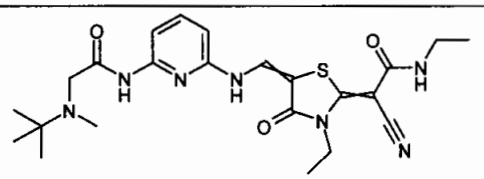
		8.04-8.06 (1H); 9.80 (s, 1H); 10.46-10.48 (1H) ppm.		
303	 2-[5-[1-{3-[2-(Benzyl-methyl-amino)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.27 (s, 3H) ; 3.18 (s, 4H); 3.44-3.54 (m, 2H); 3.65 (s, 2H); 4.23 (q, 2H); 4.42 (t, 1H); 4.54 (t, 1H); 6.96-6.98 (m, 1H); 7.23-7.40 (m, 7H); 7.74 (1H); 7.79 (t, 1H); 8.02-8.04 (1H); 9.79 (s, 1H); 10.41-10.43 (1H) ppm.	536.63 / 537	INTA3 2 / 1

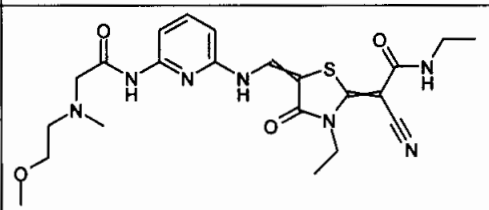
304	 2-[5-[1-{3-[2-(Benzyl-methyl-amino) -acetylamino]-phenylamino}-meth- (E/Z)-ylidene]-3-ethyl-4-oxo- thiazolidin-(2-(E or Z))-ylidene]-2- cyano-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.27 (s, 3H) ; 3.06 (m, 1H); 3.18 (s, 2H); 3.65 (s, 2H); 3.91-3.93 (m, 2H); 4.22 (q, 4H); 6.96-6.98 (m, 1H); 7.23-7.40 (m, 7H); 7.75 (1H); 8.03-8.10 (m, 2H); 9.79 (s, 1H); 10.43-10.45 (1H) ppm.	528.64 / 529	INTA3 2 / 1
305	 2-[5-[1-{3-[2-(Benzyl-methyl-amino) -acetylamino]-phenylamino}-meth- (E/Z)-ylidene]-3-ethyl-4-oxo- thiazolidin-(2-(E or Z))-ylidene]-2-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.27 (s, 3H) ; 3.19 (s, 2H);	529.63 / 530	INTA3 2 / 1

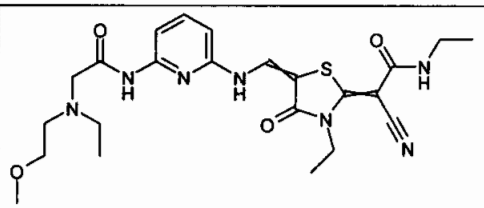
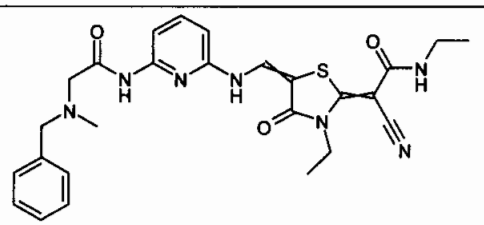
	cyano-N-cyanomethyl-acetamide	3.65 (s, 2H); 4.15 (d, 2H); 4.23 (q, 4H); 6.97-6.99 (m, 1H); 7.24-7.40 (m, 7H); 7.76 (1H); 8.08 (1H); 8.33 (t, 1H); 9.80 (s, 1H); 10.52 (1H) ppm.		
306	 2-Cyano-2-[5-[1-[6-(2-dimethyl-amino-acetylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3H); 1.24 (t, 3H); 2.30 (s, 6H); 3.13 (s, 2H); 3.16-3.23 (m, 2H); 4.21 (q, 2H); 6.77-6.79 (m, 1H); 7.69-7.76 (m, 3H); 8.59 (1H); 9.88 (s,1H); 10.72 (1H) ppm.	443.53 / 444	7 / 8

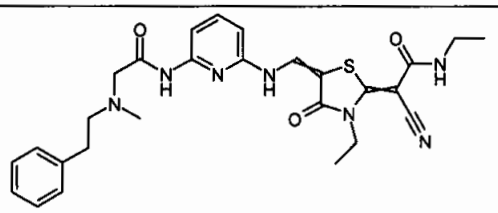
307	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{6-[2-(ethyl-methyl-amino)-acetyl-amino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02-1.08 (2t, 6 H); 1.24 (t, 3H); 2.30 (s, 3H); 2.53 (q, 2H); 3.18-3.28 (m, 4H); 4.21 (q, 2H); 6.77-6.79 (m, 1H); 7.69-7.76 (m, 3H); 8.58 (1H); 9.85 (s,1H); 10.73 (1H) ppm.	457.56 / 458	7 / 8
308	 2-Cyano-2-[5-[1-[6-(2-diethyl-amino-acetylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-ethyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6 H); 1.07 (t, 3H); 1.24 (t, 3H); 2.63 (q, 4H); 3.17-3.23 (m, 4H); 4.21 (q, 2H);	471.59 / 472	7 / 8

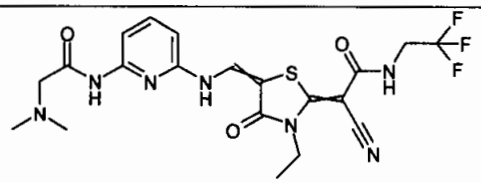
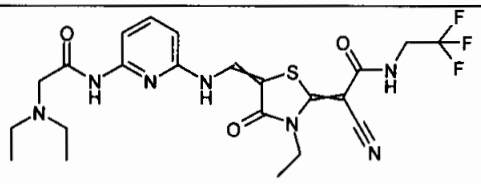
		6.78-6.80 (m, 1H); 7.69-7.78 (m, 3H); 8.54-8.56 (1H); 9.84 (s,1H); 10.72-10.74 (1H) ppm.		
309	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{6-[2-(methyl-propyl-amino)-acetyl-amino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.91 (t, 3H); 1.07 (t, 3 H); 1.24 (t, 3H); 1.47 (q, 2H); 2.31 (s, 3H); 2.42 (t, 2H); 3.18-3.28 (m, 4H); 4.21 (q, 2H); 6.77-6.79 (m, 1H); 7.69-7.77 (m, 3H); 8.57 (1H); 9.85 (s,1H); 10.71 (1H) ppm.	471.59 / 472	7 / 8

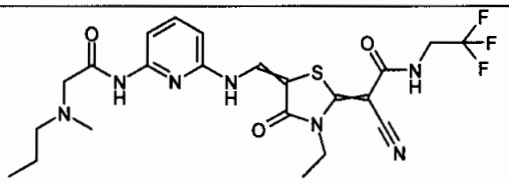
310	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{6-[2-(isopropyl-methyl-amino)-acetyl-amino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (d, 6H); 1.07 (t, 3 H); 1.24 (t, 3H); 2.27 (s, 3H); 2.87-2.94 (m, 1H); 3.15-3.23 (m, 4H); 4.21 (q, 2H); 6.78-6.80 (m, 1H); 7.70-7.76 (m, 3H); 8.55 (1H); 9.79 (s,1H); 10.73 (1H) ppm.	471.59 / 472	7 / 8
311	 2-[5-[1-{6-[2-(tert-Butyl-methyl-amino)-acetylamino]-pyridin-2-yl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3 H); 1.09 (s, 9H); 1.24 (t, 3H); 2.28 (s, 3H); 3.17-3.23 (m, 4H);	485.61 / 486	7 / 8

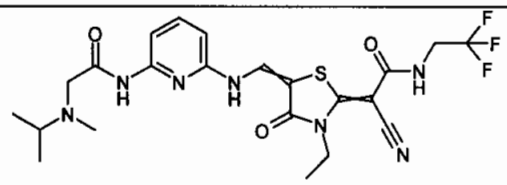
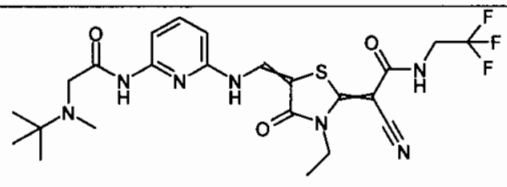
		4.21 (q, 2H); 6.78-6.80 (m, 1H); 7.70-7.73 (m, 3H); 8.54 (1H); 9.82 (s,1H); 10.74 (1H) ppm.		
312	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(6-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3H); 1.24 (t, 3H); 2.37 (s, 3H) ; 2.66 (t, 2H); 3.17-3.23 (m, 4H); 3.27 (s, 3H); 3.44 (t, 2H); 4.21 (q, 2H); 6.77-6.79(m, 1H); 7.69-7.78 (m, 3H); 8.60 (1H); 9.94 (s, 1H); 10.72 (1H) ppm.	487.58 / 488	7 / 8

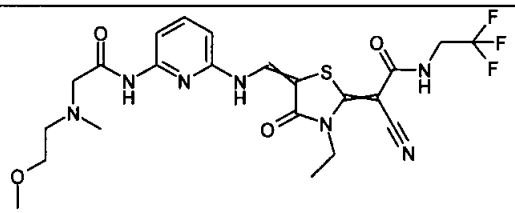
313	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(6-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetylamino}-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.00 (t, 3H); 1.07 (t, 3H); 1.24 (t, 3H); 2.69-2.75 (m, 4H) ; 3.17-3.23 (m, 4H); 3.29 (s, 3H); 3.42 (t, 2H); 4.21 (q, 2H); 6.77-6.79 (m, 1H); 7.69-7.78 (m, 3H); 8.58-8.61 (1H); 9.97 (s, 1H); 10.70-10.73 (1H) ppm.	501.61 / 502	7 / 8
314	 2-[5-[1-{6-[2-(Benzyl-methyl-amino)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3 H); 1.26 (t, 3H); 2.27 (s, 3H);	519.63 / 520	7 / 8

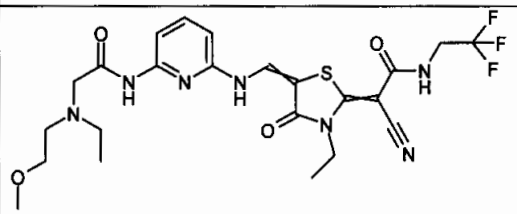
	cyano-N-ethyl-acetamide	3.17-3.24 (m, 2H); 3.28 (s, 2H); 3.65 (s, 2H); 4.23 (q, 2H); 6.78-6.80 (m, 1H); 7.23-7.28 (m, 1H); 7.36-7.38 (m, 5H); 7.69-7.77 (m, 3H); 8.64 (1H); 10.04 (1H) ppm.		
315	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-{6-[2-(methyl-phenethyl-amino)-acetylamino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3 H); 1.26 (t, 3H); 2.37 (s, 3H); 2.73-2.79 (m, 4H); 3.17-3.25 (m, 4H); 4.23 (q, 2H); 6.77-6.79 (m, 1H); 7.12 (t, 1H); 7.22-7.28 (m, 4H); 7.67-7.77 (m, 3H); 8.56 (s, 1H);	533.66 / 534	7 / 8

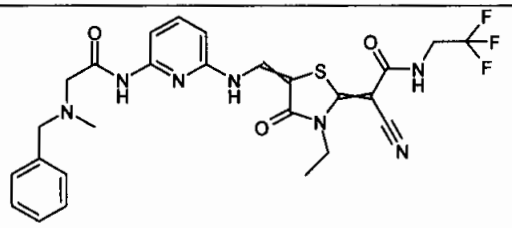
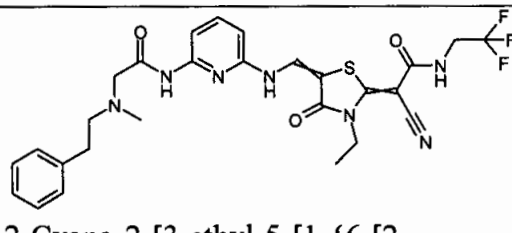
		9.60 (s, 1H); 10.65 (1H) ppm.		
316	 2-Cyano-2-[5-[1-[6-(2-dimethyl-amino-acetylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.26 (t, 3H); 2.30 (s, 6H); 3.13 (s, 2H); 3.91-4.00 (m, 2H); 4.23 (q, 2H); 6.77-6.79 (m, 1H); 7.70-7.76 (m, 2H); 8.26-8.29 (1H); 8.64 (1H); 9.91 (s,1H); 10.84 (1H) ppm.	497.50 / 498	7 / 8
317	 2-Cyano-2-[5-[1-[6-(2-diethyl-amino-acetylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-tri-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (t, 6 H); 1.26 (t, 3H); 2.62 (q, 4H); 3.22 (s, 2H);	525.56 / 526	7 / 8

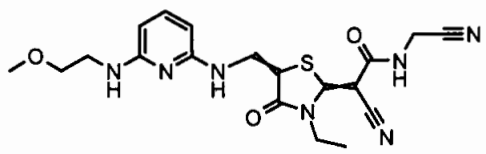
		3.91-3.99 (m, 2H); 4.23 (q, 2H); 6.78-6.80 (m, 1H); 7.71-7.76 (m, 2H); 8.27 (t, 1H); 8.60 (1 H); 9.86 (s,1H); 10.84 (1H) ppm.		
318	 2-Cyano-2-[3-ethyl-5-[1-{6-[2-(methyl-propyl-amino)-acetyl-amino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 0.91 (t, 3H); 1.26 (t, 3H); 1.47 (q, 2H); 2.31 (s, 3H); 2.43 (t, 2H); 3.18 (s, 2H); 3.91-3.99 (m, 2H); 4.23 (q, 2H); 6.77-6.79 (m, 1H); 7.70-7.77 (m, 2H); 8.26 (t, 1H); 8.61-8.63 (1H); 9.88 (s,1H);	525.56 / 526	7 / 8

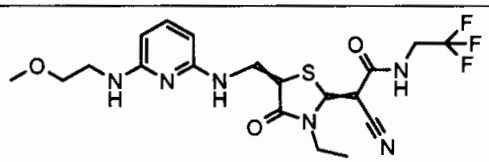
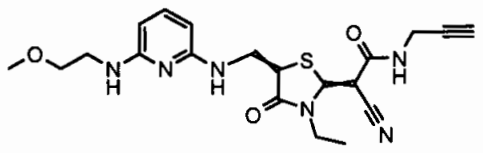
		10.81-10.83 (1H) ppm.		
319	 2-Cyano-2-[3-ethyl-5-[1-{6-[2-(iso-propyl-methyl-amino)-acetyl-amino]-pyridin-2-ylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.02 (d, 6H); 1.26 (t, 3H); 2.27 (s, 3H); 2.88-2.94 (m, 1H); 3.16 (s, 2H); 3.91-3.99 (m, 2H); 4.23 (q, 2H); 6.78-6.80 (m, 1H); 7.70-7.78 (m, 2H); 8.27 (t, 1H); 8.60 (1H); 9.81 (s,1H); 10.84 (1H) ppm.	525.56 / 526	7 / 8
320	 2-[5-[1-{6-[2-(tert-Butyl-methyl-amino)-acetylamino]-pyridin-2-yl-amino}-meth-(E/Z)-ylidene]-3-ethyl-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.09 (s, 9H); 1.26 (t, 3H);	539.58 / 540	7 / 8

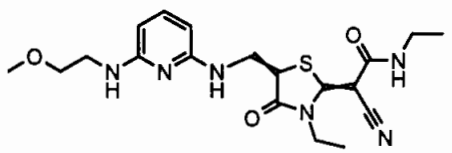
	4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide	2.29 (s, 3H); 3.18 (s, 2H); 3.91-3.99 (m, 2H); 4.23 (q, 2H); 6.79-6.81 (m, 1H); 7.71-7.79 (m, 2H); 8.26 (t, 1H); 8.58-8.60 (1H); 9.84 (s,1H); 10.82-10.84 (1H) ppm.		
321	 2-Cyano-2-[3-ethyl-5-[1-(6-{2-[(2-methoxy-ethyl)-methyl-amino]-acetylamino}-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.38 (s, 3H) ; 2.66 (t, 2H); 3.23 (s, 2H); 3.29 (s, 3H); 3.44 (t, 2H); 3.91-3.99 (m, 2H); 4.23 (q, 2H); 6.77-6.79(m, 1H); 7.70-7.79 (m, 3H);	541.56 / 542	7 / 8

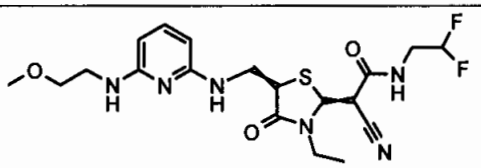
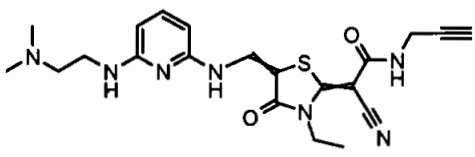
		8.27 (t, 1H); 8.63-8.66 (1H); 9.96 (s, 1H); 10.81-10.84 (1H) ppm.		
322	 2-Cyano-2-[3-ethyl-5-[1-(6-{2-[ethyl-(2-methoxy-ethyl)-amino]-acetyl-amino}-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.00 (t, 3H); 1.26 (t, 3H); 2.69-2.75 (m, 4H) ; 3.26 (s, 2H); 3.30 (s, 3H); 3.42 (t, 2H); 3.91-3.99 (m, 2H); 4.23 (q, 2H); 6.77-6.79 (m, 1H); 7.70-7.80 (m, 2H); 8.27 (t, 1H); 8.63-8.66 (1H); 9.99 (s, 1H); 10.81-10.84 (1H) ppm.	555.58 / 556	7 / 8

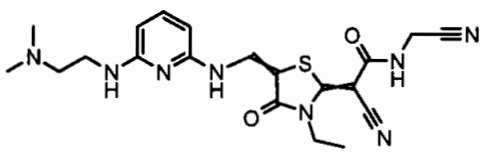
323	 2-[5-[1-{6-[2-(Benzyl-methyl-amino)-acetylamino]-pyridin-2-yl-amino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-tri-fluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.27 (t, 3H); 2.27 (s, 3H); 3.28 (s, 2H); 3.65 (s, 2H); 3.91-4.00 (m, 2H); 4.25 (q, 2H); 6.78-6.80 (m, 1H); 7.23-7.29 (m, 1H); 7.36-7.38 (m, 4H); 7.70-7.76 (m, 2H); 8.27 (t, 1H); 8.67-8.70 (1H); 10.05 (1H); 10.81-10.84 (1H) ppm.	573.60 / 574	7 / 8
324	 2-Cyano-2-[3-ethyl-5-[1-{6-[2-(methyl-phenethyl-amino)-acetyl-amino]-pyridin-2-ylamino}-meth-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.27 (t, 3H); 2.37 (s, 3H);	587.63 / 588	7 / 8

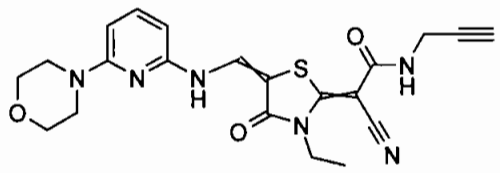
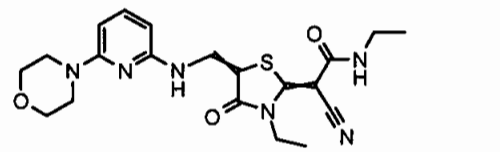
	(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-tri-fluoro-ethyl)-acetamide	2.74-2.79 (m, 4H); 3.25 (s, 2H); 3.91-4.00 (m, 2H); 4.25 (q, 2H); 6.77-6.79 (m, 1H); 7.12 (t, 1H); 7.22-7.28 (m, 4H); 7.68-7.74 (m, 2H); 8.26 (t, 1H); 8.58-8.62 (1H); 9.61 (s, 1H); 10.78-10.81 (1H) ppm.		
325	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[6-(2-methoxy-ethylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.24 (t, 3H); 3.28 (s, 3H); 3.36 (m, 2H); 3.40 (m, 2H); 4.11 (d, 2H); 4.18 (q, 2H); 6.16 (m, 2H); 6.75 (t, 1H);	427.488 / 428	INTT1 0 / INT83 / 5

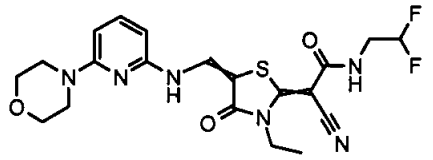
		7.28 (t, 1H); 8.30 (t, 1H); 8.14 (d, 1H); 10.60 (d, 1H) ppm.		
326	 2-Cyano-2-[3-ethyl-5-[1-[6-(2-methoxy-ethylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	($CDCl_3$, main isomer): δ = 1.41 (t, 3H); 3.40 (s, 3H); 3.47 (m, 2H); 3.53 (m, 2H); 4.07 (m, 2H); 4.38 (q, 2H); 4.83 (t, 1H); 6.03 (d, 2H); 6.13 (d, 1H); 6.50 (t, 1H); 7.37 (t, 1H); 8.70 (s, 1H) ppm.	470.477 / 471	INTT8 / INT83 / 5
327	 2-Cyano-2-[3-ethyl-5-[1-[6-(2-methoxy-ethylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-	($CDCl_3$, main isomer): δ = 1.39 (t, 3H); 3.40 (s, 3H); 3.50 (m, 2H); 3.58 (m, 2H); 4.18 (m, 2H);	426.501 / 427	INTT9 / INT83 / 5

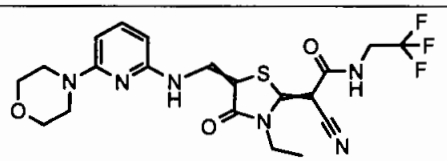
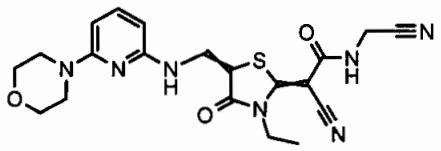
	prop-2-ynyl-acetamide	4.37 (m, 2H); 4.86 (s, 1H); 6.05 (d, 2H); 6.16 (dd, 1H); 6.45 (t, 1H); 7.18 (t, 1H); 7.36 (t, 1H); 8.30 (d, 1H); 8.64 (d, 1H); 10.50 (d, 1H) ppm.		
328	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[6-(2-methoxy-ethylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.08 (t, 3H); 1.20 (t, 3H); 3.16 (m, 2H); 3.22 (s, 3H); 3.36 (m, 2H); 3.45 (m, 2H); 4.16 (q, 2H); 6.18 (d, 2H); 6.70 (t, 1H); 7.17 (t, 1H); 7.25 (t, 1H);	416.506 / 417	INTT7 / INT83 / 5

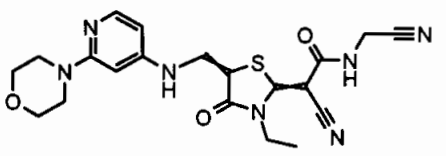
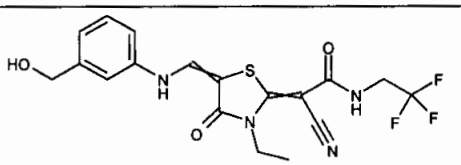
		8.16 (d, 1H); 10.50 (d, 1H) ppm.		
329	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-[6-(2-methoxy-ethylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 3.16 (m, 2H); 3.21 (s, 3H); 3.30-3.62 (m, 6H); 4.20 (q, 2H); 6.00 (t, 1H) 6.15 (d, 2H); 6.75 (t, 1H); 7.25 (t, 1H); 7.90 (t, 1H); 8.66 (d, 1H); 10.50 (d, 1H) ppm.	452.486 / 453	INTT1 1 / INT83 / 5
330	 2-Cyano-2-[5-[1-[6-(2-dimethylamino-ethylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22 (t, 3H); 2.16 (s, 6H); 3.40 (t, 2H);	439.543 / 440	INTT9 / INT12 0 / 5

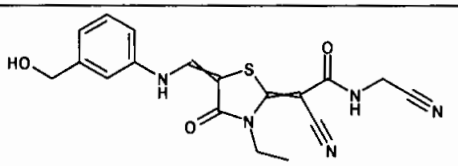
	prop-2-ynyl-acetamide	3.02 (m,1H); 3..42 (m, 2H); 3.90 (m, 2H); 4.22 (q, 2H); 6.11 (d, 2H); 6.57 (t, 1H); 7.27 (t, 1H); 8.03 (t, 1H); 8.68 (d, 1H); 10.50 (d, 1H) ppm.		
331	 2-Cyano-N-cyanomethyl-2-[5-[1-[6-(2-dimethylamino-ethylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.24 (t, 3H); 2.16 (s, 6H); 2.36 (t, 2H); 3.30 (m, 2H); 4.14 (d, 2H); 4.20 (q, 2H); 6.15 (d, 2H); 6.55 (t, 1H); 7.25 (t, 1H); 7.30 (t, 1H); 8.70 (s, 1H);	440.531 / 441	INTT1 0 / INT12 0 / 5

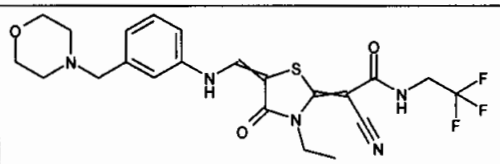
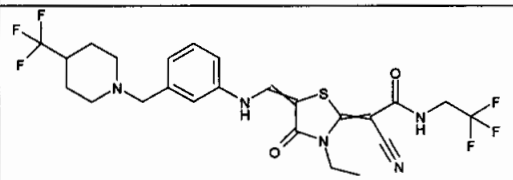
		10.60 (s, 1H) ppm.		
332	 2-Cyano-2-[3-ethyl-5-[1-(6-morpholin-4-yl-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 3.08 (m, 1H); 3.44 (m, 4H); 3.72 (m, 4H); 3.94 (m, 2H); 4.24 (q, 2H); 6.41 (d, 2H); 6.50 (d, 1H); 7.51 (t, 1H); 8.14 (t, 1H); 8.64 (d, 1H); 10.72 (s, 1H) ppm.	438.512 / 439	INTT9 / INT11 6 / 5
333	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-(6-morpholin-4-yl-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.07 (t, 3H); 1.25 (t, 3H); 3.21 (m, 2H); 3.43 (m, 4H);	428.517 / 429	INTT7 / INT11 6 / 5

