Novel Pyridopyrazine Derivatives, Process of Manufacturing and Uses thereof

Description

Technical field

The invention relates to novel pyrido[2,3-b]pyrazine derivatives, to processes of manufacturing and use thereof, in particular as medicaments for the modulation of misdirected cellular signal transduction processes, such as modulation of tyrosine kinases, serine/threonine kinases and/or lipid kinases and for the treatment or prophylaxis of malignant or benign oncoses and other disorders based on pathological cell proliferation, for example restenosis, psoriasis, arteriosclerosis and cirrhosis of the liver.

Prior art

The activation of protein kinases is a central event in cellular signal transduction processes. Aberrant kinase activation is observed in various pathological states. Targeted inhibition of kinases is therefore a fundamental therapeutic aim.

The phosphorylation of proteins is generally initiated by extracellular signals and represents a universal mechanism for controlling various cellular events, for example metabolic processes, cell growth, cell migration, cell differentiation, membrane transport and apoptosis. The kinase protein family is responsible for protein phosphorylation. These enzymes catalyse transfer of phosphate to specific substrate proteins. Based on the substrate specificity, the kinases are divided into three main classes, tyrosine kinases, serine/threonine kinases and lipid kinases. Both receptor tyrosine kinases and cytoplasmic tyrosine, serine/threonine and lipid kinases are important proteins in cellular signal transduction. Overexpression or overactivation of these proteins plays an important part in disorders based on pathological cell proliferations. These include metabolic disorders, disorders of the connective tissue and of the blood vessels, and malignant and benign oncoses. In tumor initiation and development they frequently occur as oncogens, i.e. as aberrant, constitutively active kinase proteins. The consequences of this excessive kinase activation are, for example, uncontrolled cell growth and reduced cell death. Stimulation of tumor-induced growth factors may also be the cause of overstimulation of kinases. The development of kinase modulators is

therefore of particular interest for all pathogenic processes influenced by kinases.

Ras-Raf-Mek-Erk and PI3K-Akt signal transduction cascades play a central role in cell growth, cell proliferation, apoptosis, adhesion, migration and glucose metabolism. Thus, the fundamental involvement in the pathogenesis of disorders such as cancer, neurodegeneration and inflammatory disorders has been demonstrated both for ras-Raf-Mek-Erk and for PI3K-Akt signaling pathway. Therefore, the individual components of these signal cascades constitute important therapeutic points of attack for the intervention in the various disease processes (Weinstein-Oppenheimer CR et al., Pharmacol Ther. 2000, 88(3): 229-279, Chang F et al., Leukemia 2003, 17(3): 590-603; Chang F et al., Leukemia 2003, 17(7): 1263-1293; Katso R et al., Annu Rev Cell Dev Biol. 2001, 17: 615-675; and Lu Y et al., Rev Clin Exp Hematol. 2003, 7(2): 205-228; Hennessy BT et al., Nat Rev Drug Discov 2005, 4, 988-1004).

In the following, the molecular and biochemical properties of the two signaling pathways are first described separately.

A multitude of growth factors, cytokines and oncogens transduce their growth-promoting signals via the activation of G-protein-coupled ras, which leads to the activation of serine-threonine kinase Raf and to the activation of mitogen-activated protein kinase kinase 1 and 2 (MAPKK1/2 or Mek1/2), and results in the phosphorylation and activation of MAPK 1 and 2 – also known as extracellular signal-regulated kinase (Erk1 and 2). Compared to other signaling pathways, ras-Raf-Mek-Erk signaling pathway combines a large number of proto-oncogenes, including ligands, tyrosine kinase receptors, G proteins, kinases and nuclear transcription factors. Tyrosine-kinases, for example EGFR (Mendelsohn J et al., Oncogene. 2000, 19(56): 6550-6565) mediate, in the course of tumor process, caused by overexpression and mutation, frequently constitutively active signals to downstream ras-Raf-Mek-Erk signaling pathway. Ras is mutated in 30% of all human tumors (Khleif SN et al., J Immunother. 1999, 22(2): 155-165; Marshall C, Curr Opin Cell Biol. 1999, 11(6): 732-736) the highest incidence at 90% being in pancreas carcinomas (Friess H et al., J Mol Med. 1996, 74(1): 35-42; Sirivatanauksorn V et al., Langenbecks Arch Surg. 1998, 383(2): 105-115). As for c-Raf, deregulated expression and/or activation have been described in various tumors (Hoshino R et al., Oncogene 1999, 18(3): 813-822; McPhillips F et al., Br J Cancer 2001, 85(11): 1753-1758). B-Raf point mutants have been detected in 66% of all human malignant melanomas, 14% of ovarian carcinomas and 12% of colon carcinomas (Davies H et al., Nature 2002, 417(6892): 949-954). It is therefore not surprising that Erk1/2 is

involved at primary stage in many cellular processes, such as cell growth, cell proliferation and cell differentiation (Lewis TS et al., Adv Cancer Res. 1998, 74: 49-139; Chang F et al., Leukemia 2003, 17(3): 590-603; Chang F et al., Leukemia 2003, 17(7): 1263-1293).

In addition, members of Raf kinases also have Mek-Erk-independent, anti-apoptotic functions whose molecular steps have not yet been fully described. Possible interaction partners described for the Mek-Erk-independent Raf activity have been Ask1, Bcl-2, Akt and Bag1 (Chen J et al., Proc Natl Acad Sci U S A 2001, 98(14): 7783-7788; Troppmair J et al., Biochem Pharmacol 2003, 66(8): 1341-1345; Rapp UR et al., Biochim Biophys Acta 2004, 1644(2-3): 149-158; Gotz R et al., Nat Neurosci 2005, 8(9): 1169-1178). It is now assumed that both Mek-Erk-dependent and Mek-Erk-independent signal transduction mechanisms control the activation of upstream ras and Raf stimuli.

The isoenzymes of the phosphatidylinositol 3-kinases (PI3Ks) function primarily as lipid kinases and catalyse the D3 phosphorylation of second messenger lipids PtdIns (phosphatidylinositol) to PtdIns(3)P, PtdIns(3,4)P_2, PtdIns(3,4,5)P_3 phosphatidylinositol phosphates. PI3Ks of class I are composed in structural terms of catalytic subunit (p110alpha, beta, gamma, delta) and of regulatory subunit (p85alpha, beta or p101gamma). In addition, class II (PI3K-C2alpha, PI3K-C2beta) and class III (Vps34p) enzymes also belong to the family of PI3 kinases (Wymann MP et al., Biochim Biophys Acta 1998, 1436(1-2): 127-150; Vanhaesebroeck B et al., Annu Rev Biochem 2001, 70: 535-602). PIP rise induced by PI3Ks activates proliferative ras-Raf-Mek-Erk signaling pathway via the coupling of ras (Rodriguez-Viciana P et al., Nature 1994, 370(6490): 527-532) and stimulates the anti-apoptotic signaling pathway by recruiting Akt to the cell membrane and consequently overactivating this kinase (Alessi DR et al., EMBO J 1996, 15(23): 6541-6551; Chang HW et al., Science 1997, 276(5320): 1848-1850; Moore SM et al., Cancer Res 1998, 58(22): 5239-5247). Thus, activation of PI3Ks fulfils at least two crucial mechanisms of tumor development, specifically activation of cell growth and cell differentiation, and inhibition of apoptosis. In addition, PI3Ks also have protein-phosphorylating properties (Dhand R et al., EMBO J 1994, 13(3): 522-533; Bondeva T et al., Science 1998, 282(5387): 293-296; Bondev A et al., Biol Chem 1999, 380(11): 1337-1340; Vanhaesebroeck B et al., EMBO J 1999, 18(5): 1292-1302), which, for example, can induce serine autophosphorylation which intrinsically regulates PI3Ks. It is also known that PI3Ks have kinase-independent, regulating effector properties, for example in the control of heart contraction (Crackower MA et al., Cell 2002,

110(6): 737-749; Patrucco E et al., Cell. 2004, 118(3): 375-387). It has also been demonstrated that PI3Kdelta and PI3Kgamma are expressed specifically on haematopoietic cells and are thus potential points of attack for isoenzyme-specific PI3Kdelta and PI3Kgamma inhibitors in the treatment of inflammatory disorders, such as rheumatism, asthmas, allergies and in the treatment of B cell and T cell lymphomas (Okkenhaug K et al., Nat Rev Immunol 2003, 3(4): 317-330; Ali K et al., Nature 2004, 431(7011): 1007-1011; Sujobert P et al., Blood 2005, 106(3): 1063-1066). PI3Kalpha, which has recently been identified as a proto-oncogen (Shayesteh L et al., Nat Genet 1999, 21(1): 99-102; Ma YY et al., Oncogene 2000, 19(23): 2739-2744; Samuels Y et al., Science 2004, 304(5670): 554; Campbell IG, et al., Cancer Res 2004, 64(21): 7678-7681; Levine DA et al., Clin Cancer Res 2005, 11(8): 2875-2878) is an important target in the therapy of tumor disorders. The significance of the PI3K species as a target for active pharmaceutical ingredient (API) development is therefore extremely wide (Chang F et al., Leukemia 2003, 17(3): 590-603).

Of equally great interest are PI3K-related kinases (PIKKs), which include serine/threonine kinases mTOR, ATM, ATR, h-SMG-1 and DNA-PK (Sabatini DM, Nat Rev Canc 2006, 6: 729-34; Chiang GG et al., Methods Mol Biol 2004, 281: 125-141). Their catalytic domains have a high sequence homology to the catalytic domains of PI3Ks.

Moreover, loss of tumor suppressor protein PTEN (Li J et al., Science 1997, 275(5308): 1943-1947; Steck PA et al., Nat Genet 1997, 15(4): 356-362; Cully M et al., Nat Rev Canc 2006, 6: 184-192) - whose function is the reversal of the phosphorylation initiated by PI3K - contributes to overactivation of Akt and its downstream cascade components and hence underlines the causal significance of PI3K as a target molecule for tumor therapy.

Various inhibitors of individual components of ras-Raf-Mek-Erk and PI3K-Akt signaling pathways have already been published and patented.

The current state of development in the field of the kinase-inhibitors, particularly of ras-Raf-Mek-Erk and of PI3K-Akt pathway, is detailed in the reviews by J.S. Sebolt-Leopold et al., Nat Rev Cancer 2004, 4(12): 937-947; R. Wetzker et al., Curr Pharm Des 2004, 10(16): 1915-1922; Z.A. Knight et al., Biochem Soc Trans. 2007, 35(Pt 2):245-9; R.A. Smith et al., Curr. Top. Med. Chem. 2006, 6, 1071-89; S. Faivre et al., Nat Rev Drug Discov 2006, 5, 671-688. Said publications contain a comprehensive list of published patent applications and patents which describe the synthesis and use of low

molecular weight ras-Raf-Mek-Erk and PI3K inhibitors.

European Commission has granted marketing authorization to Nexavar(R) (sorafenib, Bay 43-9006; WO 99/32111, WO 03/068223in July 2006 for the treatment of patients with advanced renal cell carcinoma who have failed prior interferon-alpha or interleukin-2 based therapy or are considered unsuitable for such therapy. Nexavar (Bay 43-9006) exhibits a relatively unspecific inhibition pattern of serine/threonine kinases and of tyrosine kinases, such as Raf, VEGFR2/3, Flt-3, PDGFR, c-Kit and further kinases. Great significance is attributed to this inhibitor in advanced tumor disorders induced by angiogenesis (for example in the case of kidney cell carcinoma) but also in the case of melanomas with high B-Raf mutation rate. The clinical action of Bay 43-9006 is currently also being determined in patients having refractory solid tumors in combination, for example, with docetaxel. To date, mild side effects and promising anti-tumor effects have been described. Inhibition of the kinases in the PI3K-Akt signaling pathway has neither been described nor disclosed for Bay 43-9006. Recent advances in the research and development of Raf Kinase inhibitors are described in a review of R.A. Smith et al., Curr. Top. Med. Chem. 2006, 6, 1071-89.

Mek1/2 inhibitor PD0325901 (WO 02/06213) is currently in phase II clinical trials for lung cancer and phase I/II clinical trials for the treatment of other solid tumors. . The precursor substance CI-1040 (WO 00/35435, WO 00/37141) was noticeable by its high Mek specificity and target affinity. However, this compound was found to be metabolically unstable in phase I/II studies. Clinical data for the current successor substance PD0325901 are still to come. However, neither interaction with Erk1 or Erk2 nor a function inhibiting the PI3K-Akt signaling pathway or their simultaneous modulation has been published or disclosed for this Mek inhibitor.

A phase II study in malignant melanoma is under way for AZD-6244 (ARRY-142886), a selective MEK inhibitor from Array BioPharmaArray.

The PI3K/mTOR inhibitor BEZ-235 from Novartis (WO 06122806) entered a phase I clinical program as a targeted anticancer agent. The compound inhibited mTOR (IC50 = 21nM), p110alpha, p110alpha E542K, p110alpha H107R and p110alpha E545K (IC50 = 4, 5, 18 and 4 nM, respectively) and p110beta, gamma and delta (IC50 = 76, 5 and 7 nM, respectively), while preserving selectivity over a panel of other kinases

Recent developments at Piramed have resulted in the synthesis of two series of compounds that act as phosphatidylinositol 3-kinase of class Ib (PI3K-Ib) inhibitors and are described as possessing potent anticancer activity. Additional indications include

immune disorders, cardiovascular diseases, metabolism/endocrine disorders, neurodegenerative diseases and bacterial or viral infections (WO 06046035, WO 06046040).

Semafore recently initiated a phase I trial of its lead phosphoinositide 3-kinase (PI3K) inhibitor, SF-1126 (WO 04089925), in patients with solid tumor cancers. SF-1126 is a small-molecule conjugate containing a pan-PI3K inhibitor that selectively inhibits all PI3K class IA isoforms and other key members of the PI3K superfamily, including DNA PK and mTOR. Preclinically, SF-1126 has been shown to inhibit angiogenesis and cellular proliferation, induce apoptosis, block pro-survival signals and produce synergistic antitumor effects in combination with chemotherapy.

ICOS disclosed a PI3K inhibitor IC87114 with high PI3Kdelta isoenzyme specificity (WO 01/81346). For PI103 (WO 04/017950).

Exelixis has submitted an IND for XL-147, a novel anticancer compound. XL-147 is an orally available small-molecule inhibitor of phosphoinositide-3 kinase (PI3K). Inactivation of PI3K has been shown to inhibit growth and induce apoptosis in tumor cells. In preclinical studies, XL-147 slowed tumor growth or caused tumor shrinkage in multiple preclinical cancer models, including breast, lung, ovarian and prostate cancers, and gliomas.

Moreover, a highly noted field of research exists in the early development of PI3K inhibitors (see reviews of Z.A. Knight et al., Biochem Soc Trans. 2007, 35(Pt 2):245-9; R. Wetzker et al., Curr Pharm Des 2004, 10(16): 1915-19222004).

Inhibitors of SAPK signaling pathway, either of Jnk or of p38, are described in the literature (Gum RJ et al., J Biol Chem 1998, 273(25): 15605-15610; Bennett BL et al., Proc Natl Acad Sci U S A. 2001, 98(24): 13681-13686; Davies SP et al., Biochem J. 2000, 351(Pt 1): 95-105). However, no function of inhibiting the PI3Ks nor any specific inhibition of Erk1 or Erk2 or else any specific inhibition of SAPKs, Erk1, Erk2, or PI3Ks has been disclosed for these SAPK inhibitors.

6- or 7-substituted pyrido[2,3-b]pyrazine derivatives find wide use in pharmaceutical chemistry as pharmacologically active compounds and as synthetic units.

Patent applications WO 04/104002 and WO 04/104003, for example, describe pyrido[2,3-b]pyrazines which may be 6- or 7-substituted by urea, thiourea, amidine or guanidine groups. These compounds have properties as inhibitors or modulators of

kinases, especially of tyrosine and serine/threonine kinases. The use as a medicament is reported. In contrast, use of these compounds as modulators of lipid kinases, alone or in combination with tyrosine and serine/threonine kinases, has not been described.

Moreover, WO 99/17759 describes pyrido[2,3-b]pyrazines which bear, in 6-position, inter alia, alkyl-, aryl- and heteroaryl-substituted carbamates. These compounds are intended to be used for the modulation of serine-threonine protein kinase function.

Patent application WO 05/007099 describes, inter alia, urea-substituted pyrido[2,3-b]pyrazines as inhibitors of serine/threonine kinase PKB. However, the document does not further define the R radical, which describes the range of possible substitutions on urea. Therefore, the range of possible substitution on urea is thus not clearly disclosed. As for these compounds, use in the treatment of cancer disorders is reported. However, no specific examples of urea-substituted pyridopyrazines having the claimed biological properties are given. In addition, the pyridopyrazines described here differ significantly in structure from the novel pyrido[2,3-b]pyrazines described in this invention.

Further examples of 6- and 7-urea-substituted pyrido[2,3-b]pyrazines are reported in WO 05/056547. However, the compounds disclosed there have additional carbonyl, sulphoxy, sulphone or imine substitution in the 2- or 3-position, which means that the compounds differ structurally significantly from the novel pyrido[2,3-b]pyrazines described in this invention. The pyridopyrazines reported in WO 05/056547 are described as inhibitors of protein kinases, especially of GSK-3, Syk and JAK-3. Uses reported include use in the treatment of proliferative disorders. Use of these compounds as modulators of lipid kinases, alone or in combination with serine/threonine kinases, is not described.

WO 04/005472 describes, inter alia, 6-carbamate-substituted pyrido[2,3-b]pyrazines which, as antibacterial substances, inhibit the growth of bacteria. Antitumor action is not described.

Certain diphenylquinoxalines and -pyrido[2,3-b]pyrazines with specific alkylpyrrolidine, alkylpiperidine or alkylsulphonamide radicals on a phenyl ring, which may additionally also bear urea or carbamate substitutions in 6- or 7-position, are described in patent applications WO 03/084473, WO 03/086394 and WO 03/086403 as inhibitors of serine/threonine kinase Akt. For these compounds, use in the treatment of cancer disorders is reported. For pyrido[2,3-b]pyrazine example compounds described there, no defined indication of biological action is specified. Moreover, there is a significant structural difference to the novel pyrido[2,3-b]pyrazines described in this invention.

Moreover, patent application WO 03/024448 describes amide- and acrylamide-substituted pyrido[2,3-b]pyrazines which also contain carbamates as additional substitutents and can be used as histone deacetylase inhibitors for the treatment of cell proliferation disorders.

A further publication (Temple, C. Jr.; J. Med. Chem. 1990: 3044-3050) exemplarily describes the synthesis of a 6-ethyl carbamate-substituted pyrido[2,3-b]pyrazine derivative. Antitumor action is neither disclosed nor rendered obvious.

The synthesis of further derivatives of 6-ethyl carbamate-substituted pyrido[2,3-b]pyrazine is described in a publication by R. D. Elliott (Elliott RD, J. Org. Chem. 1968: 2393-2397). Biological action of these compounds is neither described nor rendered obvious.

The publication by C.Temple (Temple, C. Jr., J. Med. Chem. 1968: 1216-1218) describes the synthesis and examination of 6-ethyl carbamate-substituted pyrido[2,3-b]pyrazines as potential active antimalarial ingredients. Antitumor action is neither disclosed nor rendered obvious.

WO 2005/021513 is directed to the preparation of condensed n-pyrazinyl-sulfonamides and their use in the treatment of chemokine mediated diseases. Antitumor action is neither disclosed nor rendered obvious.

JP 2006137723 describes the preparation of sulfonamides, their use as CCL17 and/or 22 regulators, and pharmaceuticals containing them for treatment of the chemokine-associated diseases. Antitumor action is neither disclosed nor rendered obvious.

Sako M. (Sako M., Houben-Weyl, Science of Synthesis 2004, 16.20: 1269-1290) gives a general overview about the synthesis of pyridopyrazines. Antitumor action is neither disclosed nor rendered obvious.

US 4,082,845 discloses 3-(1-Piperazinyl)-pyrido[2,3-b]pyrazines. Antitumor action is neither disclosed nor rendered obvious.

WO 04/005472 relates to antibacterial inhibitors of Ftsz protein. Pyridopyrazines are not explicitly mentioned. Antitumor action is neither disclosed nor rendered obvious.

WO 02/090355 describes the preparation of N-aroyl cyclic amines as orexin antagonists. Pyrido[2,3-b]pyrazines are not mentioned.

JP 50053394 discloses 3-substituted 5-alkyl-5,8-dihydro-8-oxopyrido[2,3-b]pyrazine-7-carboxylic acids and their esters. Antitumor action is neither disclosed nor rendered obvious.

US 3,209,004 relates to 3,6-diamino-N-(2,2-dialkoxyethyl)pyrido[2,3-b]pyrazine-2-carboxamides. Antitumor action is neither disclosed nor rendered obvious.

US 3,180,868 describes 3,6-diamino-N-(substituted)pyrido[2,3-b]pyrazine-2-carboxamides. Antitumor action is neither disclosed nor rendered obvious.

Chen JJ et al. (Chen JJ et al., J. Am. Chem. Soc. 1996, 118: 8953-8954) discuss the synthesis of pyrido[2,3-b]pyrazines from pyrazine C-nucleosides. Antitumor action is neither disclosed nor rendered obvious.

Nagel A et al. (Nagel A et al., J. Heterocyclic Chem. 1979, 16: 301-304) show NMR data of pyrido[2,3-b]pyrazine derivatives. Antitumor action is neither disclosed nor rendered obvious.

Tanaka T et al. (Tanaka T et al., Yakugaku Zasshi 1975, 95(9): 1092-1097) describe the synthesis of certain pyrido[2,3-b]pyrazine derivatives. Antitumor action is neither disclosed nor rendered obvious.

Osdene TS et al. (Osdene TS et al., J. Chem. Soc. 1955, pp.2032-2035) discuss the synthesis of 3,6-diaminopyridopyrazine and derived compounds with potential antifolic acid activity. Antitumor action is neither disclosed nor rendered obvious.

WO 2006/128172 is directed to a method for treating B cell regulated autoimmune disorders. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 2006/091395 relates to inhibitors of serine/threonine kinase Akt activity. Pyrido[2,3-b]pyrazine derivatives are comprised. However, possible pyrido[2,3-b]pyrazine derivatives are substituted with substituted phenyl and (C3-C8)cycloalkyl, aryl, heteroaryl and heterocyclyl.

WO 06/081179, WO 06/017326, WO 06/017468, WO 06/014580, WO 06/012396, WO 06/002047 and WO 06/020561 are all directed to antibacterial agents. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 2006/074147 discloses 4-arylamino-quinazolines as activatots of caspases and inducers of apoptosis. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is

neither disclosed nor rendered obvious.

WO 2006/024666 relates to the preparation of pyridine methylene thioxothiazolidinones as phosphoinositide inhibitors. Pyrido[2,3-b]pyrazine derivatives are comprised. However, the displayed pyrido[2,3-b]pyrazine derivatives are not substituted at their pyrazine moiety.

WO 06/021448 is directed to compounds with antibacterial action. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 2005/123733 describes pyrido[2,3-b]pyrazine derivatives as agents for combatting phytopathogenic fungi. However, possible pyrido[2,3-b]pyrazine derivatives are substituted with aryl, heteraryl, halogen or substituted amino at their pyridine moiety.

WO 2005/123698 describes agents for combatting phytopathogenic fungi. Pyrido[2,3-b]pyrazine derivatives are comprised. However, the comprised pyrido[2,3-b]pyrazine derivatives are substituted with aryl, heteraryl, halogen or substituted amino at their pyridine moiety.

US 2005/0272736 relates to tri-and bi-cyclic heteroaryl histamine-3 receptor ligands. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

US 2005/0272728 discloses bicyclic amines bearing heterocyclic substituents as H3 receptor ligands. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

US 2005/0256309 relates to tri-and bi-cyclic heteroaryl histamine-3 receptor ligands. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

US 2005/0256118 discloses bicyclic amines bearing heterocyclic substituents as H3 receptor ligands. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

US 2005/0165028 is directed to N-heteroaryl substituted benzamides as vanilloid receptor ligands. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 05/023807 describes bicyclic quinazolin-4-ylamine derivatives as capsaicin receptor modulators. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither

disclosed nor rendered obvious.

EP 1 661 889, which corresponds to WO 2005/07099, relates to pyridinyl benzenesulfonylamide derivatives as chemokine receptor antagonist. Pyrido[2,3-b]pyrazine derivatives are comprised. However, the comprised pyrido[2,3-b]pyrazine derivatives are concomitantly substituted with sufonamides and cyclic structures.

WO 04/055003 discloses quinazolin-4-yl)amines as capsaicin receptor modulators. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

US 2004/0092521 discloses bicyclic amines bearing heterocyclic substituents as H3 receptor ligands. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 2004/030635 is directed to vasculostatic agents. Pyrido[2,3-b]pyrazine derivatives are comprised. However, the comprised pyrido[2,3-b]pyrazine derivatives are substituted with aryl or heteraryl at their pyrazine moiety.

WO 03/064421 describes aminopiperidine derivatives as antibacterial agents. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 03/064431 also describes aminopiperidine derivatives as antibacterial agents. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 02/055079 relates to 8-hydroxy-1,6-naphthyridine-7-carboxamides as inhibitors of HIV integrase and HIV replication. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 00/12497 discloses quinazoline derivatives as TGF-beta and p38-alpha kinase inhibitors. However, pyrido[2,3-b]pyrazines are not disclosed.

WO 99/43681 is directed to N-(4-piperidinylmethyl)thieno[3,2-b]pyridin-7-amines and related compounds as GABA brain receptor ligands. Pyrido[2,3-b]pyrazines are not disclosed. Antitumor action is neither disclosed nor rendered obvious.

WO 95/15758 describes aryl and heteroaryl quinazoline compounds which inhibit CSF-1R receptor tyrosine kinase. Pyrido[2,3-b]pyrazines are not disclosed.

US 5,480,883 describes bis mono- and bicyclic aryl and heteroaryl compounds which inhibit EGF and/or PDGF receptor tyrosine kinase. Pyrido[2,3-b]pyrazine deriva-

tives are comprised. However, the comprised pyrido[2,3-b]pyrazine derivatives are directly substituted with aryl or heteraryl at their pyrazine moiety.

WO 2006/059103 relates to substituted pyridines and derivatives thereof. Pyrido[2,3-b]pyrazines are not disclosed.

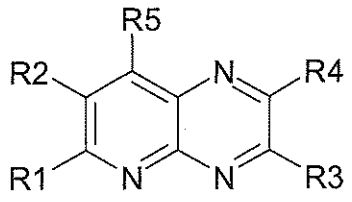
WO 2007/023186 discloses pyrazine derivatives and their use as PI3K inhibitors. Pyrido[2,3-b]pyrazine derivatives are comprised. However, the comprised pyrido[2,3-b]pyrazine derivatives are directly substituted with sulfonamides at their pyrazine moiety.

WO 2007/044729 also describes pyrazine derivatives and their use as PI3K inhibitors. Pyrido[2,3-b]pyrazine derivatives are comprised. However, the comprised pyrido[2,3-b]pyrazine derivatives are directly substituted with sulfonamides at their pyrazine moiety.

WO 2004/108702 is directed to indole derivatives. Pyrido[2,3-b]pyrazine derivatives are comprised. However, the comprised pyrido[2,3-b]pyrazine derivatives are directly substituted with glyoxyl-indolyl at their pyrimidine moiety.

Summary

According to a first aspect, there is provided a pyrido[2,3-b]pyrazine derivative according to general formula (Ia)



(Ia)

wherein:

R1 is phenyl, optionally substituted with at least one substituent, identical or different, selected from -F, -Cl, -Br, -I, -OH or –O-alkyl;

R2 = H;

R3 is -NH-C(Y1)NHR9, where Y1 is O or S, and R9 is selected from alkyl, cycloalkyl and heteroaryl, optionally substituted with at least one substituent, identical or different,

selected from -F, -Cl, -Br and -I;

R4 = H;

R5 = H;

and wherein;

"alkyl" is an acyclic saturated branched or straight-chain hydrocarbon radical having 1 to 8 carbon atoms;

"cycloalkyl" is a saturated non-aromatic cyclic hydrocarbon radical having 3 to 8 carbon atoms; and

"heteroaryl" is a 5-, 6- or 7-membered cyclic aromatic hydrocarbon radical comprising at least one heteroatom selected from nitrogen, oxygen and/or sulphur, where the heteroatoms are identical or different, and the number of nitrogen atoms is 0, 1, 2 or 3, and the number of oxygen or sulphur atoms is independently 0 or 1.

According to a second aspect, there is provided a pharmaceutical composition comprising a pharmaceutically active amount of at least one compound as defined above.

According to a third aspect, there is provided a pyrido[2,3-b]pyrazine derivative as defined above or pharmaceutical composition as defined above for use as a medicament.

According to a fourth aspect, there is provided the use of a pyrido[2,3-b]pyrazine derivative as defined above or a pharmaceutical composition as defined above for the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathological conditions in mammals that are mediated by one or more signal transduction pathways selected from the group consisting of: "ras-Raf-Mek-Erk signal transduction pathway, PI3K-Akt signal transduction pathway and/or SAPK signal transduction pathway", where the physiological and/or pathophysiological conditions are selected from the group consisting of: "malignant tumors, benign tumors, inflammatory disorders, inflammations, pain, rheumatic disorders, arthritic disorders, HIV infections, neurological or neurodegenerative disorders, rheumatism, arthritis, AIDS, ARC (AIDS related complex), Kaposi's sarcoma, tumors originating in the brain and/or nervous system and/or meninges, dementia, Alzheimer's disease, hyperproliferative disorders, psoriasis, endometriosis, scar formation, benign prostate hyperplasia (BPH), disorders of the immune system, autoimmune disorders, immune deficiency disorders, colon tumor, stomach tumor, intestine tumor, lung tumor, pancreas tumor, ovarian tumor, prostate

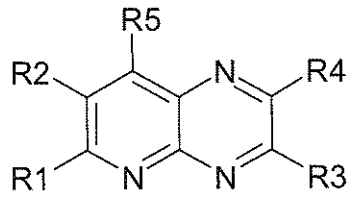
tumor, leukemia, melanoma, liver tumor, kidney tumor, head tumor, throat tumor, glioma, breast tumor, uterine cancer, endometrial cancer, cervical cancer, brain tumor, adenocanthoma, bladder cancer, colorectal tumor, esophageal cancer, gynecological tumor, ovarian tumor, thyroid cancer, lymphoma, chronic leukemia, acute leukemia, restenosis, diabetes, diabetic nephropathy, fibrotic disorders, cystic fibrosis, malignant nephrosclerosis, thrombotic microangiopathy syndrome, organ transplant rejection, glomerulopathies, disorders of the metabolism, solid tumors, rheumatic arthritis, diabetic retinopathy, asthma, allergies, allergic disorders, chronic obstructive pulmonary disorders, inflammatory bowel disorder, fibrosis, atherosclerosis, cardiac disorders, cardiovascular disorders, disorders of the heart muscle, vascular disorders, angiogenetic disorders, kidney disorders, rhinitis, Grave's disease, focal ischemia, heart failure, ischemia, cardiac hypertrophy, kidney failure, cardiac myocyte dysfunction, high blood pressure, vascular constriction, stroke, anaphylactic shock, blood platelet agglutination, skeletal muscular atrophy, obesity, excess weight, glucose homeostasis, congestive heart failure, angina, heart attack, myocardial infarction, hyperglycemia, hypoglycemia, hypertension".

According to a fifth aspect, there is provided a kit comprising a pharmacologically active amount of at least one compound as defined above and/or at least one pharmaceutical composition as defined above.

Description of the invention

The present invention has the object to provide novel pyrido[2,3-b]pyrazine derivatives that act as kinase modulators.

The object of the present invention has surprisingly been solved in one aspect by providing pyrido[2,3-b]pyrazine derivatives according to general formula (Ia)



(Ia)

wherein:

one of radicals **R3, R4** independently is selected, or both of radicals **R3, R4** independently from each other are selected from the group consisting of:

(1) "–NR6R7";

wherein radicals R6, R7 are independently from each other selected from the group consisting of:

(a) "hydrogen, alkyl, arylalkyl, heteroarylalkyl";

with the first proviso that radicals R6, R7 are not both hydrogen, alkyl, arylalkyl or heteroarylalkyl at the same time;

with the second proviso that, if one of radicals R6, R7 independently is "hydrogen", radical **R5** is not selected from the group consisting of: "–NH–cycloalkyl, –NH–heterocyclyl, –NH–aryl, –NH–heteroaryl, halogen, –F, –Cl, –Br, –I, –NR_aR_b", with Ra, Rb being independently selected from the group consisting of: "H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –NR_cR_d", Rc, Rd in turn being independently selected from the group consisting of: "H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl";

(b) "–C(Y1)NR8R9, –C(Y1b)OR9b, –C(=NR10)–R11, –C(Y2)NR12–Y3–R13";

wherein Y1, Y1b, Y2 are independently from each other selected from the group consisting of: "=O, =S, =NH, =NR14";

wherein Y3 is independently selected from the group consisting of: "O, S";

wherein radicals R8, R9, R9b, R10, R11, R12, R13, R14 are independently from each other selected from the group consisting of:

(I) „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHX1, –NX2X3, –NO_2, –OH, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–X4, –C(O)O–X5, –C(O)NH–X6, –C(O)NX7X8, –O–X9, –O(–X10–O)$_a$–H (a = 1, 2, 3, 4, 5), –O(–X11–O)$_b$–X12 (b = 1, 2, 3, 4, 5), –OC(O)–X13, –OC(O)–O–X14, –OC(O)–NHX15, –O–C(O)–NX16X17, –OP(O)(OX18)(OX19), –OSi(X20)(X21)(X22), –$OS(O_2)$–X23, –$NHC(O)$–NH_2, –NHC(O)–X24, –NX25C(O)–X26, –NH–C(O)–O–X27, –NH–C(O)–NH–X28, –NH–C(O)–NX29X30, –NX31–C(O)–O–X32, –NX33–C(O)–NH–X34, –NX35–C(O)–NX36X37, –$NHS(O_2)$–X38, –$NX39S(O_2)$–X40, –S–X41, –S(O)–

X42, –S(O_2)–X43, –S(O_2)NH–X44, –S(O_2)NX45X46, –S(O_2)O–X47, –P(O)(OX48)(OX49), –Si(X50)(X51)(X52), –C(NH)–NH_2, –C(NX53)–NH_2, –C(NH)–NHX54, –C(NH)–NX55X56, –C(NX57)–NHX58, –C(NX59)–NX60X61, –NH–C(O)–NH–O–X62, –NH–C(O)–NX63–O–X64, –NX65–C(O)–NX66–O–X67, –N(–C(O)–NH–O–X68)$_2$, –N(–C(O)–NX69–O–X70)$_2$, –N(–C(O)–NH–O–X71)(–C(O)–NX72–O–X73), –C(S)–X74, –C(S)–O–X75, –C(S)–NH–X76, –C(S)–NX77X78, –C(O)–NH–O–X79, –C(O)–NX80–O–X81, –C(S)–NH–O–X82, –C(S)–NX83–O–X84, –C(O)–NH–NH–X85, –C(O)–NH–NX86X87, –C(O)–NX88–NX89X90, –C(S)–NH–NH–X91, –C(S)–NH–NX92X93, –C(S)–NX94–NX95X96, –C(O)–C(O)–O–X97, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHX98, –C(O)–C(O)–NX99X100, –C(S)–C(O)–O–X101, –C(O)–C(S)–O–X102, –C(S)–C(S)–O–X103, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHX104, –C(S)–C(O)–NX105X106, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHX107, –C(S)–C(S)–NX108X109, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHX110, –C(O)–C(S)–NX111X112";

wherein X1, X2, X3, X4, X5, X6, X7, X8, X9, X10, X11, X12, X13, X14, X15, X16, X17, X18, X19, X20, X21, X22, X23, X24, X25, X26, X27, X28, X29, X30, X31, X32, X33, X34, X35, X36, X37, X38, X39, X40, X41, X42, X43, X44, X45, X46, X47, X48, X49, X50, X51, X52, X53, X54, X55, X56, X57, X58, X59, X60, X61, X62, X63, X64, X65, X66, X67, X68, X69, X70, X71, X72, X73, X74, X75, X76, X77, X78, X79, X80, X81, X82, X83, X84, X85, X86, X87, X88, X89, X90, X91, X92, X93, X94, X95, X96, X97, X98, X99, X100, X101, X102, X103, X104, X105, X106, X107, X108, X109, X110, X111, X112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively X7, X8 and/or X16, X17 and/or X29, X30 and/or X36, X37 and/or X45, X46 and/or X55, X56 and/or X60, X61 and/or X77, X78 and/or X86, X87 and/or X89, X90 and/or X92, X93 and/or X95, X96 and/or X99, X100 and/or X105, X106 and/or X108, X109 and/or X111, X112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (I) – if not hydrogen – can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHX201, –NX202X203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–X204, –C(O)O–X205, –C(O)NH–X206, –C(O)NX207X208, –O–X209, –O(–X210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–X211–O)$_d$–X212 (d = 1, 2, 3, 4, 5), –OC(O)–X213, –OC(O)–O–X214, –OC(O)–NHX215, –O–C(O)–NX216X217, –OP(O)(OX218)(OX219), –OSi(X220)(X221)(X222), –OS(O_2)–X223, –NHC(O)–NH_2, –NHC(O)–X224, –NX225C(O)–X226, –NH–C(O)–O–X227, –NH–C(O)–NH–X228, –NH–C(O)–NX229X230, –NX231–C(O)–O–X232, –NX233–C(O)–NH–X234, –NX235–C(O)–NX236X237, –NHS(O_2)–X238, –NX239S(O_2)–X240, –S–X241, –S(O)–X242, –S(O_2)–X243, –S(O_2)NH–X244, –S(O_2)NX245X246, –S(O_2)O–X247, –P(O)(OX248)(OX249), –Si(X250)(X251)(X252), –C(NH)–NH_2, –C(NX253)–NH_2, –C(NH)–NHX254, –C(NH)–NX255X256, –C(NX257)–NHX258, –C(NX259)–NX260X261, –NH–C(O)–NH–O–X262, –NH–C(O)–NX263–O–X264, –NX265–C(O)–NX266–O–X267, –N(–C(O)–NH–O–X268)$_2$, –N(–C(O)–NX269–O–X270)$_2$, –N(–C(O)–NH–O–X271)(–C(O)–NX272–O–X273), –C(S)–X274, –C(S)–O–X275, –C(S)–NH–X276, –C(S)–NX277X278, –C(O)–NH–O–X279, –C(O)–NX280–O–X281, –C(S)–NH–O–X282, –C(S)–NX283–O–X284, –C(O)–NH–NH–X285, –C(O)–NH–NX286X287, –C(O)–NX288–NX289X290, –C(S)–NH–NH–X291, –C(S)–NH–NX292X293, –C(S)–NX294–NX295X296, –C(O)–C(O)–O–X297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHX298, –C(O)–C(O)–NX299X300, –C(S)–C(O)–O–X301, –C(O)–C(S)–O–X302, –C(S)–C(S)–O–X303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHX304, –C(S)–C(O)–NX305X306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHX307, –C(S)–C(S)–NX308X309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHX310, –C(O)–C(S)–NX311X312";

wherein X201, X202, X203, X204, X205, X206, X207, X208, X209, X210, X211, X212, X213, X214, X215, X216, X217, X218, X219, X220, X221, X222, X223, X224, X225, X226, X227, X228, X229, X230, X231, X232, X233, X234, X235, X236, X237, X238, X239, X240, X241, X242, X243, X244, X245, X246, X247, X248, X249, X250, X251, X252, X253, X254, X255, X256, X257, X258, X259, X260, X261, X262, X263, X264,

X265, X266, X267, X268, X269, X270, X271, X272, X273, X274, X275, X276, X277, X278, X279, X280, X281, X282, X283, X284, X285, X286, X287, X288, X289, X290, X291, X292, X293, X294, X295, X296, X297, X298, X299, X300, X301, X302, X303, X304, X305, X306, X307, X308, X309, X310, X311, X312 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively X207, X208 and/or X216, X217 and/or X229, X230 and/or X236, X237 and/or X245, X246 and/or X255, X256 and/or X260, X261 and/or X277, X278 and/or X286, X287 and/or X289, X290 and/or X292, X293 and/or X295, X296 and/or X299, X300 and/or X305, X306 and/or X308, X309 and/or X311, X312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHX401, –NX402X403, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–X404, –C(O)O–X405, –C(O)NH–X406, –C(O)NX407X408, –O–X409, –O(–X410–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–X411–O)$_f$–X412 (f = 1, 2, 3, 4, 5), –OC(O)–X413, –OC(O)–O–X414, –OC(O)–NHX415, –O–C(O)–NX416X417, –OP(O)(OX418)(OX419), –OSi(X420)(X421)(X422), –OS(O_2)–X423, –NHC(O)–NH_2, –NHC(O)–X424, –NX425C(O)–X426, –NH–C(O)–O–X427, –NH–C(O)–NH–X428, –NH–C(O)–NX429X430, –NX431–C(O)–O–X432, –NX433–C(O)–NH–X434, –NX435–C(O)–NX436X437, –NHS(O_2)–X438, –NX439S(O_2)–X440, –S–X441, –S(O)–X442, –S(O_2)–X443, –S(O_2)NH–X444, –S(O_2)NX445X446, –S(O_2)O–X447, –P(O)(OX448)(OX449), –Si(X450)(X451)(X452), –C(NH)–NH_2, –C(NX453)–NH_2, –C(NH)–NHX454, –C(NH)–NX455X456, –C(NX457)–NHX458, –C(NX459)–NX460X461, –NH–C(O)–NH–O–X462, –NH–C(O)–NX463–O–X464, –NX465–C(O)–NX466–O–X467, –N(–C(O)–NH–O–X468)$_2$, –N(–C(O)–NX469–O–

$X470)_2$, –N(–C(O)–NH–O–X471)(–C(O)–NX472–O–X473), –C(S)–X474, –C(S)–O–X475, –C(S)–NH–X476, –C(S)–NX477X478, –C(O)–NH–O–X479, –C(O)–NX480–O–X481, –C(S)–NH–O–X482, –C(S)–NX483–O–X484, –C(O)–NH–NH–X485, –C(O)–NH–NX486X487, –C(O)–NX488–NX489X490, –C(S)–NH–NH–X491, –C(S)–NH–NX492X493, –C(S)–NX494–NX495X496, –C(O)–C(O)–O–X497, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHX498, –C(O)–C(O)–NX499X500, –C(S)–C(O)–O–X501, –C(O)–C(S)–O–X502, –C(S)–C(S)–O–X503, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHX504, –C(S)–C(O)–NX505X506, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHX507, –C(S)–C(S)–NX508X509, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHX510, –C(O)–C(S)–NX511X512";

wherein X401, X402, X403, X404, X405, X406, X407, X408, X409, X410, X411, X412, X413, X414, X415, X416, X417, X418, X419, X420, X421, X422, X423, X424, X425, X426, X427, X428, X429, X430, X431, X432, X433, X434, X435, X436, X437, X438, X439, X440, X441, X442, X443, X444, X445, X446, X447, X448, X449, X450, X451, X452, X453, X454, X455, X456, X457, X458, X459, X460, X461, X462, X463, X464, X465, X466, X467, X468, X469, X470, X471, X472, X473, X474, X475, X476, X477, X478, X479, X480, X481, X482, X483, X484, X485, X486, X487, X488, X489, X490, X491, X492, X493, X494, X495, X496, X497, X498, X499, X500, X501, X502, X503, X504, X505, X506, X507, X508, X509, X510, X511, X512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively X407, X408 and/or X416, X417 and/or X429, X430 and/or X436, X437 and/or X445, X446 and/or X455, X456 and/or X460, X461 and/or X477, X478 and/or X486, X487 and/or X489, X490 and/or X492, X493 and/or X495, X496 and/or X499, X500 and/or X505, X506 and/or X508, X509 and/or X511, X512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least

one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHX601, –NX602X603, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–X604, –C(O)O–X605, –C(O)NH–X606, –C(O)NX607X608, –O–X609, –$O(–X610–O)_e–H$ (e = 1, 2, 3, 4, 5), –$O(–X611–O)_f–X612$ (f = 1, 2, 3, 4, 5), –OC(O)–X613, –OC(O)–O–X614, –OC(O)–NHX615, –O–C(O)–NX616X617, –OP(O)(OX618)(OX619), –OSi(X620)(X621)(X622), –$OS(O_2)$–X623, –$NHC(O)–NH_2$, –NHC(O)–X624, –NX625C(O)–X626, –NH–C(O)–O–X627, –NH–C(O)–NH–X628, –NH–C(O)–NX629X630, –NX631–C(O)–O–X632, –NX633–C(O)–NH–X634, –NX635–C(O)–NX636X637, –$NHS(O_2)$–X638, –$NX639S(O_2)$–X640, –S–X641, –S(O)–X642, –$S(O_2)$–X643, –$S(O_2)NH$–X644, –$S(O_2)NX645X646$, –$S(O_2)O$–X647, –P(O)(OX648)(OX649), –Si(X650)(X651)(X652), –C(NH)–NH_2, –C(NX653)–NH_2, –C(NH)–NHX654, –C(NH)–NX655X656, –C(NX657)–NHX658, –C(NX659)–NX660X661, –NH–C(O)–NH–O–X662, –NH–C(O)–NX663–O–X664, –NX665–C(O)–NX666–O–X667, –$N(–C(O)–NH–O–X668)_2$, –$N(–C(O)–NX669–O–X670)_2$, –N(–C(O)–NH–O–X671)(–C(O)–NX672–O–X673), –C(S)–X674, –C(S)–O–X675, –C(S)–NH–X676, –C(S)–NX677X678, –C(O)–NH–O–X679, –C(O)–NX680–O–X681, –C(S)–NH–O–X682, –C(S)–NX683–O–X684, –C(O)–NH–NH–X685, –C(O)–NH–NX686X687, –C(O)–NX688–NX689X690, –C(S)–NH–NH–X691, –C(S)–NH–NX692X693, –C(S)–NX694–NX695X696, –C(O)–C(O)–O–X697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHX698, –C(O)–C(O)–NX699X700, –C(S)–C(O)–O–X701, –C(O)–C(S)–O–X702, –C(S)–C(S)–O–X703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHX704, –C(S)–C(O)–NX705X706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHX707, –C(S)–C(S)–NX708X709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHX710, –C(O)–C(S)–NX711X712";

wherein X601, X602, X603, X604, X605, X606, X607, X608, X609, X610, X611, X612, X613, X614, X615, X616, X617, X618, X619, X620, X621, X622, X623, X624, X625, X626, X627, X628, X629, X630, X631, X632, X633, X634, X635, X636, X637, X638, X639, X640, X641, X642, X643, X644, X645, X646, X647, X648, X649, X650, X651, X652, X653, X654, X655, X656, X657, X658, X659, X660, X661, X662, X663, X664, X665, X666, X667, X668, X669, X670, X671, X672, X673, X674, X675, X676, X677, X678, X679, X680, X681, X682, X683, X684, X685, X686, X687, X688, X689, X690, X691, X692, X693, X694, X695, X696, X697, X698, X699, X700, X701, X702, X703, X704, X705, X706, X707, X708, X709, X710, X711, X712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively X607, X608 and/or X616, X617 and/or X629, X630 and/or X636, X637 and/or X645, X646 and/or X655, X656 and/or X660, X661 and/or X677, X678 and/or X686, X687 and/or X689, X690 and/or X692, X693 and/or X695, X696 and/or X699, X700 and/or X705, X706 and/or X708, X709 and/or X711, X712 and/or respectively together can also form „heterocyclyl";

with the first proviso that if "–C(Y1)–NR8R9" is selected from the group consisting of: "–C(O)–NR_aR_b", with Ra, Rb independently from each other being selected from the group consisting of: "hydrogen, alkyl, (C9-C30)alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl" or with Ra, Rb forming together "heterocyclyl", one of radicals R1, R2 is not "hydrogen" and the other one of radicals R1, R2 is not "–NR_cR_d with Rc, Rd independently from each other being selected from the group consisting of: "hydrogen, alkyl, (C9-C30alkyl), cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –C(Ya1)NXa16Xa17, –C(=NXa18)–Xa19, –C(Ya2)NXa20–Ya3–Xa21"; with the proviso that Rc, Rd are not "hydrogen" or „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" at the same time, with the further proviso that if one of radicals Rc, Rd is "hydrogen" or „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylal-

kyl, heteroaryl, heteroarylalkyl", the other radical Rc, Rd is „–C(Ya1)NXa16Xa17", „–C(=NXa18)–Xa19" oder „–C(Ya2)NXa20–Ya3–Xa21", where Ya1, Ya2, Ya3 are independentlly from each other selected from the group consisting of „O, S, =NH, =NXa22"; where Xa16, Xa17, Xa18, Xa19, Xa20, Z21, Z22 are independentlly from each other selected from the group consisting of: hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl";

with the second proviso that if at least one of radicals R1, R2 is "–NXa26Xa27" with at least one of radicals Xa26, Xa27 being "–C(O)–NR_eR_f where at least one of radicals R_e, R_f is selected from the group consisting of: "alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, C(O)-alkyl, C(O)-aryl, C(O)-heteroaryl, (C_9-C_{30})alkyl, cycloalkylalkyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, –C(O)–(C_9-C_{30})alkyl, –C(O)–cycloalkyl, –C(O)–cycloalkylalkyl, –C(O)–arylalkyl, –C(O)–heteroarylalkyl, –C(O)–heterocyclyl, –C(O)–heterocyclylalkyl, –$S(O_2)$–alkyl, –$S(O_2)$–(C_9-C_{30})alkyl, –$S(O_2)$–cycloalkyl, –$S(O_2)$–cycloalkylalkyl, –$S(O_2)$–aryl, –$S(O_2)$–arylalkyl, –$S(O_2)$–heteroaryl, –$S(O_2)$–heteroarylalkyl, –$S(O_2)$–heterocyclyl, –$S(O_2)$–heterocyclylalkyl", radicals R3, R4 are not "–C(O)–NXa1135Xa1136 with Xa1135, Xa1136 independently being selected from the group consisting of: hydrogen, alkyl, (C9-C30alkyl), cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" or with Xa1135, Xa1136 forming together "heterocyclyl";

with the third proviso that, if "–C(Y1)–NR8R9" independently is selected from the group consisting of: "–C(O)–N[C(O)–O–alkyl]$_2$, –C(O)–N[C(O)–alkyl]$_2$, –C(O)–N[$S(O_2)$–alkyl]$_2$, –C(O)–N[$S(O_2)$–cycloalkyl]$_2$, –C(O)–N[$S(O_2)$–cycloalkylalkyl]$_2$, –C(O)–N[$S(O_2)$–aryl]$_2$, –C(O)–N[$S(O_2)$–heterocyclyl]$_2$", radicals **R1**, **R2** independently from each other are <u>not</u> "phenyl";

with the fourth proviso that, if "–C(Y2)–NR12–Y3–R13" independently is selected from the group consisting of: "–C(O)–N[O–alkyl]$_2$", radicals **R1**, **R2** independently from each other are <u>not</u> "phenyl";

(c) "–C(O)–C(O)–R16";

wherein radical R16 is independently selected from the group consisting of:

(II) „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, het-

erocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, –NHZ1, –NZ2Z3, $–NO_2$, –OH, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, –C(O)–Z4, –C(O)O–Z5, –C(O)NH–Z6, –C(O)NZ7Z8, –O–Z9, $–O(–Z10–O)_a–H$ (a = 1, 2, 3, 4, 5), $–O(–Z11–O)_b–Z12$ (b = 1, 2, 3, 4, 5), –OC(O)–Z13, –OC(O)–O–Z14, –OC(O)–NHZ15, –O–C(O)–NZ16Z17, –OP(O)(OZ18)(OZ19), $–OSi(Z20)(Z21)(Z22)$, $–OS(O_2)–Z23$, $–NHC(O)–NH_2$, –NHC(O)–Z24, –NZ25C(O)–Z26, –NH–C(O)–O–Z27, –NH–C(O)–NH–Z28, –NH–C(O)–NZ29Z30, –NZ31–C(O)–O–Z32, –NZ33–C(O)–NH–Z34, –NZ35–C(O)–NZ36Z37, $–NHS(O_2)–Z38$, $–NZ39S(O_2)–Z40$, –S–Z41, –S(O)–Z42, $–S(O_2)–Z43$, $–S(O_2)NH–Z44$, $–S(O_2)NZ45Z46$, $–S(O_2)O–Z47$, –P(O)(OZ48)(OZ49), –Si(Z50)(Z51)(Z52), $–C(NH)–NH_2$, $–C(NZ53)–NH_2$, –C(NH)–NHZ54, –C(NH)–NZ55Z56, –C(NZ57)–NHZ58, –C(NZ59)–NZ60Z61, –NH–C(O)–NH–O–Z62, –NH–C(O)–NZ63–O–Z64, –NZ65–C(O)–NZ66–O–Z67, $–N(–C(O)–NH–O–Z68)_2$, $–N(–C(O)–NZ69–O–Z70)_2$, –N(–C(O)–NH–O–Z71)(–C(O)–NZ72–O–Z73), –C(S)–Z74, –C(S)–O–Z75, –C(S)–NH–Z76, –C(S)–NZ77Z78, –C(O)–NH–O–Z79, –C(O)–NZ80–O–Z81, –C(S)–NH–O–Z82, –C(S)–NZ83–O–Z84, –C(O)–NH–NH–Z85, –C(O)–NH–NZ86Z87, –C(O)–NZ88–NZ89Z90, –C(S)–NH–NH–Z91, –C(S)–NH–NZ92Z93, –C(S)–NZ94–NZ95Z96, –C(O)–C(O)–O–Z97, $–C(O)–C(O)–NH_2$, –C(O)–C(O)–NHZ98, –C(O)–C(O)–NZ99Z100, –C(S)–C(O)–O–Z101, –C(O)–C(S)–O–Z102, –C(S)–C(S)–O–Z103, $–C(S)–C(O)–NH_2$, –C(S)–C(O)–NHZ104, –C(S)–C(O)–NZ105Z106, $–C(S)–C(S)–NH_2$, –C(S)–C(S)–NHZ107, –C(S)–C(S)–NZ108Z109, $–C(O)–C(S)–NH_2$, –C(O)–C(S)–NHZ110, –C(O)–C(S)–NZ111Z112";

wherein Z1, Z2, Z3, Z4, Z5, Z6, Z7, Z8, Z9, Z10, Z11, Z12, Z13, Z14, Z15, Z16, Z17, Z18, Z19, Z20, Z21, Z22, Z23, Z24, Z25, Z26, Z27, Z28, Z29, Z30, Z31, Z32, Z33, Z34, Z35, Z36, Z37, Z38, Z39, Z40, Z41, Z42, Z43, Z44, Z45, Z46, Z47, Z48, Z49, Z50, Z51, Z52, Z53, Z54, Z55, Z56, Z57, Z58, Z59, Z60, Z61, Z62, Z63, Z64, Z65, Z66, Z67, Z68, Z69, Z70, Z71, Z72, Z73, Z74, Z75, Z76, Z77, Z78, Z79, Z80, Z81, Z82, Z83, Z84, Z85, Z86, Z87, Z88, Z89, Z90, Z91, Z92, Z93, Z94, Z95, Z96, Z97, Z98, Z99, Z100, Z101, Z102, Z103, Z104, Z105, Z106, Z107, Z108, Z109, Z110, Z111, Z112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, het-

erocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively Z7, Z8 and/or Z16, Z17 and/or Z29, Z30 and/or Z36, Z37 and/or Z45, Z46 and/or Z55, Z56 and/or Z60, Z61 and/or Z77, Z78 and/or Z86, Z87 and/or Z89, Z90 and/or Z92, Z93 and/or Z95, Z96 and/or Z99, Z100 and/or Z105, Z106 and/or Z108, Z109 and/or Z111, Z112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (II) – if not hydrogen – can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHZ201, –NZ202Z203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–Z204, –C(O)O–Z205, –C(O)NH–Z206, –C(O)NZ207Z208, –O–Z209, –O(–Z210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–Z211–O)$_d$–Z212 (d = 1, 2, 3, 4, 5), –OC(O)–Z213, –OC(O)–O–Z214, –OC(O)–NHZ215, –O–C(O)–NZ216Z217, –OP(O)(OZ218)(OZ219), –OSi(Z220)(Z221)(Z222), –$OS(O_2)$–Z223, –$NHC(O)$–NH_2, –NHC(O)–Z224, –NZ225C(O)–Z226, –NH–C(O)–O–Z227, –NH–C(O)–NH–Z228, –NH–C(O)–NZ229Z230, –NZ231–C(O)–O–Z232, –NZ233–C(O)–NH–Z234, –NZ235–C(O)–NZ236Z237, –$NHS(O_2)$–Z238, –$NZ239S(O_2)$–Z240, –S–Z241, –S(O)–Z242, –$S(O_2)$–Z243, –$S(O_2)NH$–Z244, –$S(O_2)NZ245Z246$, –$S(O_2)O$–Z247, –P(O)(OZ248)(OZ249), –Si(Z250)(Z251)(Z252), –C(NH)–NH_2, –C(NZ253)–NH_2, –C(NH)–NHZ254, –C(NH)–NZ255Z256, –C(NZ257)–NHZ258, –C(NZ259)–NZ260Z261, –NH–C(O)–NH–O–Z262, –NH–C(O)–NZ263–O–Z264, –NZ265–C(O)–NZ266–O–Z267, –N(–C(O)–NH–O–Z268)$_2$, –N(–C(O)–NZ269–O–Z270)$_2$, –N(–C(O)–NH–O–Z271)(–C(O)–NZ272–O–Z273), –C(S)–Z274, –C(S)–O–Z275, –C(S)–NH–Z276, –C(S)–NZ277Z278, –C(O)–NH–O–Z279, –C(O)–NZ280–O–Z281, –C(S)–NH–O–Z282, –C(S)–NZ283–O–Z284, –C(O)–NH–NH–Z285, –C(O)–NH–NZ286Z287, –C(O)–NZ288–NZ289Z290, –C(S)–NH–NH–Z291, –C(S)–NH–NZ292Z293, –C(S)–NZ294–NZ295Z296, –C(O)–C(O)–O–Z297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHZ298, –C(O)–C(O)–NZ299Z300, –C(S)–

C(O)–O–Z301, –C(O)–C(S)–O–Z302, –C(S)–C(S)–O–Z303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHZ304, –C(S)–C(O)–NZ305Z306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHZ307, –C(S)–C(S)–NZ308Z309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHZ310, –C(O)–C(S)–NZ311Z312";

wherein Z201, Z202, Z203, Z204, Z205, Z206, Z207, Z208, Z209, Z210, Z211, Z212, Z213, Z214, Z215, Z216, Z217, Z218, Z219, Z220, Z221, Z222, Z223, Z224, Z225, Z226, Z227, Z228, Z229, Z230, Z231, Z232, Z233, Z234, Z235, Z236, Z237, Z238, Z239, Z240, Z241, Z242, Z243, Z244, Z245, Z246, Z247, Z248, Z249, Z250, Z251, Z252, Z253, Z254, Z255, Z256, Z257, Z258, Z259, Z260, Z261, Z262, Z263, Z264, Z265, Z266, Z267, Z268, Z269, Z270, Z271, Z272, Z273, Z274, Z275, Z276, Z277, Z278, Z279, Z280, Z281, Z282, Z283, Z284, Z285, Z286, Z287, Z288, Z289, Z290, Z291, Z292, Z293, Z294, Z295, Z296, Z297, Z298, Z299, Z300, Z301, Z302, Z303, Z304, Z305, Z306, Z307, Z308, Z309, Z310, Z311, Z312 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively Z207, Z208 and/or Z216, Z217 and/or Z229, Z230 and/or Z236, Z237 and/or Z245, Z246 and/or Z255, Z256 and/or Z260, Z261 and/or Z277, Z278 and/or Z286, Z287 and/or Z289, Z290 and/or Z292, Z293 and/or Z295, Z296 and/or Z299, Z300 and/or Z305, Z306 and/or Z308, Z309 and/or Z311, Z312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHZ401, –NZ402Z403, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–Z404, –C(O)O–Z405, –C(O)NH–Z406, –C(O)NZ407Z408, –O–Z409, –O(–Z410–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–Z411–O)$_f$–Z412 (f = 1, 2, 3, 4, 5), –OC(O)–Z413, –OC(O)–O–Z414, –OC(O)–NHZ415, –O–C(O)–NZ416Z417, –