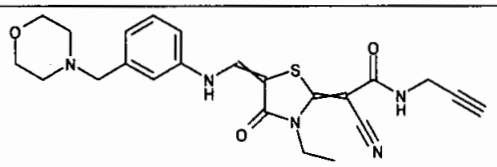
		3.69 (m, 4H); 4.20 (m, 2H); 4.39 (d, 2H); 6.40 (d, 2H); 6.49 (d, 1H); 7.55 (t, 1H); 7.75 (t, 1H); 8.64 (d, 1H); 10.69 (d, 1H) ppm.		
334	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-(6-morpholin-4-yl-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.27 (t, 3H); 3.47 (m, 4H); 3.60 (m, 2H); 3.75 (m, 4H); 4.24 (m, 2H); 6.08 (t, 1H); 6.40 (d, 2H); 6.51 (d, 1H); 7.55 (t, 1H); 8.03 (t, 1H); 8.64 (d, 1H); 10.72 (d, 1H) ppm.	464.498 / 465	INTT1 1 / INT11 6 / 5

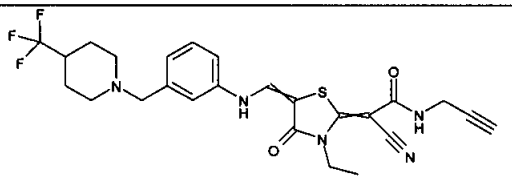
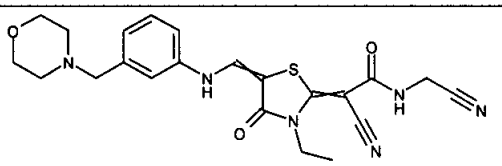
335	 2-Cyano-2-[3-ethyl-5-[1-(6-morpholin-4-yl-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.30 (t, 3H); 3.48 (m, 4H); 3.75 (m, 4H); 3.97 (m, 2H); 4.28 (m, 2H); 6.48 (d, 2H); 6.53 (d, 1H); 7.53 (t, 1H); 8.34 (t, 1H); 8.74 (d, 1H); 10.82 (d, 1H) ppm.	482.488 / 483	INTT8 / INT11 6 / 5
336	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-(6-morpholin-4-yl-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-4-xo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 3.41 (m, 4H); 3.72 (m, 4H); 4.14 (d, 2H); 4.20 (q, 2H); 6.31 (d, 2H);	439.499 440	INTT1 0 / INT11 6 / 5

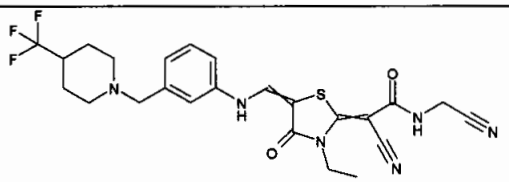
		6.45 (d, 1H); 7.50 (t, 1H); 8.32 (t, 1H); 8.70 (d, 1H); 10.76 (s, 1H) ppm.		
337	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-(2-morpholin-4-yl-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 3.42 (m, 4H); 3.68 (m, 4H); 4.18 (d, 2H); 4.21 (q, 2H); 6.66 (m, 2H); 7.90 (d, 1H); 8.22 (d, 1H); 8.43 (d, 1H); 10.35 (s, 1H) ppm.	439.499 / 440	INTE6 9 / 198
338	 2-Cyano-2-[3-ethyl-5-[1-(3-hydroxymethyl-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.27 (t, 3H); 3.95 (m, 2H);		INTA3 3 / 1

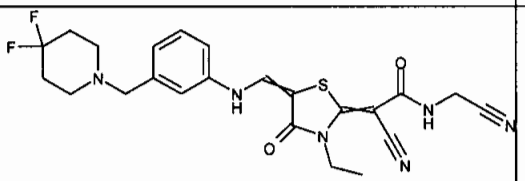
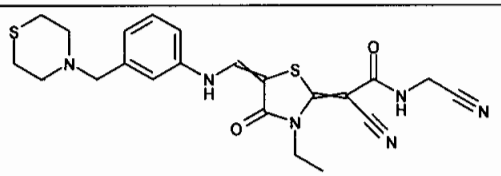
	or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	4.24 (q, 2H); 4.50 (d, 2H); 5.27 (t, 1H); 7.01 (d, 1H); 7.16 (d, 1H); 7.26-7.32 (m, 2H); 8.08-8.24 (m, 2H); 10.47 (s, 1H) ppm.		
339	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-(3-hydroxymethyl-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.27 (t, 3H); 4.17 (d, 2H); 4.24 (q, 2H); 4.50 (d, 2H); 5.26 (t, 1H); 7.02 (d, 1H); 7.16 (d, 1H); 7.25-7.33 (m, 2H); 8.16 (s, 1H); 8.32 (s, 1H); 10.49 (s, 1H) ppm.		INTA33/1

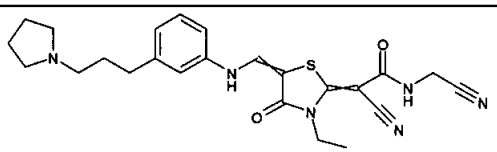
340	 2-Cyano-2-[3-ethyl-5-[1-(3-morpholin-4-ylmethyl-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.23 (t, 3H); 2.33 (s, 4H); 3.42 (s, 2H); 3.54 (m, 4H); 3.93 (m, 2H); 4.20 (q, 2H); 6.98 (d, 1H); 7.16 (d, 1H); 7.20-7.28 (m, 2H); 8.10 (s, 1H); 8.17 (s, 1H); 10.40 (s, 1H) ppm.		338 / 12 and 8
341	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(4-trifluoromethyl-piperidin-1-ylmethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.23 (t, 3H); 1.44 (m, 2H); 1.75 (d, 2H); 1.94 (t, 2H); 2.23 (m, 1H);		338 / 12 and 8

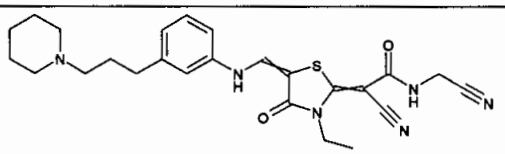
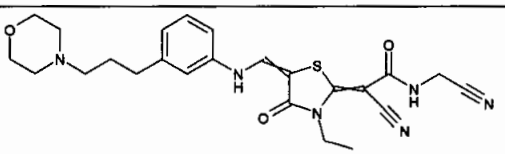
		2.87 (d, 2H); 3.43 (s, 2H); 3.93 (m, 2H); 4.20 (q, 2H); 6.97 (d, 1H); 7.17 (d, 1H); 7.20-7.27 (m, 2H); 8.09 (d, 1H); 8.19 (t, 1H); 10.40 (d, 1H) ppm.		
342	 2-Cyano-2-[3-ethyl-5-[1-(3-morpholin-4-ylmethyl-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 2.34 (s, 4H); 3.02 (s, 1H); 3.40 (s, 2H); 3.56 (m, 4H); 3.89 (m, 2H); 4.19 (q, 2H); 6.97 (d, 1H); 7.17 (d, 1H); 7.20-7.28 (m, 2H); 8.08 (m, 2H);		4 / 12 and 8

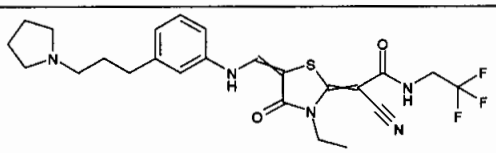
		10.36 (d, 1H) ppm.		
343	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(4-trifluoromethyl-piperidin-1-ylmethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.42 (m, 2H); 1.74 (d, 2H); 1.93 (t, 2H); 2.23 (m, 1H); 2.85 (d, 2H); 3.02 (s, 1H); 3.42 (s, 2H); 3.89 (m, 2H); 4.20 (q, 2H); 6.96 (d, 1H); 7.16 (d, 1H); 7.22-7.27 (m, 2H); 8.07 (m, 2H); 10.34 (d, 1H) ppm.		4 / 12 and 8
344	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-(3-morpholin-4-ylmethyl-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22 (t, 3H);		339 / 12 and 8

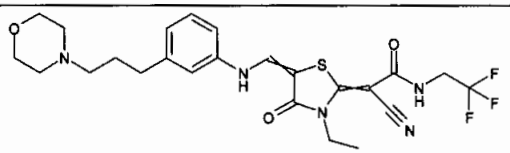
	phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	2.35 (s, 4H); 3.45 (s, 2H); 3.57 (m, 4H); 4.14 (d, 2H); 4.20 (q, 2H); 6.98 (d, 1H); 7.17 (d, 1H); 7.23-7.28 (m, 2H); 8.10 (m, 1H); 8.32 (t, 1H); 10.43 (s, 1H) ppm.		
345	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(4-trifluoromethyl-piperidin-1-ylmethyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 1.44 (m, 2H); 1.76 (d, 2H); 1.94 (t, 2H); 2.25 (m, 1H); 2.87 (d, 2H); 3.45 (s, 2H); 4.13 (d, 2H); 4.20 (q, 2H); 6.97 (d, 1H);		339 / 12 and 8

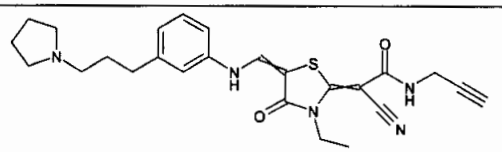
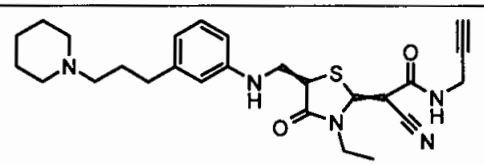
		7.16 (d, 1H); 7.22-7.28 (m, 2H); 8.12 (m, 1H); 8.32 (t, 1H); 10.43 (m, 1H) ppm.		
346	 2-Cyano-N-cyanomethyl-2-[5-[1-[3-(4,4-difluoro-piperidin-1-ylmethyl)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 1.93 (m, 4H); 2.48 (m, 4H); 3.49 (s, 2H); 4.12 (d, 2H); 4.20 (q, 2H); 6.98 (d, 1H); 7.17 (d, 1H); 7.24-7.29 (m, 2H); 8.10 (d, 1H); 8.31 (t, 1H); 10.42 (d, 1H) ppm.		339 / 12 and 8
347	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-	(DMSO-d6, stored with K2CO3, main isomer): δ =		339 / 12 and 8

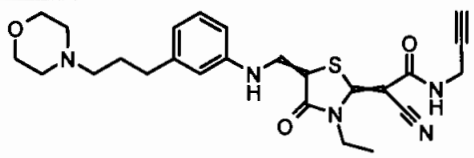
	oxo-5-[1-(3-thiomorpholin-4-ylmethyl-phenylamino)-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	1.21 (t, 3H); 2.58 (m, 8H); 3.46 (s, 2H); 4.12 (d, 2H); 4.20 (q, 2H); 6.96 (d, 1H); 7.17 (d, 1H); 7.21-7.29 (m, 2H); 8.11 (d, 1H); 8.32 (t, 1H); 10.41 (d, 1H) ppm.		
348	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.64 (m, 4H); 1.72 (m, 2H); 2.42 (m, 6H); 2.57 (t, 2H); 4.11 (d, 2H); 4.20 (q, 2H); 6.89 (d, 1H); 7.06-7.24 (m, 3H); 8.12 (s, 1H);		INTA34/1

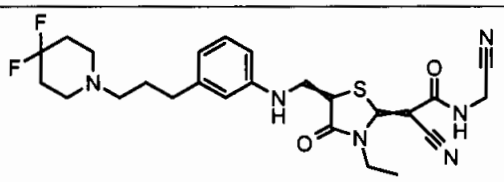
		8.31 (t, 1H); 10.40 (s, 1H) ppm.		
349	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(3-piperidin-1-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.33 (m, 2H); 1.45 (m, 4H); 1.68 (m, 2H); 2.19 (t, 2H); 2.26 (m, 4H); 2.53 (t, 2H); 4.12 (d, 2H); 4.20 (q, 2H); 6.88 (d, 1H); 7.07 (d, 1H); 7.13 (s, 1H); 7.20 (t, 1H); 8.12 (s, 1H); 8.28 (m, 1H); 10.32 (s, 1H) ppm.		INTA3 5 / 1
350	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-	(DMSO-d6, stored with K2CO3, main isomer):		INTA3 6 /

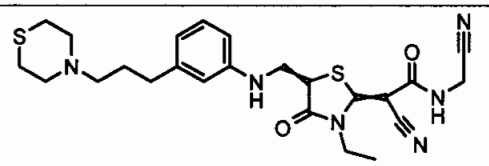
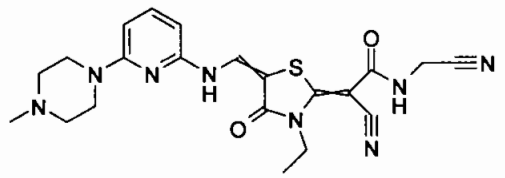
	[1-[3-(3-morpholin-4-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	δ = 1.21 (t, 3H); 1.70 (m, 2H); 2.23 (t, 2H); 2.29 (m, 4H); 2.55 (t, 2H); 3.54 (t, 4H); 4.12 (d, 2H); 4.20 (q, 2H); 6.88 (d, 1H); 7.08 (d, 1H); 7.14 (s, 1H); 7.20 (t, 1H); 8.11 (s, 1H); 8.29 (t, 1H); 10.32 (s, 1H) ppm.		1
351	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propyl)-phenyl-amino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.21 (t, 3H); 1.63 (m, 4H); 1.69 (m, 2H); 2.32-2.40 (m, 6H); 2.56 (t, 2H);		INTA34/1

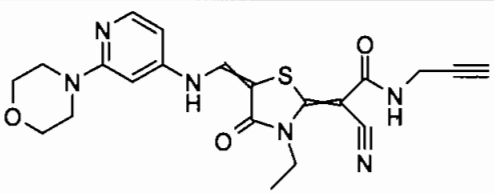
		3.92 (m, 2H); 4.21 (q, 2H); 6.88 (d, 1H); 7.08 (d, 1H); 7.13 (s, 1H); 7.20 (t, 1H); 8.12 (s, 1H); 8.15 (t, 1H); 10.38 (s, 1H) ppm.		
352	 2-Cyano-2-[3-ethyl-5-[1-[3-(3-morpholin-4-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.68 (m, 2H); 2.27 (t, 2H); 2.32 (m, 4H); 2.55 (t, 2H); 3.53 (t, 4H); 3.92 (m, 2H); 4.20 (q, 2H); 6.88 (d, 1H); 7.05-7.23 (m, 3H); 8.11 (s, 1H); 8.17 (m, 1H);		INTA3 6 / 1

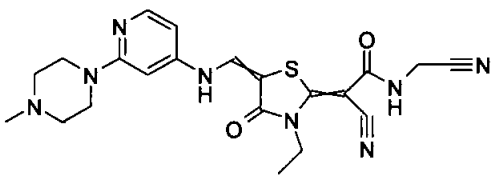
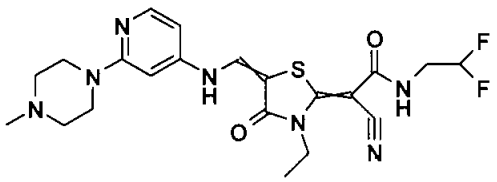
		10.32 (m, 1H) ppm.		
353	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-pyrrolidin-1-yl-propyl)-phenyl-amino]-meth-(E/Z)-ylidene]-thiazolidin-(2Z)-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.62 (m, 4H); 1.68 (m, 2H); 2.32-2.40 (m, 6H); 2.54 (t, 2H); 3.01 (s, 1H); 3.88 (m, 2H); 4.19 (q, 2H); 6.87 (d, 1H); 7.06 (d, 1H); 7.12 (s, 1H); 7.20 (t, 1H); 8.04-8.13 (m, 2H); 10.28 (s, 1H) ppm.		INTA3 4 / 1
354	 2-Cyano-2-[3-ethyl-4-oxo-5-[1-[3-(3-piperidin-1-yl-propyl)-phenyl-amino]-meth-(E/Z)-ylidene]-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.32 (m, 2H);		INTA3 5 / 1

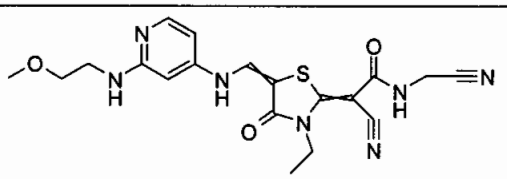
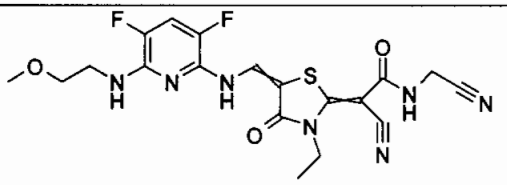
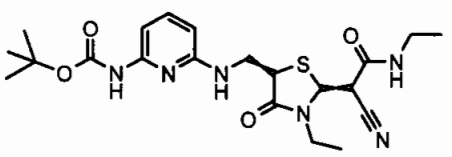
	thiazolidin-(2Z)-ylidene]-N-prop-2-ynyl-acetamide	1.43 (m, 4H); 1.68 (m, 2H); 2.20 (t, 2H); 2.27 (m, 4H); 2.52 (t, 2H); 3.01 (s, 1H); 3.88 (m, 2H); 4.20 (q, 2H); 6.87 (d, 1H); 7.06 (d, 1H); 7.12 (s, 1H); 7.20 (t, 1H); 8.03-8.11 (m, 2H); 10.26 (s, 1H) ppm.		
355	 2-Cyano-2-[3-ethyl-5-[1-[3-(3-morpholin-4-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.68 (m, 2H); 2.22 (t, 2H); 2.28 (m, 4H); 2.54 (t, 2H); 3.01 (s, 1H); 3.52 (t, 4H);		INTA36 / 1

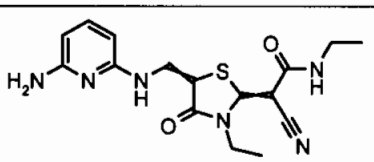
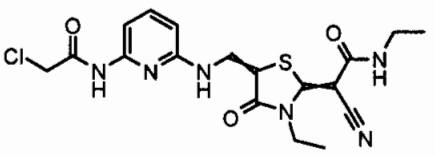
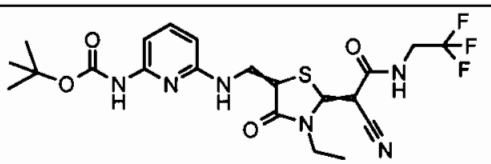
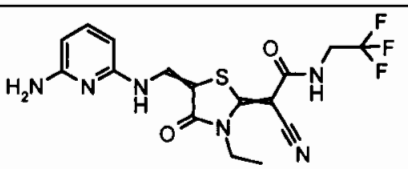
		3.88 (m, 2H); 4.19 (q, 2H); 6.87 (d, 1H); 7.06 (d, 1H); 7.13 (s, 1H); 7.19 (t, 1H); 8.02-8.10 (m, 2H); 10.25 (m, 1H) ppm.		
356	 2-Cyano-N-cyanomethyl-2-[5-[1-{3-[3-(4,4-difluoro-piperidin-1-yl)-propyl]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.22 (t, 3H); 1.71 (m, 2H); 1.92 (m, 4H); 2.31 (t, 2H); 2.42 (m, 4H); 2.55 (t, 2H); 4.12 (d, 2H); 4.20 (q, 2H); 6.88 (d, 1H); 7.07 (d, 1H); 7.13 (s, 1H); 7.20 (t, 1H); 8.12 (s, 1H);		INTT10 / INT95 / 5

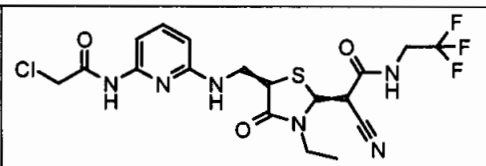
		8.30 (t, 1H); 10.34 (s, 1H) ppm.		
357	 2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-5-[1-[3-(3-thiomorpholin-4-yl-propyl)-phenylamino]-meth-(E/Z)-ylidene]-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.20 (t, 3H); 1.68 (m, 2H); 2.28 (t, 2H); 2.45-2.57 (m, 10H); 4.12 (d, 2H); 4.20 (q, 2H); 6.87 (d, 1H); 7.06 (d, 1H); 7.12 (s, 1H); 7.20 (t, 1H); 8.12 (s, 1H); 8.28 (m, 1H); 10.37 (m, 1H) ppm.		INTT10 / INT94 / 5
358	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[6-(4-methyl-piperazin-1-yl)-pyridin-2-ylamino]-meth-(E/Z)-	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.25 (t, 3H); 2.82 (s, 3H);	452.542 / 453	INTT10 / INT118 /

	ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	3.75 (m, 2H); 4.12 (d, 2H); 4.18 (m, 4H); 4.26 (m, 4H); 6.41 (d, 2H); 6.62 (d, 1H); 7.51 (t, 1H); 8.62 (d, 1H); 10.86 (s, 1H) ppm.		5
359	 2-Cyano-2-[3-ethyl-5-[1-(2-morpholin-4-yl-pyridin-4-ylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.23 (t, 3H); 3.03 (m,1H); 3.40 (m, 4H); 3.63 (m, 4H); 3.89 (m, 2H); 4.21 (q, 2H); 6.66 (m, 2H); 7.92 (d, 1H); 8.13 (t, 1H); 8.23 (d, 1H); 10.25 (s, 1H) ppm.	438.512 / 439	INTE6 9 / 198

360	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[2-(4-methyl-piperazin-1-yl)-pyridin-4-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.19 (t, 3H); 3.31 (s, 3H); 3.41 (m, 4H); 3.71 (m, 4H); 4.11 (m, 2H); 4.21 (m, 2H); 6.63 (m, 2H); 7.92 (d, 1H); 8.23 (d, 1H); 8.33 (t, 1H); 10.35 (d, 1H) ppm.	452.542 / 453	INTE7 4 / 198
361	 2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-5-[1-[2-(4-methyl-piperazin-1-yl)-pyridin-4-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide	(DMSO-d6, stored with K2CO3, main isomer): δ = 1.26 (t, 3H); 3.31 (s, 3H); 3.45 (m, 4H); 3.71 (m, 4H); 4.13 (m, 2H); 4.21 (m, 2H);	477.540 / 478	INTE7 4 / 198

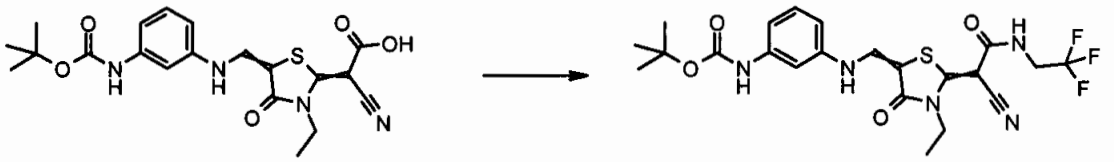
		6.61 (m, 2H); 7.97 (d, 1H); 8.23 (d, 1H); 8.33 (t, 1H); 10.35 (d, 1H) ppm.		
362	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[2-(2-methoxy-ethylamino)-pyridin-4-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide		427.488 / 428	INTE7 0 / 198
363	 2-Cyano-N-cyanomethyl-2-[5-[1-[3,5-difluoro-6-(2-methoxy-ethylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide		463.469 / 464	INTT1 0 / INT11 4 / 5
364	 (6-{[2-[1-Cyano-1-ethylcarbamoyl-		458.543 / 459	INTE7 5 / 198

	meth-(Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-pyridin-(2-(E or Z))-yl)-carbamic acid tert-butyl ester			
365	 2-[5-[1-(6-Amino-pyridin-2-ylamino)-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide		358.425 / 359	364 / 6
366	 2-[5-[1-[6-(2-Chloro-acetylamino)-pyridin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-ethyl-acetamide		434.908 / 435	365 / 203
367			512.514 / 513	INTE7 5 / 198
368			412.396 / 413	364 / 6

369			488.879 / 489	365 / 203

Example 370

(3-{[2-[1-Cyano-1-(2,2,2-trifluoro-ethylcarbamoyl)-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-carbamic acid tert-butyl ester

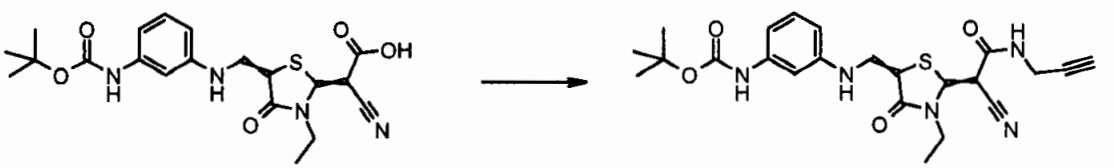


2.6 g of trifluoroethylamine, 8.4 g of TBTU and 3.6 ml of triethylamine are added to a solution of the intermediate compound **INTA37** in DMF (360 ml). The reaction mixture is stirred at room temperature for 12 hours. The solvent is distilled off, and the thus obtained crude product is mixed and extracted with a mixture that consists of ethyl acetate and saturated $NaHCO_3$ solution. The combined organic phases are dried on sodium sulfate, and the solvent is distilled off in a rotary evaporator. The crude product is purified by chromatography. 7.9 g of the title compound is obtained.

MW: 511; MS (ESI) $[M+1]^+$: 512

Example 371

(3-{[2-[1-Cyano-1-prop-2-ynylcarbamoyl-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenyl)-carbamic acid tert-butyl ester

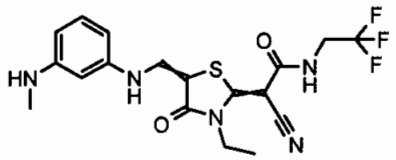


1.3 ml of propargylamine, 6.2 g of TBTU and 2.7 ml of triethylamine are added to a solution of intermediate compound INTA37 in DMF (285 ml). The reaction mixture is stirred at room temperature for 12 hours. The solvent is distilled off, and the thus obtained crude product is mixed and extracted with a mixture that consists of ethyl acetate and saturated $NaHCO_3$ solution. The combined organic phases are dried on sodium suflate,a dnt he solvent is distilled off in a rotary evaporator. The crude product is purified by chromatography. 7.8 g of the title compound is obtained.

MW: 467; MS (ESI) $[M+1]^+$: 468

Example 372

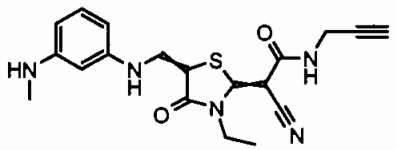
2-Cyano-2-[3-ethyl-5-[1-(3-methylamino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide



7.9 g of the compound that is described under **Example 370** is suspended in 175 ml of dichloromethane. 19 ml of trifluoroacetic acid is added. Then, it is stirred for 2.5 hours at room temperature. The reaction mixture is carefully added in 400 ml of cooled 1 M NaOH solution. Then, it is mixed and extracted with dichloromethane and ethyl acetate. The organic phase is dried on Na_2SO_4. 7 g of the title compound is obtained as trifluoroacetic acid salt. This crude product is used without additional purification for the following reactions.

Example 373

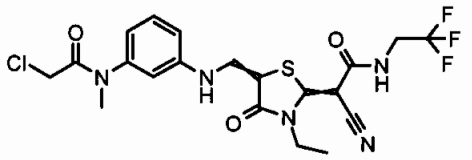
2-Cyano-2-[3-ethyl-5-[1-(3-methylamino-phenylamino)-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide



5.8 g of the compound that is described under **Example 371** is suspended in 140 ml of dichloromethane. 15.4 ml of trifluoroacetic acid is added. Then, it is stirred for 4 hours at room temperature. The reaction mixture is carefully added in 300 ml of cooled 1 M NaOH solution. Then, it is mixed and extracted with dichloromethane and ethyl acetate. The organic phase is dried on Na_2SO_4. 3 g of the title compound is obtained as a trifluoroacetic acid salt. This crude product is used without additional purification for the following reactions.

Example 374

2-[5-[1-{3-[(2-Chloro-acetyl)-methyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-(2,2,2-trifluoro-ethyl)-acetamide

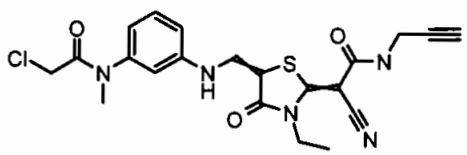


0.71 mmol of the trifluoroacetic acid salt of the compound that is described under **Example 372** is dissolved in 9 ml of tetrahydrofuran. After 113 µl of pyridine and 157 mg of chloroacetic acid anhydride are added, it is stirred for 2.5 hours at room temperature. 20 ml of ethyl acetate and 10 ml of saturated sodium bicarbonate solution are added, the organic phase is separated, and it is dried on sodium sulfate. 0.4 g of the title compound is obtained.

MW: 501; MS (ESI) $[M+1]^+$: 502

Example 375

2-[5-[1-{3-[(2-Chloro-acetyl)-methyl-amino]-phenylamino}-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-prop-2-ynyl-acetamide



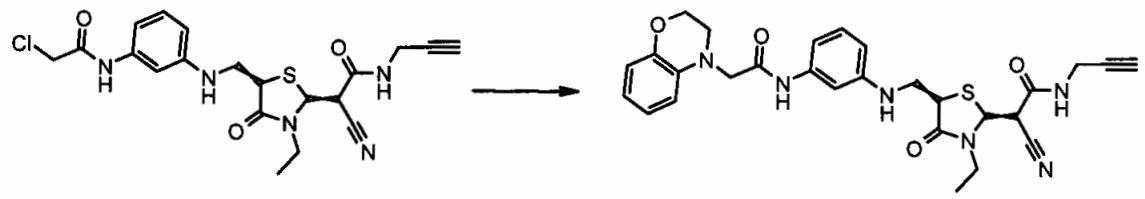
8 mmol of the trifluoroacetic acid salt of the compound that is described under **Example 373** is dissolved in 50 ml of tetrahydrofuran. After 1.3 ml of pyridine and 2 g of chloroacetic acid anhydride, dissolved in 50 ml of THF, are added, it is stirred for 4 hours at room temperature. 200 ml of ethyl acetate and 100 ml of saturated sodium bicarbonate solution are added, the organic phase is separated and dried on sodium sulfate. 3.1 g of the title compound is obtained.

MW: 457; MS (ESI) $[M+1]^+$: 458

Parallel-Synthesis Method 1 (PSM 1):

Example 376

2-Cyano-2-[5-[1-[3-(2-2,3-dihydro-benzo[1,4]oxazin-4-yl-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide



In an argon atmosphere, a solution of 270 mg (0.38 mmol) of 3,4-dihydro-2H-benzo[1,4]oxazine in 0.5 ml of DMF was added to a solution of 67 mg (0.15 mmol) of 2-[5-[1-[3-(2-chloro-acetylamino)-phenylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E

or Z))-ylidene]-2-cyano-N-prop-2-ynyl-acetamide and 6.5 mg (0.04 mmol) of potassium iodide in 1.5 ml of DMF. After 170 µl (1.22 mmol) of triethlyamine was added, the mixture was stirred for 12 hours at room temperature.

Solvent was removed from the reaction mixture. The thus obtained crude product was purified by HPLC. 5.1 mg (9%) of the desired product was isolated.

HPLC-MS (analytical) of the purified product

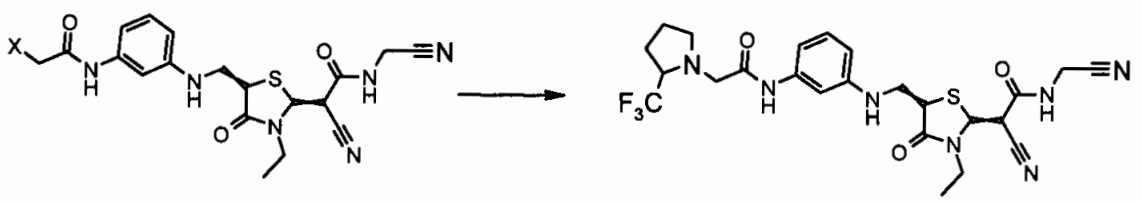
(Detection: UV = 254 nmol; Column: Purospher STAR RP18e, 125x4mm, 5 µ (Merck KgGa, Darmstadt); Fluxing agent: A: H_2O/0.1% TFA, B: CH_3CN/0.1% TFA, Gradient: 5 to 95% B in 10 min; Flow rate: 1 ml/min):

Retention time of the product = 9.25 min; MS of the product: m/z = 560 ($[M+H]^+$)

Parallel-Synthesis Method 2 (PSM 2):

Example 377

2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-{3-[2-(2-methyl-pyrrolidin-1-yl)-acetylamino]-phenylamino}-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide



X = Cl, OMs

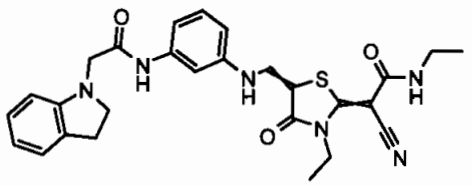
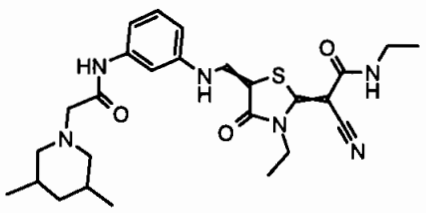
In an argon atmosphere, a solution of 278 mg (0.37 mmol) of 3,4-dihydro-2H-benzo[1,4]oxazine in 0.5 ml of DMF was added to a solution of 76 mg (0.15 mmol) of methanesulfonic acid (3-{[2-[1-cyano-1-(cyanomethyl-carbamoyl)-meth-(E or Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(5-(E/Z))-ylidenemethyl]-amino}-phenylcarbamoyl)-methyl ester and

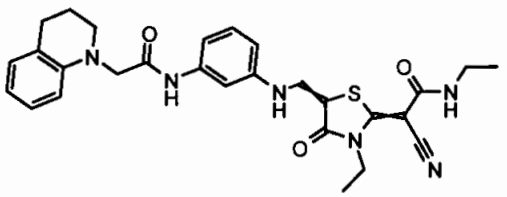
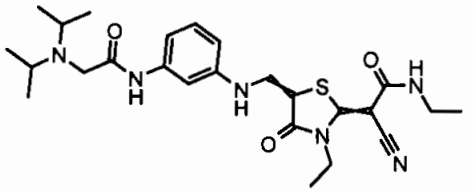
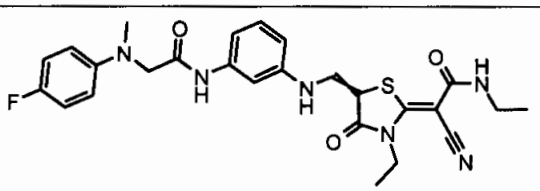
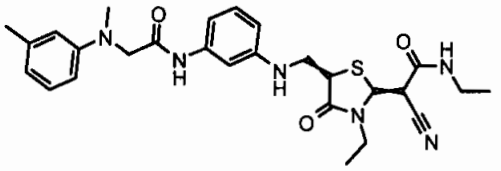
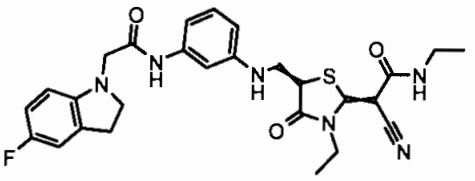
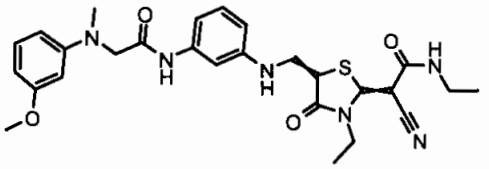
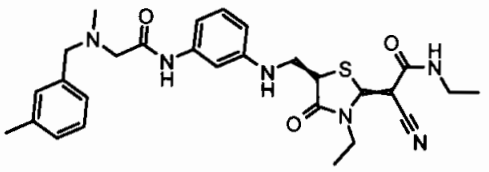
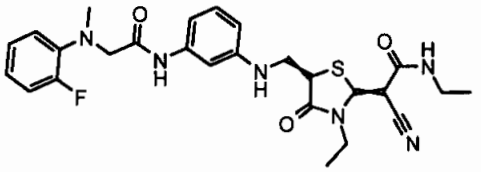
6.5 mg (0.04 mmol) potassium iodide in 1.5 ml of DMF. After 213 µl (1.22 mmol) of diisopropylethylamine was added, the mixture was stirred for 12 hours at room temperature. Solvent was removed from the reaction mixture. The thus obtained crude product was purified by HPLC. 30 mg (37%) of the desired product was isolated.

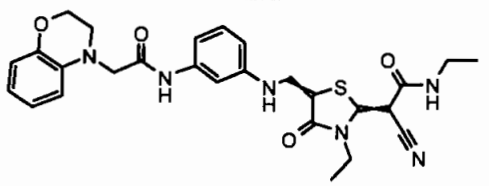
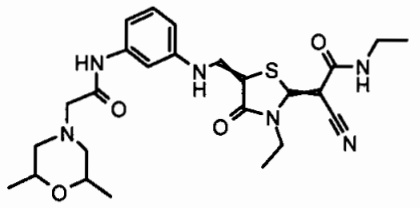
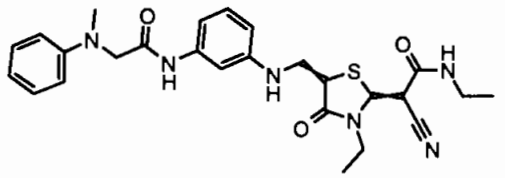
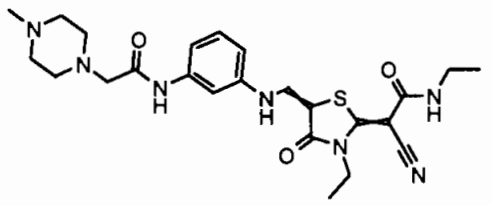
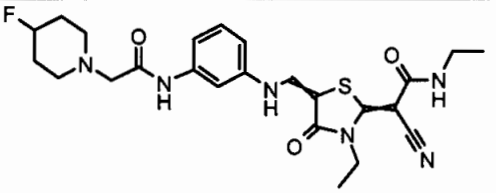
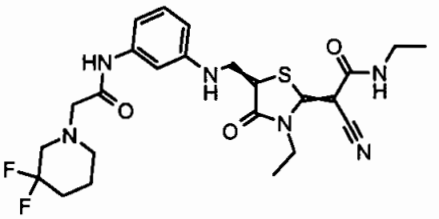
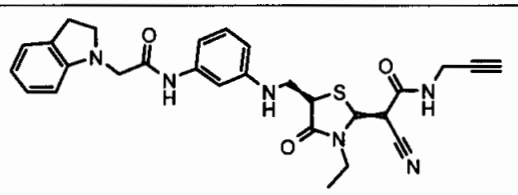
HPLC-MS (analytical) of the purified product

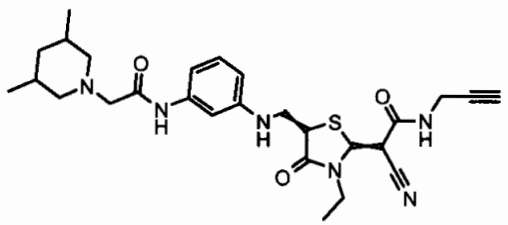
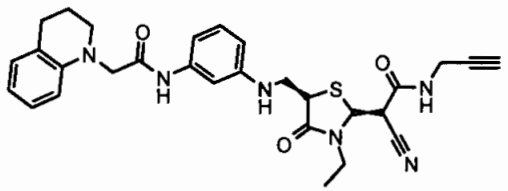
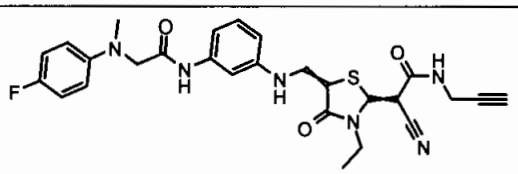
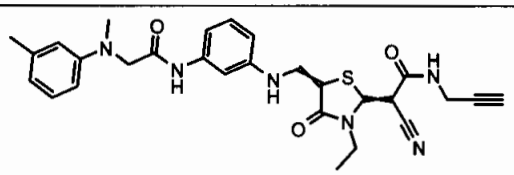
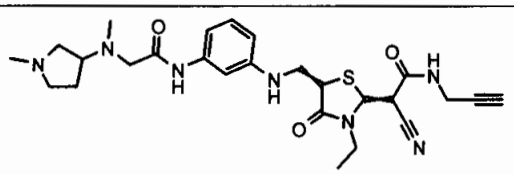
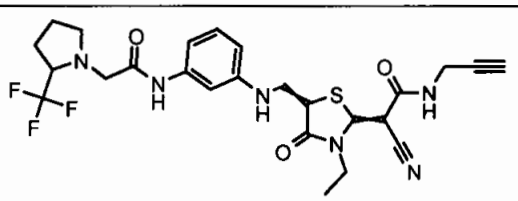
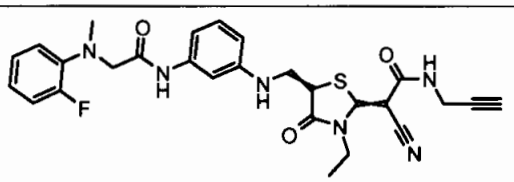
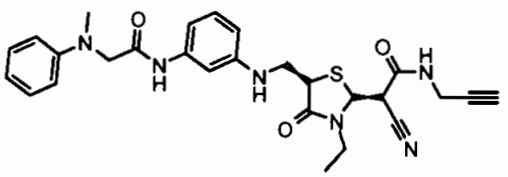
(Detection: UV = 254 nmol; column: Purospher STAR RP18e, 125x4mm, 5 µ (Merck KgGa, Darmstadt); Fluxing agent: A: H_2O/0.1% TFA, B: CH_3CN/0.1% TFA, Gradient: 5 to 95% B in 10 min; Flow rate: 1 ml/min):

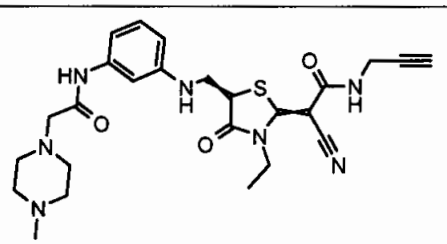
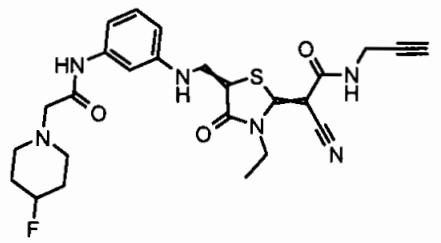
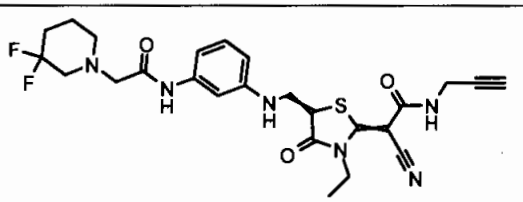
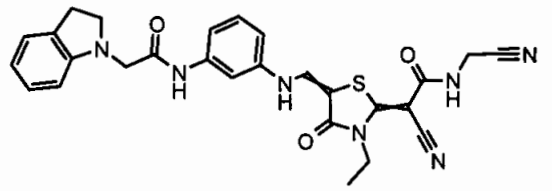
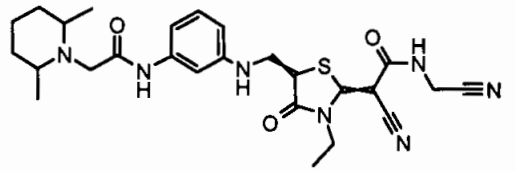
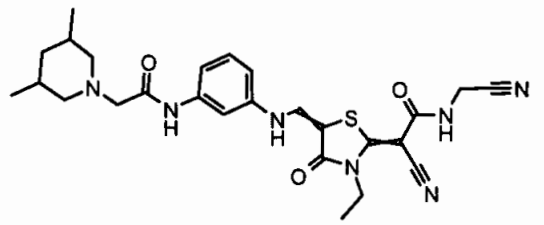
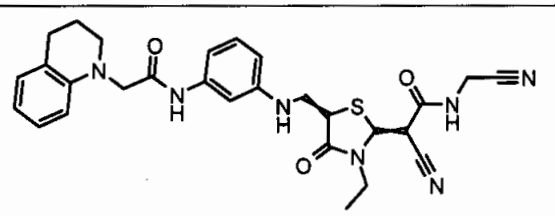
Retention time of the product = 9.09 min; MS of the product: m/z = 548 ($[M+H]^+$)

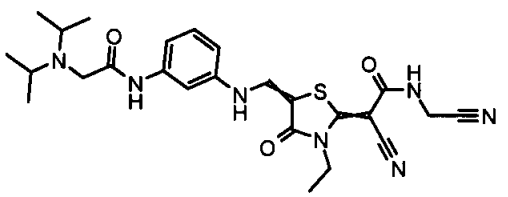
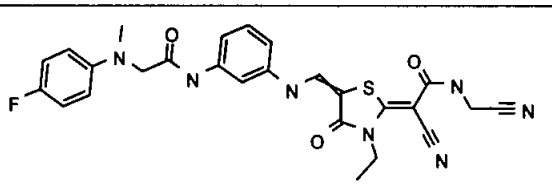
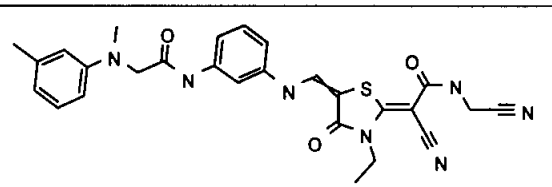
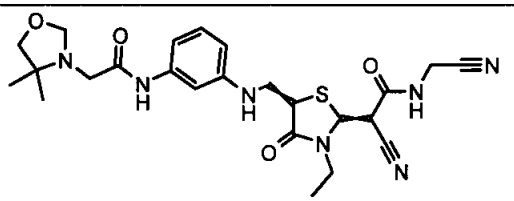
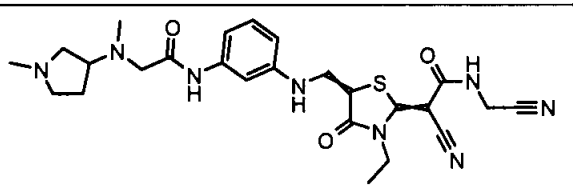
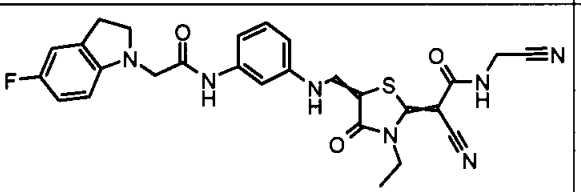
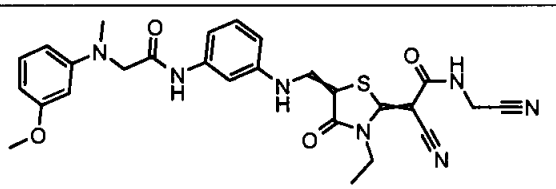
Example No.	Structure	Retention Time [min]	MW calc.	MW fnd.	Method
378		9.7	516	517	PSM 1
379		7.12	510	511	PSM 1

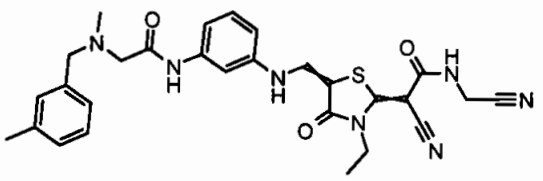
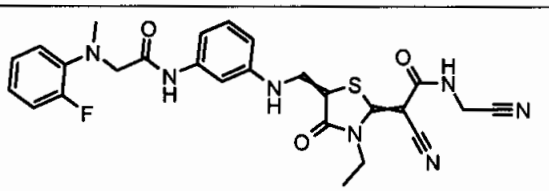
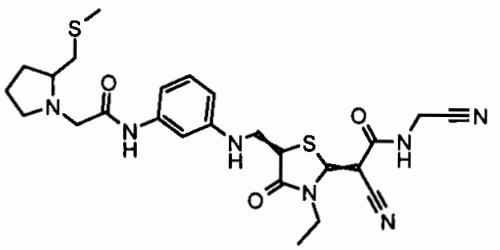
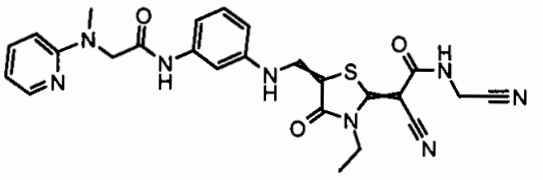
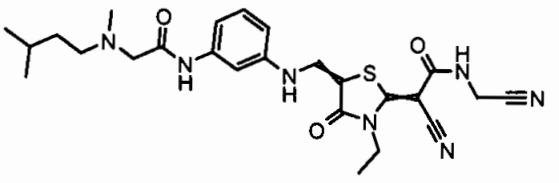
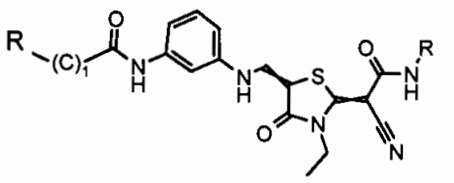
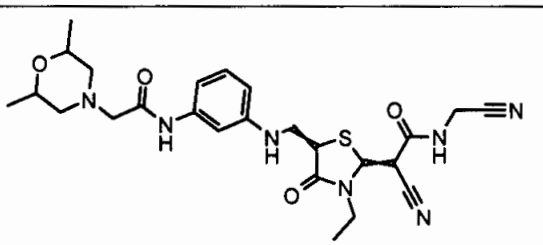
380		10.15	530	531	PSM 1
381		6.68	498	499	PSM 1
382		9.3	522	523	PSM 1
383		9.68	518	519	PSM 1
384		9.7	534	535	PSM 1
385		9.36	534	535	PSM 1
386		7.33	532	533	PSM 1
387		9.49	522	523	PSM 1