OP(O)(OZ418)(OZ419), –OSi(Z420)(Z421)(Z422), –OS(O_2)–Z423, –NHC(O)–NH_2, –NHC(O)–Z424, –NZ425C(O)–Z426, –NH–C(O)–O–Z427, –NH–C(O)–NH–Z428, –NH–C(O)–NZ429Z430, –NZ431–C(O)–O–Z432, –NZ433–C(O)–NH–Z434, –NZ435–C(O)–NZ436Z437, –NHS(O_2)–Z438, –NZ439S(O_2)–Z440, –S–Z441, –S(O)–Z442, –S(O_2)–Z443, –S(O_2)NH–Z444, –S(O_2)NZ445Z446, –S(O_2)O–Z447, –P(O)(OZ448)(OZ449), –Si(Z450)(Z451)(Z452), –C(NH)–NH_2, –C(NZ453)–NH_2, –C(NH)–NHZ454, –C(NH)–NZ455Z456, –C(NZ457)–NHZ458, –C(NZ459)–NZ460Z461, –NH–C(O)–NH–O–Z462, –NH–C(O)–NZ463–O–Z464, –NZ465–C(O)–NZ466–O–Z467, –N(–C(O)–NH–O–Z468)$_2$, –N(–C(O)–NZ469–O–Z470)$_2$, –N(–C(O)–NH–O–Z471)(–C(O)–NZ472–O–Z473), –C(S)–Z474, –C(S)–O–Z475, –C(S)–NH–Z476, –C(S)–NZ477Z478, –C(O)–NH–O–Z479, –C(O)–NZ480–O–Z481, –C(S)–NH–O–Z482, –C(S)–NZ483–O–Z484, –C(O)–NH–NH–Z485, –C(O)–NH–NZ486Z487, –C(O)–NZ488–NZ489Z490, –C(S)–NH–NH–Z491, –C(S)–NH–NZ492Z493, –C(S)–NZ494–NZ495Z496, –C(O)–C(O)–O–Z497, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHZ498, –C(O)–C(O)–NZ499Z500, –C(S)–C(O)–O–Z501, –C(O)–C(S)–O–Z502, –C(S)–C(S)–O–Z503, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHZ504, –C(S)–C(O)–NZ505Z506, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHZ507, –C(S)–C(S)–NZ508Z509, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHZ510, –C(O)–C(S)–NZ511Z512";

wherein Z401, Z402, Z403, Z404, Z405, Z406, Z407, Z408, Z409, Z410, Z411, Z412, Z413, Z414, Z415, Z416, Z417, Z418, Z419, Z420, Z421, Z422, Z423, Z424, Z425, Z426, Z427, Z428, Z429, Z430, Z431, Z432, Z433, Z434, Z435, Z436, Z437, Z438, Z439, Z440, Z441, Z442, Z443, Z444, Z445, Z446, Z447, Z448, Z449, Z450, Z451, Z452, Z453, Z454, Z455, Z456, Z457, Z458, Z459, Z460, Z461, Z462, Z463, Z464, Z465, Z466, Z467, Z468, Z469, Z470, Z471, Z472, Z473, Z474, Z475, Z476, Z477, Z478, Z479, Z480, Z481, Z482, Z483, Z484, Z485, Z486, Z487, Z488, Z489, Z490, Z491, Z492, Z493, Z494, Z495, Z496, Z497, Z498, Z499, Z500, Z501, Z502, Z503, Z504, Z505, Z506, Z507, Z508, Z509, Z510, Z511, Z512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl,

cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively Z407, Z408 and/or Z416, Z417 and/or Z429, Z430 and/or Z436, Z437 and/or Z445, Z446 and/or Z455, Z456 and/or Z460, Z461 and/or Z477, Z478 and/or Z486, Z487 and/or Z489, Z490 and/or Z492, Z493 and/or Z495, Z496 and/or Z499, Z500 and/or Z505, Z506 and/or Z508, Z509 and/or Z511, Z512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHZ601, –NZ602Z603, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–Z604, –C(O)O–Z605, –C(O)NH–Z606, –C(O)NZ607Z608, –O–Z609, –O(–Z610–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–Z611–O)$_f$–Z612 (f = 1, 2, 3, 4, 5), –OC(O)–Z613, –OC(O)–O–Z614, –OC(O)–NHZ615, –O–C(O)–NZ616Z617, –OP(O)(OZ618)(OZ619), –OSi(Z620)(Z621)(Z622), –OS(O_2)–Z623, –NHC(O)–NH_2, –NHC(O)–Z624, –NZ625C(O)–Z626, –NH–C(O)–O–Z627, –NH–C(O)–NH–Z628, –NH–C(O)–NZ629Z630, –NZ631–C(O)–O–Z632, –NZ633–C(O)–NH–Z634, –NZ635–C(O)–NZ636Z637, –NHS(O_2)–Z638, –NZ639S(O_2)–Z640, –S–Z641, –S(O)–Z642, –S(O_2)–Z643, –S(O_2)NH–Z644, –S(O_2)NZ645Z646, –S(O_2)O–Z647, –P(O)(OZ648)(OZ649), –Si(Z650)(Z651)(Z652), –C(NH)–NH_2, –C(NZ653)–NH_2, –C(NH)–NHZ654, –C(NH)–NZ655Z656, –C(NZ657)–NHZ658, –C(NZ659)–NZ660Z661, –NH–C(O)–NH–O–Z662, –NH–C(O)–NZ663–O–Z664, –NZ665–C(O)–NZ666–O–Z667, –N(–C(O)–NH–O–Z668)$_2$, –N(–C(O)–NZ669–O–Z670)$_2$, –N(–C(O)–NH–O–Z671)(–C(O)–NZ672–O–Z673), –C(S)–Z674, –C(S)–O–Z675, –C(S)–NH–Z676, –C(S)–NZ677Z678, –C(O)–NH–O–Z679, –C(O)–NZ680–O–Z681, –

C(S)–NH–O–Z682, –C(S)–NZ683–O–Z684, –C(O)–NH–NH–Z685, –C(O)–NH–NZ686Z687, –C(O)–NZ688–NZ689Z690, –C(S)–NH–NH–Z691, –C(S)–NH–NZ692Z693, –C(S)–NZ694–NZ695Z696, –C(O)–C(O)–O–Z697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHZ698, –C(O)–C(O)–NZ699Z700, –C(S)–C(O)–O–Z701, –C(O)–C(S)–O–Z702, –C(S)–C(S)–O–Z703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHZ704, –C(S)–C(O)–NZ705Z706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHZ707, –C(S)–C(S)–NZ708Z709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHZ710, –C(O)–C(S)–NZ711Z712";

wherein Z601, Z602, Z603, Z604, Z605, Z606, Z607, Z608, Z609, Z610, Z611, Z612, Z613, Z614, Z615, Z616, Z617, Z618, Z619, Z620, Z621, Z622, Z623, Z624, Z625, Z626, Z627, Z628, Z629, Z630, Z631, Z632, Z633, Z634, Z635, Z636, Z637, Z638, Z639, Z640, Z641, Z642, Z643, Z644, Z645, Z646, Z647, Z648, Z649, Z650, Z651, Z652, Z653, Z654, Z655, Z656, Z657, Z658, Z659, Z660, Z661, Z662, Z663, Z664, Z665, Z666, Z667, Z668, Z669, Z670, Z671, Z672, Z673, Z674, Z675, Z676, Z677, Z678, Z679, Z680, Z681, Z682, Z683, Z684, Z685, Z686, Z687, Z688, Z689, Z690, Z691, Z692, Z693, Z694, Z695, Z696, Z697, Z698, Z699, Z700, Z701, Z702, Z703, Z704, Z705, Z706, Z707, Z708, Z709, Z710, Z711, Z712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively Z607, Z608 and/or Z616, Z617 and/or Z629, Z630 and/or Z636, Z637 and/or Z645, Z646 and/or Z655, Z656 and/or Z660, Z661 and/or Z677, Z678 and/or Z686, Z687 and/or Z689, Z690 and/or Z692, Z693 and/or Z695, Z696 and/or Z699, Z700 and/or Z705, Z706 and/or Z708, Z709 and/or Z711, Z712 and/or respectively together can also form „heterocyclyl";

with the proviso that radical R16 is not "indol-yl";

(d) "–$S(O_2)$–R18";

wherein radical R18 is independently selected from the group consisting of:

(III) „–F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHW1, –NW2W3, –NO_2, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–W4, –C(O)O–W5, –C(O)NH–W6, –C(O)NW7W8, –O–W9, –O(–W10–O)$_a$–H (a = 1, 2, 3, 4, 5), –O(–W11–O)$_b$–W12 (b = 1, 2, 3, 4, 5), –OC(O)–W13, –OC(O)–O–W14, –OC(O)–NHW15, –O–C(O)–NW16W17, –OP(O)(OW18)(OW19), –OSi(W20)(W21)(W22), –$OS(O_2)$–W23, –NHC(O)–NH_2, –NHC(O)–W24, –NW25C(O)–W26, –NH–C(O)–O–W27, –NH–C(O)–NH–W28, –NH–C(O)–NW29W30, –NW31–C(O)–O–W32, –NW33–C(O)–NH–W34, –NW35–C(O)–NW36W37, –NHS(O_2)–W38, –NW39S(O_2)–W40, –S–W41, –S(O)–W42, –S(O_2)–W43, –S(O_2)NH–W44, –S(O_2)NW45W46, –S(O_2)O–W47, –P(O)(OW48)(OW49), –Si(W50)(W51)(W52), –C(NH)–NH_2, –C(NW53)–NH_2, –C(NH)–NHW54, –C(NH)–NW55W56, –C(NW57)–NHW58, –C(NW59)–NW60W61, –NH–C(O)–NH–O–W62, –NH–C(O)–NW63–O–W64, –NW65–C(O)–NW66–O–W67, –N(–C(O)–NH–O–W68)$_2$, –N(–C(O)–NW69–O–W70)$_2$, –N(–C(O)–NH–O–W71)(–C(O)–NW72–O–W73), –C(S)–W74, –C(S)–O–W75, –C(S)–NH–W76, –C(S)–NW77W78, –C(O)–NH–O–W79, –C(O)–NW80–O–W81, –C(S)–NH–O–W82, –C(S)–NW83–O–W84, –C(O)–NH–NH–W85, –C(O)–NH–NW86W87, –C(O)–NW88–NW89W90, –C(S)–NH–NH–W91, –C(S)–NH–NW92W93, –C(S)–NW94–NW95W96, –C(O)–C(O)–O–W97, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHW98, –C(O)–C(O)–NW99W100, –C(S)–C(O)–O–W101, –C(O)–C(S)–O–W102, –C(S)–C(S)–O–W103, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHW104, –C(S)–C(O)–NW105W106, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHW107, –C(S)–C(S)–NW108W109, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHW110, –C(O)–C(S)–NW111W112";

wherein W1, W2, W3, W4, W5, W6, W7, W8, W9, W10, W11, W12, W13, W14, W15, W16, W17, W18, W19, W20, W21, W22, W23, W24, W25, W26, W27, W28, W29, W30, W31, W32, W33, W34, W35, W36, W37, W38, W39, W40, W41, W42, W43, W44, W45, W46, W47, W48, W49, W50, W51, W52, W53, W54, W55, W56, W57, W58, W59, W60, W61, W62, W63, W64, W65, W66, W67, W68, W69, W70, W71, W72, W73, W74, W75, W76, W77, W78, W79, W80, W81, W82, W83, W84, W85, W86, W87, W88, W89, W90, W91, W92, W93, W94, W95, W96, W97, W98, W99, W100, W101, W102, W103, W104, W105, W106, W107, W108, W109, W110, W111, W112 are independently from each other selected from the group consisting of: „hydrogen,

alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively W7, W8 and/or W16, W17 and/or W29, W30 and/or W36, W37 and/or W45, W46 and/or W55, W56 and/or W60, W61 and/or W77, W78 and/or W86, W87 and/or W89, W90 and/or W92, W93 and/or W95, W96 and/or W99, W100 and/or W105, W106 and/or W108, W109 and/or W111, W112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (III) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHW201, –NW202W203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–W204, –C(O)O–W205, –C(O)NH–W206, –C(O)NW207W208, –O–W209, –O(–W210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–W211–O)$_d$–W212 (d = 1, 2, 3, 4, 5), –OC(O)–W213, –OC(O)–O–W214, –OC(O)–NHW215, –O–C(O)–NW216W217, –OP(O)(OW218)(OW219), –OSi(W220)(W221)(W222), –$OS(O_2)$–W223, –$NHC(O)$–NH_2, –NHC(O)–W224, –NW225C(O)–W226, –NH–C(O)–O–W227, –NH–C(O)–NH–W228, –NH–C(O)–NW229W230, –NW231–C(O)–O–W232, –NW233–C(O)–NH–W234, –NW235–C(O)–NW236W237, –$NHS(O_2)$–W238, –$NW239S(O_2)$–W240, –S–W241, –S(O)–W242, –$S(O_2)$–W243, –$S(O_2)$NH–W244, –$S(O_2)$NW245W246, –$S(O_2)$O–W247, –P(O)(OW248)(OW249), –Si(W250)(W251)(W252), –C(NH)–NH_2, –C(NW253)–NH_2, –C(NH)–NHW254, –C(NH)–NW255W256, –C(NW257)–NHW258, –C(NW259)–NW260W261, –NH–C(O)–NH–O–W262, –NH–C(O)–NW263–O–W264, –NW265–C(O)–NW266–O–W267, –N(–C(O)–NH–O–W268)$_2$, –N(–C(O)–NW269–O–W270)$_2$, –N(–C(O)–NH–O–W271)(–C(O)–NW272–O–W273), –C(S)–W274, –C(S)–O–W275, –C(S)–NH–W276, –C(S)–NW277W278, –C(O)–NH–O–W279, –C(O)–NW280–O–W281, –C(S)–NH–O–W282, –C(S)–NW283–O–W284, –C(O)–NH–NH–W285, –C(O)–NH–NW286W287, –C(O)–NW288–NW289W290, –C(S)–NH–NH–W291, –C(S)–NH–NW292W293, –C(S)–NW294–NW295W296, –C(O)–C(O)–O–

W297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHW298, –C(O)–C(O)–NW299W300, –C(S)–C(O)–O–W301, –C(O)–C(S)–O–W302, –C(S)–C(S)–O–W303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHW304, –C(S)–C(O)–NW305W306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHW307, –C(S)–C(S)–NW308W309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHW310, –C(O)–C(S)–NW311W312";

wherein W201, W202, W203, W204, W205, W206, W207, W208, W209, W210, W211, W212, W213, W214, W215, W216, W217, W218, W219, W220, W221, W222, W223, W224, W225, W226, W227, W228, W229, W230, W231, W232, W233, W234, W235, W236, W237, W238, W239, W240, W241, W242, W243, W244, W245, W246, W247, W248, W249, W250, W251, W252, W253, W254, W255, W256, W257, W258, W259, W260, W261, W262, W263, W264, W265, W266, W267, W268, W269, W270, W271, W272, W273, W274, W275, W276, W277, W278, W279, W280, W281, W282, W283, W284, W285, W286, W287, W288, W289, W290, W291, W292, W293, W294, W295, W296, W297, W298, W299, W300, W301, W302, W303, W304, W305, W306, W307, W308, W309, W310, W311, W312 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively W207, W208 and/or W216, W217 and/or W229, W230 and/or W236, W237 and/or W245, W246 and/or W255, W256 and/or W260, W261 and/or W277, W278 and/or W286, W287 and/or W289, W290 and/or W292, W293 and/or W295, W296 and/or W299, W300 and/or W305, W306 and/or W308, W309 and/or W311, W312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHW401, –NW402W403, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –

$C(O)NH_2$, $-SO_3H$, $-P(O)(OH)_2$, –C(O)–W404, –C(O)O–W405, –C(O)NH–W406, –C(O)NW407W408, –O–W409, $-O(-W410-O)_e-H$ (e = 1, 2, 3, 4, 5), $-O(-W411-O)_f-W412$ (f = 1, 2, 3, 4, 5), –OC(O)–W413, –OC(O)–O–W414, –OC(O)–NHW415, –O–C(O)–NW416W417, –OP(O)(OW418)(OW419), –OSi(W420)(W421)(W422), $-OS(O_2)-W423$, $-NHC(O)-NH_2$, –NHC(O)–W424, –NW425C(O)–W426, –NH–C(O)–O–W427, –NH–C(O)–NH–W428, –NH–C(O)–NW429W430, –NW431–C(O)–O–W432, –NW433–C(O)–NH–W434, –NW435–C(O)–NW436W437, $-NHS(O_2)-W438$, $-NW439S(O_2)-W440$, –S–W441, –S(O)–W442, $-S(O_2)-W443$, $-S(O_2)NH-W444$, $-S(O_2)NW445W446$, $-S(O_2)O-W447$, –P(O)(OW448)(OW449), –Si(W450)(W451)(W452), $-C(NH)-NH_2$, $-C(NW453)-NH_2$, –C(NH)–NHW454, –C(NH)–NW455W456, –C(NW457)–NHW458, –C(NW459)–NW460W461, –NH–C(O)–NH–O–W462, –NH–C(O)–NW463–O–W464, –NW465–C(O)–NW466–O–W467, $-N(-C(O)-NH-O-W468)_2$, $-N(-C(O)-NW469-O-W470)_2$, –N(–C(O)–NH–O–W471)(–C(O)–NW472–O–W473), –C(S)–W474, –C(S)–O–W475, –C(S)–NH–W476, –C(S)–NW477W478, –C(O)–NH–O–W479, –C(O)–NW480–O–W481, –C(S)–NH–O–W482, –C(S)–NW483–O–W484, –C(O)–NH–NH–W485, –C(O)–NH–NW486W487, –C(O)–NW488–NW489W490, –C(S)–NH–NH–W491, –C(S)–NH–NW492W493, –C(S)–NW494–NW495W496, –C(O)–C(O)–O–W497, $-C(O)-C(O)-NH_2$, –C(O)–C(O)–NHW498, –C(O)–C(O)–NW499W500, –C(S)–C(O)–O–W501, –C(O)–C(S)–O–W502, –C(S)–C(S)–O–W503, $-C(S)-C(O)-NH_2$, –C(S)–C(O)–NHW504, –C(S)–C(O)–NW505W506, $-C(S)-C(S)-NH_2$, –C(S)–C(S)–NHW507, –C(S)–C(S)–NW508W509, $-C(O)-C(S)-NH_2$, –C(O)–C(S)–NHW510, –C(O)–C(S)–NW511W512";

wherein W401, W402, W403, W404, W405, W406, W407, W408, W409, W410, W411, W412, W413, W414, W415, W416, W417, W418, W419, W420, W421, W422, W423, W424, W425, W426, W427, W428, W429, W430, W431, W432, W433, W434, W435, W436, W437, W438, W439, W440, W441, W442, W443, W444, W445, W446, W447, W448, W449, W450, W451, W452, W453, W454, W455, W456, W457, W458, W459, W460, W461, W462,

W463, W464, W465, W466, W467, W468, W469, W470, W471, W472, W473, W474, W475, W476, W477, W478, W479, W480, W481, W482, W483, W484, W485, W486, W487, W488, W489, W490, W491, W492, W493, W494, W495, W496, W497, W498, W499, W500, W501, W502, W503, W504, W505, W506, W507, W508, W509, W510, W511, W512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively W407, W408 and/or W416, W417 and/or W429, W430 and/or W436, W437 and/or W445, W446 and/or W455, W456 and/or W460, W461 and/or W477, W478 and/or W486, W487 and/or W489, W490 and/or W492, W493 and/or W495, W496 and/or W499, W500 and/or W505, W506 and/or W508, W509 and/or W511, W512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, –NHW601, –NW602W603, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, –C(O)–W604, –C(O)O–W605, –C(O)NH–W606, –C(O)NW607W608, –O–W609, $–O(–W610–O)_e–H$ (e = 1, 2, 3, 4, 5), $–O(–W611–O)_f–W612$ (f = 1, 2, 3, 4, 5), –OC(O)–W613, –OC(O)–O–W614, –OC(O)–NHW615, –O–C(O)–NW616W617, –OP(O)(OW618)(OW619), $–OSi(W620)(W621)(W622)$, $–OS(O_2)–W623$, $–NHC(O)–NH_2$, –NHC(O)–W624, –NW625C(O)–W626, –NH–C(O)–O–W627, –NH–C(O)–NH–W628, –NH–C(O)–NW629W630, –NW631–C(O)–O–W632, –NW633–C(O)–NH–W634, –NW635–C(O)–NW636W637, $–NHS(O_2)–W638$, $–NW639S(O_2)–W640$, –S–W641, –S(O)–W642, $–S(O_2)–W643$, $–S(O_2)NH–W644$, $–S(O_2)NW645W646$, $–S(O_2)O–W647$, –

P(O)(OW648)(OW649), –Si(W650)(W651)(W652), –C(NH)–NH_2, –C(NW653)–NH_2, –C(NH)–NHW654, –C(NH)–NW655W656, –C(NW657)–NHW658, –C(NW659)–NW660W661, –NH–C(O)–NH–O–W662, –NH–C(O)–NW663–O–W664, –NW665–C(O)–NW666–O–W667, –N(–C(O)–NH–O–$W668)_2$, –N(–C(O)–$NW669–O–W670)_2$, –N(–C(O)–NH–O–W671)(–C(O)–NW672–O–W673), –C(S)–W674, –C(S)–O–W675, –C(S)–NH–W676, –C(S)–NW677W678, –C(O)–NH–O–W679, –C(O)–NW680–O–W681, –C(S)–NH–O–W682, –C(S)–NW683–O–W684, –C(O)–NH–NH–W685, –C(O)–NH–NW686W687, –C(O)–NW688–NW689W690, –C(S)–NH–NH–W691, –C(S)–NH–NW692W693, –C(S)–NW694–NW695W696, –C(O)–C(O)–O–W697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHW698, –C(O)–C(O)–NW699W700, –C(S)–C(O)–O–W701, –C(O)–C(S)–O–W702, –C(S)–C(S)–O–W703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHW704, –C(S)–C(O)–NW705W706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHW707, –C(S)–C(S)–NW708W709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHW710, –C(O)–C(S)–NW711W712";

wherein W601, W602, W603, W604, W605, W606, W607, W608, W609, W610, W611, W612, W613, W614, W615, W616, W617, W618, W619, W620, W621, W622, W623, W624, W625, W626, W627, W628, W629, W630, W631, W632, W633, W634, W635, W636, W637, W638, W639, W640, W641, W642, W643, W644, W645, W646, W647, W648, W649, W650, W651, W652, W653, W654, W655, W656, W657, W658, W659, W660, W661, W662, W663, W664, W665, W666, W667, W668, W669, W670, W671, W672, W673, W674, W675, W676, W677, W678, W679, W680, W681, W682, W683, W684, W685, W686, W687, W688, W689, W690, W691, W692, W693, W694, W695, W696, W697, W698, W699, W700, W701, W702, W703, W704, W705, W706, W707, W708, W709, W710, W711, W712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively W607, W608 and/or W616, W617 and/or

W629, W630 and/or W636, W637 and/or W645, W646 and/or W655, W656 and/or W660, W661 and/or W677, W678 and/or W686, W687 and/or W689, W690 and/or W692, W693 and/or W695, W696 and/or W699, W700 and/or W705, W706 and/or W708, W709 and/or W711, W712 and/or respectively together can also form „heterocyclyl";

with the first proviso that radical R18 is not selected from the group consisting of: "–O–alkyl, –O–(C9-C30)alkyl, –O–aryl, –O–arylalkyl, –O–heteroaryl, –O–heteroarylalkyl, –O–cycloalkyl, –O–cycloalkylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl";

with the second proviso that, if radical R18 independently is selected from the group consisting of: "–NR_aR_b", with Ra, Rb independently from each other being selected from the group consisting of: "hydrogen, alkyl, (C9-C30)alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl", radical **R1** is not selected from the group consisting of: "heterocyclylalkyl being substituted with =O, where heterocyclyl is 5-membered; alkyl being substituted with heterocyclyl, where heterocyclyl is 5-membered and substituted with =O";

and one of radicals **R3**, **R4** or neither of radicals **R3**, **R4** independently is selected from the group consisting of:

(2) „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHA1, –NA2A3, –NO_2, –OH, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–A4, –C(O)O–A5, –C(O)NH–A6, –C(O)NA7A8, –O–A9, –O(–A10–O)$_a$–H (a = 1, 2, 3, 4, 5), –O(–A11–O)$_b$–A12 (b = 1, 2, 3, 4, 5), –OC(O)–A13, –OC(O)–O–A14, –OC(O)–NHA15, –O–C(O)–NA16A17, –OP(O)(OA18)(OA19), –OSi(A20)(A21)(A22), –$OS(O_2)$–A23, –NHC(O)–NH_2, –NHC(O)–A24, –NA25C(O)–A26, –NH–C(O)–O–A27, –NH–C(O)–NH–A28, –NH–C(O)–NA29A30, –NA31–C(O)–O–A32, –NA33–C(O)–NH–A34, –NA35–C(O)–NA36A37, –$NHS(O_2)$–A38, –$NA39S(O_2)$–A40, –S–A41, –S(O)–A42, –$S(O_2)$–A43, –$S(O_2)$NH–A44, –$S(O_2)$NA45A46, –$S(O_2)$O–A47, –P(O)(OA48)(OA49), –Si(A50)(A51)(A52), –C(NH)–NH_2, –C(NA53)–NH_2, –C(NH)–NHA54, –C(NH)–

NA55A56, –C(NA57)–NHA58, –C(NA59)–NA60A61, –NH–C(O)–NH–O–A62, –NH–C(O)–NA63–O–A64, –NA65–C(O)–NA66–O–A67, –N(–C(O)–NH–O–A68)$_2$, –N(–C(O)–NA69–O–A70)$_2$, –N(–C(O)–NH–O–A71)(–C(O)–NA72–O–A73), –C(S)–A74, –C(S)–O–A75, –C(S)–NH–A76, –C(S)–NA77A78, –C(O)–NH–O–A79, –C(O)–NA80–O–A81, –C(S)–NH–O–A82, –C(S)–NA83–O–A84, –C(O)–NH–NH–A85, –C(O)–NH–NA86A87, –C(O)–NA88–NA89A90, –C(S)–NH–NH–A91, –C(S)–NH–NA92A93, –C(S)–NA94–NA95A96, –C(O)–C(O)–O–A97, –C(O)–C(O)–NH$_2$, –C(O)–C(O)–NHA98, –C(O)–C(O)–NA99A100, –C(S)–C(O)–O–A101, –C(O)–C(S)–O–A102, –C(S)–C(S)–O–A103, –C(S)–C(O)–NH$_2$, –C(S)–C(O)–NHA104, –C(S)–C(O)–NA105A106, –C(S)–C(S)–NH$_2$, –C(S)–C(S)–NHA107, –C(S)–C(S)–NA108A109, –C(O)–C(S)–NH$_2$, –C(O)–C(S)–NHA110, –C(O)–C(S)–NA111A112";

wherein A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, A36, A37, A38, A39, A40, A41, A42, A43, A44, A45, A46, A47, A48, A49, A50, A51, A52, A53, A54, A55, A56, A57, A58, A59, A60, A61, A62, A63, A64, A65, A66, A67, A68, A69, A70, A71, A72, A73, A74, A75, A76, A77, A78, A79, A80, A81, A82, A83, A84, A85, A86, A87, A88, A89, A90, A91, A92, A93, A94, A95, A96, A97, A98, A99, A100, A101, A102, A103, A104, A105, A106, A107, A108, A109, A110, A111, A112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively A7, A8 and/or A16, A17 and/or A29, A30 and/or A36, A37 and/or A45, A46 and/or A55, A56 and/or A60, A61 and/or A77, A78 and/or A86, A87 and/or A89, A90 and/or A92, A93 and/or A95, A96 and/or A99, A100 and/or A105, A106 and/or A108, A109 and/or A111, A112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (2) – if not hydrogenn – can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHA201, –NA202A203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H,

–P(O)$(OH)_2$, –C(O)–A204, –C(O)O–A205, –C(O)NH–A206, –C(O)NA207A208, –O–A209, –O(–A210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–A211–O)$_d$–A212 (d = 1, 2, 3, 4, 5), –OC(O)–A213, –OC(O)–O–A214, –OC(O)–NHA215, –O–C(O)–NA216A217, –OP(O)(OA218)(OA219), –OSi(A220)(A221)(A222), –OS(O_2)–A223, –NHC(O)–NH_2, –NHC(O)–A224, –NA225C(O)–A226, –NH–C(O)–O–A227, –NH–C(O)–NH–A228, –NH–C(O)–NA229A230, –NA231–C(O)–O–A232, –NA233–C(O)–NH–A234, –NA235–C(O)–NA236A237, –NHS(O_2)–A238, –NA239S(O_2)–A240, –S–A241, –S(O)–A242, –S(O_2)–A243, –S(O_2)NH–A244, –S(O_2)NA245A246, –S(O_2)O–A247, –P(O)(OA248)(OA249), –Si(A250)(A251)(A252), –C(NH)–NH_2, –C(NA253)–NH_2, –C(NH)–NHA254, –C(NH)–NA255A256, –C(NA257)–NHA258, –C(NA259)–NA260A261, –NH–C(O)–NH–O–A262, –NH–C(O)–NA263–O–A264, –NA265–C(O)–NA266–O–A267, –N(–C(O)–NH–O–A268)$_2$, –N(–C(O)–NA269–O–A270)$_2$, –N(–C(O)–NH–O–A271)(–C(O)–NA272–O–A273), –C(S)–A274, –C(S)–O–A275, –C(S)–NH–A276, –C(S)–NA277A278, –C(O)–NH–O–A279, –C(O)–NA280–O–A281, –C(S)–NH–O–A282, –C(S)–NA283–O–A284, –C(O)–NH–NH–A285, –C(O)–NH–NA286A287, –C(O)–NA288–NA289A290, –C(S)–NH–NH–A291, –C(S)–NH–NA292A293, –C(S)–NA294–NA295A296, –C(O)–C(O)–O–A297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHA298, –C(O)–C(O)–NA299A300, –C(S)–C(O)–O–A301, –C(O)–C(S)–O–A302, –C(S)–C(S)–O–A303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHA304, –C(S)–C(O)–NA305A306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHA307, –C(S)–C(S)–NA308A309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHA310, –C(O)–C(S)–NA311A312";

wherein A201, A202, A203, A204, A205, A206, A207, A208, A209, A210, A211, A212, A213, A214, A215, A216, A217, A218, A219, A220, A221, A222, A223, A224, A225, A226, A227, A228, A229, A230, A231, A232, A233, A234, A235, A236, A237, A238, A239, A240, A241, A242, A243, A244, A245, A246, A247, A248, A249, A250, A251, A252, A253, A254, A255, A256, A257, A258, A259, A260, A261, A262, A263, A264, A265, A266, A267, A268, A269, A270, A271, A272, A273, A274, A275, A276, A277, A278, A279, A280, A281, A282, A283, A284, A285, A286, A287, A288, A289, A290, A291, A292, A293, A294, A295, A296, A297, A298, A299, A300, A301, A302, A303, A304, A305, A306, A307, A308, A309, A310, A311, A312 are independently from each other selected from the

group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl“ and wherein alternatively A207, A208 and/or A216, A217 and/or A229, A230 and/or A236, A237 and/or A245, A246 and/or A255, A256 and/or A260, A261 and/or A277, A278 and/or A286, A287 and/or A289, A290 and/or A292, A293 and/or A295, A296 and/or A299, A300 and/or A305, A306 and/or A308, A309 and/or A311, A312 and/or respectively together can also form „heterocyclyl“;

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, $-CF_3$, $-N_3$, $-NH_2$, –NHA401, –NA402A403, $-NO_2$, –OH, =O, $-OCF_3$, $-OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–A404, –C(O)O–A405, –C(O)NH–A406, –C(O)NA407A408, –O–A409, –O(–A410–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–A411–O)$_f$–A412 (f = 1, 2, 3, 4, 5), –OC(O)–A413, –OC(O)–O–A414, –OC(O)–NHA415, –O–C(O)–NA416A417, –OP(O)(OA418)(OA419), –OSi(A420)(A421)(A422), –OS(O_2)–A423, –NHC(O)–NH_2, –NHC(O)–A424, –NA425C(O)–A426, –NH–C(O)–O–A427, –NH–C(O)–NH–A428, –NH–C(O)–NA429A430, –NA431–C(O)–O–A432, –NA433–C(O)–NH–A434, –NA435–C(O)–NA436A437, –NHS(O_2)–A438, –NA439S(O_2)–A440, –S–A441, –S(O)–A442, –S(O_2)–A443, –S(O_2)NH–A444, –S(O_2)NA445A446, –S(O_2)O–A447, –P(O)(OA448)(OA449), –Si(A450)(A451)(A452), –C(NH)–NH_2, –C(NA453)–NH_2, –C(NH)–NHA454, –C(NH)–NA455A456, –C(NA457)–NHA458, –C(NA459)–NA460A461, –NH–C(O)–NH–O–A462, –NH–C(O)–NA463–O–A464, –NA465–C(O)–NA466–O–A467, –N(–C(O)–NH–O–A468)$_2$, –N(–C(O)–NA469–O–A470)$_2$, –N(–C(O)–NH–O–A471)(–C(O)–NA472–O–A473), –C(S)–A474, –C(S)–O–A475, –C(S)–NH–A476, –C(S)–NA477A478, –C(O)–NH–O–A479, –C(O)–NA480–O–A481, –C(S)–NH–O–A482, –C(S)–NA483–O–A484, –C(O)–NH–NH–A485, –C(O)–NH–NA486A487, –C(O)–NA488–NA489A490, –C(S)–NH–NH–A491, –C(S)–NH–NA492A493, –C(S)–NA494–NA495A496, –C(O)–C(O)–O–A497, –