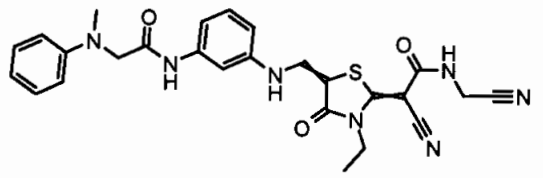
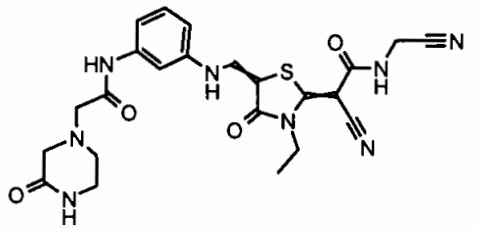
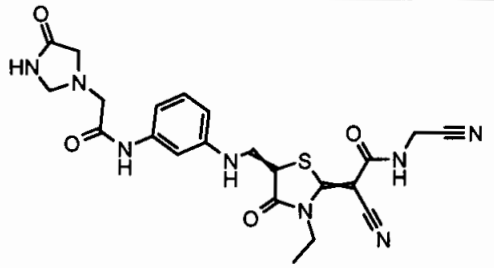
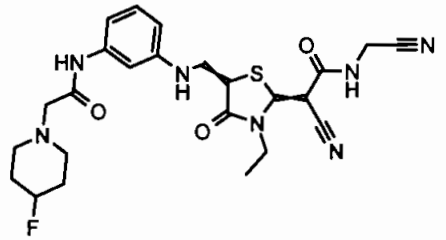
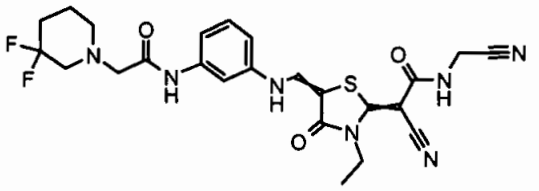
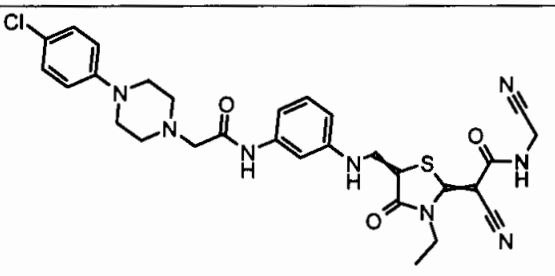
388		9.35	532	533	PSM 1
389		6.42	512	513	PSM 1
390		9.25	504	505	PSM 1
391		5.97	497	498	PSM 1
392		6.37	500	501	PSM 1
393		6.94	518	519	PSM 1
394		9.61	526	527	PSM 1

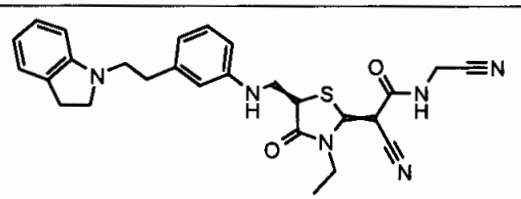
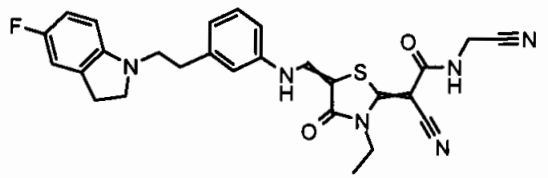
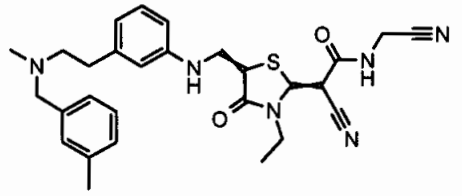
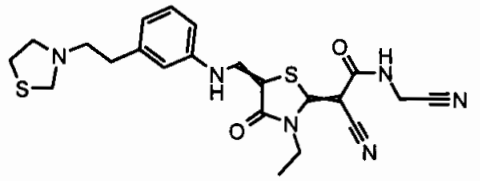
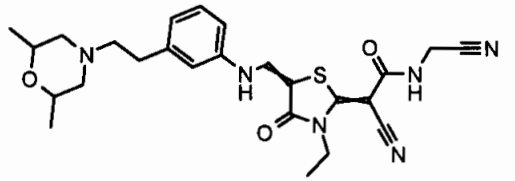
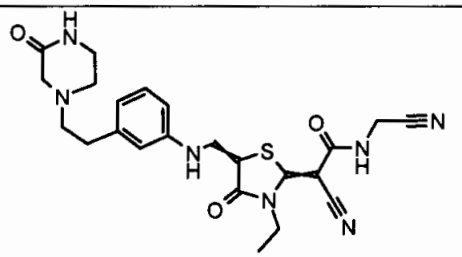
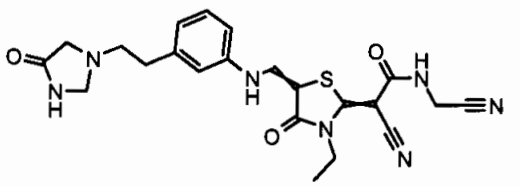
395		6.87	520	521	PSM 1
396		9.9	540	541	PSM 1
397		9.33	532	533	PSM 1
398		9.44	528	529	PSM 1
399		5.81	521	522	PSM 1
400		9.42	546	547	PSM 1
401		9.32	532	533	PSM 1
402		9.27	514	515	PSM 1

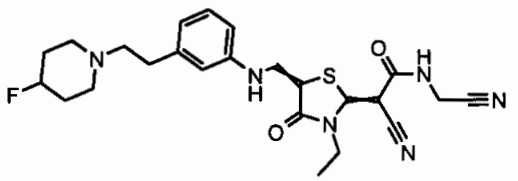
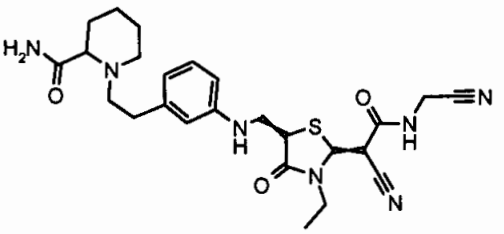
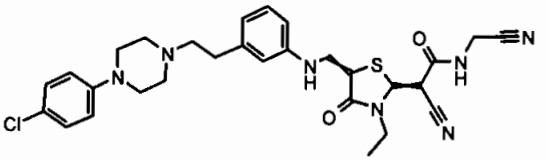
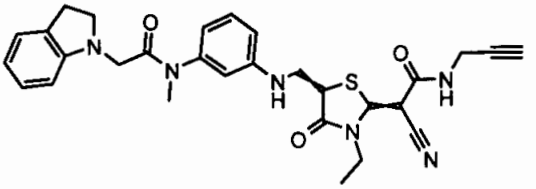
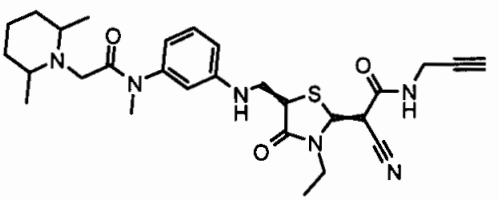
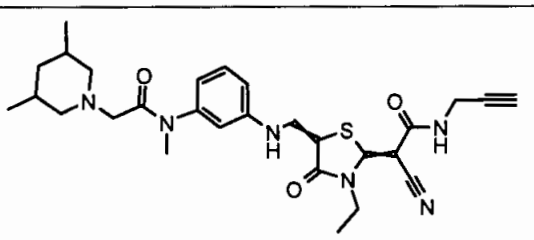
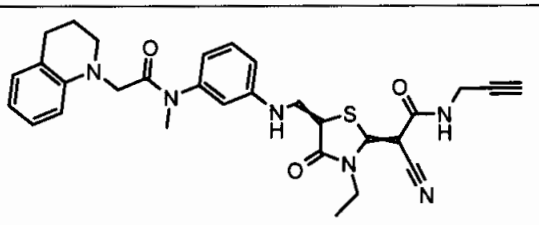
403		6.04	507	508	PSM 1
404		6.47	510	511	PSM 1
405		6.98	528	529	PSM 1
406		9.32	527	528	PSM 2
407		6.73	521	522	PSM 2
408		6.8	521	522	PSM 2
409		9.65	541	542	PSM 2

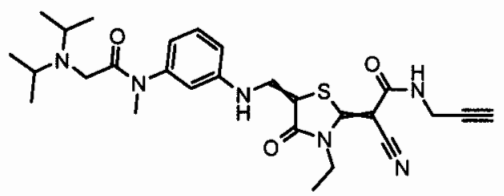
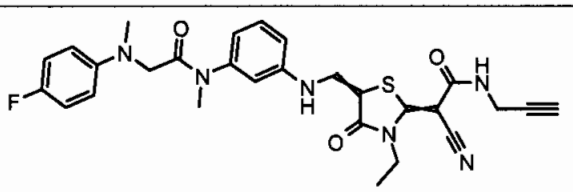
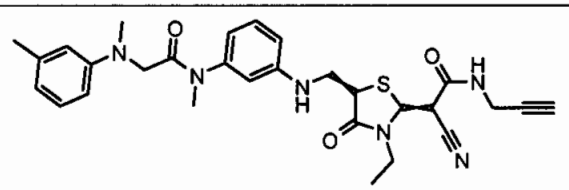
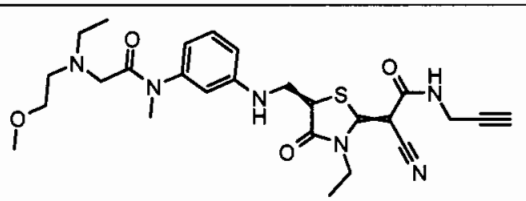
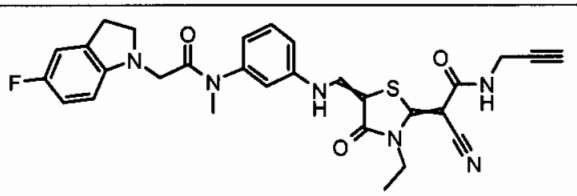
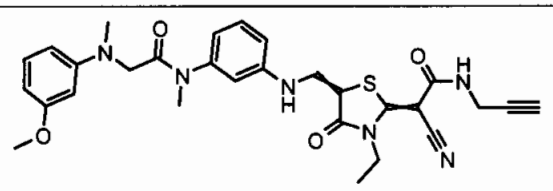
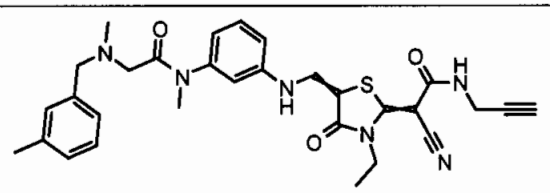
410		6.55	509	510	PSM 2
411		9.0	533	534	PSM 2
412		9.0	529	530	PSM 2
413		6.01	509	510	PSM 2
414		5.68	522	523	PSM 2
415		9.2	545	546	PSM 2
416		8.94	545	546	PSM 2

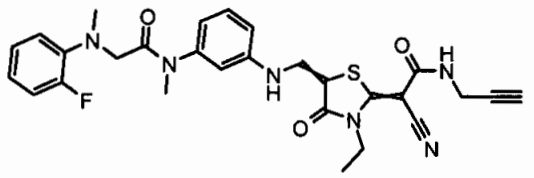
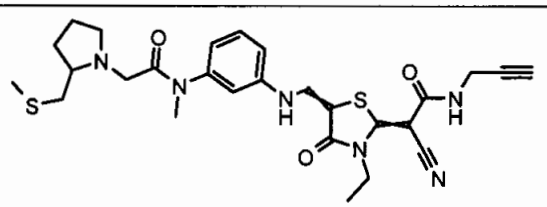
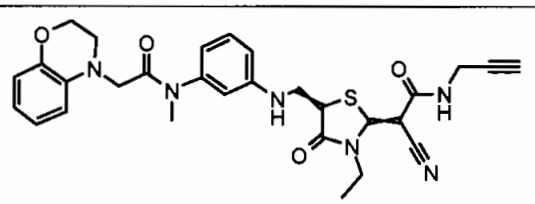
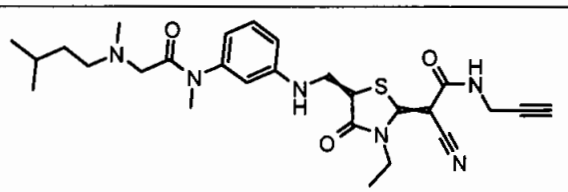
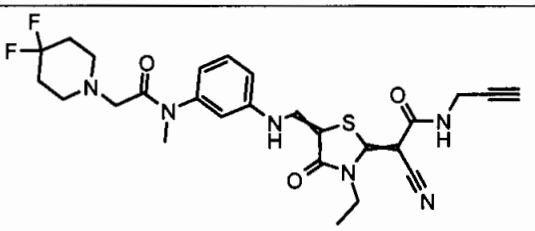
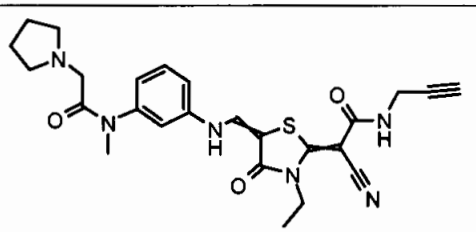
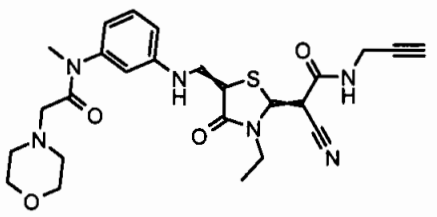
417		7.18	543	544	PSM 2
418		9.09	533	534	PSM 2
419		6.72	539	540	PSM 2
420		6.27	516	517	PSM 2
421		6.95	509	510	PSM 2
422		6.48	497	498	PSM 2
423		6.4	523	524	PSM 2

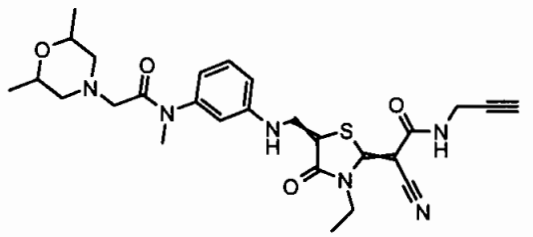
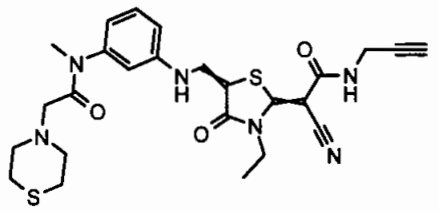
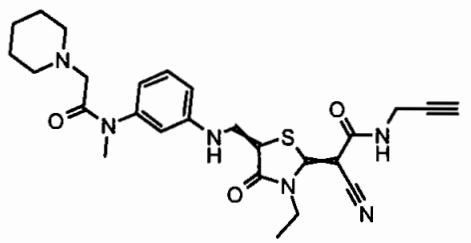
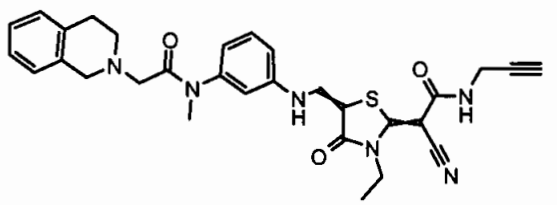
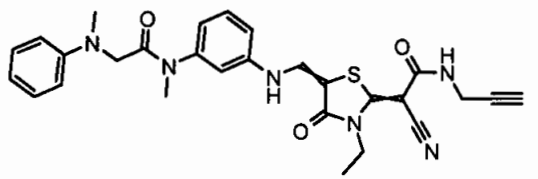
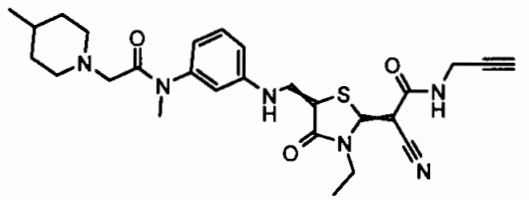
424		8.8	515	516	PSM 2
425		5.92	508	509	PSM 2
426		5.92	494	495	PSM 2
427		6.37	511	512	PSM 2
428		6.66	529	530	PSM 2
429		0.0	604	605	PSM 2

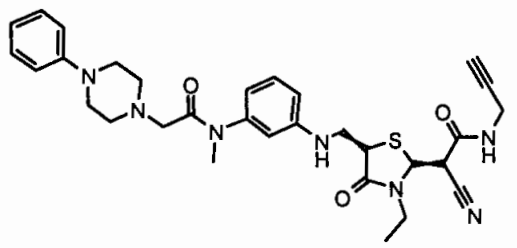
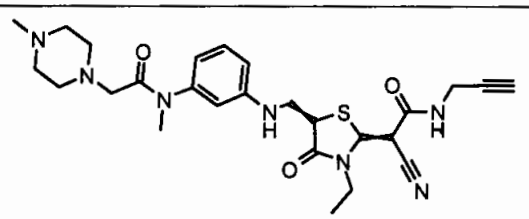
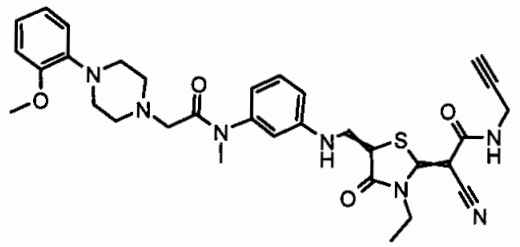
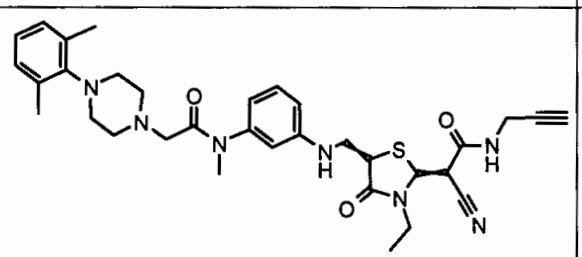
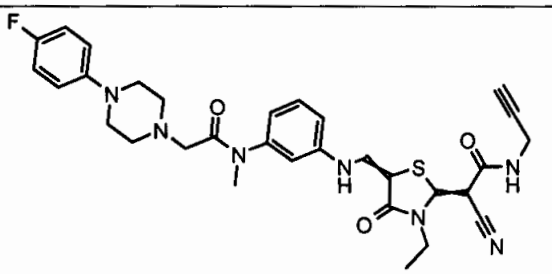
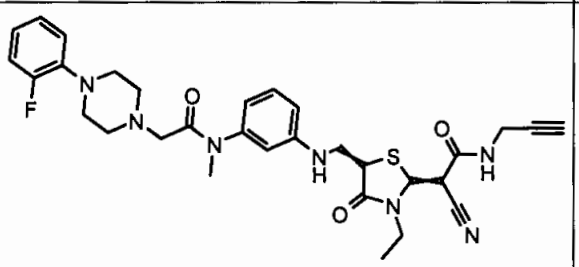
430		8.44	498	499	PSM 1
431		8.89	516	517	PSM 1
432		7.28	514	515	PSM 1
433		6.37	468	469	PSM 1
434		6.45	494	495	PSM 1
435		5.75	479	480	PSM 1
436		5.92	465	466	PSM 1

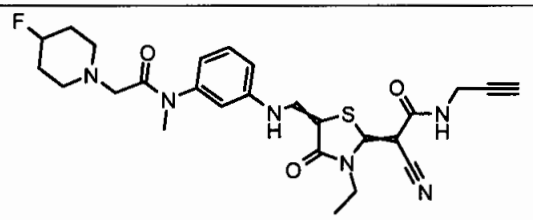
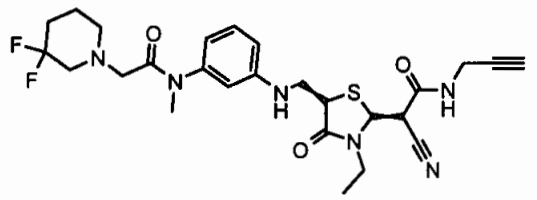
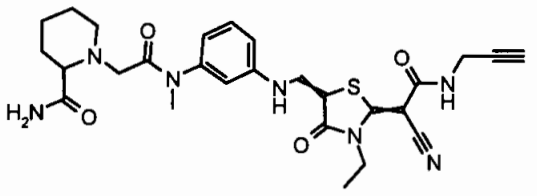
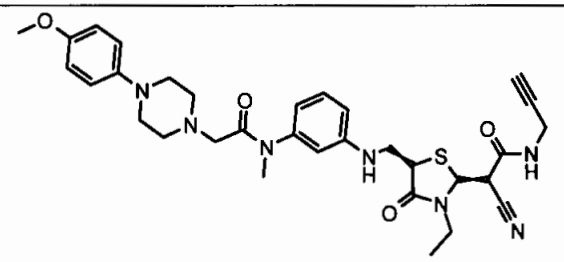
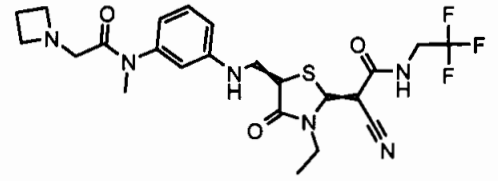
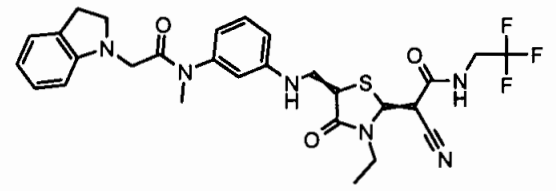
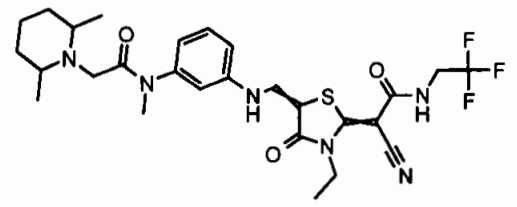
437		6.52	482	483	PSM 1
438		5.97	507	508	PSM 1
439		7.72	575	576	PSM 1
440		8.77	540	541	PSM 2
441		7.02	534	535	PSM 2
442		7.12	534	535	PSM 2
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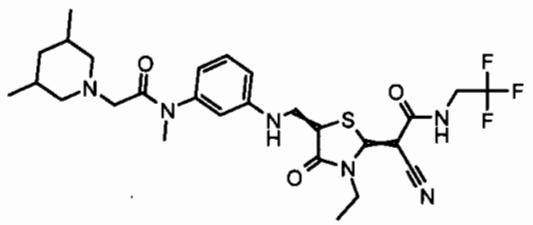
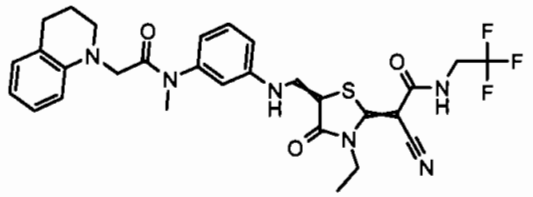
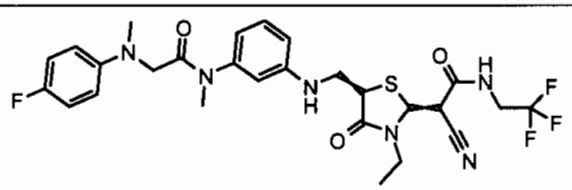
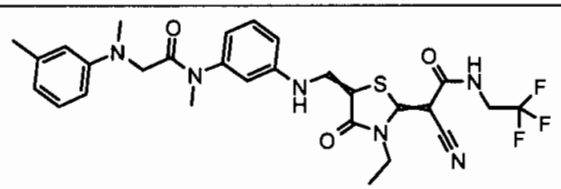
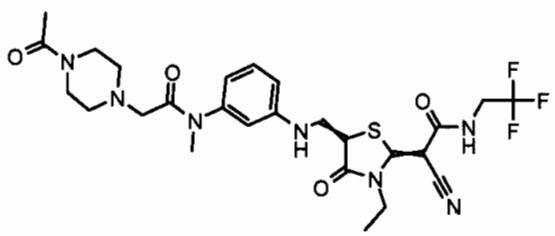
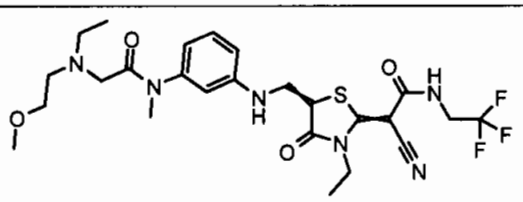
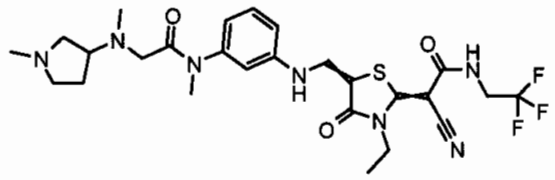
444		7.44	522	523	PSM 2
445		8.82	546	547	PSM 2
446		8.64	542	543	PSM 2
447		6.75	524	525	PSM 2
448		8.9	558	559	PSM 2
449		7.94	558	559	PSM 2
450		7.27	556	557	PSM 2

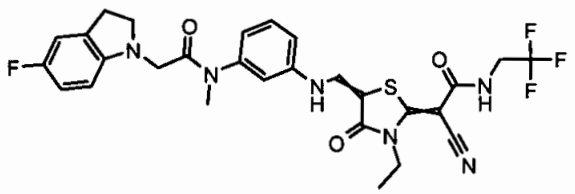
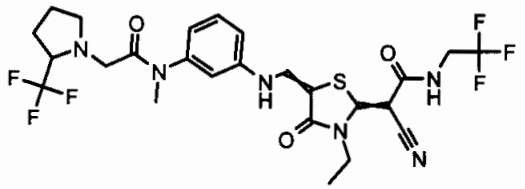
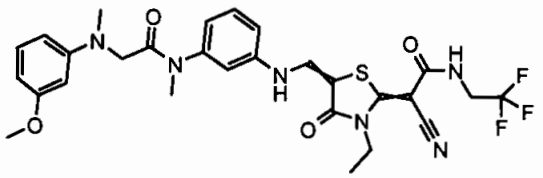
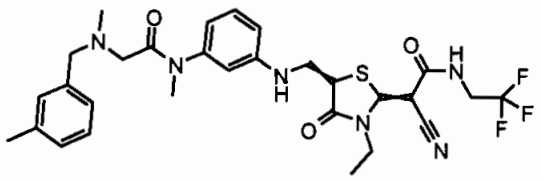
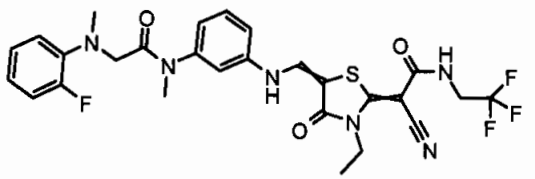
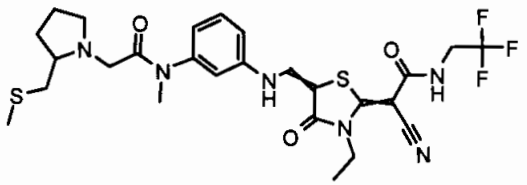
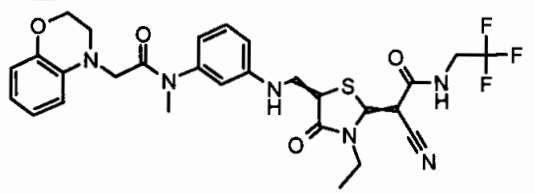
451		9.2	546	547	PSM 2
452		6.89	552	553	PSM 2
453		9.22	556	557	PSM 2
454		7.1	522	523	PSM 2
455		6.83	542	543	PSM 2
456		6.53	492	493	PSM 2
457		6.24	508	509	PSM 2

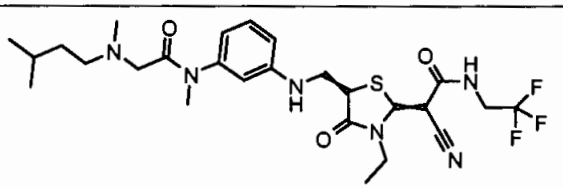
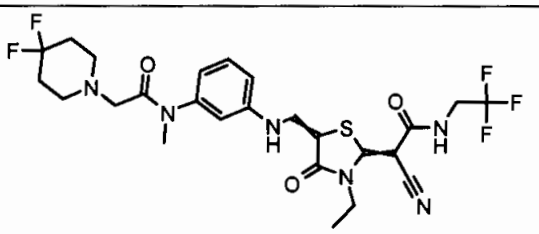
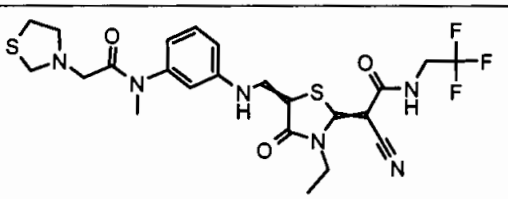
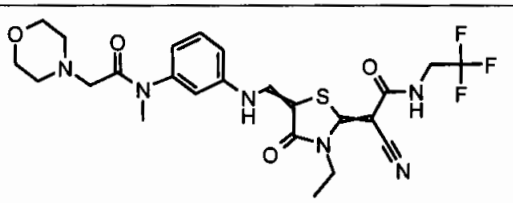
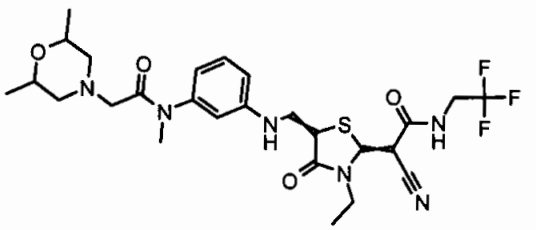
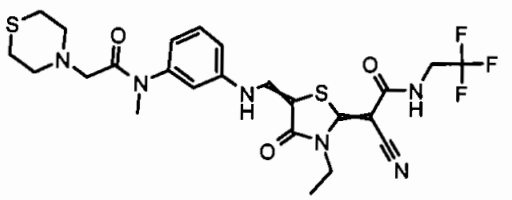
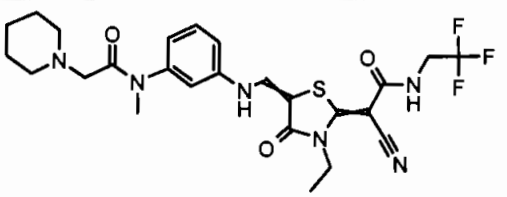
458		6.67	536	537	PSM 2
459		6.59	524	525	PSM 2
460		6.65	506	507	PSM 2
461		7.05	554	555	PSM 2
462		8.62	528	529	PSM 2
463		6.84	520	521	PSM 2

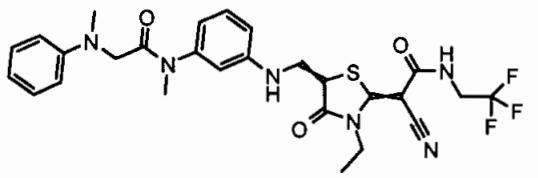
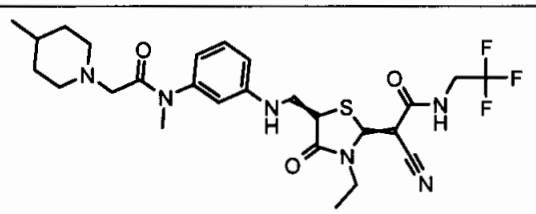
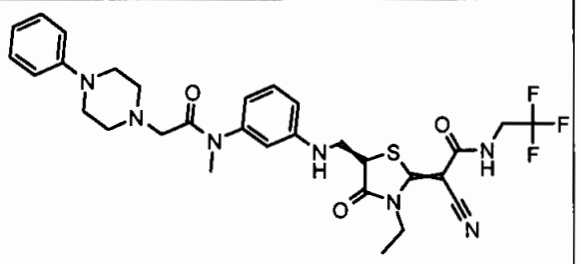
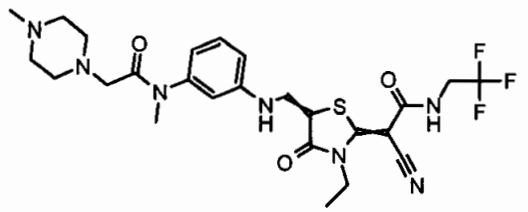
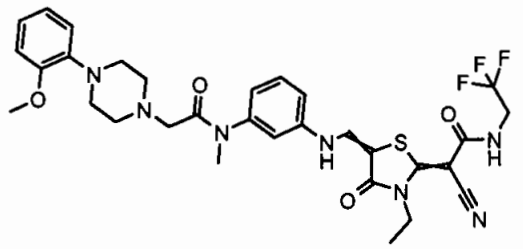
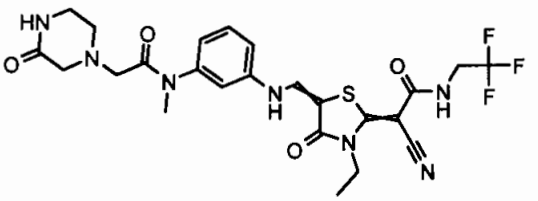
464		7.43	583	584	PSM 2
465		5.74	521	522	PSM 2
466		7.33	613	614	PSM 2
467		7.96	611	612	PSM 2
468		7.5	601	602	PSM 2
469		7.49	601	602	PSM 2

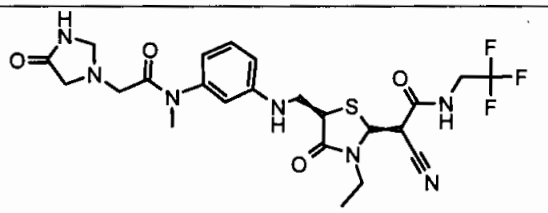
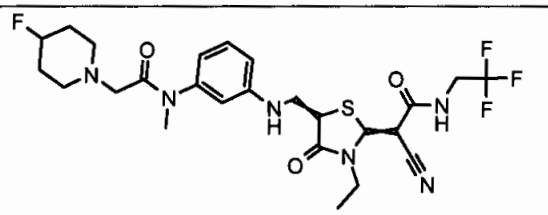
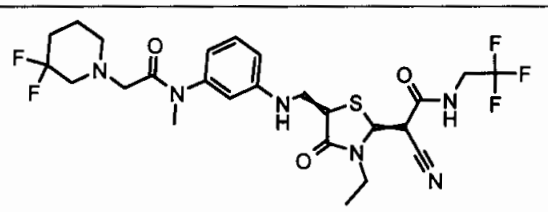
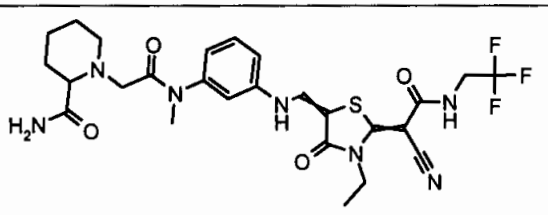
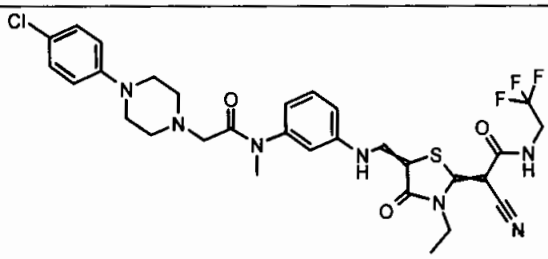
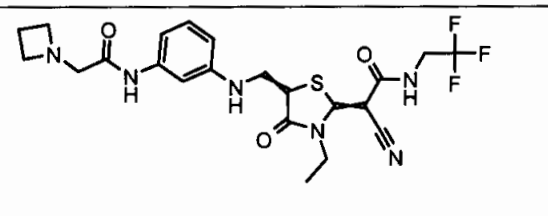
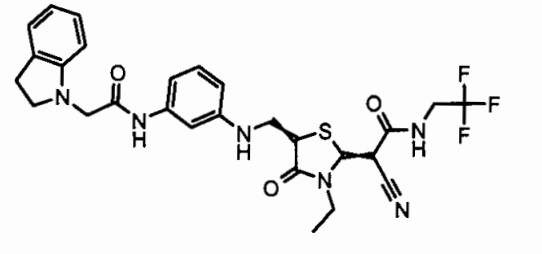
470		6.53	524	525	PSM 2
471		6.77	542	543	PSM 2
472		6.29	549	550	PSM 2
473		7.24	613	614	PSM 2
474		6.77	522	523	PSM 2
475		9.37	584	585	PSM 2
476		7.43	578	579	PSM 2

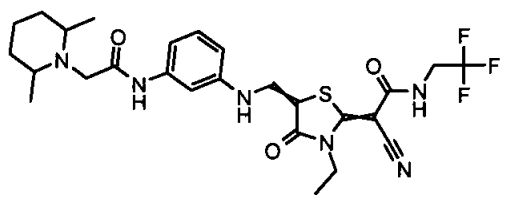
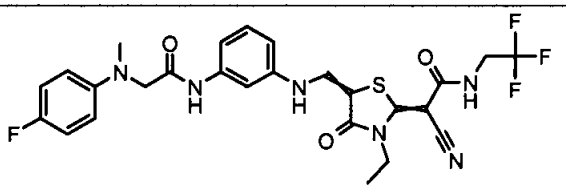
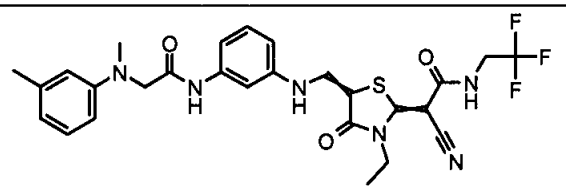
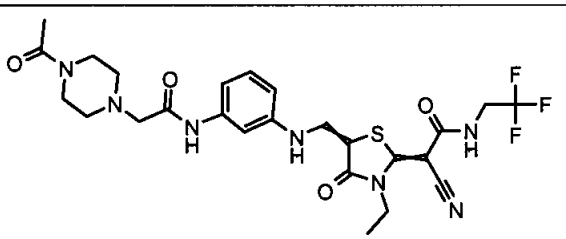
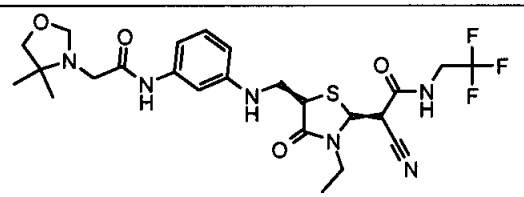
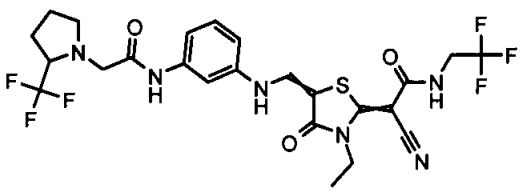
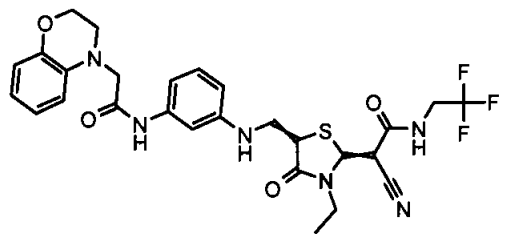
477		7.49	578	579	PSM 2
478		10.2	598	599	PSM 2
479		9.32	590	591	PSM 2
480		9.13	586	587	PSM 2
481		6.44	593	594	PSM 2
482		7.1	568	569	PSM 2
483		6.05	579	580	PSM 2

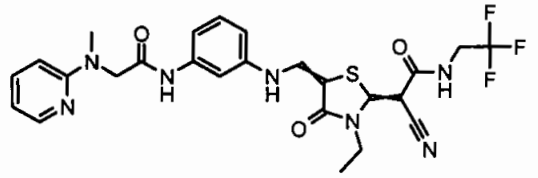
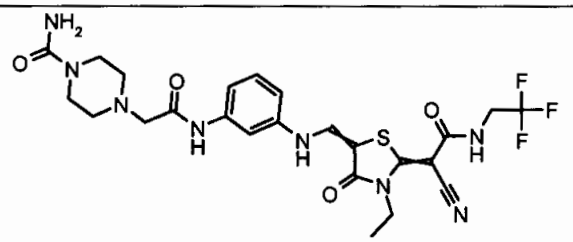
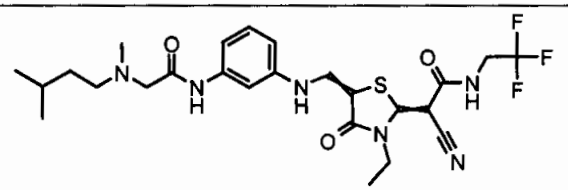
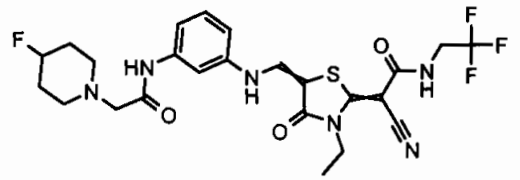
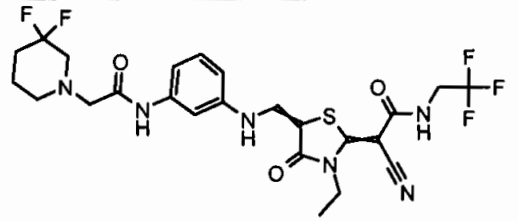
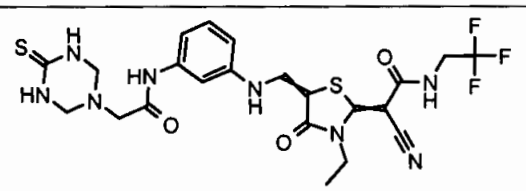
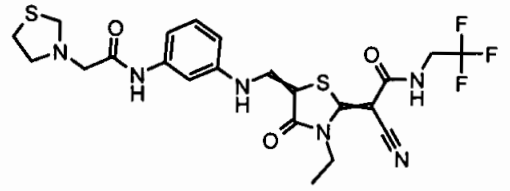
484		9.5	602	603	PSM 2
485		9.12	604	605	PSM 2
486		9.32	602	603	PSM 2
487		7.66	600	601	PSM 2
488		9.72	590	591	PSM 2
489		7.28	596	597	PSM 2
490		9.71	600	601	PSM 2

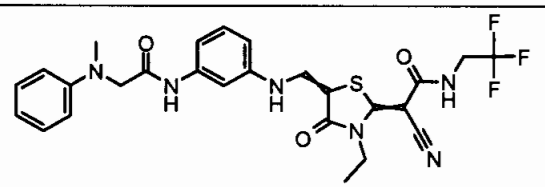
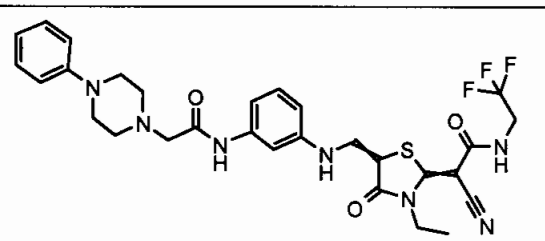
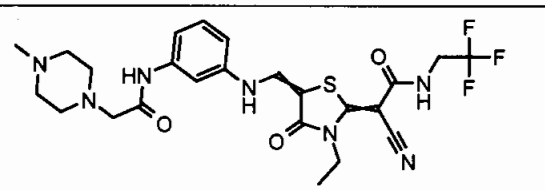
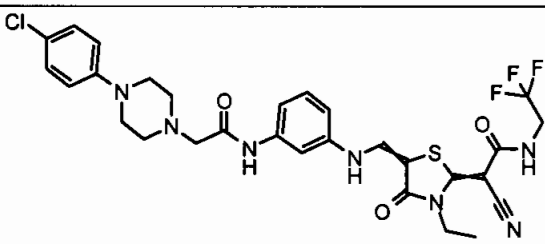
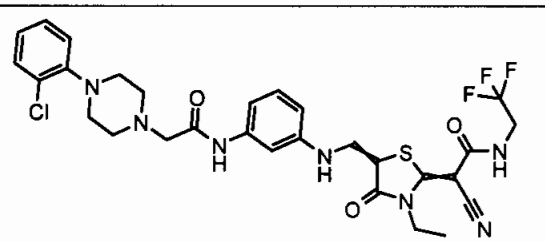
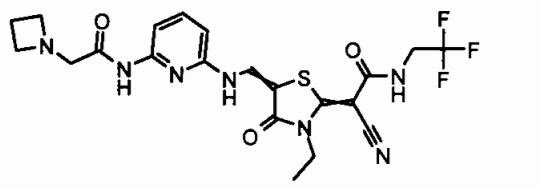
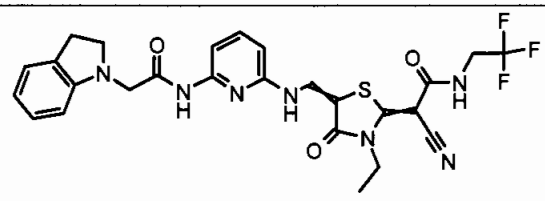
491		7.49	566	567	PSM 2
492		7.25	586	587	PSM 2
493		6.92	554	555	PSM 2
494		6.65	552	553	PSM 2
495		7.08	580	581	PSM 2
496		7.02	568	569	PSM 2
497		7.06	550	551	PSM 2

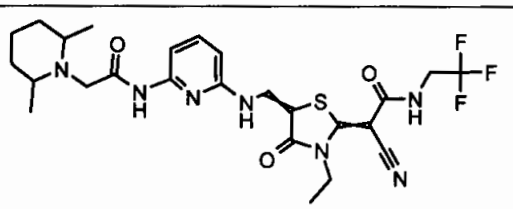
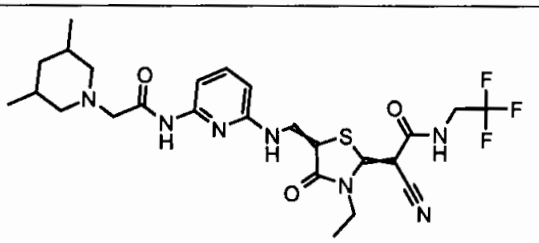
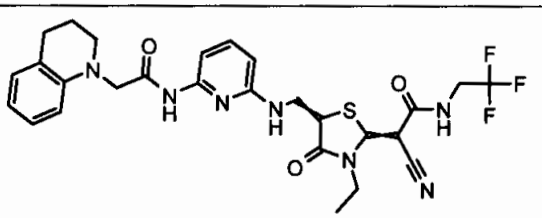
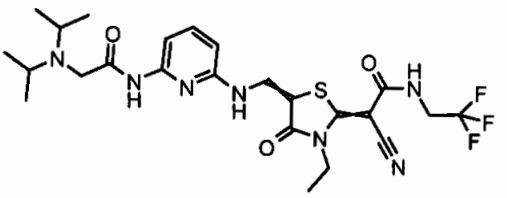
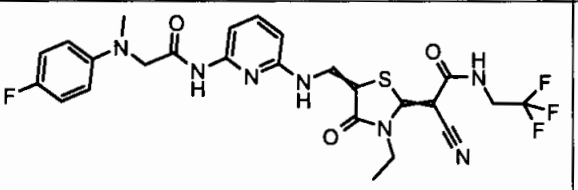
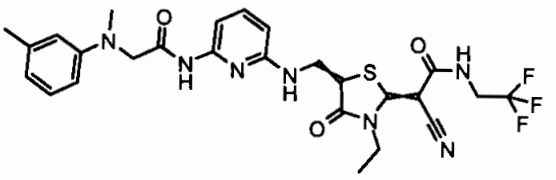
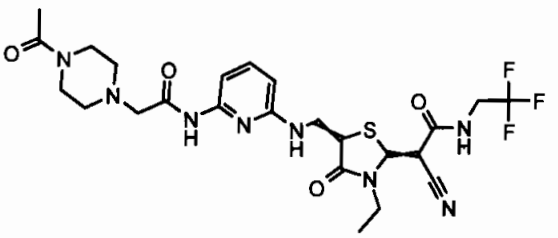
498		9.12	572	573	PSM 2
499		7.26	564	565	PSM 2
500		7.77	627	628	PSM 2
501		6.09	565	566	PSM 2
502		7.74	657	658	PSM 2
503		6.45	565	566	PSM 2

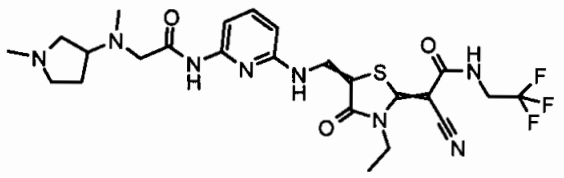
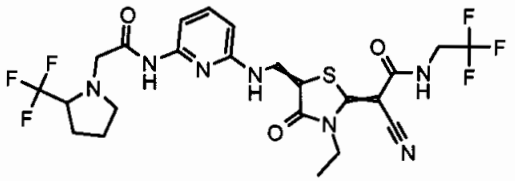
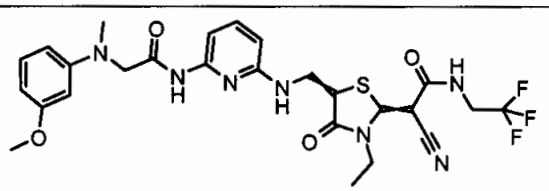
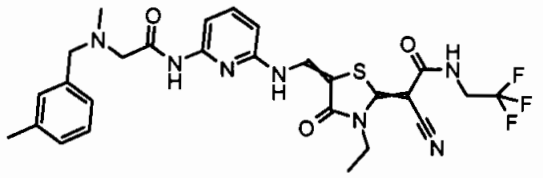
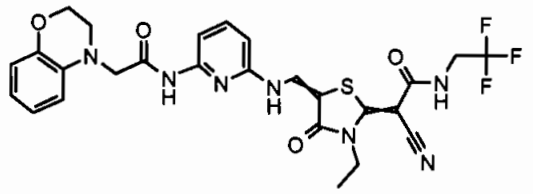
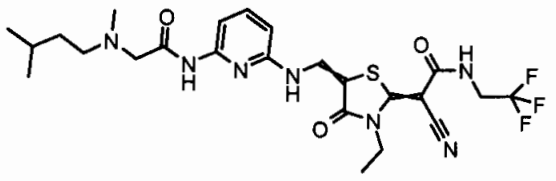
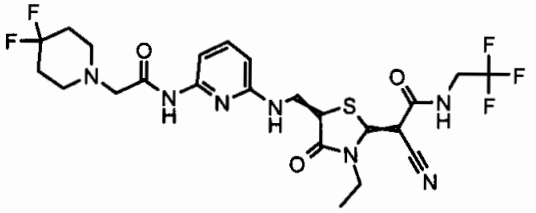
504		6.51	551	552	PSM 2
505		7.18	568	569	PSM 2
506		7.07	586	587	PSM 2
507		6.74	593	594	PSM 2
508		8.04	661	662	PSM 2
509		6.51	508	509	PSM 2
510		9.89	570	571	PSM 2