$C(O)–C(O)–NH_2$, –C(O)–C(O)–NHA498, –C(O)–C(O)–NA499A500, –C(S)–C(O)–O–A501, –C(O)–C(S)–O–A502, –C(S)–C(S)–O–A503, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHA504, –C(S)–C(O)–NA505A506, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHA507, –C(S)–C(S)–NA508A509, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHA510, –C(O)–C(S)–NA511A512";

wherein A401, A402, A403, A404, A405, A406, A407, A408, A409, A410, A411, A412, A413, A414, A415, A416, A417, A418, A419, A420, A421, A422, A423, A424, A425, A426, A427, A428, A429, A430, A431, A432, A433, A434, A435, A436, A437, A438, A439, A440, A441, A442, A443, A444, A445, A446, A447, A448, A449, A450, A451, A452, A453, A454, A455, A456, A457, A458, A459, A460, A461, A462, A463, A464, A465, A466, A467, A468, A469, A470, A471, A472, A473, A474, A475, A476, A477, A478, A479, A480, A481, A482, A483, A484, A485, A486, A487, A488, A489, A490, A491, A492, A493, A494, A495, A496, A497, A498, A499, A500, A501, A502, A503, A504, A505, A506, A507, A508, A509, A510, A511, A512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively A407, A408 and/or A416, A417 and/or A429, A430 and/or A436, A437 and/or A445, A446 and/or A455, A456 and/or A460, A461 and/or A477, A478 and/or A486, A487 and/or A489, A490 and/or A492, A493 and/or A495, A496 and/or A499, A500 and/or A505, A506 and/or A508, A509 and/or A511, A512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, –NHA601, –NA602A603, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, –C(O)–A604, –C(O)O–A605, –C(O)NH–A606, –C(O)NA607A608, –O–A609, $–O(–A610–O)_e–H$ (e = 1, 2, 3, 4, 5), $–O(–A611–O)_f–A612$ (f = 1, 2, 3, 4, 5), –OC(O)–A613,

–OC(O)–O–A614, –OC(O)–NHA615, –O–C(O)–NA616A617, –OP(O)(OA618)(OA619), –OSi(A620)(A621)(A622), –$OS(O_2)$–A623, –NHC(O)–NH_2, –NHC(O)–A624, –NA625C(O)–A626, –NH–C(O)–O–A627, –NH–C(O)–NH–A628, –NH–C(O)–NA629A630, –NA631–C(O)–O–A632, –NA633–C(O)–NH–A634, –NA635–C(O)–NA636A637, –NHS(O_2)–A638, –NA639S(O_2)–A640, –S–A641, –S(O)–A642, –S(O_2)–A643, –S(O_2)NH–A644, –S(O_2)NA645A646, –S(O_2)O–A647, –P(O)(OA648)(OA649), –Si(A650)(A651)(A652), –C(NH)–NH_2, –C(NA653)–NH_2, –C(NH)–NHA654, –C(NH)–NA655A656, –C(NA657)–NHA658, –C(NA659)–NA660A661, –NH–C(O)–NH–O–A662, –NH–C(O)–NA663–O–A664, –NA665–C(O)–NA666–O–A667, –N(–C(O)–NH–O–A668)$_2$, –N(–C(O)–NA669–O–A670)$_2$, –N(–C(O)–NH–O–A671)(–C(O)–NA672–O–A673), –C(S)–A674, –C(S)–O–A675, –C(S)–NH–A676, –C(S)–NA677A678, –C(O)–NH–O–A679, –C(O)–NA680–O–A681, –C(S)–NH–O–A682, –C(S)–NA683–O–A684, –C(O)–NH–NH–A685, –C(O)–NH–NA686A687, –C(O)–NA688–NA689A690, –C(S)–NH–NH–A691, –C(S)–NH–NA692A693, –C(S)–NA694–NA695A696, –C(O)–C(O)–O–A697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHA698, –C(O)–C(O)–NA699A700, –C(S)–C(O)–O–A701, –C(O)–C(S)–O–A702, –C(S)–C(S)–O–A703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHA704, –C(S)–C(O)–NA705A706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHA707, –C(S)–C(S)–NA708A709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHA710, –C(O)–C(S)–NA711A712";

wherein A601, A602, A603, A604, A605, A606, A607, A608, A609, A610, A611, A612, A613, A614, A615, A616, A617, A618, A619, A620, A621, A622, A623, A624, A625, A626, A627, A628, A629, A630, A631, A632, A633, A634, A635, A636, A637, A638, A639, A640, A641, A642, A643, A644, A645, A646, A647, A648, A649, A650, A651, A652, A653, A654, A655, A656, A657, A658, A659, A660, A661, A662, A663, A664, A665, A666, A667, A668, A669, A670, A671, A672, A673, A674, A675, A676, A677, A678, A679, A680, A681, A682, A683, A684, A685, A686, A687, A688, A689, A690, A691, A692, A693, A694, A695, A696, A697, A698, A699, A700, A701, A702, A703, A704, A705, A706, A707, A708, A709,

A710, A711, A712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively A607, A608 and/or A616, A617 and/or A629, A630 and/or A636, A637 and/or A645, A646 and/or A655, A656 and/or A660, A661 and/or A677, A678 and/or A686, A687 and/or A689, A690 and/or A692, A693 and/or A695, A696 and/or A699, A700 and/or A705, A706 and/or A708, A709 and/or A711, A712 and/or respectively together can also form „heterocyclyl";

and radicals **R1, R2, R5** independently from each other are selected from the group consisting of:

(3) „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHB1, –NB2B3, –NO_2, –OH, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–B4, –C(O)O–B5, –C(O)NH–B6, –C(O)NB7B8, –O–B9, –O(–B10–O)$_a$–H (a = 1, 2, 3, 4, 5), –O(–B11–O)$_b$–B12 (b = 1, 2, 3, 4, 5), –OC(O)–B13, –OC(O)–O–B14, –OC(O)–NHB15, –O–C(O)–NB16B17, –OP(O)(OB18)(OB19), –OSi(B20)(B21)(B22), –$OS(O_2)$–B23, –NHC(O)–NH_2, –NHC(O)–B24, –NB25C(O)–B26, –NH–C(O)–O–B27, –NH–C(O)–NH–B28, –NH–C(O)–NB29B30, –NB31–C(O)–O–B32, –NB33–C(O)–NH–B34, –NB35–C(O)–NB36B37, –$NHS(O_2)$–B38, –$NB39S(O_2)$–B40, –S–B41, –S(O)–B42, –$S(O_2)$–B43, –$S(O_2)$NH–B44, –$S(O_2)$NB45B46, –$S(O_2)$O–B47, –P(O)(OB48)(OB49), –Si(B50)(B51)(B52), –C(NH)–NH_2, –C(NB53)–NH_2, –C(NH)–NHB54, –C(NH)–NB55B56, –C(NB57)–NHB58, –C(NB59)–NB60B61, –NH–C(O)–NH–O–B62, –NH–C(O)–NB63–O–B64, –NB65–C(O)–NB66–O–B67, –N(–C(O)–NH–O–B68)$_2$, –N(–C(O)–NB69–O–B70)$_2$, –N(–C(O)–NH–O–B71)(–C(O)–NB72–O–B73), –C(S)–B74, –C(S)–O–B75, –C(S)–NH–B76, –C(S)–NB77B78, –C(O)–NH–O–B79, –C(O)–NB80–O–B81, –C(S)–NH–O–B82, –C(S)–NB83–O–B84, –C(O)–NH–NH–B85, –C(O)–NH–NB86B87, –C(O)–NB88–NB89B90, –C(S)–NH–NH–B91, –C(S)–NH–NB92B93, –C(S)–NB94–NB95B96, –C(O)–C(O)–O–B97, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHB98, –C(O)–C(O)–NB99B100, –C(S)–

C(O)–O–B101, –C(O)–C(S)–O–B102, –C(S)–C(S)–O–B103, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHB104, –C(S)–C(O)–NB105B106, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHB107, –C(S)–C(S)–NB108B109, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHB110, –C(O)–C(S)–NB111B112";

wherein B1, B2, B3, B4, B5, B6, B7, B8, B9, B10, B11, B12, B13, B14, B15, B16, B17, B18, B19, B20, B21, B22, B23, B24, B25, B26, B27, B28, B29, B30, B31, B32, B33, B34, B35, B36, B37, B38, B39, B40, B41, B42, B43, B44, B45, B46, B47, B48, B49, B50, B51, B52, B53, B54, B55, B56, B57, B58, B59, B60, B61, B62, B63, B64, B65, B66, B67, B68, B69, B70, B71, B72, B73, B74, B75, B76, B77, B78, B79, B80, B81, B82, B83, B84, B85, B86, B87, B88, B89, B90, B91, B92, B93, B94, B95, B96, B97, B98, B99, B100, B101, B102, B103, B104, B105, B106, B107, B108, B109, B110, B111, B112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively B2, B3 and/or B7, B8 and/or B16, B17 and/or B29, B30 and/or B36, B37 and/or B45, B46 and/or B55, B56 and/or B60, B61 and/or B77, B78 and/or B86, B87 and/or B89, B90 and/or B92, B93 and/or B95, B96 and/or B99, B100 and/or B105, B106 and/or B108, B109 and/or B111, B112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (3) – if not hydrogen – can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHB201, –NB202B203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–B204, –C(O)O–B205, –C(O)NH–B206, –C(O)NB207B208, –O–B209, –O(–B210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–B211–O)$_d$–B212 (d = 1, 2, 3, 4, 5), –OC(O)–B213, –OC(O)–O–B214, –OC(O)–NHB215, –O–C(O)–NB216B217, –OP(O)(OB218)(OB219), –OSi(B220)(B221)(B222), –OS(O_2)–B223, –NHC(O)–NH_2, –NHC(O)–B224, –NB225C(O)–B226, –NH–C(O)–O–B227, –NH–C(O)–NH–B228, –NH–C(O)–NB229B230, –NB231–C(O)–O–B232, –NB233–C(O)–NH–B234, –NB235–C(O)–NB236B237, –NHS(O_2)–B238, –NB239S(O_2)–B240, –S–B241, –S(O)–B242, –S(O_2)–B243, –

$S(O_2)NH–B244$, $–S(O_2)NB245B246$, $–S(O_2)O–B247$, –P(O)(OB248)(OB249), –Si(B250)(B251)(B252), $–C(NH)–NH_2$, $–C(NB253)–NH_2$, –C(NH)–NHB254, –C(NH)–NB255B256, –C(NB257)–NHB258, –C(NB259)–NB260B261, –NH–C(O)–NH–O–B262, –NH–C(O)–NB263–O–B264, –NB265–C(O)–NB266–O–B267, $–N(–C(O)–NH–O–B268)_2$, $–N(–C(O)–NB269–O–B270)_2$, –N(–C(O)–NH–O–B271)(–C(O)–NB272–O–B273), –C(S)–B274, –C(S)–O–B275, –C(S)–NH–B276, –C(S)–NB277B278, –C(O)–NH–O–B279, –C(O)–NB280–O–B281, –C(S)–NH–O–B282, –C(S)–NB283–O–B284, –C(O)–NH–NH–B285, –C(O)–NH–NB286B287, –C(O)–NB288–NB289B290, –C(S)–NH–NH–B291, –C(S)–NH–NB292B293, –C(S)–NB294–NB295B296, –C(O)–C(O)–O–B297, $–C(O)–C(O)–NH_2$, –C(O)–C(O)–NHB298, –C(O)–C(O)–NB299B300, –C(S)–C(O)–O–B301, –C(O)–C(S)–O–B302, –C(S)–C(S)–O–B303, $–C(S)–C(O)–NH_2$, –C(S)–C(O)–NHB304, –C(S)–C(O)–NB305B306, $–C(S)–C(S)–NH_2$, –C(S)–C(S)–NHB307, –C(S)–C(S)–NB308B309, $–C(O)–C(S)–NH_2$, –C(O)–C(S)–NHB310, –C(O)–C(S)–NB311B312";

wherein B201, B202, B203, B204, B205, B206, B207, B208, B209, B210, B211, B212, B213, B214, B215, B216, B217, B218, B219, B220, B221, B222, B223, B224, B225, B226, B227, B228, B229, B230, B231, B232, B233, B234, B235, B236, B237, B238, B239, B240, B241, B242, B243, B244, B245, B246, B247, B248, B249, B250, B251, B252, B253, B254, B255, B256, B257, B258, B259, B260, B261, B262, B263, B264, B265, B266, B267, B268, B269, B270, B271, B272, B273, B274, B275, B276, B277, B278, B279, B280, B281, B282, B283, B284, B285, B286, B287, B288, B289, B290, B291, B292, B293, B294, B295, B296, B297, B298, B299, B300, B301, B302, B303, B304, B305, B306, B307, B308, B309, B310, B311, B312 are independently from each other selected from the group consisting of: „hydrogen, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively B207, B208 and/or B216, B217 and/or B229, B230 and/or B236, B237 and/or B245, B246 and/or B255, B256 and/or B260, B261 and/or B277, B278 and/or B286, B287 and/or B289, B290 and/or B292, B293 and/or B295, B296 and/or B299, B300 and/or B305, B306 and/or B308, B309 and/or B311, B312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHB401, –NB402B403, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–B404, –C(O)O–B405, –C(O)NH–B406, –C(O)NB407B408, –O–B409, –O(–B410–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–B411–O)$_f$–B412 (f = 1, 2, 3, 4, 5), –OC(O)–B413, –OC(O)–O–B414, –OC(O)–NHB415, –O–C(O)–NB416B417, –OP(O)(OB418)(OB419), –OSi(B420)(B421)(B422), –OS(O_2)–B423, –NHC(O)–NH_2, –NHC(O)–B424, –NB425C(O)–B426, –NH–C(O)–O–B427, –NH–C(O)–NH–B428, –NH–C(O)–NB429B430, –NB431–C(O)–O–B432, –NB433–C(O)–NH–B434, –NB435–C(O)–NB436B437, –NHS(O_2)–B438, –NB439S(O_2)–B440, –S–B441, –S(O)–B442, –S(O_2)–B443, –S(O_2)NH–B444, –S(O_2)NB445B446, –S(O_2)O–B447, –P(O)(OB448)(OB449), –Si(B450)(B451)(B452), –C(NH)–NH_2, –C(NB453)–NH_2, –C(NH)–NHB454, –C(NH)–NB455B456, –C(NB457)–NHB458, –C(NB459)–NB460B461, –NH–C(O)–NH–O–B462, –NH–C(O)–NB463–O–B464, –NB465–C(O)–NB466–O–B467, –N(–C(O)–NH–O–B468)$_2$, –N(–C(O)–NB469–O–B470)$_2$, –N(–C(O)–NH–O–B471)(–C(O)–NB472–O–B473), –C(S)–B474, –C(S)–O–B475, –C(S)–NH–B476, –C(S)–NB477B478, –C(O)–NH–O–B479, –C(O)–NB480–O–B481, –C(S)–NH–O–B482, –C(S)–NB483–O–B484, –C(O)–NH–NH–B485, –C(O)–NH–NB486B487, –C(O)–NB488–NB489B490, –C(S)–NH–NH–B491, –C(S)–NH–NB492B493, –C(S)–NB494–NB495B496, –C(O)–C(O)–O–B497, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHB498, –C(O)–C(O)–NB499B500, –C(S)–C(O)–O–B501, –C(O)–C(S)–O–B502, –C(S)–C(S)–O–B503, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHB504, –C(S)–C(O)–NB505B506, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHB507, –C(S)–C(S)–NB508B509, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHB510, –C(O)–C(S)–NB511B512";

wherein B401, B402, B403, B404, B405, B406, B407, B408, B409, B410, B411, B412, B413, B414, B415, B416, B417, B418, B419, B420, B421, B422, B423, B424, B425, B426, B427, B428, B429, B430, B431,

B432, B433, B434, B435, B436, B437, B438, B439, B440, B441, B442, B443, B444, B445, B446, B447, B448, B449, B450, B451, B452, B453, B454, B455, B456, B457, B458, B459, B460, B461, B462, B463, B464, B465, B466, B467, B468, B469, B470, B471, B472, B473, B474, B475, B476, B477, B478, B479, B480, B481, B482, B483, B484, B485, B486, B487, B488, B489, B490, B491, B492, B493, B494, B495, B496, B497, B498, B499, B500, B501, B502, B503, B504, B505, B506, B507, B508, B509, B510, B511, B512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively B407, B408 and/or B416, B417 and/or B429, B430 and/or B436, B437 and/or B445, B446 and/or B455, B456 and/or B460, B461 and/or B477, B478 and/or B486, B487 and/or B489, B490 and/or B492, B493 and/or B495, B496 and/or B499, B500 and/or B505, B506 and/or B508, B509 and/or B511, B512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

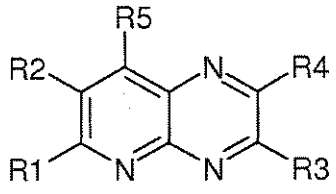
(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHB601, –NB602B603, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–B604, –C(O)O–B605, –C(O)NH–B606, –C(O)NB607B608, –O–B609, –O(–B610–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–B611–O)$_f$–B612 (f = 1, 2, 3, 4, 5), –OC(O)–B613, –OC(O)–O–B614, –OC(O)–NHB615, –O–C(O)–NB616B617, –OP(O)(OB618)(OB619), –OSi(B620)(B621)(B622), –OS(O_2)–B623, –NHC(O)–NH_2, –NHC(O)–B624, –NB625C(O)–B626, –NH–C(O)–O–B627, –NH–C(O)–NH–B628, –NH–C(O)–NB629B630, –NB631–C(O)–O–B632, –NB633–C(O)–NH–B634, –NB635–C(O)–NB636B637, –NHS(O_2)–B638, –NB639S(O_2)–B640, –S–B641, –S(O)–B642, –S(O_2)–B643, –S(O_2)NH–B644, –S(O_2)NB645B646, –S(O_2)O–B647, –P(O)(OB648)(OB649), –Si(B650)(B651)(B652), –C(NH)–NH_2, –C(NB653)–NH_2, –C(NH)–NHB654, –C(NH)–

NB655B656, –C(NB657)–NHB658, –C(NB659)–NB660B661, –NH–C(O)–NH–O–B662, –NH–C(O)–NB663–O–B664, –NB665–C(O)–NB666–O–B667, –N(–C(O)–NH–O–B668)$_2$, –N(–C(O)–NB669–O–B670)$_2$, –N(–C(O)–NH–O–B671)(–C(O)–NB672–O–B673), –C(S)–B674, –C(S)–O–B675, –C(S)–NH–B676, –C(S)–NB677B678, –C(O)–NH–O–B679, –C(O)–NB680–O–B681, –C(S)–NH–O–B682, –C(S)–NB683–O–B684, –C(O)–NH–NH–B685, –C(O)–NH–NB686B687, –C(O)–NB688–NB689B690, –C(S)–NH–NH–B691, –C(S)–NH–NB692B693, –C(S)–NB694–NB695B696, –C(O)–C(O)–O–B697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHB698, –C(O)–C(O)–NB699B700, –C(S)–C(O)–O–B701, –C(O)–C(S)–O–B702, –C(S)–C(S)–O–B703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHB704, –C(S)–C(O)–NB705B706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHB707, –C(S)–C(S)–NB708B709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHB710, –C(O)–C(S)–NB711B712";

wherein B601, B602, B603, B604, B605, B606, B607, B608, B609, B610, B611, B612, B613, B614, B615, B616, B617, B618, B619, B620, B621, B622, B623, B624, B625, B626, B627, B628, B629, B630, B631, B632, B633, B634, B635, B636, B637, B638, B639, B640, B641, B642, B643, B644, B645, B646, B647, B648, B649, B650, B651, B652, B653, B654, B655, B656, B657, B658, B659, B660, B661, B662, B663, B664, B665, B666, B667, B668, B669, B670, B671, B672, B673, B674, B675, B676, B677, B678, B679, B680, B681, B682, B683, B684, B685, B686, B687, B688, B689, B690, B691, B692, B693, B694, B695, B696, B697, B698, B699, B700, B701, B702, B703, B704, B705, B706, B707, B708, B709, B710, B711, B712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively B607, B608 and/or B616, B617 and/or B629, B630 and/or B636, B637 and/or B645, B646 and/or B655, B656 and/or B660, B661 and/or B677, B678 and/or B686, B687 and/or B689, B690 and/or B692, B693 and/or B695, B696 and/or B699, B700 and/or B705, B706 and/or B708, B709 and/or B711, B712 and/or respectively together can also form

„heterocyclyl".

The object of the present invention has surprisingly been solved in one aspect by providing pyrido[2,3-b]pyrazine derivatives according to general formula (Ib)



(Ib)

wherein:

one of radicals **R3, R4** independently is selected, or both of radicals **R3, R4** independently from each other are selected from the group consisting of:

(1) "–NR6R7";

wherein radicals R6, R7 are independently from each other selected from the group consisting of:

(a) "hydrogen";

with the first proviso that radicals R6, R7 are not both hydrogen at the same time;

with the second proviso that, if one of radicals R6, R7 independently is "hydrogen", radical **R5** is not selected from the group consisting of: "–NH–cycloalkyl, –NH–heterocyclyl, –NH–aryl, –NH–heteroaryl, halogen, –F, –Cl, –Br, –I, –NR_aR_b", with Ra, Rb being independently selected from the group consisting of: "H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –NR_cR_d", Rc, Rd in turn being independently selected from the group consisting of: "H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl";

(b) "–C(Y1)NR8R9, –C(=NR10)–R11, –C(Y2)NR12–Y3–R13";

wherein Y1, Y2 are independently from each other selected from the group consisting of: "=O, =S, =NH, =NR14";

wherein Y3 is independently selected from the group consisting of: "O, S";

wherein radicals R8, R9, R10, R11, R12, R13, R14 are independently from each other selected from the group consisting of:

(I) „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, –NHX1, –NX2X3, $–NO_2$, –OH, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, –C(O)–X4, –C(O)O–X5, –C(O)NH–X6, –C(O)NX7X8, –O–X9, $–O(–X10–O)_a–H$ (a = 1, 2, 3, 4, 5), $–O(–X11–O)_b–X12$ (b = 1, 2, 3, 4, 5), –OC(O)–X13, –OC(O)–O–X14, –OC(O)–NHX15, –O–C(O)–NX16X17, –$OP(O)(OX18)(OX19)$, $–OSi(X20)(X21)(X22)$, $–OS(O_2)–X23$, $–NHC(O)–NH_2$, –NHC(O)–X24, –NX25C(O)–X26, –NH–C(O)–O–X27, –NH–C(O)–NH–X28, –NH–C(O)–NX29X30, –NX31–C(O)–O–X32, –NX33–C(O)–NH–X34, –NX35–C(O)–NX36X37, $–NHS(O_2)–X38$, $–NX39S(O_2)–X40$, –S–X41, –S(O)–X42, $–S(O_2)–X43$, $–S(O_2)NH–X44$, $–S(O_2)NX45X46$, $–S(O_2)O–X47$, –$P(O)(OX48)(OX49)$, $–Si(X50)(X51)(X52)$, $–C(NH)–NH_2$, $–C(NX53)–NH_2$, –C(NH)–NHX54, –C(NH)–NX55X56, –C(NX57)–NHX58, –C(NX59)–NX60X61, –NH–C(O)–NH–O–X62, –NH–C(O)–NX63–O–X64, –NX65–C(O)–NX66–O–X67, $–N(–C(O)–NH–O–X68)_2$, $–N(–C(O)–NX69–O–X70)_2$, –N(–C(O)–NH–O–X71)(–C(O)–NX72–O–X73), –C(S)–X74, –C(S)–O–X75, –C(S)–NH–X76, –C(S)–NX77X78, –C(O)–NH–O–X79, –C(O)–NX80–O–X81, –C(S)–NH–O–X82, –C(S)–NX83–O–X84, –C(O)–NH–NH–X85, –C(O)–NH–NX86X87, –C(O)–NX88–NX89X90, –C(S)–NH–NH–X91, –C(S)–NH–NX92X93, –C(S)–NX94–NX95X96, –C(O)–C(O)–O–X97, $–C(O)–C(O)–NH_2$, –C(O)–C(O)–NHX98, –C(O)–C(O)–NX99X100, –C(S)–C(O)–O–X101, –C(O)–C(S)–O–X102, –C(S)–C(S)–O–X103, $–C(S)–C(O)–NH_2$, –C(S)–C(O)–NHX104, –C(S)–C(O)–NX105X106, $–C(S)–C(S)–NH_2$, –C(S)–C(S)–NHX107, –C(S)–C(S)–NX108X109, $–C(O)–C(S)–NH_2$, –C(O)–C(S)–NHX110, –C(O)–C(S)–NX111X112";

wherein X1, X2, X3, X4, X5, X6, X7, X8, X9, X10, X11, X12, X13, X14, X15, X16, X17, X18, X19, X20, X21, X22, X23, X24, X25, X26, X27, X28, X29, X30, X31, X32, X33, X34, X35, X36, X37, X38, X39, X40, X41, X42, X43, X44, X45, X46, X47, X48, X49, X50, X51, X52, X53, X54, X55, X56, X57, X58, X59, X60, X61, X62, X63, X64, X65, X66, X67, X68, X69, X70, X71, X72, X73, X74, X75, X76, X77, X78, X79, X80, X81, X82, X83, X84, X85, X86, X87, X88, X89, X90, X91, X92, X93, X94, X95, X96, X97, X98, X99,

X100, X101, X102, X103, X104, X105, X106, X107, X108, X109, X110, X111, X112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively X7, X8 and/or X16, X17 and/or X29, X30 and/or X36, X37 and/or X45, X46 and/or X55, X56 and/or X60, X61 and/or X77, X78 and/or X86, X87 and/or X89, X90 and/or X92, X93 and/or X95, X96 and/or X99, X100 and/or X105, X106 and/or X108, X109 and/or X111, X112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (I) – if not hydrogen – can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHX201, –NX202X203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–X204, –C(O)O–X205, –C(O)NH–X206, –C(O)NX207X208, –O–X209, –O(–X210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–X211–O)$_d$–X212 (d = 1, 2, 3, 4, 5), –OC(O)–X213, –OC(O)–O–X214, –OC(O)–NHX215, –O–C(O)–NX216X217, –OP(O)(OX218)(OX219), –OSi(X220)(X221)(X222), –$OS(O_2)$–X223, –$NHC(O)$–NH_2, –NHC(O)–X224, –NX225C(O)–X226, –NH–C(O)–O–X227, –NH–C(O)–NH–X228, –NH–C(O)–NX229X230, –NX231–C(O)–O–X232, –NX233–C(O)–NH–X234, –NX235–C(O)–NX236X237, –$NHS(O_2)$–X238, –$NX239S(O_2)$–X240, –S–X241, –S(O)–X242, –$S(O_2)$–X243, –$S(O_2)NH$–X244, –$S(O_2)NX245X246$, –$S(O_2)O$–X247, –P(O)(OX248)(OX249), –Si(X250)(X251)(X252), –C(NH)–NH_2, –C(NX253)–NH_2, –C(NH)–NHX254, –C(NH)–NX255X256, –C(NX257)–NHX258, –C(NX259)–NX260X261, –NH–C(O)–NH–O–X262, –NH–C(O)–NX263–O–X264, –NX265–C(O)–NX266–O–X267, –N(–C(O)–NH–O–X268)$_2$, –N(–C(O)–NX269–O–X270)$_2$, –N(–C(O)–NH–O–X271)(–C(O)–NX272–O–X273), –C(S)–X274, –C(S)–O–X275, –C(S)–NH–X276, –C(S)–NX277X278, –C(O)–NH–O–X279, –C(O)–NX280–O–X281, –C(S)–NH–O–X282, –C(S)–NX283–O–X284, –C(O)–NH–NH–X285, –C(O)–NH–NX286X287,

–C(O)–NX288–NX289X290, –C(S)–NH–NH–X291, –C(S)–NH–NX292X293, –C(S)–NX294–NX295X296, –C(O)–C(O)–O–X297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHX298, –C(O)–C(O)–NX299X300, –C(S)–C(O)–O–X301, –C(O)–C(S)–O–X302, –C(S)–C(S)–O–X303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHX304, –C(S)–C(O)–NX305X306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHX307, –C(S)–C(S)–NX308X309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHX310, –C(O)–C(S)–NX311X312";

wherein X201, X202, X203, X204, X205, X206, X207, X208, X209, X210, X211, X212, X213, X214, X215, X216, X217, X218, X219, X220, X221, X222, X223, X224, X225, X226, X227, X228, X229, X230, X231, X232, X233, X234, X235, X236, X237, X238, X239, X240, X241, X242, X243, X244, X245, X246, X247, X248, X249, X250, X251, X252, X253, X254, X255, X256, X257, X258, X259, X260, X261, X262, X263, X264, X265, X266, X267, X268, X269, X270, X271, X272, X273, X274, X275, X276, X277, X278, X279, X280, X281, X282, X283, X284, X285, X286, X287, X288, X289, X290, X291, X292, X293, X294, X295, X296, X297, X298, X299, X300, X301, X302, X303, X304, X305, X306, X307, X308, X309, X310, X311, X312 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively X207, X208 and/or X216, X217 and/or X229, X230 and/or X236, X237 and/or X245, X246 and/or X255, X256 and/or X260, X261 and/or X277, X278 and/or X286, X287 and/or X289, X290 and/or X292, X293 and/or X295, X296 and/or X299, X300 and/or X305, X306 and/or X308, X309 and/or X311, X312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHX401, –NX402X403, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–X404, –C(O)O–X405, –

C(O)NH–X406, –C(O)NX407X408, –O–X409, –O(–X410–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–X411–O)$_f$–X412 (f = 1, 2, 3, 4, 5), –OC(O)–X413, –OC(O)–O–X414, –OC(O)–NHX415, –O–C(O)–NX416X417, –OP(O)(OX418)(OX419), –OSi(X420)(X421)(X422), –OS(O$_2$)–X423, –NHC(O)–NH$_2$, –NHC(O)–X424, –NX425C(O)–X426, –NH–C(O)–O–X427, –NH–C(O)–NH–X428, –NH–C(O)–NX429X430, –NX431–C(O)–O–X432, –NX433–C(O)–NH–X434, –NX435–C(O)–NX436X437, –NHS(O$_2$)–X438, –NX439S(O$_2$)–X440, –S–X441, –S(O)–X442, –S(O$_2$)–X443, –S(O$_2$)NH–X444, –S(O$_2$)NX445X446, –S(O$_2$)O–X447, –P(O)(OX448)(OX449), –Si(X450)(X451)(X452), –C(NH)–NH$_2$, –C(NX453)–NH$_2$, –C(NH)–NHX454, –C(NH)–NX455X456, –C(NX457)–NHX458, –C(NX459)–NX460X461, –NH–C(O)–NH–O–X462, –NH–C(O)–NX463–O–X464, –NX465–C(O)–NX466–O–X467, –N(–C(O)–NH–O–X468)$_2$, –N(–C(O)–NX469–O–X470)$_2$, –N(–C(O)–NH–O–X471)(–C(O)–NX472–O–X473), –C(S)–X474, –C(S)–O–X475, –C(S)–NH–X476, –C(S)–NX477X478, –C(O)–NH–O–X479, –C(O)–NX480–O–X481, –C(S)–NH–O–X482, –C(S)–NX483–O–X484, –C(O)–NH–NH–X485, –C(O)–NH–NX486X487, –C(O)–NX488–NX489X490, –C(S)–NH–NH–X491, –C(S)–NH–NX492X493, –C(S)–NX494–NX495X496, –C(O)–C(O)–O–X497, –C(O)–C(O)–NH$_2$, –C(O)–C(O)–NHX498, –C(O)–C(O)–NX499X500, –C(S)–C(O)–O–X501, –C(O)–C(S)–O–X502, –C(S)–C(S)–O–X503, –C(S)–C(O)–NH$_2$, –C(S)–C(O)–NHX504, –C(S)–C(O)–NX505X506, –C(S)–C(S)–NH$_2$, –C(S)–C(S)–NHX507, –C(S)–C(S)–NX508X509, –C(O)–C(S)–NH$_2$, –C(O)–C(S)–NHX510, –C(O)–C(S)–NX511X512";

wherein X401, X402, X403, X404, X405, X406, X407, X408, X409, X410, X411, X412, X413, X414, X415, X416, X417, X418, X419, X420, X421, X422, X423, X424, X425, X426, X427, X428, X429, X430, X431, X432, X433, X434, X435, X436, X437, X438, X439, X440, X441, X442, X443, X444, X445, X446, X447, X448, X449, X450, X451, X452, X453, X454, X455, X456, X457, X458, X459, X460, X461, X462, X463, X464, X465, X466, X467, X468, X469, X470, X471, X472, X473, X474, X475, X476, X477, X478, X479, X480, X481, X482, X483, X484, X485, X486, X487, X488, X489,

X490, X491, X492, X493, X494, X495, X496, X497, X498, X499, X500, X501, X502, X503, X504, X505, X506, X507, X508, X509, X510, X511, X512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively X407, X408 and/or X416, X417 and/or X429, X430 and/or X436, X437 and/or X445, X446 and/or X455, X456 and/or X460, X461 and/or X477, X478 and/or X486, X487 and/or X489, X490 and/or X492, X493 and/or X495, X496 and/or X499, X500 and/or X505, X506 and/or X508, X509 and/or X511, X512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHX601, –NX602X603, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–X604, –C(O)O–X605, –C(O)NH–X606, –C(O)NX607X608, –O–X609, –O(–X610–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–X611–O)$_f$–X612 (f = 1, 2, 3, 4, 5), –OC(O)–X613, –OC(O)–O–X614, –OC(O)–NHX615, –O–C(O)–NX616X617, –OP(O)(OX618)(OX619), –OSi(X620)(X621)(X622), –$OS(O_2)$–X623, –NHC(O)–NH_2, –NHC(O)–X624, –NX625C(O)–X626, –NH–C(O)–O–X627, –NH–C(O)–NH–X628, –NH–C(O)–NX629X630, –NX631–C(O)–O–X632, –NX633–C(O)–NH–X634, –NX635–C(O)–NX636X637, –NHS(O_2)–X638, –NX639S(O_2)–X640, –S–X641, –S(O)–X642, –S(O_2)–X643, –S(O_2)NH–X644, –S(O_2)NX645X646, –S(O_2)O–X647, –P(O)(OX648)(OX649), –Si(X650)(X651)(X652), –C(NH)–NH_2, –C(NX653)–NH_2, –C(NH)–NHX654, –C(NH)–NX655X656, –C(NX657)–NHX658, –C(NX659)–NX660X661, –NH–C(O)–NH–O–X662, –NH–C(O)–NX663–O–X664, –NX665–C(O)–NX666–

O–X667, –N(–C(O)–NH–O–X668)$_2$, –N(–C(O)–NX669–O–X670)$_2$, –N(–C(O)–NH–O–X671)(–C(O)–NX672–O–X673), –C(S)–X674, –C(S)–O–X675, –C(S)–NH–X676, –C(S)–NX677X678, –C(O)–NH–O–X679, –C(O)–NX680–O–X681, –C(S)–NH–O–X682, –C(S)–NX683–O–X684, –C(O)–NH–NH–X685, –C(O)–NH–NX686X687, –C(O)–NX688–NX689X690, –C(S)–NH–NH–X691, –C(S)–NH–NX692X693, –C(S)–NX694–NX695X696, –C(O)–C(O)–O–X697, –C(O)–C(O)–NH$_2$, –C(O)–C(O)–NHX698, –C(O)–C(O)–NX699X700, –C(S)–C(O)–O–X701, –C(O)–C(S)–O–X702, –C(S)–C(S)–O–X703, –C(S)–C(O)–NH$_2$, –C(S)–C(O)–NHX704, –C(S)–C(O)–NX705X706, –C(S)–C(S)–NH$_2$, –C(S)–C(S)–NHX707, –C(S)–C(S)–NX708X709, –C(O)–C(S)–NH$_2$, –C(O)–C(S)–NHX710, –C(O)–C(S)–NX711X712";

wherein X601, X602, X603, X604, X605, X606, X607, X608, X609, X610, X611, X612, X613, X614, X615, X616, X617, X618, X619, X620, X621, X622, X623, X624, X625, X626, X627, X628, X629, X630, X631, X632, X633, X634, X635, X636, X637, X638, X639, X640, X641, X642, X643, X644, X645, X646, X647, X648, X649, X650, X651, X652, X653, X654, X655, X656, X657, X658, X659, X660, X661, X662, X663, X664, X665, X666, X667, X668, X669, X670, X671, X672, X673, X674, X675, X676, X677, X678, X679, X680, X681, X682, X683, X684, X685, X686, X687, X688, X689, X690, X691, X692, X693, X694, X695, X696, X697, X698, X699, X700, X701, X702, X703, X704, X705, X706, X707, X708, X709, X710, X711, X712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively X607, X608 and/or X616, X617 and/or X629, X630 and/or X636, X637 and/or X645, X646 and/or X655, X656 and/or X660, X661 and/or X677, X678 and/or X686, X687 and/or X689, X690 and/or X692, X693 and/or X695, X696 and/or X699, X700 and/or X705, X706 and/or X708, X709 and/or X711, X712 and/or respectively together can also form „heterocyclyl";

with the first proviso that "–C(Y1)–NR8R9" is not selected from the group consisting of: "–C(O)–NR_aR_b", with Ra, Rb independently from each other being selected from the group consisting of: "hydrogen, alkyl, (C9-C30)alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl"

with the second proviso that, if "–C(Y1)–NR8R9" independently is selected from the group consisting of: "–C(O)–N[C(O)–O–alkyl]$_2$, –C(O)–N[C(O)–alkyl]$_2$, –C(O)–N[$S(O_2)$–alkyl]$_2$, –C(O)–N[$S(O_2)$–cycloalkyl]$_2$, –C(O)–N[$S(O_2)$–cycloalkylalkyl]$_2$, –C(O)–N[$S(O_2)$–aryl]$_2$, –C(O)–N[$S(O_2)$–heterocyclyl]$_2$", radicals **R1**, **R2** independently from each other are not "phenyl";

with the third proviso that, if "–C(Y2)–NR12–Y3–R13" independently is selected from the group consisting of: "–C(O)–N[O–alkyl]$_2$", radicals **R1**, **R2** independently from each other are not "phenyl";

(c) "–C(O)–C(O)–R16";

wherein radical R16 is independently selected from the group consisting of:

(II) „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, –NHZ1, –NZ2Z3, $–NO_2$, –OH, $–OCF_3$, $–OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, –P(O)$(OH)_2$, –C(O)–Z4, –C(O)O–Z5, –C(O)NH–Z6, –C(O)NZ7Z8, –O–Z9, –O(–Z10–O)$_a$–H (a = 1, 2, 3, 4, 5), –O(–Z11–O)$_b$–Z12 (b = 1, 2, 3, 4, 5), –OC(O)–Z13, –OC(O)–O–Z14, –OC(O)–NHZ15, –O–C(O)–NZ16Z17, –OP(O)(OZ18)(OZ19), –OSi(Z20)(Z21)(Z22), –$OS(O_2)$–Z23, –NHC(O)–NH_2, –NHC(O)–Z24, –NZ25C(O)–Z26, –NH–C(O)–O–Z27, –NH–C(O)–NH–Z28, –NH–C(O)–NZ29Z30, –NZ31–C(O)–O–Z32, –NZ33–C(O)–NH–Z34, –NZ35–C(O)–NZ36Z37, –NH$S(O_2)$–Z38, –NZ39$S(O_2)$–Z40, –S–Z41, –S(O)–Z42, –$S(O_2)$–Z43, –$S(O_2)$NH–Z44, –$S(O_2)$NZ45Z46, –$S(O_2)$O–Z47, –P(O)(OZ48)(OZ49), –Si(Z50)(Z51)(Z52), –C(NH)–NH_2, –C(NZ53)–NH_2, –C(NH)–NHZ54, –C(NH)–NZ55Z56, –C(NZ57)–NHZ58, –C(NZ59)–NZ60Z61, –NH–C(O)–NH–O–Z62, –NH–C(O)–NZ63–O–Z64, –NZ65–C(O)–NZ66–O–Z67, –N(–C(O)–NH–O–Z68)$_2$, –N(–C(O)–NZ69–O–Z70)$_2$, –N(–C(O)–NH–O–Z71)(–C(O)–NZ72–O–Z73), –C(S)–Z74, –C(S)–O–Z75, –

C(S)–NH–Z76, –C(S)–NZ77Z78, –C(O)–NH–O–Z79, –C(O)–NZ80–O–Z81, –C(S)–NH–O–Z82, –C(S)–NZ83–O–Z84, –C(O)–NH–NH–Z85, –C(O)–NH–NZ86Z87, –C(O)–NZ88–NZ89Z90, –C(S)–NH–NH–Z91, –C(S)–NH–NZ92Z93, –C(S)–NZ94–NZ95Z96, –C(O)–C(O)–O–Z97, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHZ98, –C(O)–C(O)–NZ99Z100, –C(S)–C(O)–O–Z101, –C(O)–C(S)–O–Z102, –C(S)–C(S)–O–Z103, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHZ104, –C(S)–C(O)–NZ105Z106, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHZ107, –C(S)–C(S)–NZ108Z109, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHZ110, –C(O)–C(S)–NZ111Z112";

wherein Z1, Z2, Z3, Z4, Z5, Z6, Z7, Z8, Z9, Z10, Z11, Z12, Z13, Z14, Z15, Z16, Z17, Z18, Z19, Z20, Z21, Z22, Z23, Z24, Z25, Z26, Z27, Z28, Z29, Z30, Z31, Z32, Z33, Z34, Z35, Z36, Z37, Z38, Z39, Z40, Z41, Z42, Z43, Z44, Z45, Z46, Z47, Z48, Z49, Z50, Z51, Z52, Z53, Z54, Z55, Z56, Z57, Z58, Z59, Z60, Z61, Z62, Z63, Z64, Z65, Z66, Z67, Z68, Z69, Z70, Z71, Z72, Z73, Z74, Z75, Z76, Z77, Z78, Z79, Z80, Z81, Z82, Z83, Z84, Z85, Z86, Z87, Z88, Z89, Z90, Z91, Z92, Z93, Z94, Z95, Z96, Z97, Z98, Z99, Z100, Z101, Z102, Z103, Z104, Z105, Z106, Z107, Z108, Z109, Z110, Z111, Z112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively Z7, Z8 and/or Z16, Z17 and/or Z29, Z30 and/or Z36, Z37 and/or Z45, Z46 and/or Z55, Z56 and/or Z60, Z61 and/or Z77, Z78 and/or Z86, Z87 and/or Z89, Z90 and/or Z92, Z93 and/or Z95, Z96 and/or Z99, Z100 and/or Z105, Z106 and/or Z108, Z109 and/or Z111, Z112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (II) – if not hydrogen – can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHZ201, –NZ202Z203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–Z204, –C(O)O–Z205, –C(O)NH–Z206, –

C(O)NZ207Z208, –O–Z209, –O(–Z210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–Z211–O)$_d$–Z212 (d = 1, 2, 3, 4, 5), –OC(O)–Z213, –OC(O)–O–Z214, –OC(O)–NHZ215, –O–C(O)–NZ216Z217, –OP(O)(OZ218)(OZ219), –OSi(Z220)(Z221)(Z222), –OS(O_2)–Z223, –NHC(O)–NH_2, –NHC(O)–Z224, –NZ225C(O)–Z226, –NH–C(O)–O–Z227, –NH–C(O)–NH–Z228, –NH–C(O)–NZ229Z230, –NZ231–C(O)–O–Z232, –NZ233–C(O)–NH–Z234, –NZ235–C(O)–NZ236Z237, –NHS(O_2)–Z238, –NZ239S(O_2)–Z240, –S–Z241, –S(O)–Z242, –S(O_2)–Z243, –S(O_2)NH–Z244, –S(O_2)NZ245Z246, –S(O_2)O–Z247, –P(O)(OZ248)(OZ249), –Si(Z250)(Z251)(Z252), –C(NH)–NH_2, –C(NZ253)–NH_2, –C(NH)–NHZ254, –C(NH)–NZ255Z256, –C(NZ257)–NHZ258, –C(NZ259)–NZ260Z261, –NH–C(O)–NH–O–Z262, –NH–C(O)–NZ263–O–Z264, –NZ265–C(O)–NZ266–O–Z267, –N(–C(O)–NH–O–Z268)$_2$, –N(–C(O)–NZ269–O–Z270)$_2$, –N(–C(O)–NH–O–Z271)(–C(O)–NZ272–O–Z273), –C(S)–Z274, –C(S)–O–Z275, –C(S)–NH–Z276, –C(S)–NZ277Z278, –C(O)–NH–O–Z279, –C(O)–NZ280–O–Z281, –C(S)–NH–O–Z282, –C(S)–NZ283–O–Z284, –C(O)–NH–NH–Z285, –C(O)–NH–NZ286Z287, –C(O)–NZ288–NZ289Z290, –C(S)–NH–NH–Z291, –C(S)–NH–NZ292Z293, –C(S)–NZ294–NZ295Z296, –C(O)–C(O)–O–Z297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHZ298, –C(O)–C(O)–NZ299Z300, –C(S)–C(O)–O–Z301, –C(O)–C(S)–O–Z302, –C(S)–C(S)–O–Z303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHZ304, –C(S)–C(O)–NZ305Z306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHZ307, –C(S)–C(S)–NZ308Z309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHZ310, –C(O)–C(S)–NZ311Z312";

wherein Z201, Z202, Z203, Z204, Z205, Z206, Z207, Z208, Z209, Z210, Z211, Z212, Z213, Z214, Z215, Z216, Z217, Z218, Z219, Z220, Z221, Z222, Z223, Z224, Z225, Z226, Z227, Z228, Z229, Z230, Z231, Z232, Z233, Z234, Z235, Z236, Z237, Z238, Z239, Z240, Z241, Z242, Z243, Z244, Z245, Z246, Z247, Z248, Z249, Z250, Z251, Z252, Z253, Z254, Z255, Z256, Z257, Z258, Z259, Z260, Z261, Z262, Z263, Z264, Z265, Z266, Z267, Z268, Z269, Z270, Z271, Z272, Z273, Z274, Z275, Z276, Z277, Z278, Z279, Z280, Z281, Z282, Z283, Z284, Z285, Z286, Z287, Z288, Z289, Z290, Z291, Z292, Z293, Z294, Z295, Z296, Z297, Z298, Z299, Z300, Z301, Z302, Z303, Z304, Z305, Z306, Z307, Z308, Z309, Z310, Z311, Z312 are independently from each other selected from the

group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively Z207, Z208 and/or Z216, Z217 and/or Z229, Z230 and/or Z236, Z237 and/or Z245, Z246 and/or Z255, Z256 and/or Z260, Z261 and/or Z277, Z278 and/or Z286, Z287 and/or Z289, Z290 and/or Z292, Z293 and/or Z295, Z296 and/or Z299, Z300 and/or Z305, Z306 and/or Z308, Z309 and/or Z311, Z312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, –NHZ401, $–NZ402Z403$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, –C(O)–Z404, –C(O)O–Z405, –C(O)NH–Z406, –C(O)NZ407Z408, –O–Z409, $–O(–Z410–O)_e–H$ (e = 1, 2, 3, 4, 5), $–O(–Z411–O)_f–Z412$ (f = 1, 2, 3, 4, 5), –OC(O)–Z413, –OC(O)–O–Z414, –OC(O)–NHZ415, –O–C(O)–NZ416Z417, $–OP(O)(OZ418)(OZ419)$, $–OSi(Z420)(Z421)(Z422)$, $–OS(O_2)–Z423$, $–NHC(O)–NH_2$, –NHC(O)–Z424, –NZ425C(O)–Z426, –NH–C(O)–O–Z427, –NH–C(O)–NH–Z428, –NH–C(O)–NZ429Z430, –NZ431–C(O)–O–Z432, –NZ433–C(O)–NH–Z434, –NZ435–C(O)–NZ436Z437, $–NHS(O_2)–Z438$, $–NZ439S(O_2)–Z440$, –S–Z441, –S(O)–Z442, $–S(O_2)–Z443$, $–S(O_2)NH–Z444$, $–S(O_2)NZ445Z446$, $–S(O_2)O–Z447$, $–P(O)(OZ448)(OZ449)$, $–Si(Z450)(Z451)(Z452)$, $–C(NH)–NH_2$, $–C(NZ453)–NH_2$, –C(NH)–NHZ454, –C(NH)–NZ455Z456, –C(NZ457)–NHZ458, –C(NZ459)–NZ460Z461, –NH–C(O)–NH–O–Z462, –NH–C(O)–NZ463–O–Z464, –NZ465–C(O)–NZ466–O–Z467, $–N(–C(O)–NH–O–Z468)_2$, $–N(–C(O)–NZ469–O–Z470)_2$, –N(–C(O)–NH–O–Z471)(–C(O)–NZ472–O–Z473), –C(S)–Z474, –C(S)–O–Z475, –C(S)–NH–Z476, –C(S)–NZ477Z478, –C(O)–NH–O–Z479, –C(O)–NZ480–O–Z481, –C(S)–NH–O–Z482, –C(S)–NZ483–O–Z484, –C(O)–NH–NH–Z485, –C(O)–NH–NZ486Z487, –C(O)–NZ488–NZ489Z490, –C(S)–NH–NH–Z491, –C(S)–NH–

NZ492Z493, –C(S)–NZ494–NZ495Z496, –C(O)–C(O)–O–Z497, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHZ498, –C(O)–C(O)–NZ499Z500, –C(S)–C(O)–O–Z501, –C(O)–C(S)–O–Z502, –C(S)–C(S)–O–Z503, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHZ504, –C(S)–C(O)–NZ505Z506, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHZ507, –C(S)–C(S)–NZ508Z509, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHZ510, –C(O)–C(S)–NZ511Z512";

wherein Z401, Z402, Z403, Z404, Z405, Z406, Z407, Z408, Z409, Z410, Z411, Z412, Z413, Z414, Z415, Z416, Z417, Z418, Z419, Z420, Z421, Z422, Z423, Z424, Z425, Z426, Z427, Z428, Z429, Z430, Z431, Z432, Z433, Z434, Z435, Z436, Z437, Z438, Z439, Z440, Z441, Z442, Z443, Z444, Z445, Z446, Z447, Z448, Z449, Z450, Z451, Z452, Z453, Z454, Z455, Z456, Z457, Z458, Z459, Z460, Z461, Z462, Z463, Z464, Z465, Z466, Z467, Z468, Z469, Z470, Z471, Z472, Z473, Z474, Z475, Z476, Z477, Z478, Z479, Z480, Z481, Z482, Z483, Z484, Z485, Z486, Z487, Z488, Z489, Z490, Z491, Z492, Z493, Z494, Z495, Z496, Z497, Z498, Z499, Z500, Z501, Z502, Z503, Z504, Z505, Z506, Z507, Z508, Z509, Z510, Z511, Z512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively Z407, Z408 and/or Z416, Z417 and/or Z429, Z430 and/or Z436, Z437 and/or Z445, Z446 and/or Z455, Z456 and/or Z460, Z461 and/or Z477, Z478 and/or Z486, Z487 and/or Z489, Z490 and/or Z492, Z493 and/or Z495, Z496 and/or Z499, Z500 and/or Z505, Z506 and/or Z508, Z509 and/or Z511, Z512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHZ601, –NZ602Z603, –NO_2, –

OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–Z604, –C(O)O–Z605, –C(O)NH–Z606, –C(O)NZ607Z608, –O–Z609, –$O(–Z610–O)_e$–H (e = 1, 2, 3, 4, 5), –$O(–Z611–O)_f$–Z612 (f = 1, 2, 3, 4, 5), –OC(O)–Z613, –OC(O)–O–Z614, –OC(O)–NHZ615, –O–C(O)–NZ616Z617, –OP(O)(OZ618)(OZ619), –OSi(Z620)(Z621)(Z622), –$OS(O_2)$–Z623, –$NHC(O)–NH_2$, –NHC(O)–Z624, –NZ625C(O)–Z626, –NH–C(O)–O–Z627, –NH–C(O)–NH–Z628, –NH–C(O)–NZ629Z630, –NZ631–C(O)–O–Z632, –NZ633–C(O)–NH–Z634, –NZ635–C(O)–NZ636Z637, –$NHS(O_2)$–Z638, –$NZ639S(O_2)$–Z640, –S–Z641, –S(O)–Z642, –$S(O_2)$–Z643, –$S(O_2)NH$–Z644, –$S(O_2)$NZ645Z646, –$S(O_2)O$–Z647, –P(O)(OZ648)(OZ649), –Si(Z650)(Z651)(Z652), –C(NH)–NH_2, –C(NZ653)–NH_2, –C(NH)–NHZ654, –C(NH)–NZ655Z656, –C(NZ657)–NHZ658, –C(NZ659)–NZ660Z661, –NH–C(O)–NH–O–Z662, –NH–C(O)–NZ663–O–Z664, –NZ665–C(O)–NZ666–O–Z667, –$N(–C(O)–NH–O–Z668)_2$, –$N(–C(O)–NZ669–O–Z670)_2$, –N(–C(O)–NH–O–Z671)(–C(O)–NZ672–O–Z673), –C(S)–Z674, –C(S)–O–Z675, –C(S)–NH–Z676, –C(S)–NZ677Z678, –C(O)–NH–O–Z679, –C(O)–NZ680–O–Z681, –C(S)–NH–O–Z682, –C(S)–NZ683–O–Z684, –C(O)–NH–NH–Z685, –C(O)–NH–NZ686Z687, –C(O)–NZ688–NZ689Z690, –C(S)–NH–NH–Z691, –C(S)–NH–NZ692Z693, –C(S)–NZ694–NZ695Z696, –C(O)–C(O)–O–Z697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHZ698, –C(O)–C(O)–NZ699Z700, –C(S)–C(O)–O–Z701, –C(O)–C(S)–O–Z702, –C(S)–C(S)–O–Z703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHZ704, –C(S)–C(O)–NZ705Z706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHZ707, –C(S)–C(S)–NZ708Z709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHZ710, –C(O)–C(S)–NZ711Z712";

wherein Z601, Z602, Z603, Z604, Z605, Z606, Z607, Z608, Z609, Z610, Z611, Z612, Z613, Z614, Z615, Z616, Z617, Z618, Z619, Z620, Z621, Z622, Z623, Z624, Z625, Z626, Z627, Z628, Z629, Z630, Z631, Z632, Z633, Z634, Z635, Z636, Z637, Z638, Z639, Z640, Z641, Z642, Z643, Z644, Z645, Z646, Z647, Z648, Z649, Z650, Z651, Z652, Z653, Z654, Z655, Z656, Z657, Z658,

Z659, Z660, Z661, Z662, Z663, Z664, Z665, Z666, Z667, Z668, Z669, Z670, Z671, Z672, Z673, Z674, Z675, Z676, Z677, Z678, Z679, Z680, Z681, Z682, Z683, Z684, Z685, Z686, Z687, Z688, Z689, Z690, Z691, Z692, Z693, Z694, Z695, Z696, Z697, Z698, Z699, Z700, Z701, Z702, Z703, Z704, Z705, Z706, Z707, Z708, Z709, Z710, Z711, Z712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively Z607, Z608 and/or Z616, Z617 and/or Z629, Z630 and/or Z636, Z637 and/or Z645, Z646 and/or Z655, Z656 and/or Z660, Z661 and/or Z677, Z678 and/or Z686, Z687 and/or Z689, Z690 and/or Z692, Z693 and/or Z695, Z696 and/or Z699, Z700 and/or Z705, Z706 and/or Z708, Z709 and/or Z711, Z712 and/or respectively together can also form „heterocyclyl";

with the proviso that radical R16 is not "indol-yl";

(d) "–$S(O_2)$–R18";

wherein radical R18 is independently selected from the group consisting of:

(III) „–F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHW1, –NW2W3, –NO_2, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–W4, –C(O)O–W5, –C(O)NH–W6, –C(O)NW7W8, –O–W9, –O(–W10–O)$_a$–H (a = 1, 2, 3, 4, 5), –O(–W11–O)$_b$–W12 (b = 1, 2, 3, 4, 5), –OC(O)–W13, –OC(O)–O–W14, –OC(O)–NHW15, –O–C(O)–NW16W17, –OP(O)(OW18)(OW19), –OSi(W20)(W21)(W22), –$OS(O_2)$–W23, –$NHC(O)$–NH_2, –NHC(O)–W24, –NW25C(O)–W26, –NH–C(O)–O–W27, –NH–C(O)–NH–W28, –NH–C(O)–NW29W30, –NW31–C(O)–O–W32, –NW33–C(O)–NH–W34, –NW35–C(O)–NW36W37, –$NHS(O_2)$–W38, –$NW39S(O_2)$–W40, –S–W41, –S(O)–W42, –$S(O_2)$–W43, –$S(O_2)$NH–W44, –$S(O_2)$NW45W46, –$S(O_2)$O–W47, –P(O)(OW48)(OW49), –Si(W50)(W51)(W52), –C(NH)–NH_2, –C(NW53)–NH_2, –C(NH)–NHW54, –C(NH)–NW55W56, –C(NW57)–NHW58, –C(NW59)–NW60W61, –NH–C(O)–NH–O–W62, –NH–C(O)–NW63–O–W64, –NW65–C(O)–NW66–O–W67, –N(–C(O)–NH–O–W68)$_2$, –N(–C(O)–NW69–O–W70)$_2$, –N(–C(O)–

NH–O–W71)(–C(O)–NW72–O–W73), –C(S)–W74, –C(S)–O–W75, –C(S)–NH–W76, –C(S)–NW77W78, –C(O)–NH–O–W79, –C(O)–NW80–O–W81, –C(S)–NH–O–W82, –C(S)–NW83–O–W84, –C(O)–NH–NH–W85, –C(O)–NH–NW86W87, –C(O)–NW88–NW89W90, –C(S)–NH–NH–W91, –C(S)–NH–NW92W93, –C(S)–NW94–NW95W96, –C(O)–C(O)–O–W97, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHW98, –C(O)–C(O)–NW99W100, –C(S)–C(O)–O–W101, –C(O)–C(S)–O–W102, –C(S)–C(S)–O–W103, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHW104, –C(S)–C(O)–NW105W106, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHW107, –C(S)–C(S)–NW108W109, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHW110, –C(O)–C(S)–NW111W112";

wherein W1, W2, W3, W4, W5, W6, W7, W8, W9, W10, W11, W12, W13, W14, W15, W16, W17, W18, W19, W20, W21, W22, W23, W24, W25, W26, W27, W28, W29, W30, W31, W32, W33, W34, W35, W36, W37, W38, W39, W40, W41, W42, W43, W44, W45, W46, W47, W48, W49, W50, W51, W52, W53, W54, W55, W56, W57, W58, W59, W60, W61, W62, W63, W64, W65, W66, W67, W68, W69, W70, W71, W72, W73, W74, W75, W76, W77, W78, W79, W80, W81, W82, W83, W84, W85, W86, W87, W88, W89, W90, W91, W92, W93, W94, W95, W96, W97, W98, W99, W100, W101, W102, W103, W104, W105, W106, W107, W108, W109, W110, W111, W112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively W7, W8 and/or W16, W17 and/or W29, W30 and/or W36, W37 and/or W45, W46 and/or W55, W56 and/or W60, W61 and/or W77, W78 and/or W86, W87 and/or W89, W90 and/or W92, W93 and/or W95, W96 and/or W99, W100 and/or W105, W106 and/or W108, W109 and/or W111, W112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (III) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHW201, –NW202W203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –

SO_3H, –P(O)$(OH)_2$, –C(O)–W204, –C(O)O–W205, –C(O)NH–W206, –C(O)NW207W208, –O–W209, –O(–W210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–W211–O)$_d$–W212 (d = 1, 2, 3, 4, 5), –OC(O)–W213, –OC(O)–O–W214, –OC(O)–NHW215, –O–C(O)–NW216W217, –OP(O)(OW218)(OW219), –OSi(W220)(W221)(W222), –OS(O_2)–W223, –NHC(O)–NH_2, –NHC(O)–W224, –NW225C(O)–W226, –NH–C(O)–O–W227, –NH–C(O)–NH–W228, –NH–C(O)–NW229W230, –NW231–C(O)–O–W232, –NW233–C(O)–NH–W234, –NW235–C(O)–NW236W237, –NHS(O_2)–W238, –NW239S(O_2)–W240, –S–W241, –S(O)–W242, –S(O_2)–W243, –S(O_2)NH–W244, –S(O_2)NW245W246, –S(O_2)O–W247, –P(O)(OW248)(OW249), –Si(W250)(W251)(W252), –C(NH)–NH_2, –C(NW253)–NH_2, –C(NH)–NHW254, –C(NH)–NW255W256, –C(NW257)–NHW258, –C(NW259)–NW260W261, –NH–C(O)–NH–O–W262, –NH–C(O)–NW263–O–W264, –NW265–C(O)–NW266–O–W267, –N(–C(O)–NH–O–W268)$_2$, –N(–C(O)–NW269–O–W270)$_2$, –N(–C(O)–NH–O–W271)(–C(O)–NW272–O–W273), –C(S)–W274, –C(S)–O–W275, –C(S)–NH–W276, –C(S)–NW277W278, –C(O)–NH–O–W279, –C(O)–NW280–O–W281, –C(S)–NH–O–W282, –C(S)–NW283–O–W284, –C(O)–NH–NH–W285, –C(O)–NH–NW286W287, –C(O)–NW288–NW289W290, –C(S)–NH–NH–W291, –C(S)–NH–NW292W293, –C(S)–NW294–NW295W296, –C(O)–C(O)–O–W297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHW298, –C(O)–C(O)–NW299W300, –C(S)–C(O)–O–W301, –C(O)–C(S)–O–W302, –C(S)–C(S)–O–W303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHW304, –C(S)–C(O)–NW305W306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHW307, –C(S)–C(S)–NW308W309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHW310, –C(O)–C(S)–NW311W312";

wherein W201, W202, W203, W204, W205, W206, W207, W208, W209, W210, W211, W212, W213, W214, W215, W216, W217, W218, W219, W220, W221, W222, W223, W224, W225, W226, W227, W228, W229, W230, W231, W232, W233, W234, W235, W236, W237, W238, W239, W240, W241, W242, W243, W244, W245, W246, W247, W248, W249, W250, W251, W252, W253, W254, W255, W256, W257, W258, W259, W260, W261, W262, W263, W264, W265, W266, W267, W268, W269, W270, W271, W272, W273, W274, W275, W276, W277, W278, W279,