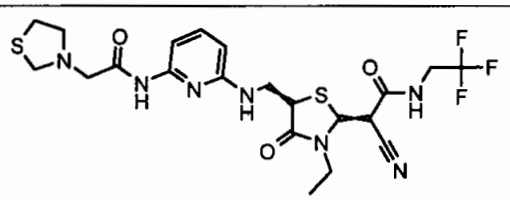
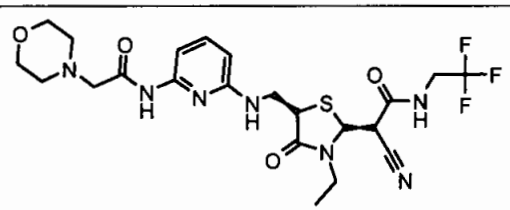
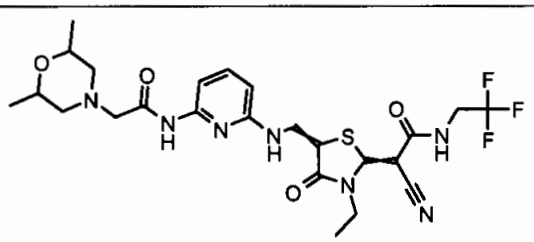
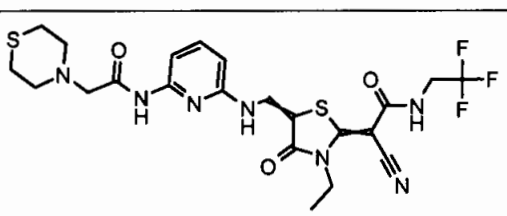
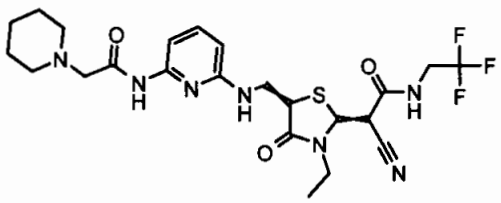
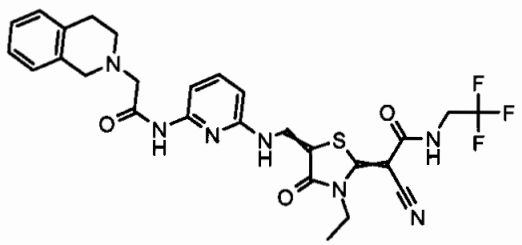
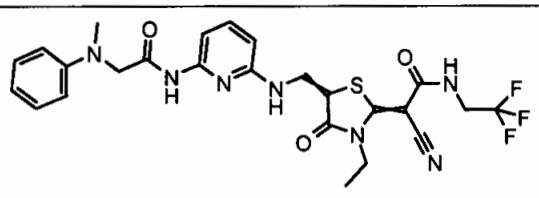
511		7.09	564	565	PSM 2
512		9.6	576	577	PSM 2
513		9.97	572	573	PSM 2
514		9.94	579	580	PSM 2
515		5.96	552	553	PSM 2
516		9.69	590	591	PSM 2
517		7.21	586	587	PSM 2

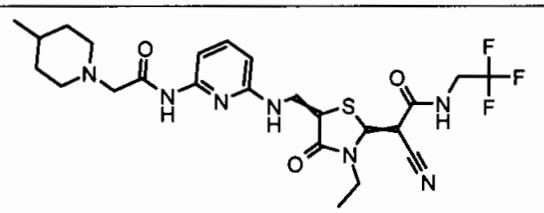
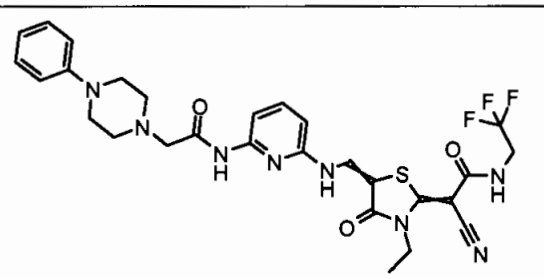
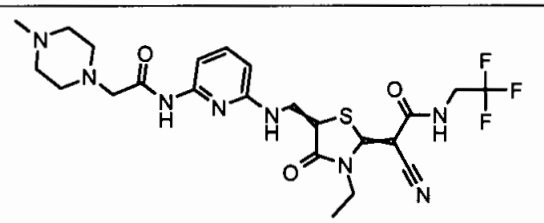
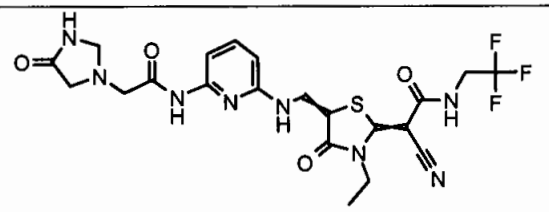
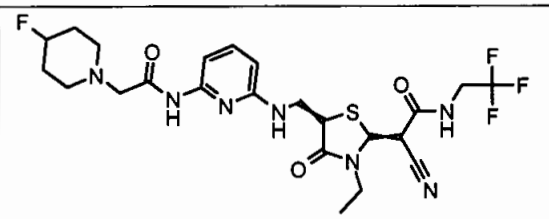
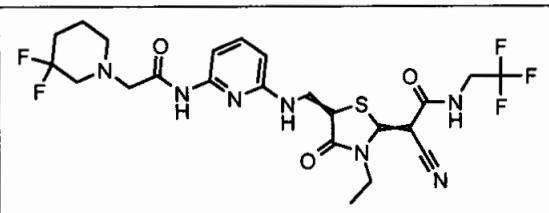
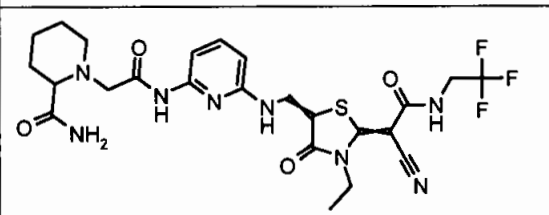
518		7.18	559	560	PSM 2
519		6.63	580	581	PSM 2
520		6.43	552	553	PSM 2
521		6.86	554	555	PSM 2
522		6.89	572	573	PSM 2
523		9.61	568	569	PSM 2
524		6.95	540	541	PSM 2

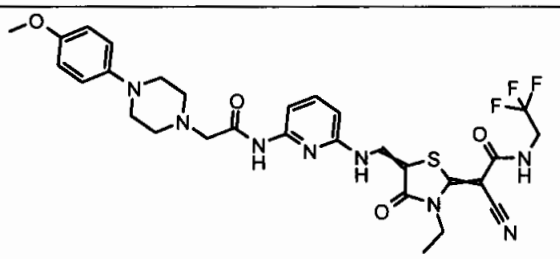
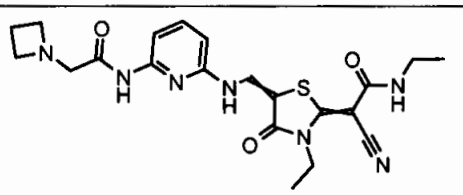
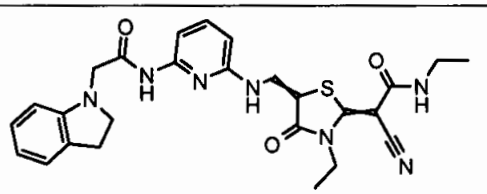
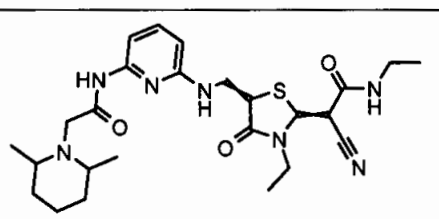
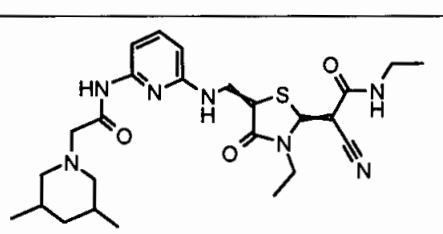
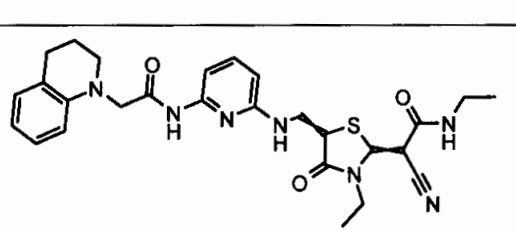
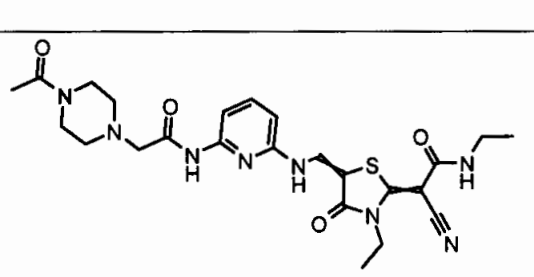
525		6.31	558	559	PSM 2
526		6.86	613	614	PSM 2
527		7.33	551	552	PSM 2
528		6.48	647	648	PSM 2
529		7.89	647	648	PSM 2
530		0.0	509	510	PSM 2
531		10.48	571	572	PSM 2

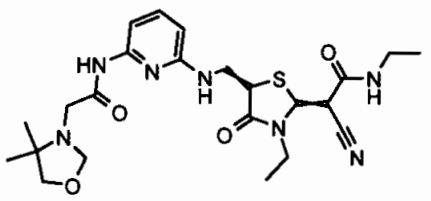
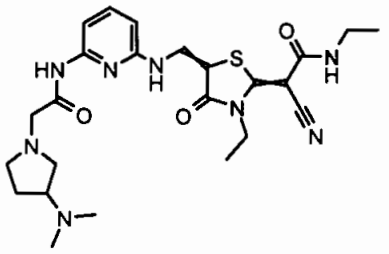
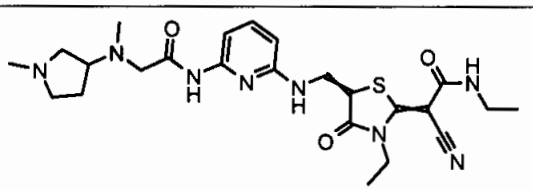
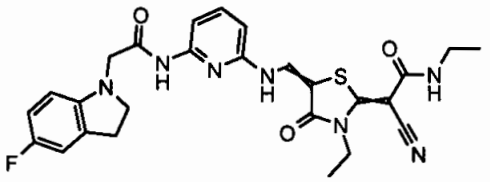
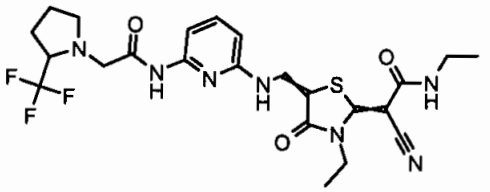
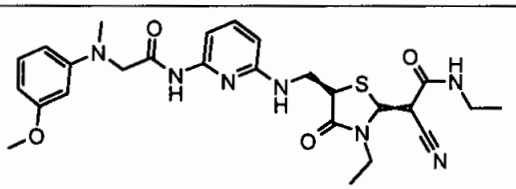
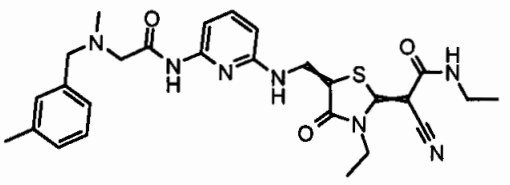
532		7.47	565	566	PSM 2
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534		10.63	585	586	PSM 2
535		7.21	553	554	PSM 2
536		10.44	577	578	PSM 2
537		10.86	573	574	PSM 2
538		6.5	580	581	PSM 2

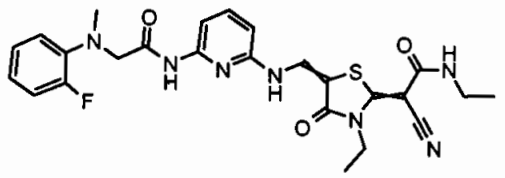
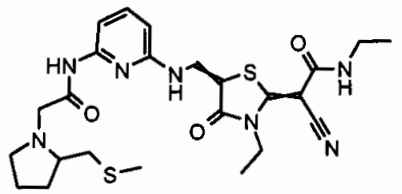
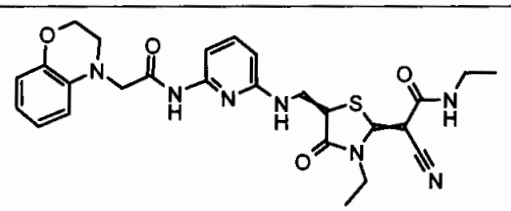
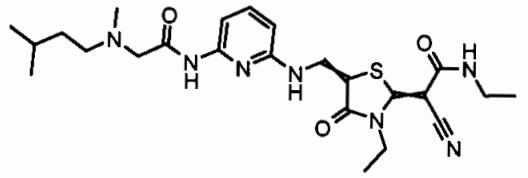
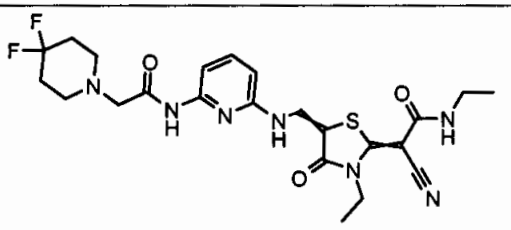
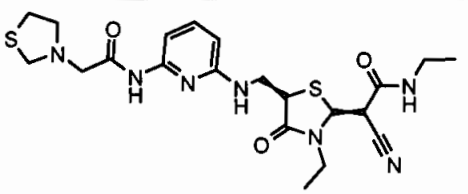
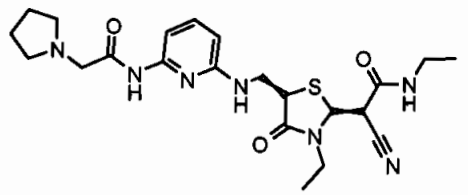
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540		10.05	591	592	PSM 2
541		10.31	589	590	PSM 2
542		7.79	587	588	PSM 2
543		10.04	587	588	PSM 2
544		7.61	553	554	PSM 2
545		7.18	573	574	PSM 2

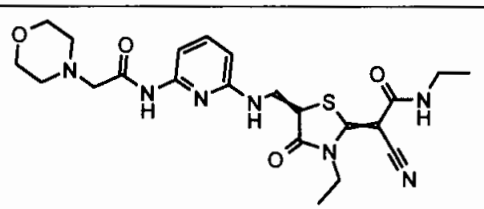
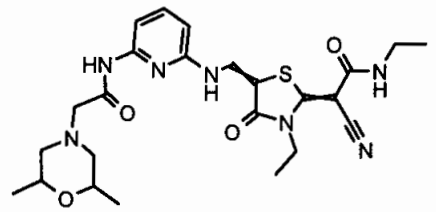
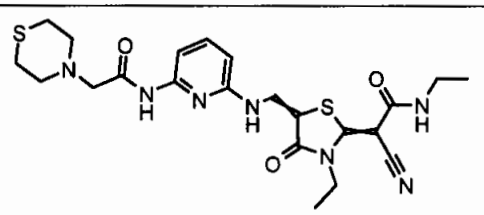
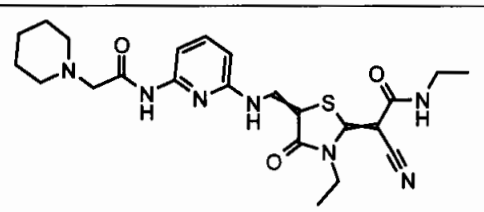
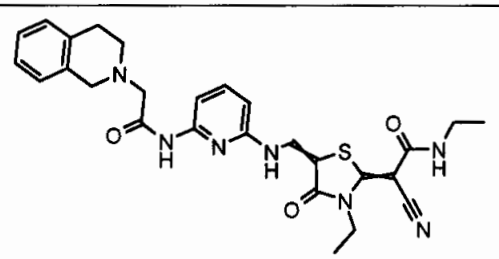
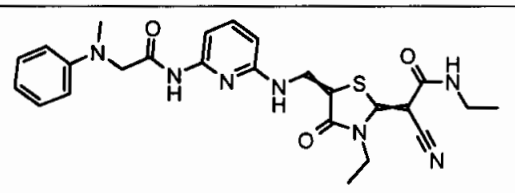
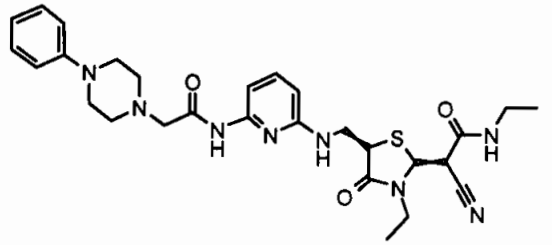
546		7.26	541	542	PSM 2
547		6.74	539	540	PSM 2
548		7.06	567	568	PSM 2
549		6.93	555	556	PSM 2
550		7.01	537	538	PSM 2
551		7.61	585	586	PSM 2
552		9.95	559	560	PSM 2

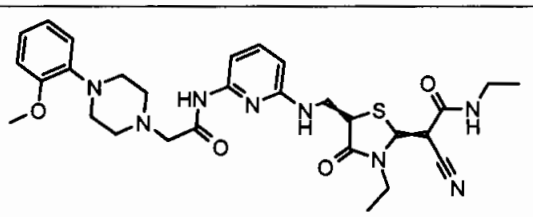
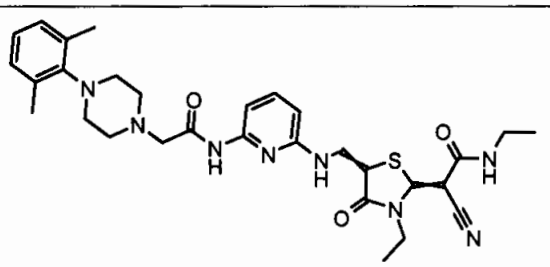
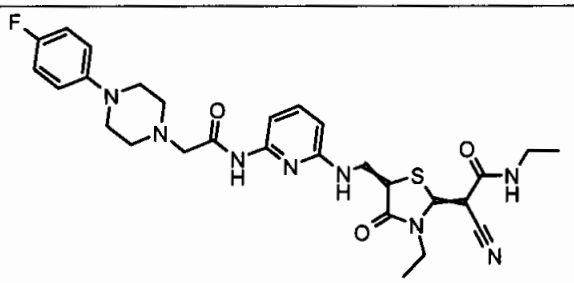
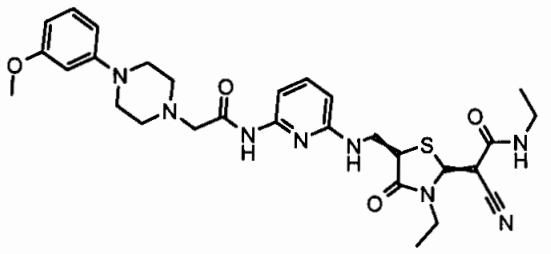
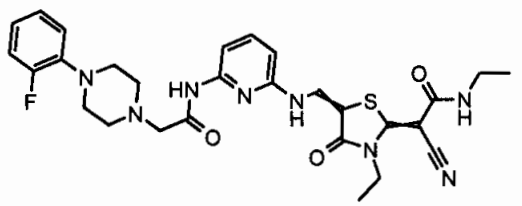
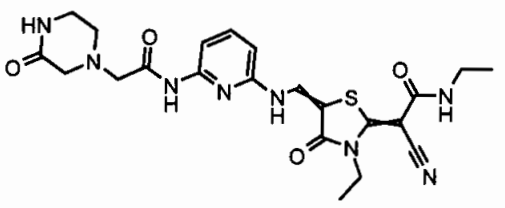
553		7.23	551	552	PSM 2
554		0.0	614	615	PSM 2
555		6.54	552	553	PSM 2
556		6.67	538	539	PSM 2
557		7.09	555	556	PSM 2
558		7.48	573	574	PSM 2
559		6.65	580	581	PSM 2

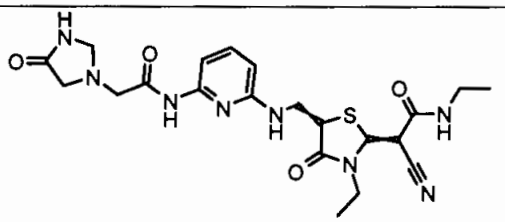
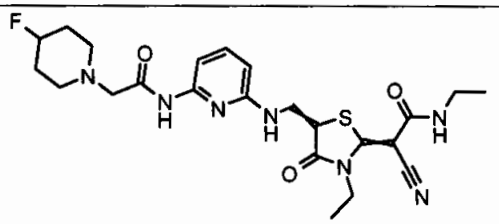
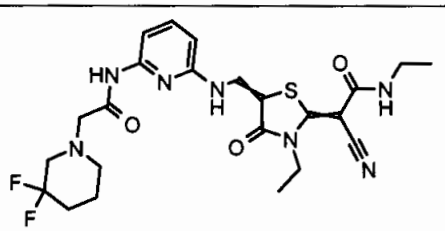
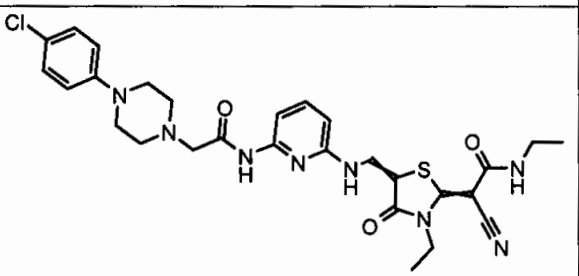
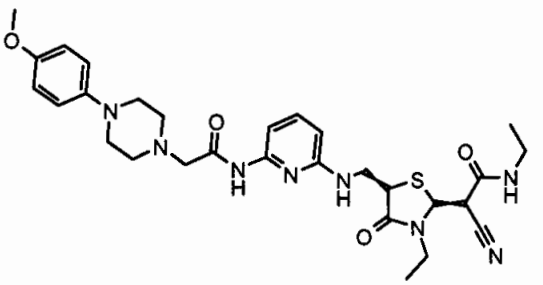
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561		6.28	455	456	PSM 2
562		10.01	517	518	PSM 2
563		3.37	511	512	PSM 2
564		7.24	511	512	PSM 2
565		10.38	531	532	PSM 2
566		6.01	526	527	PSM 2

567		6.32	499	500	PSM 2
568		5.68	512	513	PSM 2
569		5.66	512	513	PSM 2
570		9.96	535	536	PSM 2
571		9.92	537	538	PSM 2
572		9.58	535	536	PSM 2
573		7.36	533	534	PSM 2

574		10.06	523	524	PSM 2
575		7.04	529	530	PSM 2
576		9.64	533	534	PSM 2
577		7.22	499	500	PSM 2
578		6.88	519	520	PSM 2
579		6.71	487	488	PSM 2
580		6.33	469	470	PSM 2

581		6.22	485	486	PSM 2
582		6.69	513	514	PSM 2
583		6.56	501	502	PSM 2
584		6.48	483	484	PSM 2
585		7.19	531	532	PSM 2
586		9.81	505	506	PSM 2
587		7.33	560	561	PSM 2

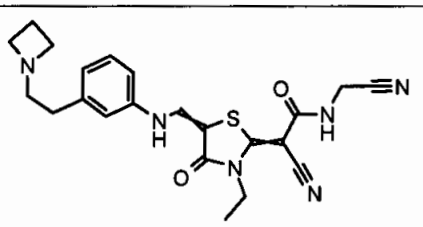
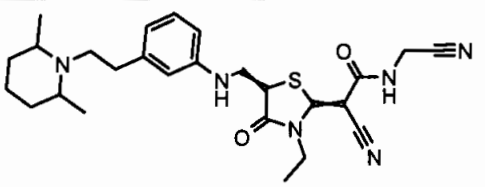
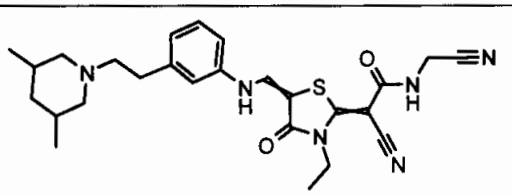
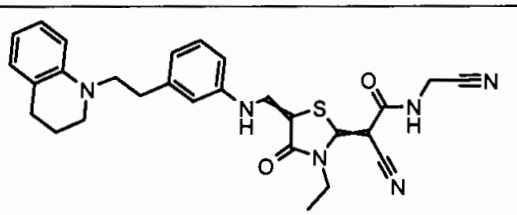
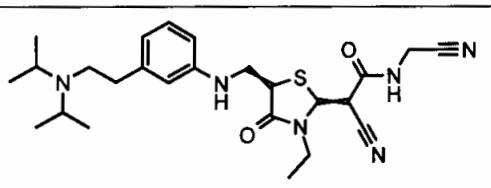
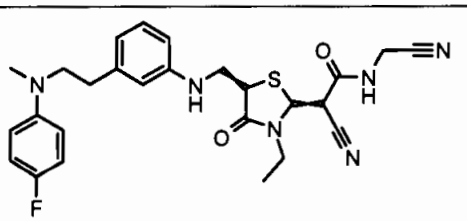
588		7.41	590	591	PSM 2
589		8.03	588	589	PSM 2
590		7.43	578	579	PSM 2
591		7.46	590	591	PSM 2
592		7.57	578	579	PSM 2
593		6.0	498	499	PSM 2

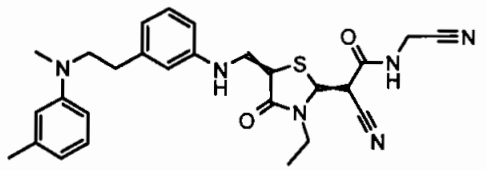
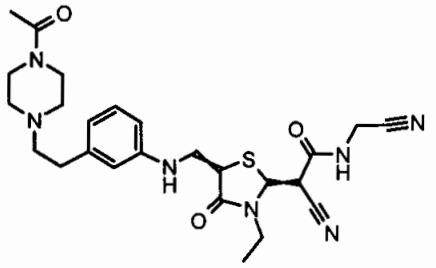
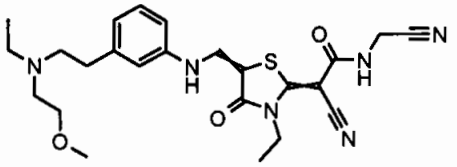
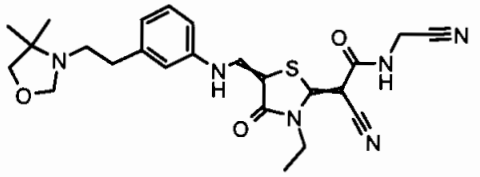
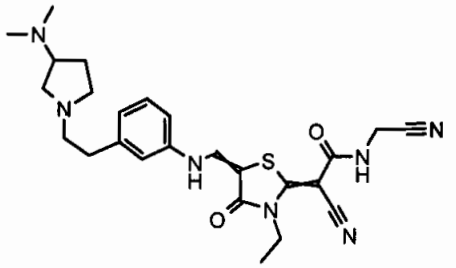
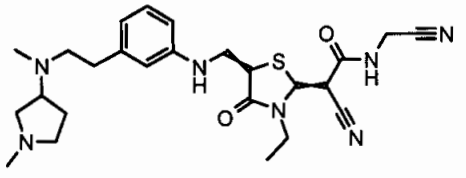
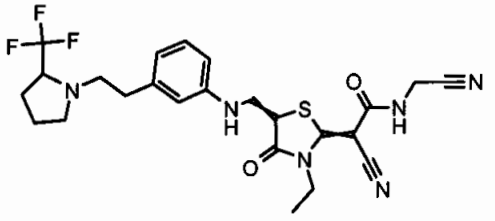
594		6.1	484	485	PSM 2
595		6.57	501	502	PSM 2
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597		7.8	594	595	PSM 2
598		7.26	590	591	PSM 2