W280, W281, W282, W283, W284, W285, W286, W287, W288, W289, W290, W291, W292, W293, W294, W295, W296, W297, W298, W299, W300, W301, W302, W303, W304, W305, W306, W307, W308, W309, W310, W311, W312 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively W207, W208 and/or W216, W217 and/or W229, W230 and/or W236, W237 and/or W245, W246 and/or W255, W256 and/or W260, W261 and/or W277, W278 and/or W286, W287 and/or W289, W290 and/or W292, W293 and/or W295, W296 and/or W299, W300 and/or W305, W306 and/or W308, W309 and/or W311, W312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHW401, –NW402W403, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–W404, –C(O)O–W405, –C(O)NH–W406, –C(O)NW407W408, –O–W409, –O(–W410–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–W411–O)$_f$–W412 (f = 1, 2, 3, 4, 5), –OC(O)–W413, –OC(O)–O–W414, –OC(O)–NHW415, –O–C(O)–NW416W417, –OP(O)(OW418)(OW419), –OSi(W420)(W421)(W422), –$OS(O_2)$–W423, –NHC(O)–NH_2, –NHC(O)–W424, –NW425C(O)–W426, –NH–C(O)–O–W427, –NH–C(O)–NH–W428, –NH–C(O)–NW429W430, –NW431–C(O)–O–W432, –NW433–C(O)–NH–W434, –NW435–C(O)–NW436W437, –$NHS(O_2)$–W438, –NW439$S(O_2)$–W440, –S–W441, –S(O)–W442, –$S(O_2)$–W443, –$S(O_2)$NH–W444, –$S(O_2)$NW445W446, –$S(O_2)$O–W447, –P(O)(OW448)(OW449), –Si(W450)(W451)(W452), –C(NH)–NH_2, –C(NW453)–NH_2, –C(NH)–NHW454, –C(NH)–NW455W456, –C(NW457)–NHW458, –C(NW459)–NW460W461, –NH–C(O)–NH–O–W462, –NH–C(O)–NW463–O–W464, –NW465–C(O)–NW466–

O–W467, –N(–C(O)–NH–O–W468)$_2$, –N(–C(O)–NW469–O–W470)$_2$, –N(–C(O)–NH–O–W471)(–C(O)–NW472–O–W473), –C(S)–W474, –C(S)–O–W475, –C(S)–NH–W476, –C(S)–NW477W478, –C(O)–NH–O–W479, –C(O)–NW480–O–W481, –C(S)–NH–O–W482, –C(S)–NW483–O–W484, –C(O)–NH–NH–W485, –C(O)–NH–NW486W487, –C(O)–NW488–NW489W490, –C(S)–NH–NH–W491, –C(S)–NH–NW492W493, –C(S)–NW494–NW495W496, –C(O)–C(O)–O–W497, –C(O)–C(O)–NH$_2$, –C(O)–C(O)–NHW498, –C(O)–C(O)–NW499W500, –C(S)–C(O)–O–W501, –C(O)–C(S)–O–W502, –C(S)–C(S)–O–W503, –C(S)–C(O)–NH$_2$, –C(S)–C(O)–NHW504, –C(S)–C(O)–NW505W506, –C(S)–C(S)–NH$_2$, –C(S)–C(S)–NHW507, –C(S)–C(S)–NW508W509, –C(O)–C(S)–NH$_2$, –C(O)–C(S)–NHW510, –C(O)–C(S)–NW511W512";

wherein W401, W402, W403, W404, W405, W406, W407, W408, W409, W410, W411, W412, W413, W414, W415, W416, W417, W418, W419, W420, W421, W422, W423, W424, W425, W426, W427, W428, W429, W430, W431, W432, W433, W434, W435, W436, W437, W438, W439, W440, W441, W442, W443, W444, W445, W446, W447, W448, W449, W450, W451, W452, W453, W454, W455, W456, W457, W458, W459, W460, W461, W462, W463, W464, W465, W466, W467, W468, W469, W470, W471, W472, W473, W474, W475, W476, W477, W478, W479, W480, W481, W482, W483, W484, W485, W486, W487, W488, W489, W490, W491, W492, W493, W494, W495, W496, W497, W498, W499, W500, W501, W502, W503, W504, W505, W506, W507, W508, W509, W510, W511, W512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively W407, W408 and/or W416, W417 and/or W429, W430 and/or W436, W437 and/or W445, W446 and/or W455, W456 and/or W460, W461 and/or W477, W478 and/or W486, W487 and/or W489, W490 and/or W492, W493 and/or W495, W496 and/or W499, W500 and/or W505, W506 and/or W508, W509 and/or W511, W512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHW601, –NW602W603, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–W604, –C(O)O–W605, –C(O)NH–W606, –C(O)NW607W608, –O–W609, –O(–W610–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–W611–O)$_f$–W612 (f = 1, 2, 3, 4, 5), –OC(O)–W613, –OC(O)–O–W614, –OC(O)–NHW615, –O–C(O)–NW616W617, –OP(O)(OW618)(OW619), –OSi(W620)(W621)(W622), –$OS(O_2)$–W623, –$NHC(O)$–NH_2, –NHC(O)–W624, –NW625C(O)–W626, –NH–C(O)–O–W627, –NH–C(O)–NH–W628, –NH–C(O)–NW629W630, –NW631–C(O)–O–W632, –NW633–C(O)–NH–W634, –NW635–C(O)–NW636W637, –$NHS(O_2)$–W638, –$NW639S(O_2)$–W640, –S–W641, –S(O)–W642, –$S(O_2)$–W643, –$S(O_2)NH$–W644, –$S(O_2)NW645W646$, –$S(O_2)O$–W647, –P(O)(OW648)(OW649), –Si(W650)(W651)(W652), –$C(NH)$–NH_2, –$C(NW653)$–NH_2, –C(NH)–NHW654, –C(NH)–NW655W656, –C(NW657)–NHW658, –C(NW659)–NW660W661, –NH–C(O)–NH–O–W662, –NH–C(O)–NW663–O–W664, –NW665–C(O)–NW666–O–W667, –N(–C(O)–NH–O–W668)$_2$, –N(–C(O)–NW669–O–W670)$_2$, –N(–C(O)–NH–O–W671)(–C(O)–NW672–O–W673), –C(S)–W674, –C(S)–O–W675, –C(S)–NH–W676, –C(S)–NW677W678, –C(O)–NH–O–W679, –C(O)–NW680–O–W681, –C(S)–NH–O–W682, –C(S)–NW683–O–W684, –C(O)–NH–NH–W685, –C(O)–NH–NW686W687, –C(O)–NW688–NW689W690, –C(S)–NH–NH–W691, –C(S)–NH–NW692W693, –C(S)–NW694–NW695W696, –C(O)–C(O)–O–W697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHW698, –C(O)–C(O)–NW699W700, –C(S)–C(O)–O–W701, –C(O)–C(S)–O–W702, –C(S)–C(S)–O–W703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHW704, –C(S)–C(O)–

NW705W706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHW707, –C(S)–C(S)–NW708W709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHW710, –C(O)–C(S)–NW711W712";

wherein W601, W602, W603, W604, W605, W606, W607, W608, W609, W610, W611, W612, W613, W614, W615, W616, W617, W618, W619, W620, W621, W622, W623, W624, W625, W626, W627, W628, W629, W630, W631, W632, W633, W634, W635, W636, W637, W638, W639, W640, W641, W642, W643, W644, W645, W646, W647, W648, W649, W650, W651, W652, W653, W654, W655, W656, W657, W658, W659, W660, W661, W662, W663, W664, W665, W666, W667, W668, W669, W670, W671, W672, W673, W674, W675, W676, W677, W678, W679, W680, W681, W682, W683, W684, W685, W686, W687, W688, W689, W690, W691, W692, W693, W694, W695, W696, W697, W698, W699, W700, W701, W702, W703, W704, W705, W706, W707, W708, W709, W710, W711, W712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively W607, W608 and/or W616, W617 and/or W629, W630 and/or W636, W637 and/or W645, W646 and/or W655, W656 and/or W660, W661 and/or W677, W678 and/or W686, W687 and/or W689, W690 and/or W692, W693 and/or W695, W696 and/or W699, W700 and/or W705, W706 and/or W708, W709 and/or W711, W712 and/or respectively together can also form „heterocyclyl";

with the first proviso that radical R18 is <u>not</u> selected from the group consisting of: "–O–alkyl, –O–(C9-C30)alkyl, –O–aryl, –O–arylalkyl, –O–heteroaryl, –O–heteroarylalkyl, –O–cycloalkyl, –O–cycloalkylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl";

with the second proviso that, if radical R18 independently is selected from the group consisting of: "–NR_aR_b", with Ra, Rb independently from each other being selected from the group consisting of: "hydrogen, alkyl, (C9-C30)alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, het-

erocyclylalkyl", radical **R1** is <u>not</u> selected from the group consisting of: "heterocyclylalkyl being substituted with =O, where heterocyclyl is 5-membered; alkyl being substituted with heterocyclyl, where heterocyclyl is 5-membered and substituted with =O";

<u>and</u> one of radicals **R3, R4** or neither of radicals **R3, R4** independently is selected from the group consisting of:

(2) „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHA1, –NA2A3, –NO_2, –OH, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–A4, –C(O)O–A5, –C(O)NH–A6, –C(O)NA7A8, –O–A9, –O(–A10–O)$_a$–H (a = 1, 2, 3, 4, 5), –O(–A11–O)$_b$–A12 (b = 1, 2, 3, 4, 5), –OC(O)–A13, –OC(O)–O–A14, –OC(O)–NHA15, –O–C(O)–NA16A17, –OP(O)(OA18)(OA19), –OSi(A20)(A21)(A22), –OS(O_2)–A23, –NHC(O)–NH_2, –NHC(O)–A24, –NA25C(O)–A26, –NH–C(O)–O–A27, –NH–C(O)–NH–A28, –NH–C(O)–NA29A30, –NA31–C(O)–O–A32, –NA33–C(O)–NH–A34, –NA35–C(O)–NA36A37, –NHS(O_2)–A38, –NA39S(O_2)–A40, –S–A41, –S(O)–A42, –S(O_2)–A43, –S(O_2)NH–A44, –S(O_2)NA45A46, –S(O_2)O–A47, –P(O)(OA48)(OA49), –Si(A50)(A51)(A52), –C(NH)–NH_2, –C(NA53)–NH_2, –C(NH)–NHA54, –C(NH)–NA55A56, –C(NA57)–NHA58, –C(NA59)–NA60A61, –NH–C(O)–NH–O–A62, –NH–C(O)–NA63–O–A64, –NA65–C(O)–NA66–O–A67, –N(–C(O)–NH–O–A68)$_2$, –N(–C(O)–NA69–O–A70)$_2$, –N(–C(O)–NH–O–A71)(–C(O)–NA72–O–A73), –C(S)–A74, –C(S)–O–A75, –C(S)–NH–A76, –C(S)–NA77A78, –C(O)–NH–O–A79, –C(O)–NA80–O–A81, –C(S)–NH–O–A82, –C(S)–NA83–O–A84, –C(O)–NH–NH–A85, –C(O)–NH–NA86A87, –C(O)–NA88–NA89A90, –C(S)–NH–NH–A91, –C(S)–NH–NA92A93, –C(S)–NA94–NA95A96, –C(O)–C(O)–O–A97, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHA98, –C(O)–C(O)–NA99A100, –C(S)–C(O)–O–A101, –C(O)–C(S)–O–A102, –C(S)–C(S)–O–A103, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHA104, –C(S)–C(O)–NA105A106, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHA107, –C(S)–C(S)–NA108A109, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHA110, –C(O)–C(S)–NA111A112";

wherein A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30,

A31, A32, A33, A34, A35, A36, A37, A38, A39, A40, A41, A42, A43, A44, A45, A46, A47, A48, A49, A50, A51, A52, A53, A54, A55, A56, A57, A58, A59, A60, A61, A62, A63, A64, A65, A66, A67, A68, A69, A70, A71, A72, A73, A74, A75, A76, A77, A78, A79, A80, A81, A82, A83, A84, A85, A86, A87, A88, A89, A90, A91, A92, A93, A94, A95, A96, A97, A98, A99, A100, A101, A102, A103, A104, A105, A106, A107, A108, A109, A110, A111, A112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively A7, A8 and/or A16, A17 and/or A29, A30 and/or A36, A37 and/or A45, A46 and/or A55, A56 and/or A60, A61 and/or A77, A78 and/or A86, A87 and/or A89, A90 and/or A92, A93 and/or A95, A96 and/or A99, A100 and/or A105, A106 and/or A108, A109 and/or A111, A112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (2) – if not hydrogenn – can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHA201, –NA202A203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–A204, –C(O)O–A205, –C(O)NH–A206, –C(O)NA207A208, –O–A209, –O(–A210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–A211–O)$_d$–A212 (d = 1, 2, 3, 4, 5), –OC(O)–A213, –OC(O)–O–A214, –OC(O)–NHA215, –O–C(O)–NA216A217, –OP(O)(OA218)(OA219), –OSi(A220)(A221)(A222), –$OS(O_2)$–A223, –NHC(O)–NH_2, –NHC(O)–A224, –NA225C(O)–A226, –NH–C(O)–O–A227, –NH–C(O)–NH–A228, –NH–C(O)–NA229A230, –NA231–C(O)–O–A232, –NA233–C(O)–NH–A234, –NA235–C(O)–NA236A237, –$NHS(O_2)$–A238, –NA239$S(O_2)$–A240, –S–A241, –S(O)–A242, –$S(O_2)$–A243, –$S(O_2)$NH–A244, –$S(O_2)$NA245A246, –$S(O_2)$O–A247, –P(O)(OA248)(OA249), –Si(A250)(A251)(A252), –C(NH)–NH_2, –C(NA253)–NH_2, –C(NH)–NHA254, –C(NH)–NA255A256, –C(NA257)–NHA258, –C(NA259)–NA260A261, –NH–C(O)–NH–O–A262, –NH–C(O)–NA263–O–A264, –NA265–C(O)–NA266–O–A267, –N(–C(O)–NH–O–A268)$_2$, –N(–C(O)–NA269–O–A270)$_2$, –N(–C(O)–NH–O–A271)(–C(O)–NA272–O–A273), –C(S)–A274, –C(S)–O–A275, –C(S)–NH–A276, –C(S)–NA277A278, –

C(O)–NH–O–A279, –C(O)–NA280–O–A281, –C(S)–NH–O–A282, –C(S)–NA283–O–A284, –C(O)–NH–NH–A285, –C(O)–NH–NA286A287, –C(O)–NA288–NA289A290, –C(S)–NH–NH–A291, –C(S)–NH–NA292A293, –C(S)–NA294–NA295A296, –C(O)–C(O)–O–A297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHA298, –C(O)–C(O)–NA299A300, –C(S)–C(O)–O–A301, –C(O)–C(S)–O–A302, –C(S)–C(S)–O–A303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHA304, –C(S)–C(O)–NA305A306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHA307, –C(S)–C(S)–NA308A309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHA310, –C(O)–C(S)–NA311A312";

wherein A201, A202, A203, A204, A205, A206, A207, A208, A209, A210, A211, A212, A213, A214, A215, A216, A217, A218, A219, A220, A221, A222, A223, A224, A225, A226, A227, A228, A229, A230, A231, A232, A233, A234, A235, A236, A237, A238, A239, A240, A241, A242, A243, A244, A245, A246, A247, A248, A249, A250, A251, A252, A253, A254, A255, A256, A257, A258, A259, A260, A261, A262, A263, A264, A265, A266, A267, A268, A269, A270, A271, A272, A273, A274, A275, A276, A277, A278, A279, A280, A281, A282, A283, A284, A285, A286, A287, A288, A289, A290, A291, A292, A293, A294, A295, A296, A297, A298, A299, A300, A301, A302, A303, A304, A305, A306, A307, A308, A309, A310, A311, A312 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively A207, A208 and/or A216, A217 and/or A229, A230 and/or A236, A237 and/or A245, A246 and/or A255, A256 and/or A260, A261 and/or A277, A278 and/or A286, A287 and/or A289, A290 and/or A292, A293 and/or A295, A296 and/or A299, A300 and/or A305, A306 and/or A308, A309 and/or A311, A312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHA401, –NA402A403, –NO_2, –OH, =O, –OCF_3, –

$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–A404, –C(O)O–A405, –C(O)NH–A406, –C(O)NA407A408, –O–A409, –$O(–A410–O)_e$–H (e = 1, 2, 3, 4, 5), –$O(–A411–O)_f$–A412 (f = 1, 2, 3, 4, 5), –OC(O)–A413, –OC(O)–O–A414, –OC(O)–NHA415, –O–C(O)–NA416A417, –OP(O)(OA418)(OA419), –OSi(A420)(A421)(A422), –$OS(O_2)$–A423, –$NHC(O)–NH_2$, –NHC(O)–A424, –NA425C(O)–A426, –NH–C(O)–O–A427, –NH–C(O)–NH–A428, –NH–C(O)–NA429A430, –NA431–C(O)–O–A432, –NA433–C(O)–NH–A434, –NA435–C(O)–NA436A437, –$NHS(O_2)$–A438, –$NA439S(O_2)$–A440, –S–A441, –S(O)–A442, –$S(O_2)$–A443, –$S(O_2)NH$–A444, –$S(O_2)NA445A446$, –$S(O_2)O$–A447, –P(O)(OA448)(OA449), –Si(A450)(A451)(A452), –C(NH)–NH_2, –C(NA453)–NH_2, –C(NH)–NHA454, –C(NH)–NA455A456, –C(NA457)–NHA458, –C(NA459)–NA460A461, –NH–C(O)–NH–O–A462, –NH–C(O)–NA463–O–A464, –NA465–C(O)–NA466–O–A467, –$N(–C(O)–NH–O–A468)_2$, –$N(–C(O)–NA469–O–A470)_2$, –N(–C(O)–NH–O–A471)(–C(O)–NA472–O–A473), –C(S)–A474, –C(S)–O–A475, –C(S)–NH–A476, –C(S)–NA477A478, –C(O)–NH–O–A479, –C(O)–NA480–O–A481, –C(S)–NH–O–A482, –C(S)–NA483–O–A484, –C(O)–NH–NH–A485, –C(O)–NH–NA486A487, –C(O)–NA488–NA489A490, –C(S)–NH–NH–A491, –C(S)–NH–NA492A493, –C(S)–NA494–NA495A496, –C(O)–C(O)–O–A497, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHA498, –C(O)–C(O)–NA499A500, –C(S)–C(O)–O–A501, –C(O)–C(S)–O–A502, –C(S)–C(S)–O–A503, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHA504, –C(S)–C(O)–NA505A506, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHA507, –C(S)–C(S)–NA508A509, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHA510, –C(O)–C(S)–NA511A512";

wherein A401, A402, A403, A404, A405, A406, A407, A408, A409, A410, A411, A412, A413, A414, A415, A416, A417, A418, A419, A420, A421, A422, A423, A424, A425, A426, A427, A428, A429, A430, A431, A432, A433, A434, A435, A436, A437, A438, A439, A440, A441, A442, A443, A444, A445, A446, A447, A448, A449, A450, A451, A452, A453, A454, A455, A456, A457, A458, A459, A460, A461, A462, A463, A464, A465, A466, A467, A468, A469, A470, A471, A472, A473, A474, A475, A476, A477, A478, A479, A480, A481, A482, A483, A484, A485, A486, A487, A488, A489, A490, A491, A492, A493, A494, A495, A496, A497,

A498, A499, A500, A501, A502, A503, A504, A505, A506, A507, A508, A509, A510, A511, A512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively A407, A408 and/or A416, A417 and/or A429, A430 and/or A436, A437 and/or A445, A446 and/or A455, A456 and/or A460, A461 and/or A477, A478 and/or A486, A487 and/or A489, A490 and/or A492, A493 and/or A495, A496 and/or A499, A500 and/or A505, A506 and/or A508, A509 and/or A511, A512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHA601, –NA602A603, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–A604, –C(O)O–A605, –C(O)NH–A606, –C(O)NA607A608, –O–A609, –O(–A610–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–A611–O)$_f$–A612 (f = 1, 2, 3, 4, 5), –OC(O)–A613, –OC(O)–O–A614, –OC(O)–NHA615, –O–C(O)–NA616A617, –OP(O)(OA618)(OA619), –OSi(A620)(A621)(A622), –O$S(O_2)$–A623, –NHC(O)–NH_2, –NHC(O)–A624, –NA625C(O)–A626, –NH–C(O)–O–A627, –NH–C(O)–NH–A628, –NH–C(O)–NA629A630, –NA631–C(O)–O–A632, –NA633–C(O)–NH–A634, –NA635–C(O)–NA636A637, –NH$S(O_2)$–A638, –NA639$S(O_2)$–A640, –S–A641, –S(O)–A642, –$S(O_2)$–A643, –$S(O_2)$NH–A644, –$S(O_2)$NA645A646, –$S(O_2)$O–A647, –P(O)(OA648)(OA649), –Si(A650)(A651)(A652), –C(NH)–NH_2, –C(NA653)–NH_2, –C(NH)–NHA654, –C(NH)–NA655A656, –C(NA657)–NHA658, –C(NA659)–NA660A661, –NH–C(O)–NH–O–A662, –NH–C(O)–NA663–O–A664, –NA665–C(O)–NA666–O–A667, –N(–C(O)–NH–O–A668)$_2$, –N(–C(O)–NA669–O–A670)$_2$, –N(–C(O)–NH–O–A671)(–C(O)–NA672–O–A673), –C(S)–A674, –C(S)–O–A675, –C(S)–NH–A676, –C(S)–NA677A678, –C(O)–NH–O–A679, –C(O)–NA680–O–A681, –C(S)–NH–O–A682, –

C(S)–NA683–O–A684, –C(O)–NH–NH–A685, –C(O)–NH–NA686A687, –C(O)–NA688–NA689A690, –C(S)–NH–NH–A691, –C(S)–NH–NA692A693, –C(S)–NA694–NA695A696, –C(O)–C(O)–O–A697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHA698, –C(O)–C(O)–NA699A700, –C(S)–C(O)–O–A701, –C(O)–C(S)–O–A702, –C(S)–C(S)–O–A703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHA704, –C(S)–C(O)–NA705A706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHA707, –C(S)–C(S)–NA708A709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHA710, –C(O)–C(S)–NA711A712";

wherein A601, A602, A603, A604, A605, A606, A607, A608, A609, A610, A611, A612, A613, A614, A615, A616, A617, A618, A619, A620, A621, A622, A623, A624, A625, A626, A627, A628, A629, A630, A631, A632, A633, A634, A635, A636, A637, A638, A639, A640, A641, A642, A643, A644, A645, A646, A647, A648, A649, A650, A651, A652, A653, A654, A655, A656, A657, A658, A659, A660, A661, A662, A663, A664, A665, A666, A667, A668, A669, A670, A671, A672, A673, A674, A675, A676, A677, A678, A679, A680, A681, A682, A683, A684, A685, A686, A687, A688, A689, A690, A691, A692, A693, A694, A695, A696, A697, A698, A699, A700, A701, A702, A703, A704, A705, A706, A707, A708, A709, A710, A711, A712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively A607, A608 and/or A616, A617 and/or A629, A630 and/or A636, A637 and/or A645, A646 and/or A655, A656 and/or A660, A661 and/or A677, A678 and/or A686, A687 and/or A689, A690 and/or A692, A693 and/or A695, A696 and/or A699, A700 and/or A705, A706 and/or A708, A709 and/or A711, A712 and/or respectively together can also form „heterocyclyl";

and radicals **R1, R2, R5** independently from each other are selected from the group consisting of:

(3) „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, hetero-

cyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHB1, –NB2B3, –NO_2, –OH, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–B4, –C(O)O–B5, –C(O)NH–B6, –C(O)NB7B8, –O–B9, –O(–B10–O)$_a$–H (a = 1, 2, 3, 4, 5), –O(–B11–O)$_b$–B12 (b = 1, 2, 3, 4, 5), –OC(O)–B13, –OC(O)–O–B14, –OC(O)–NHB15, –O–C(O)–NB16B17, –OP(O)(OB18)(OB19), –OSi(B20)(B21)(B22), –OS(O_2)–B23, –NHC(O)–NH_2, –NHC(O)–B24, –NB25C(O)–B26, –NH–C(O)–O–B27, –NH–C(O)–NH–B28, –NH–C(O)–NB29B30, –NB31–C(O)–O–B32, –NB33–C(O)–NH–B34, –NB35–C(O)–NB36B37, –NHS(O_2)–B38, –NB39S(O_2)–B40, –S–B41, –S(O)–B42, –S(O_2)–B43, –S(O_2)NH–B44, –S(O_2)NB45B46, –S(O_2)O–B47, –P(O)(OB48)(OB49), –Si(B50)(B51)(B52), –C(NH)–NH_2, –C(NB53)–NH_2, –C(NH)–NHB54, –C(NH)–NB55B56, –C(NB57)–NHB58, –C(NB59)–NB60B61, –NH–C(O)–NH–O–B62, –NH–C(O)–NB63–O–B64, –NB65–C(O)–NB66–O–B67, –N(–C(O)–NH–O–B68)$_2$, –N(–C(O)–NB69–O–B70)$_2$, –N(–C(O)–NH–O–B71)(–C(O)–NB72–O–B73), –C(S)–B74, –C(S)–O–B75, –C(S)–NH–B76, –C(S)–NB77B78, –C(O)–NH–O–B79, –C(O)–NB80–O–B81, –C(S)–NH–O–B82, –C(S)–NB83–O–B84, –C(O)–NH–NH–B85, –C(O)–NH–NB86B87, –C(O)–NB88–NB89B90, –C(S)–NH–NH–B91, –C(S)–NH–NB92B93, –C(S)–NB94–NB95B96, –C(O)–C(O)–O–B97, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHB98, –C(O)–C(O)–NB99B100, –C(S)–C(O)–O–B101, –C(O)–C(S)–O–B102, –C(S)–C(S)–O–B103, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHB104, –C(S)–C(O)–NB105B106, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHB107, –C(S)–C(S)–NB108B109, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHB110, –C(O)–C(S)–NB111B112";

wherein B1, B2, B3, B4, B5, B6, B7, B8, B9, B10, B11, B12, B13, B14, B15, B16, B17, B18, B19, B20, B21, B22, B23, B24, B25, B26, B27, B28, B29, B30, B31, B32, B33, B34, B35, B36, B37, B38, B39, B40, B41, B42, B43, B44, B45, B46, B47, B48, B49, B50, B51, B52, B53, B54, B55, B56, B57, B58, B59, B60, B61, B62, B63, B64, B65, B66, B67, B68, B69, B70, B71, B72, B73, B74, B75, B76, B77, B78, B79, B80, B81, B82, B83, B84, B85, B86, B87, B88, B89, B90, B91, B92, B93, B94, B95, B96, B97, B98, B99, B100, B101, B102, B103, B104, B105, B106, B107, B108, B109, B110, B111, B112 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively B2, B3 and/or B7, B8 and/or

B16, B17 and/or B29, B30 and/or B36, B37 and/or B45, B46 and/or B55, B56 and/or B60, B61 and/or B77, B78 and/or B86, B87 and/or B89, B90 and/or B92, B93 and/or B95, B96 and/or B99, B100 and/or B105, B106 and/or B108, B109 and/or B111, B112 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (3) – if not hydrogen – can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(i) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHB201, –NB202B203, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –OP(O)$(OH)_2$, –CHO, –COOH, –C(O)NH_2, –SO_3H, –P(O)$(OH)_2$, –C(O)–B204, –C(O)O–B205, –C(O)NH–B206, –C(O)NB207B208, –O–B209, –O(–B210–O)$_c$–H (c = 1, 2, 3, 4, 5), –O(–B211–O)$_d$–B212 (d = 1, 2, 3, 4, 5), –OC(O)–B213, –OC(O)–O–B214, –OC(O)–NHB215, –O–C(O)–NB216B217, –OP(O)(OB218)(OB219), –OSi(B220)(B221)(B222), –OS(O_2)–B223, –NHC(O)–NH_2, –NHC(O)–B224, –NB225C(O)–B226, –NH–C(O)–O–B227, –NH–C(O)–NH–B228, –NH–C(O)–NB229B230, –NB231–C(O)–O–B232, –NB233–C(O)–NH–B234, –NB235–C(O)–NB236B237, –NHS(O_2)–B238, –NB239S(O_2)–B240, –S–B241, –S(O)–B242, –S(O_2)–B243, –S(O_2)NH–B244, –S(O_2)NB245B246, –S(O_2)O–B247, –P(O)(OB248)(OB249), –Si(B250)(B251)(B252), –C(NH)–NH_2, –C(NB253)–NH_2, –C(NH)–NHB254, –C(NH)–NB255B256, –C(NB257)–NHB258, –C(NB259)–NB260B261, –NH–C(O)–NH–O–B262, –NH–C(O)–NB263–O–B264, –NB265–C(O)–NB266–O–B267, –N(–C(O)–NH–O–B268)$_2$, –N(–C(O)–NB269–O–B270)$_2$, –N(–C(O)–NH–O–B271)(–C(O)–NB272–O–B273), –C(S)–B274, –C(S)–O–B275, –C(S)–NH–B276, –C(S)–NB277B278, –C(O)–NH–O–B279, –C(O)–NB280–O–B281, –C(S)–NH–O–B282, –C(S)–NB283–O–B284, –C(O)–NH–NH–B285, –C(O)–NH–NB286B287, –C(O)–NB288–NB289B290, –C(S)–NH–NH–B291, –C(S)–NH–NB292B293, –C(S)–NB294–NB295B296, –C(O)–C(O)–O–B297, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHB298, –C(O)–C(O)–NB299B300, –C(S)–C(O)–O–B301, –C(O)–C(S)–O–B302, –C(S)–C(S)–O–B303, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHB304, –C(S)–C(O)–NB305B306, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHB307, –C(S)–C(S)–NB308B309, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHB310, –C(O)–C(S)–NB311B312";

wherein B201, B202, B203, B204, B205, B206, B207, B208, B209, B210, B211, B212, B213, B214, B215, B216, B217, B218, B219, B220, B221, B222, B223, B224, B225, B226, B227, B228, B229, B230, B231, B232, B233, B234, B235, B236, B237, B238, B239, B240, B241, B242, B243, B244, B245, B246, B247, B248, B249, B250, B251, B252, B253, B254, B255, B256, B257, B258, B259, B260, B261, B262, B263, B264, B265, B266, B267, B268, B269, B270, B271, B272, B273, B274, B275, B276, B277, B278, B279, B280, B281, B282, B283, B284, B285, B286, B287, B288, B289, B290, B291, B292, B293, B294, B295, B296, B297, B298, B299, B300, B301, B302, B303, B304, B305, B306, B307, B308, B309, B310, B311, B312 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively B207, B208 and/or B216, B217 and/or B229, B230 and/or B236, B237 and/or B245, B246 and/or B255, B256 and/or B260, B261 and/or B277, B278 and/or B286, B287 and/or B289, B290 and/or B292, B293 and/or B295, B296 and/or B299, B300 and/or B305, B306 and/or B308, B309 and/or B311, B312 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (i) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(ii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHB401, –NB402B403, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–B404, –C(O)O–B405, –C(O)NH–B406, –C(O)NB407B408, –O–B409, –O(–B410–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–B411–O)$_f$–B412 (f = 1, 2, 3, 4, 5), –OC(O)–B413, –OC(O)–O–B414, –OC(O)–NHB415, –O–C(O)–NB416B417, –OP(O)(OB418)(OB419), –OSi(B420)(B421)(B422), –$OS(O_2)$–B423, –NHC(O)–NH_2, –NHC(O)–B424, –NB425C(O)–B426, –NH–C(O)–O–B427, –NH–C(O)–NH–B428, –NH–C(O)–NB429B430, –NB431–C(O)–O–B432, –NB433–C(O)–NH–B434, –NB435–C(O)–NB436B437, –$NHS(O_2)$–B438, –$NB439S(O_2)$–B440, –S–B441, –S(O)–B442, –$S(O_2)$–B443, –$S(O_2)NH$–B444, –

$S(O_2)NB445B446$, $-S(O_2)O-B447$, $-P(O)(OB448)(OB449)$, $-Si(B450)(B451)(B452)$, $-C(NH)-NH_2$, $-C(NB453)-NH_2$, $-C(NH)-NHB454$, $-C(NH)-NB455B456$, $-C(NB457)-NHB458$, $-C(NB459)-NB460B461$, $-NH-C(O)-NH-O-B462$, $-NH-C(O)-NB463-O-B464$, $-NB465-C(O)-NB466-O-B467$, $-N(-C(O)-NH-O-B468)_2$, $-N(-C(O)-NB469-O-B470)_2$, $-N(-C(O)-NH-O-B471)(-C(O)-NB472-O-B473)$, $-C(S)-B474$, $-C(S)-O-B475$, $-C(S)-NH-B476$, $-C(S)-NB477B478$, $-C(O)-NH-O-B479$, $-C(O)-NB480-O-B481$, $-C(S)-NH-O-B482$, $-C(S)-NB483-O-B484$, $-C(O)-NH-NH-B485$, $-C(O)-NH-NB486B487$, $-C(O)-NB488-NB489B490$, $-C(S)-NH-NH-B491$, $-C(S)-NH-NB492B493$, $-C(S)-NB494-NB495B496$, $-C(O)-C(O)-O-B497$, $-C(O)-C(O)-NH_2$, $-C(O)-C(O)-NHB498$, $-C(O)-C(O)-NB499B500$, $-C(S)-C(O)-O-B501$, $-C(O)-C(S)-O-B502$, $-C(S)-C(S)-O-B503$, $-C(S)-C(O)-NH_2$, $-C(S)-C(O)-NHB504$, $-C(S)-C(O)-NB505B506$, $-C(S)-C(S)-NH_2$, $-C(S)-C(S)-NHB507$, $-C(S)-C(S)-NB508B509$, $-C(O)-C(S)-NH_2$, $-C(O)-C(S)-NHB510$, $-C(O)-C(S)-NB511B512$";

wherein B401, B402, B403, B404, B405, B406, B407, B408, B409, B410, B411, B412, B413, B414, B415, B416, B417, B418, B419, B420, B421, B422, B423, B424, B425, B426, B427, B428, B429, B430, B431, B432, B433, B434, B435, B436, B437, B438, B439, B440, B441, B442, B443, B444, B445, B446, B447, B448, B449, B450, B451, B452, B453, B454, B455, B456, B457, B458, B459, B460, B461, B462, B463, B464, B465, B466, B467, B468, B469, B470, B471, B472, B473, B474, B475, B476, B477, B478, B479, B480, B481, B482, B483, B484, B485, B486, B487, B488, B489, B490, B491, B492, B493, B494, B495, B496, B497, B498, B499, B500, B501, B502, B503, B504, B505, B506, B507, B508, B509, B510, B511, B512 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively B407, B408 and/or B416, B417 and/or B429, B430 and/or B436, B437 and/or B445, B446 and/or B455, B456 and/or B460, B461 and/or B477, B478 and/or B486, B487 and/or B489, B490 and/or B492, B493 and/or B495, B496 and/or B499, B500 and/or B505, B506 and/or B508, B509 and/or B511, B512 and/or respectively together can also form „heterocyclyl";

wherein optionally above substituents of substituents group (ii) can in turn independently from each other be substituted with at least one substituent, identical or different, selected from the group consisting of:

(iii) „alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, –F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NHB601, –NB602B603, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –C(O)–B604, –C(O)O–B605, –C(O)NH–B606, –C(O)NB607B608, –O–B609, –O(–B610–O)$_e$–H (e = 1, 2, 3, 4, 5), –O(–B611–O)$_f$–B612 (f = 1, 2, 3, 4, 5), –OC(O)–B613, –OC(O)–O–B614, –OC(O)–NHB615, –O–C(O)–NB616B617, –$OP(O)(OB618)(OB619)$, –$OSi(B620)(B621)(B622)$, –$OS(O_2)$–B623, –NHC(O)–NH_2, –NHC(O)–B624, –NB625C(O)–B626, –NH–C(O)–O–B627, –NH–C(O)–NH–B628, –NH–C(O)–NB629B630, –NB631–C(O)–O–B632, –NB633–C(O)–NH–B634, –NB635–C(O)–NB636B637, –$NHS(O_2)$–B638, –$NB639S(O_2)$–B640, –S–B641, –S(O)–B642, –$S(O_2)$–B643, –$S(O_2)$NH–B644, –$S(O_2)$NB645B646, –$S(O_2)$O–B647, –$P(O)(OB648)(OB649)$, –$Si(B650)(B651)(B652)$, –C(NH)–NH_2, –C(NB653)–NH_2, –C(NH)–NHB654, –C(NH)–NB655B656, –C(NB657)–NHB658, –C(NB659)–NB660B661, –NH–C(O)–NH–O–B662, –NH–C(O)–NB663–O–B664, –NB665–C(O)–NB666–O–B667, –N(–C(O)–NH–O–B668)$_2$, –N(–C(O)–NB669–O–B670)$_2$, –N(–C(O)–NH–O–B671)(–C(O)–NB672–O–B673), –C(S)–B674, –C(S)–O–B675, –C(S)–NH–B676, –C(S)–NB677B678, –C(O)–NH–O–B679, –C(O)–NB680–O–B681, –C(S)–NH–O–B682, –C(S)–NB683–O–B684, –C(O)–NH–NH–B685, –C(O)–NH–NB686B687, –C(O)–NB688–NB689B690, –C(S)–NH–NH–B691, –C(S)–NH–NB692B693, –C(S)–NB694–NB695B696, –C(O)–C(O)–O–B697, –C(O)–C(O)–NH_2, –C(O)–C(O)–NHB698, –C(O)–C(O)–NB699B700, –C(S)–C(O)–O–B701, –C(O)–C(S)–O–B702, –C(S)–C(S)–O–B703, –C(S)–C(O)–NH_2, –C(S)–C(O)–NHB704, –C(S)–C(O)–NB705B706, –C(S)–C(S)–NH_2, –C(S)–C(S)–NHB707, –C(S)–C(S)–NB708B709, –C(O)–C(S)–NH_2, –C(O)–C(S)–NHB710, –C(O)–C(S)–NB711B712";

wherein B601, B602, B603, B604, B605, B606, B607, B608, B609,

B610, B611, B612, B613, B614, B615, B616, B617, B618, B619, B620, B621, B622, B623, B624, B625, B626, B627, B628, B629, B630, B631, B632, B633, B634, B635, B636, B637, B638, B639, B640, B641, B642, B643, B644, B645, B646, B647, B648, B649, B650, B651, B652, B653, B654, B655, B656, B657, B658, B659, B660, B661, B662, B663, B664, B665, B666, B667, B668, B669, B670, B671, B672, B673, B674, B675, B676, B677, B678, B679, B680, B681, B682, B683, B684, B685, B686, B687, B688, B689, B690, B691, B692, B693, B694, B695, B696, B697, B698, B699, B700, B701, B702, B703, B704, B705, B706, B707, B708, B709, B710, B711, B712 are independently from each other selected from the group consisting of: „hydrogen, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl" and wherein alternatively B607, B608 and/or B616, B617 and/or B629, B630 and/or B636, B637 and/or B645, B646 and/or B655, B656 and/or B660, B661 and/or B677, B678 and/or B686, B687 and/or B689, B690 and/or B692, B693 and/or B695, B696 and/or B699, B700 and/or B705, B706 and/or B708, B709 and/or B711, B712 and/or respectively together can also form „heterocyclyl".

In order to avoid ambiguities, the cases **(1)(a)** to **(d)** detailed above for the general formula **(I)** are to establish novelty over the prior art as follows: novelty is established by the fact that at least one of radicals **R3, R4** must be one of the substituents as illustrated under **(1) (a), (b), (c) and (d)**, whereas the other one of radicals **R3, R4** can be either one of the substituents as illustrated under **(1) (a), (b), (c)** and **(d)** or it can be one of the substituents as illustrated under **(2)**. Radicals **R1, R2** and **R5** can in all cases be one of the substituents as illustrated under **(3)**.

In a preferred embodiment, pyrido[2,3-b]pyrazine derivatives according to above general formula (I) are provided, wherein:

radical **R1** independently is selected from the group consisting of:

(i) "hydrogen, alkyl, (C9-C30)alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, het-

eroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, –NH–alkyl, –NH–(C9-C30)alkyl, –NH–cycloalkyl, –NH–cycloalkylalkyl, –NH–aryl, –NH–arylalkyl, –NH–heteroaryl, –NH–heteroarylalkyl, –NH–heterocyclyl, –NH–heterocyclylalkyl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of:"–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, –C(O)–C(O)–NH_2, $–C(O)–CF_3$, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9-C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–$N(alkyl)_2$, $–NHS(O_2)–alkyl$";

radical **R2** independently is "hydrogen";

radical **R3** independently is selected from the group consisting of:

(i) "–NH–C(O)–NH–C(O)–O–alkyl, –NH–C(O)–NH–C(O)–O–(C9-C30)alkyl, –NH–C(O)–NH–C(O)–O–cycloalkyl, –NH–C(O)–NH–C(O)–O–cycloalkylalkyl, –NH–C(O)–NH–C(O)–O–aryl, –NH–C(O)–NH–C(O)–O–arylalkyl, –NH–C(O)–NH–C(O)–O–heteroaryl, –NH–C(O)–NH–C(O)–O–heteroarylalkyl, –NH–C(O)–NH–C(O)–O–heterocyclyl, –NH–C(O)–NH–C(O)–O–heterocyclylalkyl –NH–C(S)–NH–alkyl, –NH–C(S)–NH–(C9-C30)alkyl, –NH–C(S)–NH–cycloalkyl, –NH–C(S)–NH–cycloalkylalkyl, –NH–C(S)–NH–aryl, –NH–C(S)–NH–arylalkyl, –NH–C(S)–NH–heteroaryl, –NH–C(S)–NH–heteroarylalkyl, –NH–C(S)–NH–

heterocyclyl, –NH–C(S)–NH–heterocyclylalkyl, –NH–C(=NH)–alkyl, –NH–C(=NH)–(C9-C30)alkyl, –NH–C(=NH)–cycloalkyl, –NH–C(=NH)–cycloalkylalkyl, –NH–C(=NH)–aryl, –NH–C(=NH)–arylalkyl, –NH–C(=NH)–heteroaryl, –NH–C(=NH)–heteroarylalkyl, –NH–C(=NH)–heterocyclyl, –NH–C(=NH)–heterocyclylalkyl, –NH–C(O)–C(O)–alkyl, –NH–C(O)–C(O)–(C9-C30)alkyl, –NH–C(O)–C(O)–cycloalkyl, –NH–C(O)–C(O)–cycloalkylalkyl, –NH–C(O)–C(O)–aryl, –NH–C(O)–C(O)–arylalkyl, –NH–C(O)–C(O)–heteroaryl, –NH–C(O)–C(O)–heteroarylalkyl, –NH–C(O)–C(O)–heterocyclyl, –NH–C(O)–C(O)–heterocyclylalkyl"

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–$alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9-C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)–$alkyl";

radical **R4** independently is selected from the group consisting of :

(i) "hydrogen, alkyl, (C9-C30)alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, –NH–alkyl, –NH–(C9-C30)alkyl, –NH–cycloalkyl, –NH–cycloalkylalkyl, –NH–aryl, –NH–arylalkyl, –NH–heteroaryl, –NH–heteroarylalkyl, –NH–heterocyclyl, –NH–

heterocyclylalkyl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), $–C(O)–$alkyl, $–C(O)–$heterocyclyl, $–C(O)–O–$alkyl, $–C(O)–O–$heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–$alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, $–OC(O)–$alkyl, $–OC(O)–N(alkyl)_2$, $–OC(O)–NH–$alkyl, $–OC(O)–(C_9$-$C_{30})$alkyl, $–OC(O)–O–$alkyl, $–OC(O)–O–$alkyl–O–alkyl, $–OC(O)–O–$aryl, $–N(alkyl)_2$, $–N(aryl)_2$, $–NHC(O)–$alkyl, $–NHC(O)–$alkyl–NH–alkyl, $–NHC(O)–$alkyl–$C(O)–O–$alkyl, $–NHC(O)–O–$alkyl, $–NHC(O)–O–$alkyl–O–alkyl, $–NHC(O)–O–$alkyl–O–alkyl–O–alkyl–O–alkyl, $–NHC(O)–NH–$alkyl, $–NHC(O)–NH–$aryl, $–NHC(O)–NH–$heteroaryl, $–NHC(O)–NH–$heterocyclyl, $–NHC(O)–NH–$heterocyclylalkyl, $–NHC(O)–NH–$alkyl–halogen, $–NHC(O)–NH–$alkyl–Cl, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)–$alkyl";

radical **R5** independently is "hydrogen".

In a further preferred embodiment, pyrido[2,3-b]pyrazine derivatives according to above general formula (I) are provided, wherein:

radical **R1** independently is selected from the group consisting of:

(i) "hydrogen, methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, qui-

nolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl, –NH–R_{x1}" with R_{x1} being selected from the group consisting of: "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-

isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of:"–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9$-$C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)–alkyl$";

radical **R2** independently is "hydrogen";

radical **R3** independently is selected from the group consisting of:

(i) "$–NH–C(O)–NH–C(O)–O–R_{x2}$, $–NH–C(S)–NH–R_{x3}$, $–NH–C(=NH)–R_{x4}$, $–NH–C(O)–C(O)–R_{x5}$", with R_{x2}, R_{x3}, R_{x4}, R_{x5} independently from each other being selected from the group consisting of: "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexyl-

methyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, $alkyl–P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, $–O–alkyl–N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, $–OC(O)–N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9-C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –

NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

radical **R4** independently is selected from the group consisting of:

(i) "hydrogen, methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl, –NH–R_{x6}" with R_{x6} being selected from the group consisting of: "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-

2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, $alkyl–P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, $–OC(O)–N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9$-$C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –

NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

radical **R5** independently is "hydrogen".

In a further preferred embodiment, pyrido[2,3-b]pyrazine derivatives according to above general formula (I) and preferred embodiments and subsets are provided, wherein:

radical **R1** independently is selected from the group consisting of:

(i) "hydrogen, alkyl, (C9-C30)alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, –NH–alkyl, –NH–(C9-C30)alkyl, –NH–cycloalkyl, –NH–cycloalkylalkyl, –NH–aryl, –NH–arylalkyl, –NH–heteroaryl, –NH–heteroarylalkyl, –NH–heterocyclyl, –NH–heterocyclylalkyl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of:"–F, –Cl, –Br, –I, –CN, –CF$_3$, –N$_3$, –NH$_2$, –NO$_2$, –OH, =O, –OCF$_3$, –OCHF$_2$, –SH, –O–SO$_3$H, –OP(O)(OH)$_2$, –CHO, –COOH, –C(O)NH$_2$, –SO$_3$H, –P(O)(OH)$_2$, –NHC(O)–NH$_2$, –C(NH)–NH$_2$, –C(O)–C(O)–NH$_2$, –C(O)–CF$_3$, alkyl, (C$_9$-C$_{30}$)alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–P(O)(O–alkyl)$_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, –P(O)(O–alkyl–O–C(O)–alkyl)$_2$, –OP(O)(O–alkyl)$_2$, –OS(O$_2$)–alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–(O–alkyl)$_2$, –O–alkyl–O–alkyl, –O–alkyl–N(alkyl)$_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–N(alkyl)$_2$, –OC(O)–NH–alkyl, –OC(O)–(C$_9$-C$_{30}$)alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, –N(alkyl)$_2$, –N(aryl)$_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–

NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

radical **R2** independently is "hydrogen";

radical **R3** independently is selected from the group consisting of:

(i) "–NH–C(O)–NH$_2$, –NH–C(O)–NH–alkyl, –NH–C(O)–NH–(C9-C30)alkyl, –NH–C(O)–NH–cycloalkyl, –NH–C(O)–NH–cycloalkylalkyl, –NH–C(O)–NH–aryl, –NH–C(O)–NH–arylalkyl, –NH–C(O)–NH–heteroaryl, –NH–C(O)–NH–heteroarylalkyl, –NH–C(O)–NH–heterocyclyl, –NH–C(O)–NH–heterocyclylalkyl, –NH–C(O)–O–alkyl, –NH–C(O)–O–(C9-C30)alkyl, –NH–C(O)–O–cycloalkyl, –NH–C(O)–O–cycloalkylalkyl, –NH–C(O)–O–aryl, –NH–C(O)–O–arylalkyl, –NH–C(O)–O–heteroaryl, –NH–C(O)–O–heteroarylalkyl, –NH–C(O)–O–heterocyclyl, –NH–C(O)–O–heterocyclylalkyl, –NH–C(O)–alkyl, –NH–C(O)–(C9-C30)alkyl, –NH–C(O)–cycloalkyl, –NH–C(O)–cycloalkylalkyl, –NH–C(O)–aryl, –NH–C(O)–arylalkyl, –NH–C(O)–heteroaryl, –NH–C(O)–heteroarylalkyl, –NH–C(O)–heterocyclyl, –NH–C(O)–heterocyclylalkyl, –NH–S(O$_2$)–alkyl, –NH–S(O$_2$)–(C9-C30)alkyl, –NH–S(O$_2$)–cycloalkyl, –NH–S(O$_2$)–cycloalkylalkyl, –NH–S(O$_2$)–aryl, –NH–S(O$_2$)–arylalkyl, –NH–S(O$_2$)–heteroaryl, –NH–S(O$_2$)–heteroarylalkyl, –NH–S(O$_2$)–heterocyclyl, –NH–S(O$_2$)–heterocyclylalkyl;

where above substituents of substituents group (i) – if not hydrogen, nitrogen or sulphur – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, –CF$_3$, –N$_3$, –NH$_2$, –NO$_2$, –OH, =O, –OCF$_3$, –OCHF$_2$, –SH, –O–SO$_3$H, –OP(O)(OH)$_2$, –CHO, –COOH, –C(O)NH$_2$, –SO$_3$H, –P(O)(OH)$_2$, –NHC(O)–NH$_2$, –C(NH)–NH$_2$, –C(O)–C(O)–NH$_2$, –C(O)–CF$_3$, alkyl, (C$_9$-C$_{30}$)alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–P(O)(O–alkyl)$_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, –P(O)(O–alkyl–O–C(O)–alkyl)$_2$, –OP(O)(O–alkyl)$_2$, –OS(O$_2$)–alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–(O–alkyl)$_2$, –O–alkyl–O–alkyl, –O–alkyl–N(alkyl)$_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–N(alkyl)$_2$, –OC(O)–NH–alkyl, –OC(O)–(C$_9$-C$_{30}$)alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, –N(alkyl)$_2$, –N(aryl)$_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –

NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

radical **R4** independently is selected from the group consisting of :

(i) "hydrogen, alkyl, (C9-C30)alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, –NH–alkyl, –NH–(C9-C30)alkyl, –NH–cycloalkyl, –NH–cycloalkylalkyl, –NH–aryl, –NH–arylalkyl, –NH–heteroaryl, –NH–heteroarylalkyl, –NH–heterocyclyl, –NH–heterocyclylalkyl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, –CF$_3$, –N$_3$, –NH$_2$, –NO$_2$, –OH, =O, –OCF$_3$, –OCHF$_2$, –SH, –O–SO$_3$H, –OP(O)(OH)$_2$, –CHO, –COOH, –C(O)NH$_2$, –SO$_3$H, –P(O)(OH)$_2$, –NHC(O)–NH$_2$, –C(NH)–NH$_2$, –C(O)–C(O)–NH$_2$, –C(O)–CF$_3$, alkyl, (C$_9$-C$_{30}$)alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–P(O)(O–alkyl)$_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, –P(O)(O–alkyl–O–C(O)–alkyl)$_2$, –OP(O)(O–alkyl)$_2$, –OS(O$_2$)–alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–(O–alkyl)$_2$, –O–alkyl–O–alkyl, –O–alkyl–N(alkyl)$_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–N(alkyl)$_2$, –OC(O)–NH–alkyl, –OC(O)–(C$_9$-C$_{30}$)alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, –N(alkyl)$_2$, –N(aryl)$_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

radical **R5** independently is selected from the group consisting of :

(i) "hydrogen, alkyl, (C9-C30)alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl";

where above substituents of substituents group (i) – if not hydrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9$-$C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)–alkyl$".

In a yet further preferred embodiment, pyrido[2,3-b]pyrazine derivatives according to above general formula (I) and preferred embodiments and subsets are provided, wherein:

radical **R1** independently is selected from the group consisting of:

(i) "hydrogen, methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-

yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl, –NH–R_{y1}" with R_{y1} being selected from the group consisting of: "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl,

benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of:"–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, $alkyl–P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, $–O–alkyl–N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, $–OC(O)–N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9$-$C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)–alkyl$";

radical **R2** independently is "hydrogen";

radical **R3** independently is selected from the group consisting of:

(i) "$–NH–C(O)–NH_2$, $–NH–C(O)–NH–R_{y2}$, $–NH–C(O)–O–R_{y3}$, $–NH–C(O)–R_{y4}$, $–NH–S(O_2)–R_{y5}$";

with R_{y2}, R_{y3}, R_{y4}, R_{y5} independently from each other being selected from the group consisting of: "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl,

naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

where above substituents of substituents group (i) – if not hydrogen, nitrogen or sulphur – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, –C(O)–C(O)–NH_2, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, –OC(O)–$(C_9$-$C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –

NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

radical **R4** independently is selected from the group consisting of:

(i) "hydrogen, methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl, –NH–R_{y6}" with R_{y6} being selected from the group consisting of: "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-

yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, $alkyl–P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, $–O–alkyl–N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, $–OC(O)–N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9-C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –

NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

radical **R5** independently is selected from the group consisting of:

(i) "hydrogen, methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl;

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, –CF_3, –N_3, –NH_2, –NO_2, –OH, =O, –OCF_3, –$OCHF_2$, –SH, –O–SO_3H, –$OP(O)(OH)_2$, –CHO, –COOH, –$C(O)NH_2$, –SO_3H, –$P(O)(OH)_2$, –NHC(O)–NH_2, –C(NH)–NH_2, –C(O)–C(O)–NH_2, –C(O)–CF_3, alkyl, (C_9-C_{30})alkyl, cycloalkyl, cycloalkylalkyl, heterocy-

clyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–P(O)(O–alkyl)$_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, –P(O)(O–alkyl–O–C(O)–alkyl)$_2$, –OP(O)(O–alkyl)$_2$, –OS(O$_2$)–alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–(O–alkyl)$_2$, –O–alkyl–O–alkyl, –O–alkyl–N(alkyl)$_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–N(alkyl)$_2$, –OC(O)–NH–alkyl, –OC(O)–(C$_9$-C$_{30}$)alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, –N(alkyl)$_2$, –N(aryl)$_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

In another preferred embodiment, pyrido[2,3-b]pyrazine derivatives according to above general formula (I) and preferred embodiments and subsets are provided, wherein:

radical **R1** independently is selected from the group consisting of:

(i) "–NH–C(O)–NH$_2$, –NH–C(S)–NH$_2$, –NH–C(O)–NH–alkyl, –NH–C(O)–NH–(C9-C30)alkyl, –NH–C(O)–NH–cycloalkyl, –NH–C(O)–NH–cycloalkylalkyl, –NH–C(O)–NH–aryl, –NH–C(O)–NH–arylalkyl, –NH–C(O)–NH–heteroaryl, –NH–C(O)–NH–heteroarylalkyl, –NH–C(O)–NH–heterocyclyl, –NH–C(O)–NH–heterocyclylalkyl, –NH–C(S)–NH–alkyl, –NH–C(S)–NH–(C9-C30)alkyl, –NH–C(S)–NH–cycloalkyl, –NH–C(S)–NH–cycloalkylalkyl, –NH–C(S)–NH–aryl, –NH–C(S)–NH–arylalkyl, –NH–C(S)–NH–heteroaryl, –NH–C(S)–NH–heteroarylalkyl, –NH–C(S)–NH–heterocyclyl, –NH–C(S)–NH–heterocyclylalkyl, –NH–C(O)–alkyl, –NH–C(O)–(C9-C30)alkyl, –NH–C(O)–cycloalkyl, –NH–C(O)–cycloalkylalkyl, –NH–C(O)–aryl, –NH–C(O)–arylalkyl, –NH–C(O)–heteroaryl, –NH–C(O)–heteroarylalkyl, –NH–C(O)–heterocyclyl, –NH–C(O)–heterocyclylalkyl, –NH–C(S)–alkyl, –NH–C(S)–(C9-C30)alkyl, –NH–C(S)–cycloalkyl, –NH–C(S)–cycloalkylalkyl, –NH–C(S)–aryl, –NH–C(S)–arylalkyl, –NH–C(S)–heteroaryl, –NH–C(S)–heteroarylalkyl, –NH–C(S)–heterocyclyl, –NH–C(S)–heterocyclylalkyl";

where above substituents of substituents group (i) – if not hydrogen, nitrogen or sulphur – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of:"–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)$–alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9$-$C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)$–alkyl";

radical **R2** independently is "hydrogen";

radical **R3** independently is selected from the group consisting of:

(i) "alkyl, (C9-C30)alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, –NH–alkyl, –NH–(C9-C30)alkyl, –NH–cycloalkyl, –NH–cycloalkylalkyl, –NH–aryl, –NH–arylalkyl, –NH–heteroaryl, –NH–heteroarylalkyl, –NH–heterocyclyl, –NH–heterocyclylalkyl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–

alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, –P(O)(O–alkyl–O–C(O)–alkyl)$_2$, –OP(O)(O–alkyl)$_2$, –OS(O$_2$)–alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–(O–alkyl)$_2$, –O–alkyl–O–alkyl, –O–alkyl–N(alkyl)$_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–N(alkyl)$_2$, –OC(O)–NH–alkyl, –OC(O)–(C$_9$-C$_{30}$)alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, –N(alkyl)$_2$, –N(aryl)$_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O$_2$)–alkyl";

radical **R4** independently is selected from the group consisting of :

(i) "hydrogen, alkyl, (C9-C30)alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, –NH–alkyl, –NH–(C9-C30)alkyl, –NH–cycloalkyl, –NH–cycloalkylalkyl, –NH–aryl, –NH–arylalkyl, –NH–heteroaryl, –NH–heteroarylalkyl, –NH–heterocyclyl, –NH–heterocyclylalkyl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, –CF$_3$, –N$_3$, –NH$_2$, –NO$_2$, –OH, =O, –OCF$_3$, –OCHF$_2$, –SH, –O–SO$_3$H, –OP(O)(OH)$_2$, –CHO, –COOH, –C(O)NH$_2$, –SO$_3$H, –P(O)(OH)$_2$, –NHC(O)–NH$_2$, –C(NH)–NH$_2$, –C(O)–C(O)–NH$_2$, –C(O)–CF$_3$, alkyl, (C$_9$-C$_{30}$)alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–P(O)(O–alkyl)$_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, –P(O)(O–alkyl–O–C(O)–alkyl)$_2$, –OP(O)(O–alkyl)$_2$, –OS(O$_2$)–alkyl, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–(O–alkyl)$_2$, –O–alkyl–O–alkyl, –O–alkyl–N(alkyl)$_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–N(alkyl)$_2$, –OC(O)–NH–alkyl, –OC(O)–(C$_9$-C$_{30}$)alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, –N(alkyl)$_2$, –N(aryl)$_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –

NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, –NHC(O)–N(alkyl)$_2$, –NHS(O_2)–alkyl";

radical **R5** independently is "hydrogen".