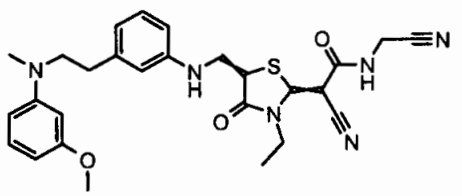
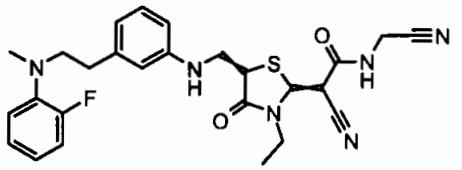
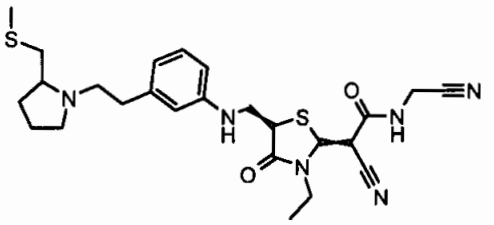
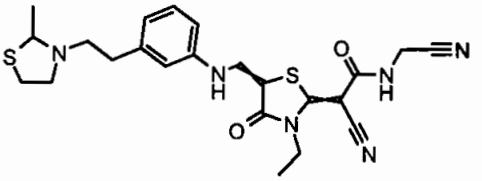
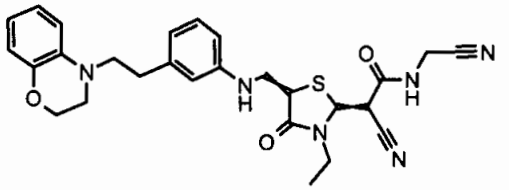
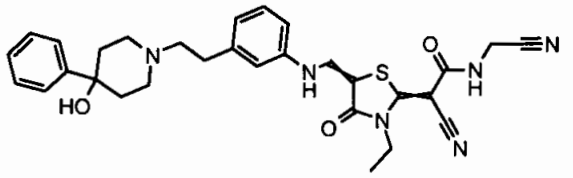
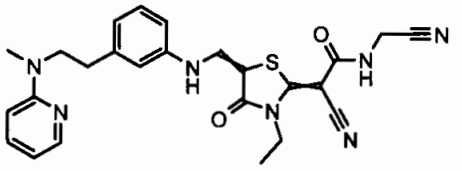
6. Additional Amides

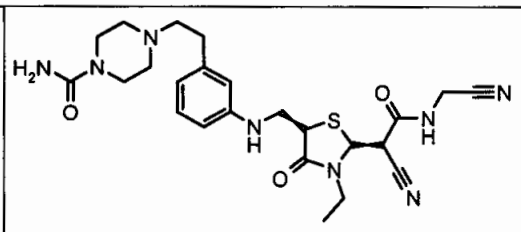
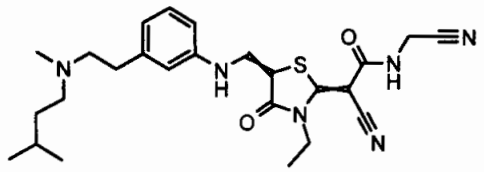
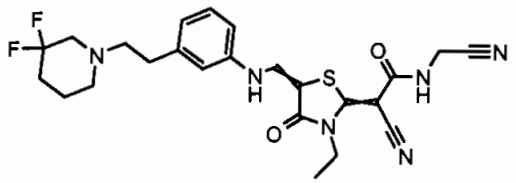
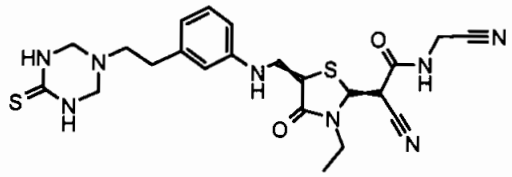
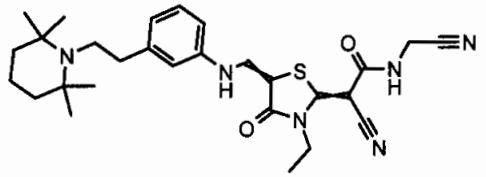
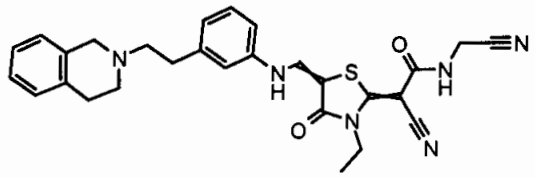
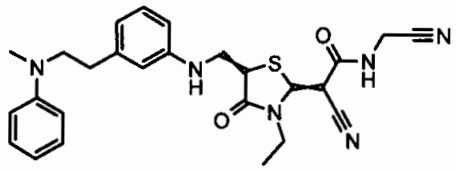
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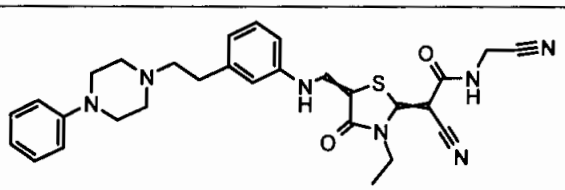
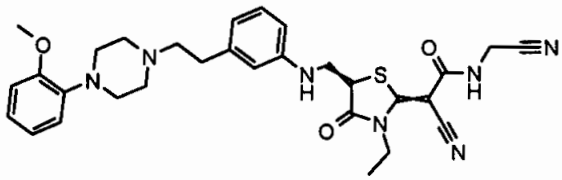
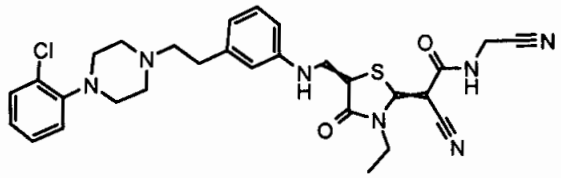
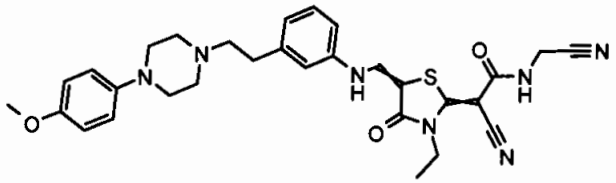
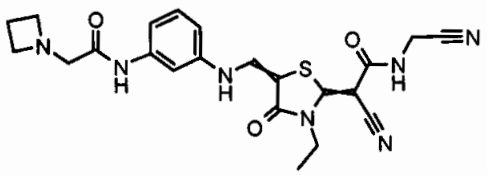
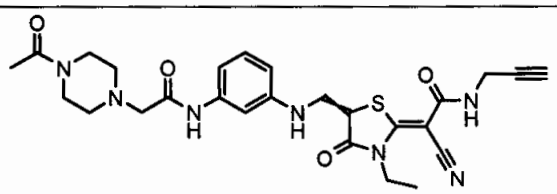
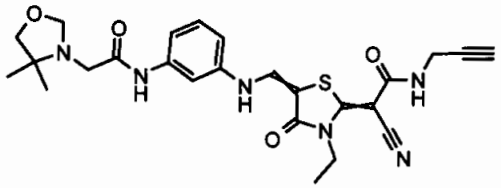
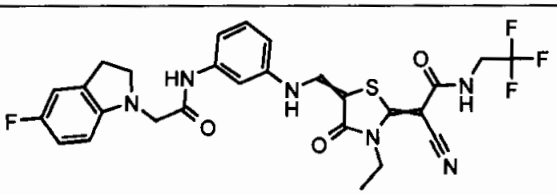
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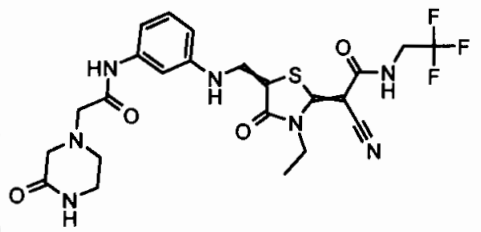
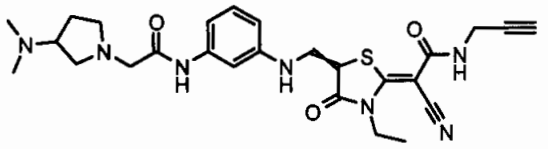
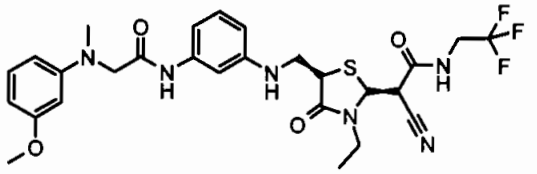
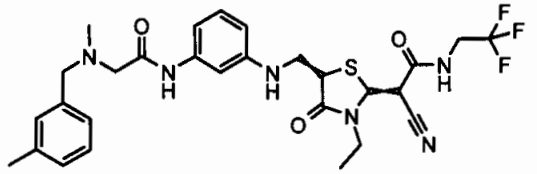
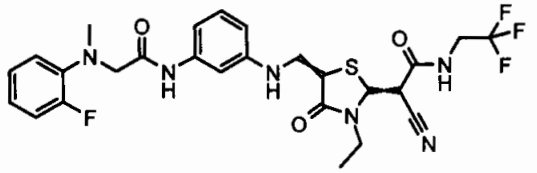
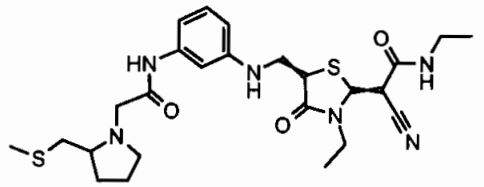
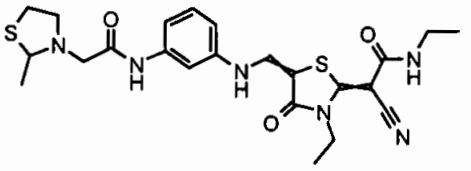
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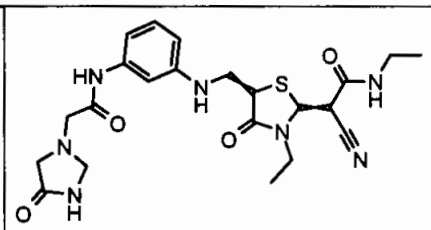
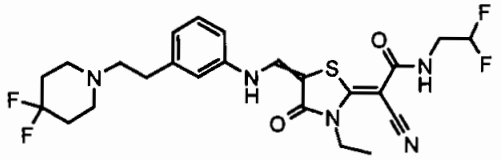
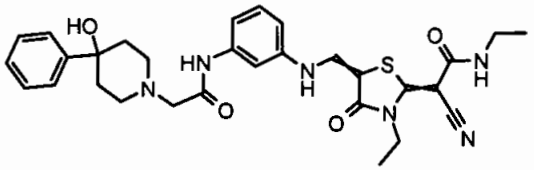
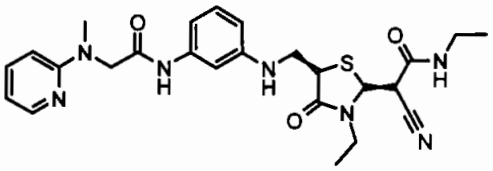
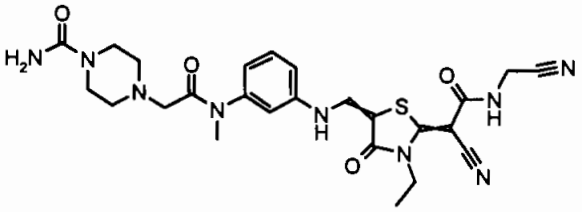
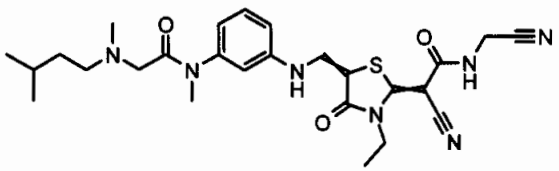
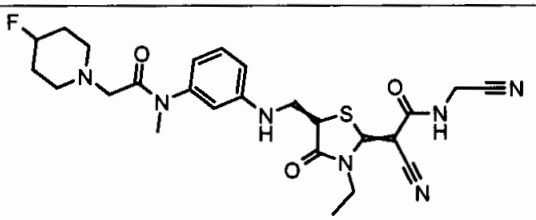
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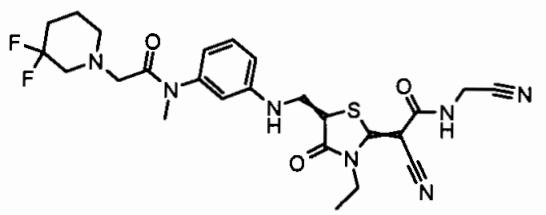
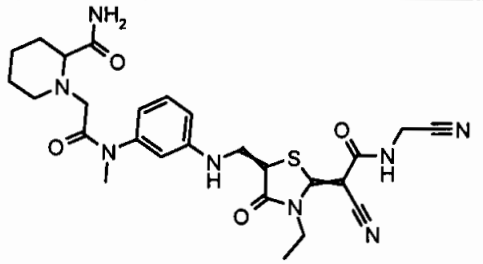
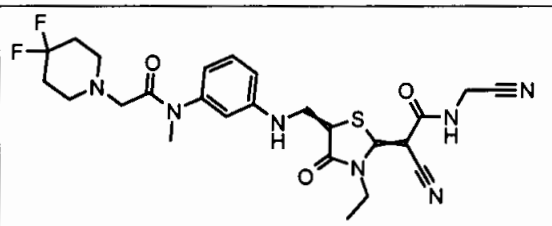
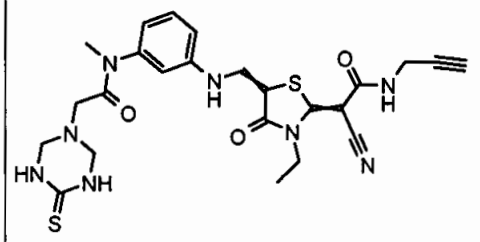
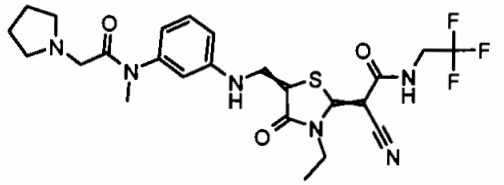
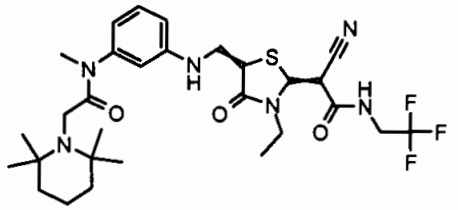
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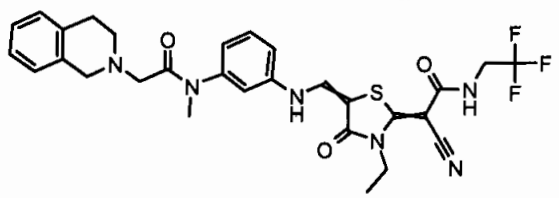
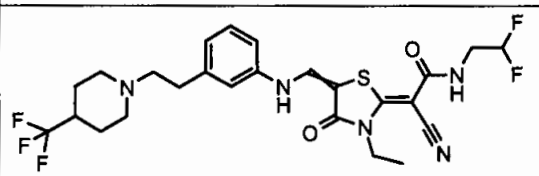
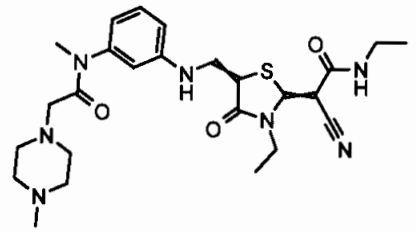
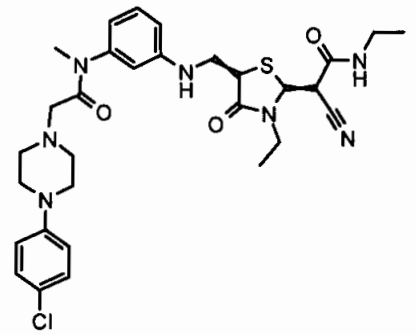
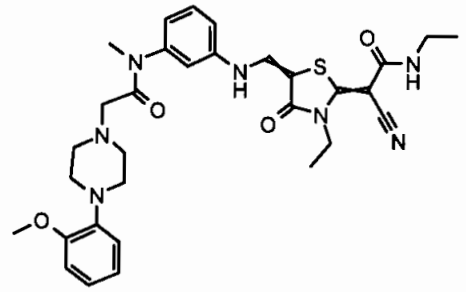
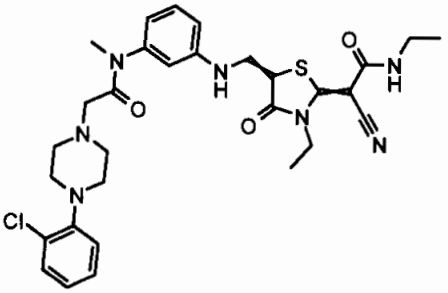
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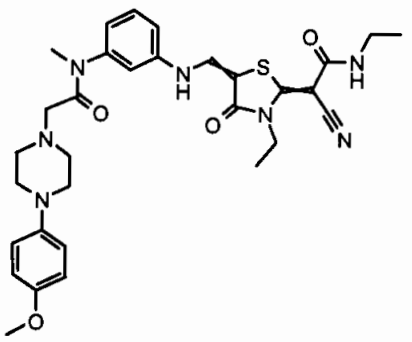
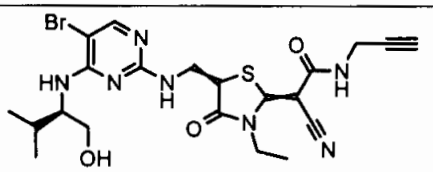
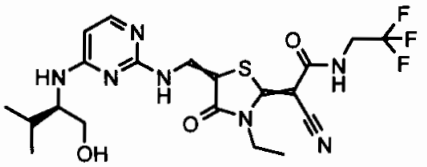
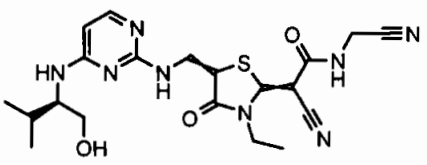
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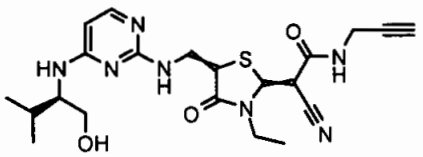
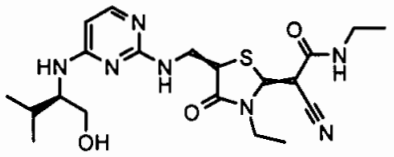
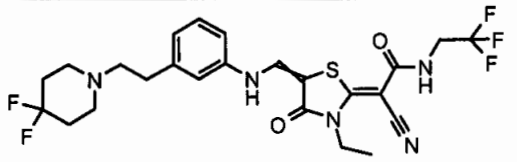
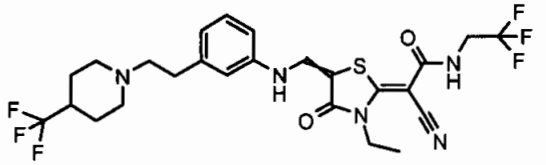
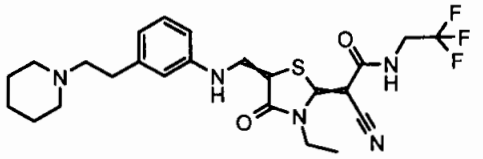
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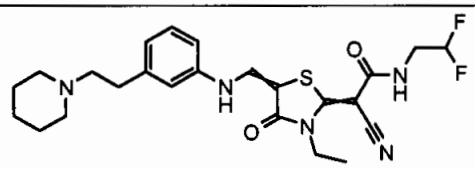
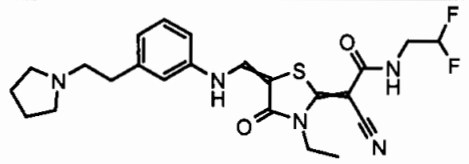
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661	 2-[5-[1-[5-Bromo-4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-2-cyano-N-prop-2-ynyl-acetamide
662	 2-Cyano-2-[3-ethyl-5-[1-[4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide
663	 2-Cyano-N-cyanomethyl-2-[3-ethyl-5-[1-[4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or

	Z))-ylidene]-acetamide
664	 2-Cyano-2-[3-ethyl-5-[1-[4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide
665	 2-Cyano-N-ethyl-2-[3-ethyl-5-[1-[4-((R)-1-hydroxymethyl-2-methyl-propylamino)-pyrimidin-2-ylamino]-meth-(E/Z)-ylidene]-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide
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Examples

The following examples describe the biological action of the compounds according to the invention:

PLK Enzyme Assay

Recombinant human Plk-1 (6xHis) was purified from baculovirus-infected insect cells (Hi5).

10 ng of (produced in a recombinant manner and purified) PLK enzyme is incubated for 90 minutes at room temperature with biotinylated casein and 33P-γ-ATP as a substrate in a volume of 15 µl in 384-well Greiner small-volume microtiter plates (final concentrations in the buffer: 660 ng/ml of PLK; 0.7 µmol of casein, 0.5 µmol of ATP incl. 400 nCi/ml of 33P-γ-ATP; 10 mmol of MgCl2, 1 mmol of MnCl2; 0.01% NP40; 1 mmol of DTT, protease inhibitors; 0.1 mmol of Na2VO3 in 50 mmol of HEPES, pH 7.5). To complete the reaction, 5 µl of stop solution (500 µmol of ATP; 500 mmol of EDTA; 1% Triton X100; 100 mg/ml of streptavidin-coated SPA beads in PBS) is added. After the microtiter plate is sealed by film, the beads are sedimented by centrifuging (10 minutes, 1500 rpm). The incorporation of 33P-γ-ATP in casein is intended as a measurement of enzyme activity by ß-counting. The extent of the inhibitor activity is referenced against a solvent control (= uninhibited enzyme activity = 0% inhibition) and the mean value of several batches that contained 300 µmol of wortmannin (= completely inhibited enzyme activity = 100% inhibition).

Test substances are used in various concentrations (0 µmol, as well as in the range of 0.01 - 30 µmol). The final concentration of the solvent dimethyl sulfoxide is 1.5% in all batches.

Proliferation Assay

Cultivated human MaTu breast tumor cells were flattened out at a density of 5000 cells/measuring point in a 96-well multititer plate in 200 µl of the corresponding growth medium. After 24 hours, the cells of one plate (zero-point plate) were colored with crystal violet (see below), while the medium of the other plates was replaced by fresh culture medium (200 µl), to which the test substances were added at various concentrations (0 µm, as well as in the range of 0.01-30 µm; the final concentration of the solvent dimethyl sulfoxide was 0.5%). The cells were incubated for 4 days in the presence of test substances. The cell proliferation was determined by coloring the cells with crystal violet: the cells were fixed by adding 20 µl/measuring point of an 11% glutaric aldehyde solution for 15 minutes at room temperature. After three washing cycles of the fixed cells with water, the plates were dried at room temperature. The cells were colored by adding 100 µl/measuring point of a 0.1% crystal violet solution (pH was set at 3 by adding acetic acid). After three washing cycles of the colored cells with water, the plates were dried at room temperature. The dye was dissolved by adding 100 µl/measuring point of a 10% acetic acid solution. The extinction was determined by photometry at a wavelength of 595 nm. The change of cell growth, in percent, was calculated by standardization of the measured values to the extinction values of the zero-point plate (=0%) and the extinction of the untreated (0 µm) cells (=100%).

The results of the PLK-1 enzyme assay and the proliferation assay are presented in Table 1 below:

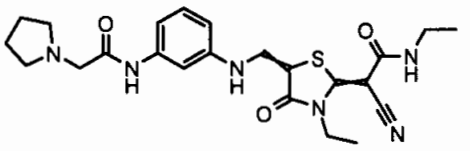
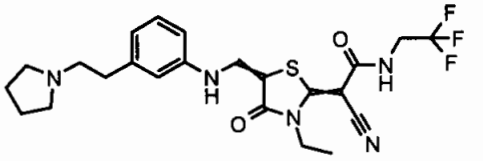
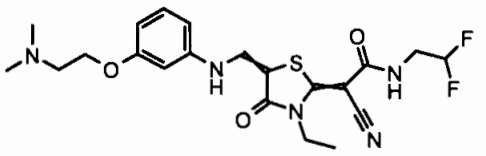
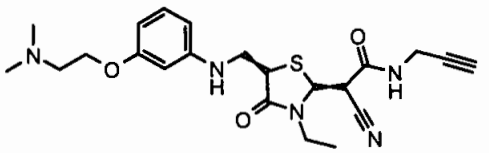
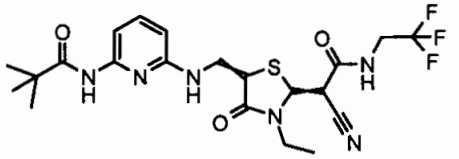
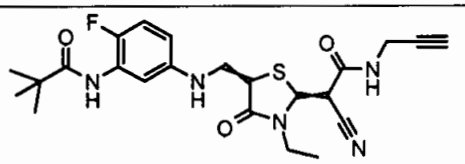
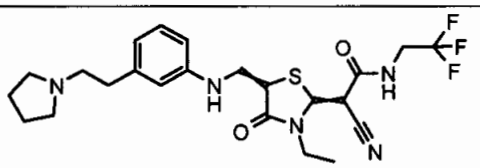
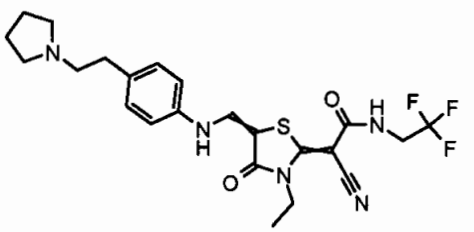
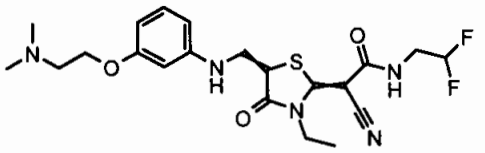
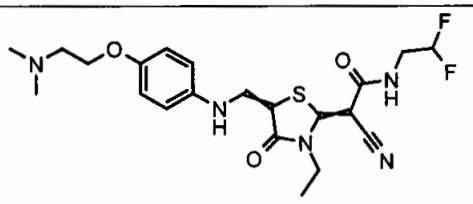
Example No.	Structure	PLK-1 IC50 [nM] Inhibition	Inhibition of the Tumor Cell Proliferation (MaTu) IC50 [µM]
55		150	0.78
30		16	0.2
127		24	0.33
126		22	0.59
41		20	0.83
63		100	0.65

Table 2: Comparison to the Prior Art

Example No.	Structure	PLK-1 IC50 [nM] Inhibition	Inhibition of the Tumor Cell Proliferation (MaTu) IC50 [µM]
30		16	0.2
Compari-son 527 from PCT/EP2004/012242		100	2.8
127		24	0.33
Compari-son 310 from PCT/EP2004/012242		74	5.6

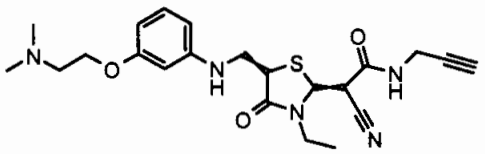
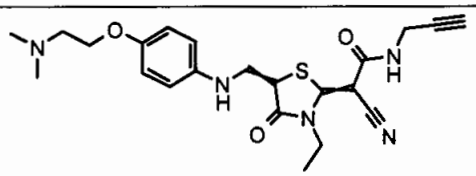
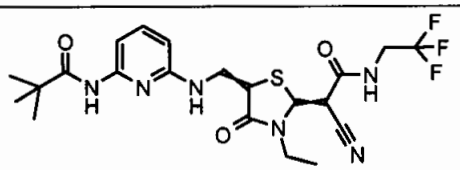
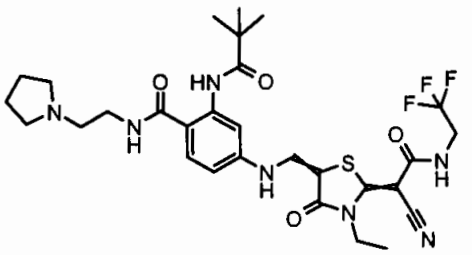
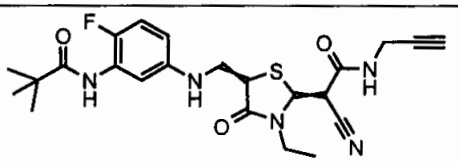
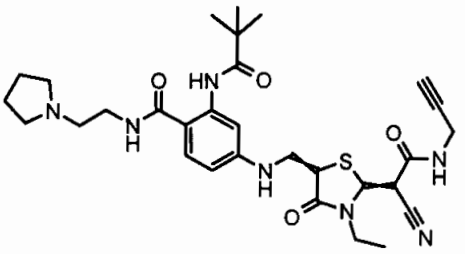
Example No.	Structure	PLK-1 IC50 [nM] Inhibition	Inhibition of the Tumor Cell Proliferation (MaTu) IC50 [µM]
126		22	0.59
Compari-son 307 from PCT/EP2004/012242		71	1.7

Table 3: Comparison to the Prior Art

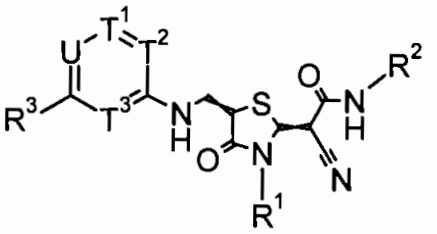
Example No.	Structure	PLK-1 IC50 [nM] Inhibition	Inhibition of the Tumor Cell Proliferation (MaTu) IC50 [µM]
41		20	0.83

Example No.	Structure	PLK-1 IC50 [nM] Inhibition	Inhibition of the Tumor Cell Proliferation (MaTu) IC50 [µM]
Comparison 326 from PCT/EP2004/012242		73	1.6
63		100	0.65
Comparison 323 from PCT/EP2004/012242		140	2.5

From Table 1, it can be seen that these inventive compounds of general formula I inhibit PLK. In addition, one skilled in the art can see from Tables 2 and 3 that these inventive substances are also better than the closest prior art.

Claims:

1. Compounds of general formula I,



in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=, and T^2 in addition can also stand for (-CF)=,

U stands for $–CR^4=$ or –N=,

R^1 stands for C_1-C_3-alkyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^2 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl, C_3-C_4-alkinyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen, or hydroxyethyl that is substituted in at least one place with methyl,

R^3 stands for K, L or M, or for R^{15},

K stands for C_1-C_3-alkyl or C_2-C_4-alkenyl that optionally is substituted in one or more places, in the same way or differently, with X,

X stands for halogen, hydroxy or for the group $–OR^6$, $-NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, -(C=S)- or $–SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in

one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group –O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^8, -O-$(CH_2)_n$-(CO)-R^{15} or –O-$(CH_2)_n$-(CO)-O-R^8,

M stands for the group -NH-R^9, -NH-(CO)-OH), -NH-(CO)-O-R^9 or –NR^{12}-(CO)-R^9,

R^4 stands for hydrogen, cyano or halogen or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^5 stands for C_1-C_4-alkyl, phenyl or -$NR^{12}R^{13}$,

R^6 stands for –SO_2-R^{14},

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^8 stands for C_1-C_3-alkyl, C_3-C_4-alkenyl or C_3-C_4-alkinyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or halogen,

R^9 stands for C_1-C_5-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more $-(CO)-$ or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl, $-(CH_2)_n$-aryl or heteroaryl that optionally is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more $-(CO)-$ or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring,

R^{12} and R^{13}, independently of one another, stand for hydrogen or C_1-C_4-alkyl,

R^{14} stands for C_1-C_3-alkyl or for aryl, and

R^{15} stands for C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_3-alkyl or -$(CH_2)_n$-aryl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring,

R^{16} stands for hydrogen or for C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14} or for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14}, or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl or heteroaryl, whereby the heterocycloalkyl in the ring contains at least one atom, the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)-, –(C=S)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or optionally with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can substituted in one or more

places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy ,

or for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, or for C_2-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy-C_1-C_4-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, the same or different, from the following group of nitrogen, oxygen, or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself is substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, and

n stands for 1- 4,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

2. Compounds of general formula I, according to claim 1, in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=,

R^3 stands for K, L or M,

X stands for halogen, hydroxy or for the group –OR^6, -$NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or

$-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group $-(CO)-R^5$, or $-NR^{12}R^{13}$ or can be substituted with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group $-O-R^7$, $-O-(CH_2)_n-(CO)-NH-R^8$ or $-O-(CH_2)_n-(CO)-O-R^8$,

R^9 stands for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, or hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more $-(CO)-$ or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{16} stands for hydrogen or for C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-

heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$ or for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$ or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more $-(CO)-$ or $-SO_2-$ groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or for C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, or for C_2-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy-C_1-C_4-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more $-(CO)-$ or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and

the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -or $NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

3. Compounds of general formula I, according to claim 1 or 2, in which

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring,

R^9 stands for C_1-C_5-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, or hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{12}, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, or phenyl, which itself

optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or can be substituted with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl,

R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl or heteroaryl, optionally substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring,

R^{14} stands for C_1-C_3-alkyl or for phenyl, and

n stands for 1-4,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

4. Compounds of general formula I, according to one of claims 1 to 3, in which

R^1 stands for a methyl, ethyl, isopropyl or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or halogen, or for hydroxyethyl that is substituted in at least one place with methyl,

X stands for halogen, hydroxy or for the group –OR^6, or -$NR^{10}R^{11}$ or for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl,

piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy or phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or can be substituted with the group –(CO)-R^5, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl, optionally substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy or C_1-C_3-alkylthio,

R^4 stands for hydrogen or halogen or for methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^5 stands for methyl, ethyl, tert-butyl, phenyl or $–NH_2$,

R^6 stands for $–SO_2$-methyl,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with $–N(C_1$-C_3-alkyl$)_2$, pyrrolidinyl, morpholinyl or piperidinyl,

R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or halogen,

R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl,

benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-C_1-C_3$-alkyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, benzopyrrolidinyl, tetrahydroquinolinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, tetrahydrotriazolthionyl, morpholinyl, tetrahydroisoquinolinyl, octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl or C_1-C_3-alkoxy, or with the group $-(CO)-R^5$, $-(CO)-O-R^5$, $-(SO_2)-R^{14}$, -or $N(CH_3)_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, methylthio or phenyl,

R^{10} and R^{11}, independently of one another, stand for C_1-C_5-alkyl, pyrrolidinyl, phenyl or pyridinyl, optionally substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy,

R^{12} and R^{13}, independently of one another, stand for hydrogen, or methyl, ethyl, or isopropyl,

R^{14} stands for C_1-C_4-alkyl or for phenyl, and

n stands for 1 or 2,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

5. Compounds of general formula I, according to one of claims 1 to 4, in which

U stands for –CH=, -CF=, $-C(CH_3)=$ or –N=,

R^1 stands for methyl, ethyl, isopropyl, or cyclopropyl that optionally is substituted in one or more places, in the same way or differently, with fluorine,

R^2 stands for methyl, ethyl, allyl, or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl, ethinyl or fluorine or for hydroxyethyl that is substituted in at least one place with methyl,

K stands for methyl, ethyl or ethenyl that optionally is substituted in one or more places, in the same way or differently, with X,

X stands for halogen, hydroxy or for the group –O–SO_2-methyl or for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, or phenyl, or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

L stands for the group –O-R^7, –O-(CH_2)-(CO)-NH-R^8 or –O-(CH_2)-(CO)-O-R^8,

M stands for the group -NH-R^9, -NH-(CO)-R^{16}, -NH-(CO)-O-R^9 or –N(CH_3)-(CO)-R^{16},

R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with –N$(C_1$-C_3-alkyl$)_2$, pyrrolidinyl, morpholinyl or piperidinyl,

R^8 stands for methyl, ethyl, allyl or propargyl that optionally is substituted in one or more places, in the same way or differently, with cyano, cyclopropyl or fluorine, and

R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-

C_1-C_4-alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, cyano, cyclopropyl, halogen, hydroxy or with the group $-N(C_1$-C_3-alkyl$)_2$, $-O$-(CO)-$(C_1$-C_3-alkyl) or $-O$-(SO_2)-C_1-C_3-alkyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or with the group $-(CO)$-C_1-C_4-alkyl, $-(CO)$-O-C_1-C_4-alkyl, $-(SO_2)$-C_1-C_3-alkyl, $-(SO_2)$-phenyl, $-N(C_1$-C_3-alkyl$)_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

6. Compounds of general formula I, according to one of claims 1 to 5, in which

R^1 stands for ethyl,

X stands for iodine, or hydroxy, or for the group $-O-SO_2$-methyl or for pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl, whereby pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl or octahydroisoquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, phenyl or with methyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

R^7 stands for ethyl that optionally is substituted in one or more places, in the same way or differently, with $-N(CH_3)_2$, pyrrolidinyl, morpholinyl or piperidinyl,

R^9 stands for methyl, ethyl, isopropyl, isobutyl, tert-butyl, ethenyl, cyclopropyl, tetrahydropyranyl or tetrahydrofuranyl that optionally is substituted in one or more places, in the same way or differently, with methoxy, ethoxy, butoxy-ethoxy, methoxy-ethoxy, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, cyano, cyclopropyl, chlorine, fluorine, hydroxy or with the

group $-N(CH_3)_2$, $-N(CH_3)(C_2H_5)$, $-O-(CO)-(CH_3)$ or $-O-(SO_2)$-methyl, whereby pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl itself optionally can be substituted in one or more places with fluorine or with the group $-(CO)-CH_3$, $-(CO)-C_2H_5$, $-(CO)-C(CH_3)_3$, $-(CO)-O-C(CH_3)_3$, $-(SO_2)-CH_3$, $-(SO_2)$-phenyl, $-N(CH_3)_2$ or with methyl or ethyl that optionally is substituted in one or more places, in the same way or differently, with fluorine, hydroxy or methylthio,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

7. Compounds of general formula I, according to one of claims 1 to 6, in which

R^{16} stands for a C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, cyano, cyclopropyl, halogen, or hydroxy or with the group $-NR^{10}R^{11}$, $-O-(CO)-R^5$, $-(SO_2)-R^{14}$ or $-O-(SO_2)-R^{14}$ or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more $-(CO)-$ or $-SO_2-$ groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, or $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

8. Compounds of general formula I, according to claim 7, in which

R^{16} stands for a C_1-C_4-alkyl that is substituted in one or more places, in the same way or differently, with the group -$NR^{10}R^{11}$or for methyl that optionally is substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

9. Compounds of general formula I, according to claim 1, in which

K stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with P or for C_2-C_4-alkenyl that is substituted in one or more places, in the same way or differently, with X,

P stands for the group –OR^6, -$NR^{18}R^{19}$, C_2-C_5-heterocycloalkyl or for C_6-C_{10} heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10} heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or

more places, in the same way or differently, with cyano, halogen, hydroxy, or aryl or with the group –(CO)-R^5 or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, or C_1-C_3-alkoxy,

L stands for the group –O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^{17}, –O-$(CH_2)_n$-(CO)-R^{15} or –O-$(CH_2)_n$-(CO)-O-R^8,

R^7 stands for C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with C_6-C_{10}-heterocycloalkyl, whereby the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, or for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with C_2-C_5-heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the

following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself is substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen,

R^{16} stands for hydrogen, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl or a methyl that is substituted with heteroaryl, or for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl, cyano, cyclopropyl or with the group –$NR^{18}R^{19}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14}, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10}-heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-,–(C=S)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl optionally itself can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5,

–(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{17} stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or cyano, or for C_3-C_4-alkenyl or C_3-C_4-alkinyl that optionally is substituted in one or more places, in the same way or differently, with halogen, cyclopropyl or cyano,

R^{18} and R^{19}, independently of one another, stand for C_1-C_5-alkyl, C_2-C_{10}-heterocycloalkyl, aryl, -$(CH_2)_n$-aryl or heteroaryl, optionally substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring, whereby

either R^{18} or R^{19} stands for a C_2-C_{10}-heterocycloalkyl, -$(CH_2)_n$-aryl, or a heteroaryl, or for a C_2-C_{10}-heterocycloalkyl, -$(CH_2)_n$-aryl or heteroaryl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl, or C_1-C_3-alkoxy, or for a C_1-C_5-alkyl that is substituted in one or more places, in the same way or differently, with C_1-C_3-alkoxy, or for an aryl that is substituted in one or more places, in the same way or differently, with C_1-C_3-alkyl, or C_1-C_3-alkoxy, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen, or sulfur, and optionally can be interrupted by one or more

–(CO)- or –SO_2- groups in the ring and optionally one or more double bonds can be contained in the ring,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

10. Compounds of general formula I, according to claim 9, in which

T^1, T^2

and T^3, independently of one another, stand for –CH= or –N=,

R^3 stands for K, L or M,

P stands for the group $-OR^6$, $-NR^{18}R^{19}$, C_2-C_5-heterocycloalkyl or for C_6-C_{10} heterocycloalkyl, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10} heterocycloalkyl in the ring contain at least one atom, which is the same or different, from the following group of nitrogen, oxygen, or sulfur, and optionally can be interrupted by one or more –(CO) or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group $-(CO)-R^5$ or is substituted with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group $-(CO)-R^5$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group –O-R^7, –O-$(CH_2)_n$-(CO)-NH-R^{17} or –O-$(CH_2)_n$-(CO)-O-R^8,

R^9 stands for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl or C_2-C_{10}-heterocycloalkyl that optionally is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_1-C_4-alkoxy-C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14}, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

R^{16} stands for hydrogen, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl, or C_6-C_{10}-heterocycloalkyl or for C_1-C_4-alkyl, C_2-C_4-alkenyl, cyclopropyl, C_2-C_5-heterocycloalkyl or C_6-C_{10}-heterocycloalkyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_5-heterocycloalkyl, C_6-C_{10}-heterocycloalkyl, cyano, cyclopropyl or with the group –$NR^{18}R^{19}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14}, whereby the C_2-C_5-heterocycloalkyl and the C_6-C_{10}-heterocycloalkyl in the ring contain at least

one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $–SO_2$- groups in the ring and optionally one or more double bonds can be contained in the ring, and the ring of the C_2-C_5-heterocycloalkyl itself is substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group –(CO)-R^5, –(CO)-O-R^{12}, or –(SO_2)-R^{14}, or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, and the ring of the C_6-C_{10}-heterocycloalkyl optionally itself can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl, or with the group –(CO)-R^5, –(CO)-O-R^{12}, –(SO_2)-R^{14}, or -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

11. Compounds of general formula I, according to claim 1 and/or 2, in which

R^3 stands for K or L,

K stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with X, whereby the C_1-C_3-alkyl optionally can be substituted in one or more places, in the same way or differently, with hydroxy or halogen,

X stands for $NR^{10}R^{11}$ or for C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and

optionally can be interrupted by one or more –(CO)-, –(C=S)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen, hydroxy, aryl or with the group –(CO)-R^5, -$NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy or C_1-C_3-alkylthio, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkoxy,

L stands for the group –O-R^7,

R^7 stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with -$NR^{12}R^{13}$ or C_2-C_{10}-heterocycloalkyl, and the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, aryl or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen,

as well as their solvates, hydrates, diastereomeres, enantiomers and salts.

12. Compounds of general formula I, according to claim 11, in which

X stands for –N(C_1-C_3-alkyl)$_2$ or for azetidinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl or tetrahydroquinolinyl, whereby azetidinyl,

pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, octahydroisoquinolinyl, benzopyrrolidinyl, piperazinyl, tetrahydrooxazolyl, piperazinonyl, tetrahydrothiazolyl, tetrahydroimidazolonyl, benzomorpholinyl, triazinthionyl, tetrahydroisoquinolinyl, or tetrahydroquinolinyl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, hydroxy, or phenyl, which itself optionally can be substituted in one or more places, in the same way or differently, with halogen or C_1-C_3-alkoxy, or with the group –(CO)-R^5, or with C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with cyano, halogen or C_1-C_3-alkylthio,

R^7 stands for C_1-C_3-alkyl that is substituted in one or more places, in the same way or differently, with –N(C_1-C_3-alkyl)$_2$ or C_2-C_{10}-heterocycloalkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or –SO_2- groups in the ring, and optionally one or more double bonds can be contained in the ring,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

13. Compounds of general formula I, according to claim 1 and/or 2, in which

R^3 stands for M,

M stands for the group –NR^{12}–(CO)-R^{16},

R^{16} stands for methyl that is substituted in one or more places, in the same way or differently, with C_1-C_4-alkoxy, C_2-C_{10}-heterocycloalkyl, heteroaryl, cyano, cyclopropyl, halogen, hydroxy or with the group -$NR^{10}R^{11}$, –O-(CO)-R^5, –(SO_2)-R^{14} or –O-(SO_2)-R^{14}, whereby the methyl itself optionally can be substituted in one or more places, in the same way or differently, with C_1 to C_3-alkyl, whereby the heterocycloalkyl in the ring contains at least one atom,

which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)-, –(C=S)- or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

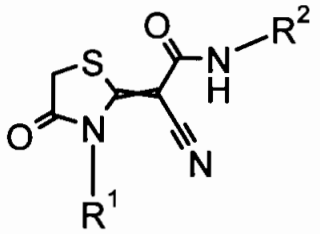
14. Compounds of general formula I, according to claim 13, in which

R^{16} stands for methyl, substituted in one or more places, in the same way or differently, with C_2-C_{10}-heterocycloalkyl, heteroaryl or with the group $-NR^{10}R^{11}$, whereby the methyl itself optionally can be substituted in one or more places, in the same way or differently, with C_1 to C_3–alkyl, whereby the heterocycloalkyl in the ring contains at least one atom, which is the same or different, from the following group of nitrogen, oxygen or sulfur, and optionally can be interrupted by one or more –(CO)- or $-SO_2-$ groups in the ring, and optionally one or more double bonds can be contained in the ring, and the ring itself optionally can be substituted in one or more places, in the same way or differently, with halogen, cyano, hydroxy, aryl or with the group $-(CO)-R^5$, $-(CO)-O-R^{12}$, $-(SO_2)-R^{14}$, $-NR^{12}R^{13}$ or with C_1-C_3-alkyl that optionally is substituted in one or more places, in the same way or differently, with halogen, hydroxy, C_1-C_3-alkylthio or phenyl, whereby the aryl itself optionally can be

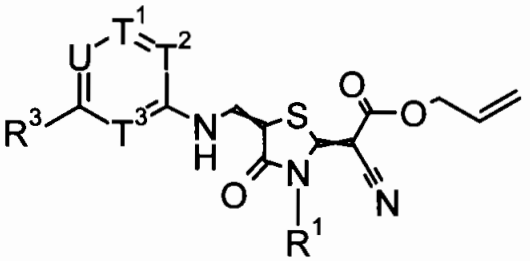
substituted in one or more places, in the same way or differently, with halogen, C_1-C_3-alkyl or C_1-C_3-alkoxy,

as well as their solvates, hydrates, diastereomers, enantiomers and salts.

15. Compounds of general formula II or IV,



(II)



(IV)

in which

R^1, R^2, R^3, U, T^1, T^2 and T^3 have the meaning that is indicated in general formula I, according to one of claims 1 to 14, as well as their solvates, hydrates, diastereomers, enantiomers and salts as intermediate products for the production of compounds of general formula (I).

16. Compounds of general formula II according to claim 15 with the following formulas:

2-Cyano-N-ethyl-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide,

2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2,2,2-trifluoro-ethyl)-acetamide,

2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-prop-2-ynyl-acetamide

or

2-Cyano-N-cyanomethyl-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide

2-Cyano-N-(2,2-difluoro-ethyl)-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-acetamide

2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-hydroxy-1,1-dimethyl-ethyl)-acetamide

2-Cyano-2-[3-ethyl-4-oxo-thiazolidin-(2-(E or Z))-ylidene]-N-(2-fluoro-ethyl)-acetamide

as well as their solvates, hydrates, diastereomers, enantiomers and salts as intermediate products for the production of compounds of general formula (I).

17. Compounds of general formula (II) or (IV) according to claim 15 or compounds according to claim 16 for use as intermediate products for the production of compounds of general formula (I).

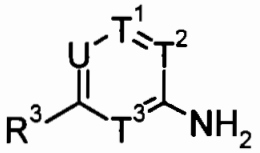
18. Use of the compounds of general formula (II) or (IV) according to claim 15 or compounds according to claim 16 as intermediate products for the production of compounds of general formula (I).

19. Pharmaceutical agents that contain at least one compound according to one of claims 1 to 14.

20. Use of the compounds of general formula I, according to one of claims 1 to 14, for the production of a pharmaceutical agent.

21. Compounds according to one of claims 1 to 14 or pharmaceutical agents according to one of claims 19 with suitable formulation substances and vehicles.

22. Process for the production of compounds of general formula I, whereby compounds of general formula II are reacted with compounds of general formula III

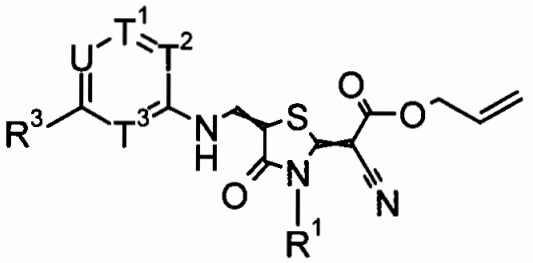


(III)

in which

R^3, U, T^1, T^2 and T^3 have the same meaning as R^3, U, T^1, T^2 and T^3 according to one of claims 1 to 14, in a formic acid orthoester with three identical or different, optionally bridged or halogen-substituted alkoxy or aryloxy radicals that are optionally heated with a polar solvent, or

compounds of general formula IV

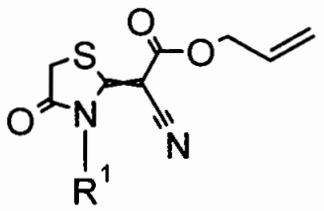


(IV)

in which

R^1, R^3, U, T^1, T^2 and T^3 have the same meaning as R^1, R^3, U, T^1, T^2 and T^3 according to one of claims 1 to 14, are reacted with an allyl acceptor and a catalyst in an aprotic solvent, and after completion of a first partial reaction with a coupling reagent, a base and R^2-NH_2, whereby R^2 has the same meaning as R^2 according to one of claims 1 to 14 in an aprotic solvent to form the compounds of general formula I.

23. Process according to claim 22, whereby for the production of the compounds of general formula II, compounds of general formula V



(V)

in which

R^1 has the same meaning as R^1 according to one of claims 1 to 14, are reacted with an allyl acceptor and a catalyst in an aprotic solvent, and after completion of a first partial

reaction with a coupling reagent, a base and R^2-NH_2, whereby R^2 has the same meaning as R^2 according to one of claims 1 to 14, in an aprotic solvent to form the compounds of general formula I.