In yet another preferred embodiment, pyrido[2,3-b]pyrazine derivatives according to above general formula (I) and preferred embodiments and subsets are provided, wherein:

radical **R1** independently is selected from the group consisting of:

(i) "–NH–C(O)–NH_2, –NH–C(S)–NH_2, –NH–C(O)–NH–R_{z1}, –NH–C(S)–NH–R_{z2}, –NH–C(O)–R_{y3}, –NH–C(S)–NH–R_{z4}", with R_{z1}, R_{z2}, R_{z3}, R_{z4} independently from each other being selected from the group consisting of: "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl,

benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

where above substituents of substituents group (i) – if not hydrogen, nitrogen or sulphur – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, –C(O)–C(O)–NH_2, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9$-$C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)–alkyl$";

radical **R2** independently is "hydrogen";

radical **R3** independently is selected from the group consisting of:

(i) "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl,

pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl, –NH–R_{z5}" with R_{z5} being selected from the group consisting of: "methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl,

benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9$-$C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, alkyl–$P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9$-$C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, $–NHC(O)–NH–alkyl–Cl$, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)–alkyl$";

radical **R4** independently is selected from the group consisting of:

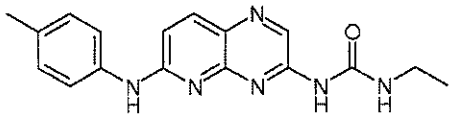
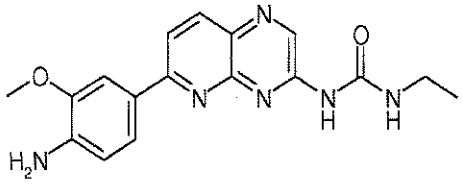
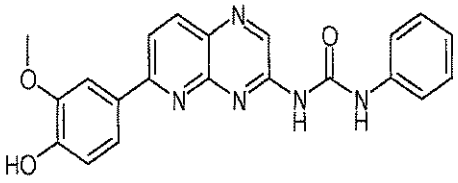
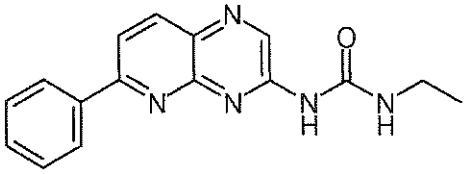
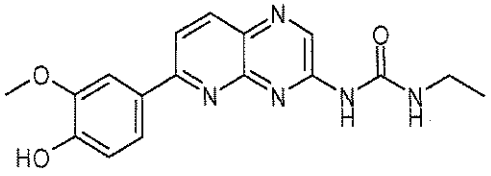
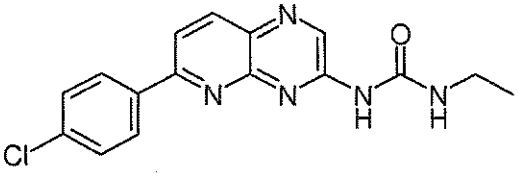
(i) "hydrogen, methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, allyl, propenyl, prop-2-en-1-yl, cyclopropyl, cyclopropylmethyl, cyclopropylethyl, cyclopropylpropyl, cyclopropylbutyl, cyclopentyl, cyclopentylmethyl, cyclopentylethyl, cyclopentylpropyl, cyclopentylbutyl, cyclohexyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylpropyl, cyclohexylbutyl, phenyl, naphthalenyl, naphthalen-1-yl, naphthalen-2-yl, benzyl, phenyl-ethyl, isoxazol, pyridinyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrazolyl, pyrazol-3-yl, pyrazol-4-yl, quinolinyl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl, quinolin-8-yl, thiophenyl, thiophen-2-yl, thiophen-3-yl, furanyl, furan-2-yl, furan-3-yl, pyrimidinyl, pyrimidin-5-yl, imidazolyl, imidazol-4-

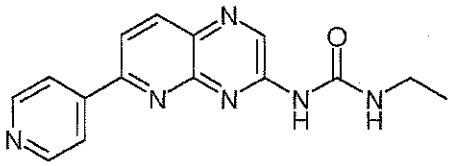
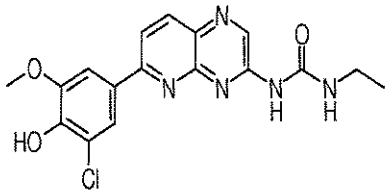
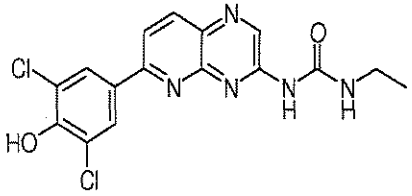
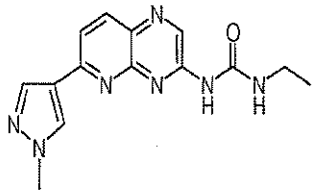
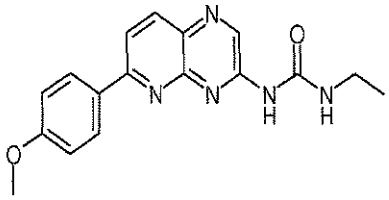
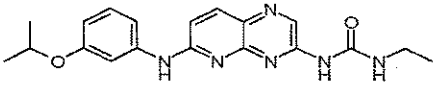
yl, imidazol-3-yl, pyrrolo[2,3-b]pyridinyl, pyrrolo[2,3-b]pyridin-5-yl, indolyl, 1H-indol-2-yl, dibenzofuranyl, dibenzofuran-4-yl, piperidinyl, piperidinylmethyl, piperidinylethyl, piperidinylpropyl, piperidinylbutyl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazinyl, piperazinylmethyl, piperazinylethyl, piperazinylpropyl, piperazinylbutyl, piperazin-1-yl, diazepanyl, diazepanylmethyl, diazepanylethyl, diazepanylpropyl, diazepanylbuytl, diazepan-1-yl, morpholinyl, morpholinylmethyl, morpholinylethyl, morpholinylpropyl, morpholinylbuytyl, morpholin-4-yl, 2-morpholin-4-yl-ethyl, 1,2,3,4-tetrahydro-isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinylmethyl, 1,2,3,4-tetrahydro-isoquinolinylethyl, 1,2,3,4-tetrahydro-isoquinolinylpropyl, 1,2,3,4-tetrahydro-isoquinolinylbutyl, 1,2,3,4-tetrahydro-isoquinolin-7-yl, benzo[1,3]dioxolyl, benzo[1,3]dioxolylmethyl, benzo[1,3]dioxolylethyl, benzo[1,3]dioxolylpropyl, benzo[1,3]dioxolylbutyl, benzo[1,3]dioxol-5-yl, benzo[1,4]oxazinyl, benzo[1,4]oxazinylmethyl, benzo[1,4]oxazinylethyl, benzo[1,4]oxazinylpropyl, benzo[1,4]oxazinylbutyl, benzo[1,4]oxazin-6-yl";

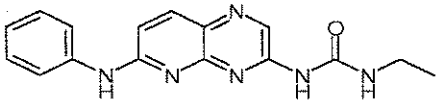
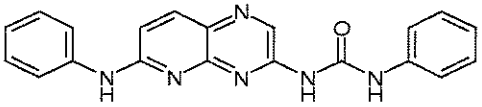
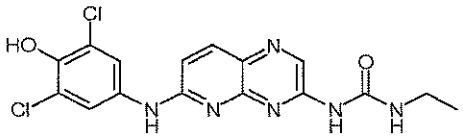
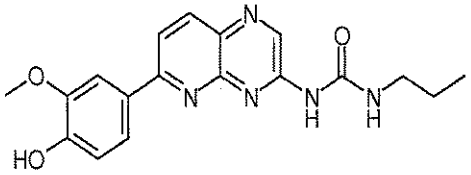
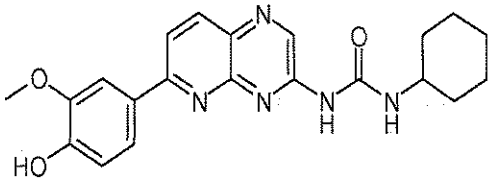
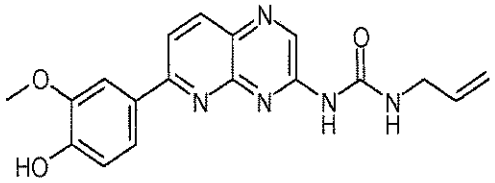
where above substituents of substituents group (i) – if not hydrogen or nitrogen – may, optionally, additionally be substituted with at least one substituent selected from the group consisting of: "–F, –Cl, –Br, –I, –CN, $–CF_3$, $–N_3$, $–NH_2$, $–NO_2$, –OH, =O, $–OCF_3$, $–OCHF_2$, –SH, $–O–SO_3H$, $–OP(O)(OH)_2$, –CHO, –COOH, $–C(O)NH_2$, $–SO_3H$, $–P(O)(OH)_2$, $–NHC(O)–NH_2$, $–C(NH)–NH_2$, $–C(O)–C(O)–NH_2$, $–C(O)–CF_3$, alkyl, $(C_9\text{-}C_{30})$alkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, alkyl–OH, alkyl–CN, alkyl–COOH, $alkyl–P(O)(O–alkyl)_2$, cycloalkyl–CN, aryl–OH, aryl(–OH)(–alkyl), –C(O)–alkyl, –C(O)–heterocyclyl, –C(O)–O–alkyl, –C(O)–O–heterocyclyl, $–P(O)(O–alkyl–O–C(O)–alkyl)_2$, $–OP(O)(O–alkyl)_2$, $–OS(O_2)–alkyl$, –S–alkyl, –O–alkyl, –O–aryl, –O–arylalkyl, –O–heterocyclyl, –O–heterocyclylalkyl, –O–arylalkyl–$(O–alkyl)_2$, –O–alkyl–O–alkyl, –O–alkyl–$N(alkyl)_2$, –O–alkyl–halogen, –O–alkyl–Cl, –O–alkyl–F, –O–alkyl–Br, –O–alkyl–I, –OC(O)–alkyl, –OC(O)–$N(alkyl)_2$, –OC(O)–NH–alkyl, $–OC(O)–(C_9\text{-}C_{30})$alkyl, –OC(O)–O–alkyl, –OC(O)–O–alkyl–O–alkyl, –OC(O)–O–aryl, $–N(alkyl)_2$, $–N(aryl)_2$, –NHC(O)–alkyl, –NHC(O)–alkyl–NH–alkyl, –NHC(O)–alkyl–C(O)–O–alkyl, –NHC(O)–O–alkyl, –NHC(O)–O–alkyl–O–alkyl, –NHC(O)–O–alkyl–O–alkyl–O–alkyl–O–alkyl, –NHC(O)–NH–alkyl, –NHC(O)–NH–aryl, –NHC(O)–NH–heteroaryl, –NHC(O)–NH–heterocyclyl, –NHC(O)–NH–heterocyclylalkyl, –NHC(O)–NH–alkyl–halogen, –NHC(O)–NH–alkyl–Cl, $–NHC(O)–N(alkyl)_2$, $–NHS(O_2)–alkyl$";

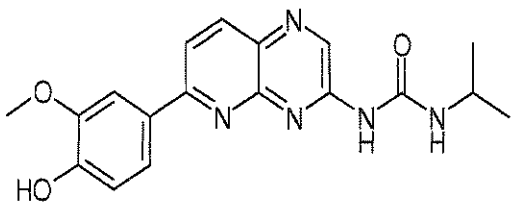
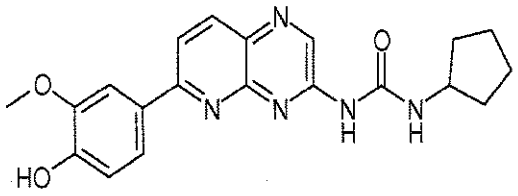
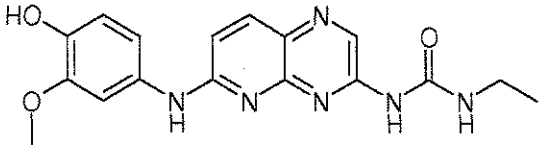
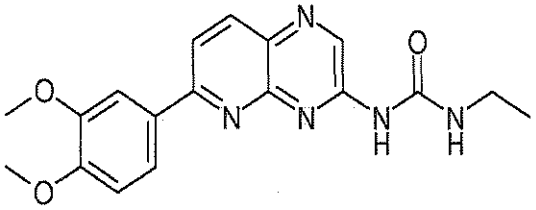
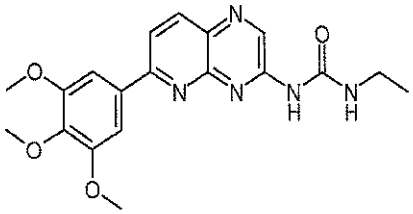
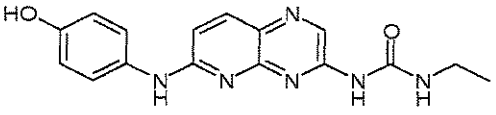
radical **R5** independently is "hydrogen".

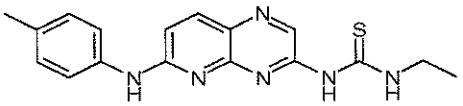
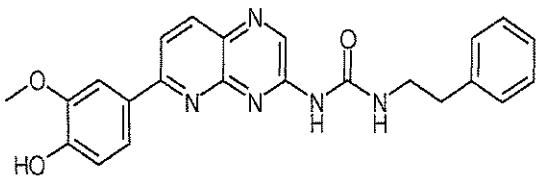
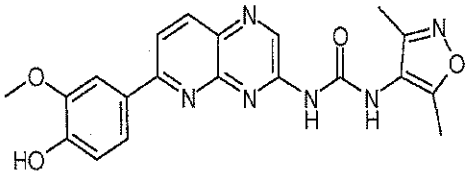
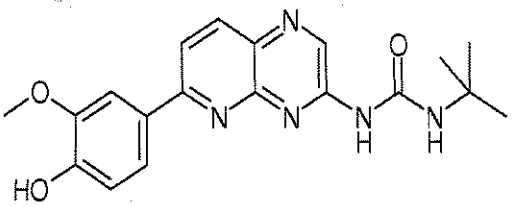
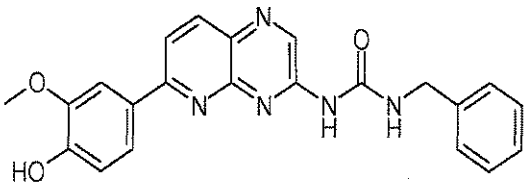
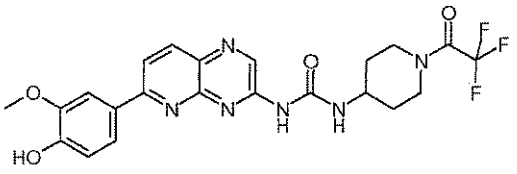
In another preferred embodiment, pyrido[2,3-b]pyrazine derivatives according to general formula (I) and above preferred embodiments and subsets are provided that are selected from the group consisting of:

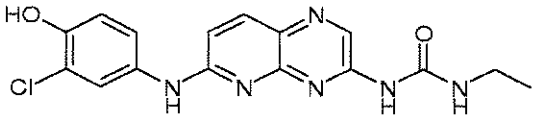
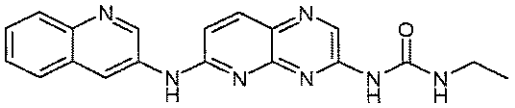
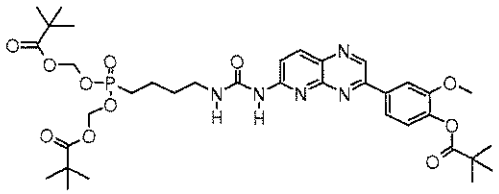
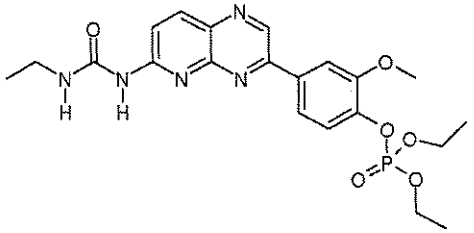
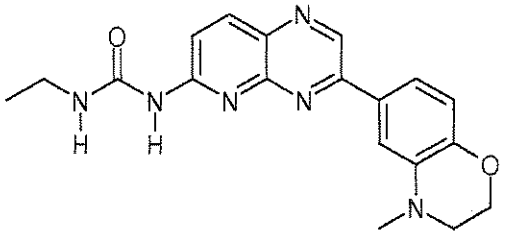
Compound	Structure	Name
1		1-Ethyl-3-(6-p-tolylamino-pyrido[2,3-b]pyrazin-3-yl)-urea
2		1-[6-(4-Amino-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
3		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenyl-urea
4		1-Ethyl-3-(6-phenyl-pyrido[2,3-b]pyrazin-3-yl)-urea
5		1-Ethyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
6		1-[6-(4-Chloro-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

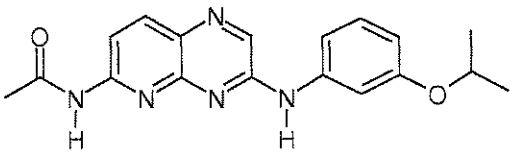
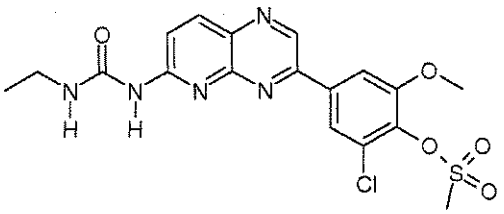
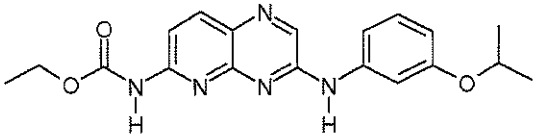
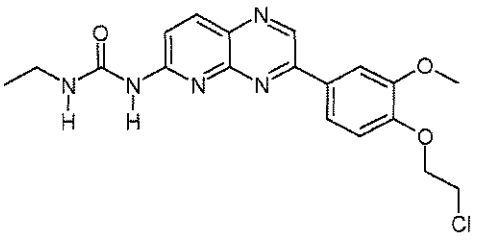
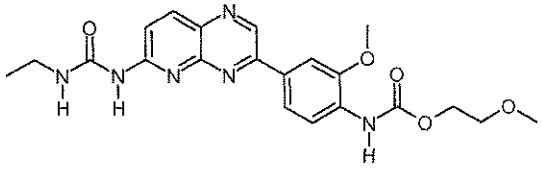
7		1-Ethyl-3-(6-pyridin-4-yl-pyrido[2,3-b]pyrazin-3-yl)-urea
8		1-[6-(3-Chloro-4-hydroxy-5-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
9		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
10		1-Ethyl-3-[6-(1-methyl-1H-pyrazol-4-yl)-pyrido[2,3-b]pyrazin-3-yl]-urea
11		1-Ethyl-3-[6-(4-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
12		1-Ethyl-3-[6-(3-isopropoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea

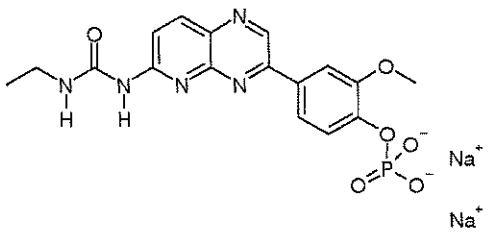
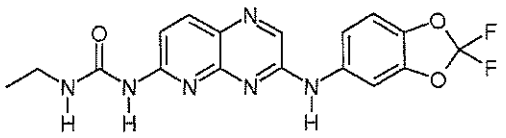
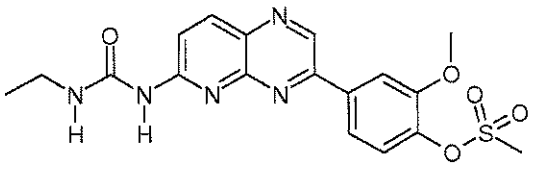
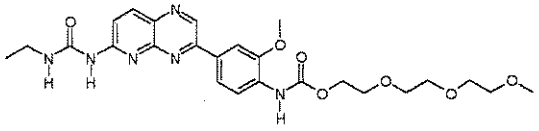
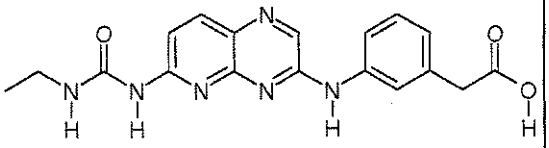
13		1-Ethyl-3-(6-phenylamino-pyrido[2,3-b]pyrazin-3-yl)-urea
14		1-Phenyl-3-(6-phenylamino-pyrido[2,3-b]pyrazin-3-yl)-urea
15		1-[6-(3,5-Dichloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
16		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-propyl-urea
17		1-Cyclohexyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
18		1-Allyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

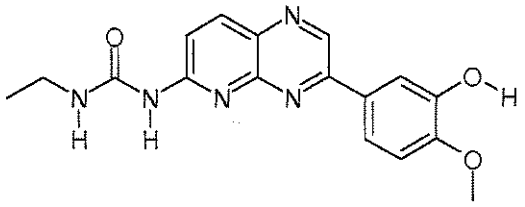
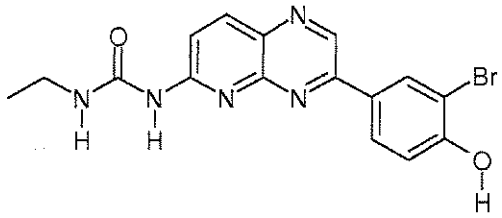
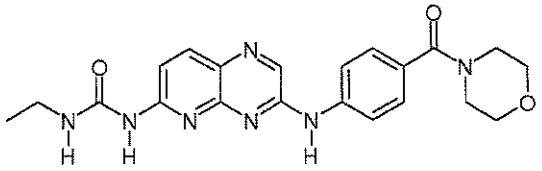
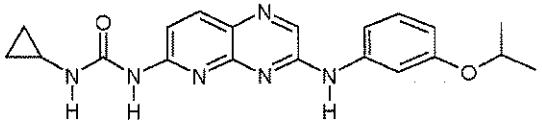
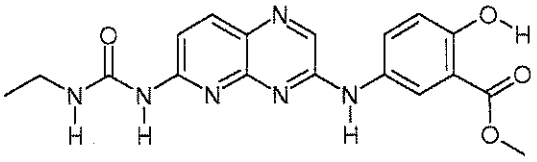
19		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-isopropyl-urea
20		1-Cyclopentyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
21		1-Ethyl-3-[6-(4-hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
22		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
23		1-Ethyl-3-[6-(3,4,5-trimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
24		1-Ethyl-3-[6-(4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea

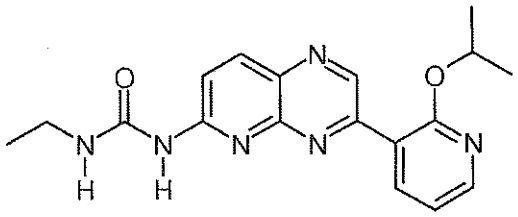
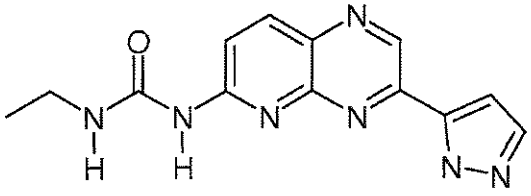
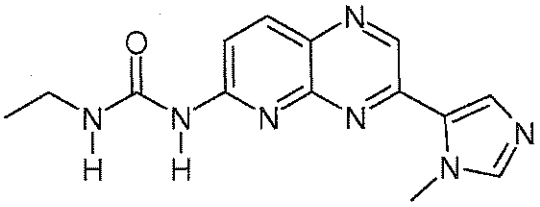
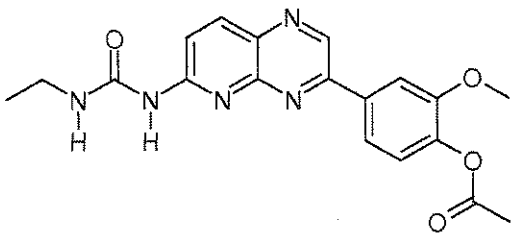
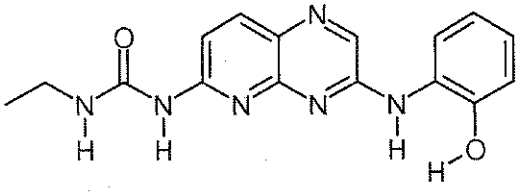
25		1-Ethyl-3-(6-p-tolylamino-pyrido[2,3-b]pyrazin-3-yl)-thiourea
26		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenethyl-urea
27		1-(3,5-Dimethyl-isoxazol-4-yl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
28		1-tert-Butyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
29		1-Benzyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
30		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-[1-(2,2,2-trifluoro-acetyl)-piperidin-4-yl]-urea

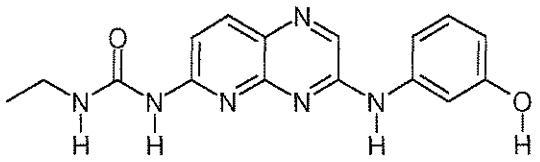
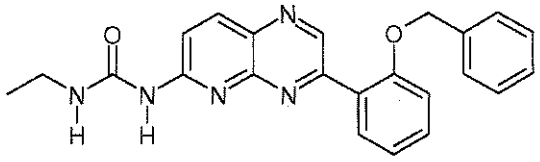
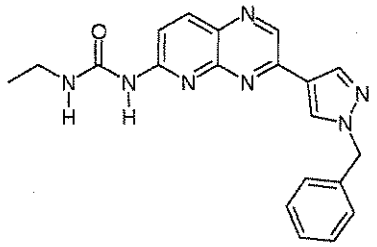
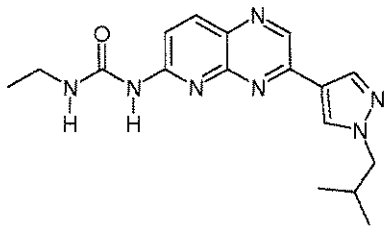
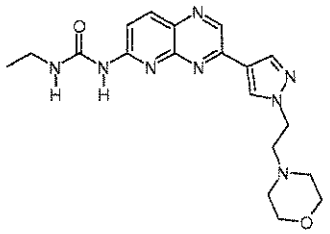
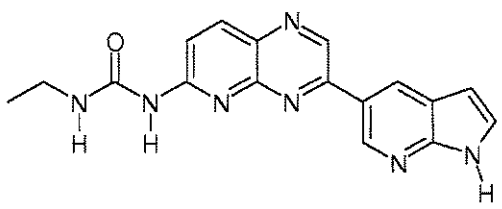
31		1-[6-(3-Chloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
32		1-Ethyl-3-[6-(quinolin-3-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
33		2,2-Dimethyl-propionic acid(2,2-dimethyl-propionyloxymethoxy)-[4-(3-{3-[4-(2,2-dimethyl-propionyloxy)-3-methoxy-phenyl]-pyrido[2,3-b]pyrazin-6-yl}-ureido)-butyl]-phosphinoyloxymethyl ester
34		Phosphoric acid diethyl ester 4-[6-(3-ethyl-ureido)-pyrido[2,3-b]-pyrazin-3-yl]-2-methoxy-phenyl ester
35		1-Ethyl-3-[3-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-pyrido[2,3-b]pyrazin-6-yl]-urea

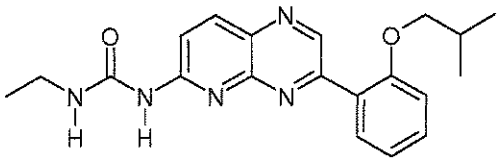
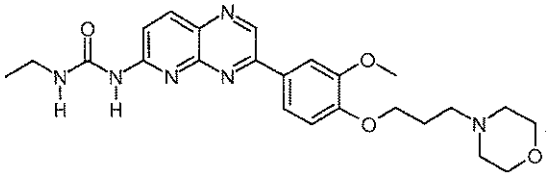
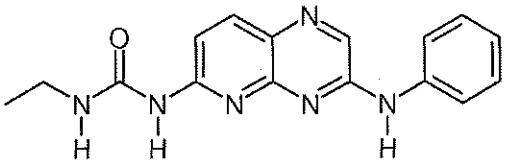
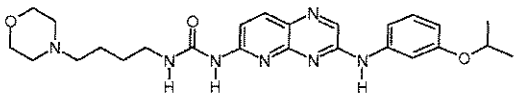
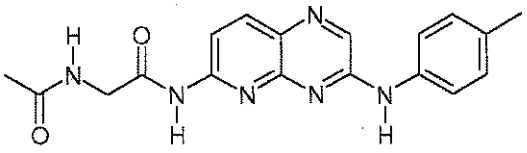
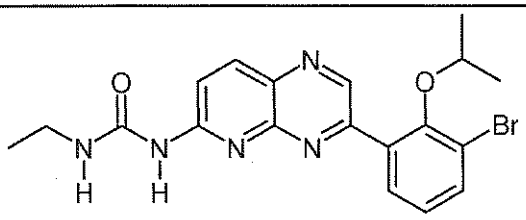
36		N-[3-(3-Isopropoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-acetamide
37		Methanesulfonic acid 2-chloro-4-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-6-methoxy-phenyl ester
38		[3-(3-Isopropoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-carbamic acid ethyl ester
39		1-{3-[4-(2-Chloro-ethoxy)-3-methoxy-phenyl]-pyrido[2,3-b]pyrazin-6-yl}-3-ethyl-urea
40		{4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-2-methoxy-phenyl}-carbamic acid 2-methoxy-ethyl ester

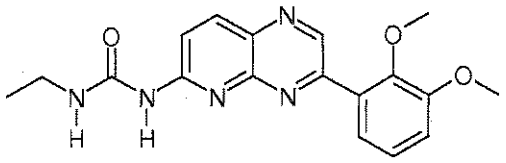
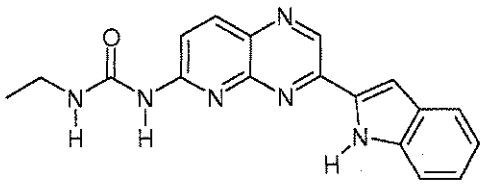
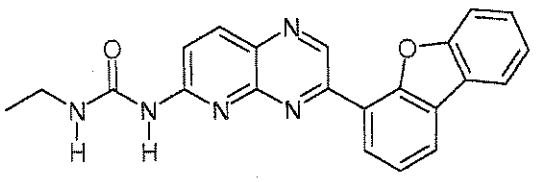
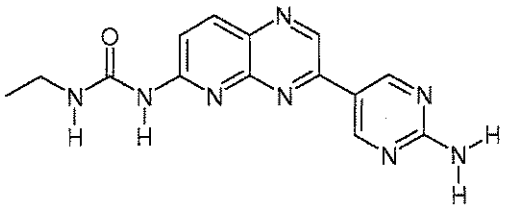
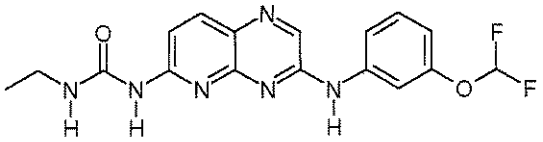
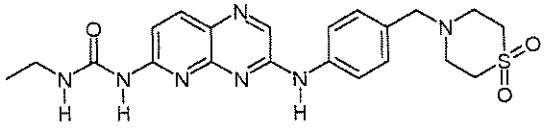
41		Phosphoric acid mono-{4-[6-(3-ethyl-ureido)-pyrido-[2,3-b]pyrazin-3-yl]-2-methoxy-phenyl} ester; sodium salt
42		1-[3-(2,2-Difluoro-benzo[1,3]dioxol-5-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
43		Methanesulfonic acid 4-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-2-methoxy-phenyl ester
44		{4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-2-methoxy-phenyl}-carbamic acid 2-[2-(2-methoxy-ethoxy)-ethoxy]-ethyl ester
45		{3-[6-(3-Ethyl-ureido)-pyrido[2,3-b]-pyrazin-3-ylamino]-phenyl}-acetic acid

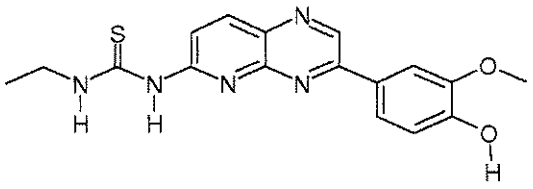
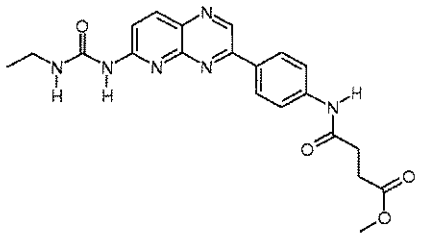
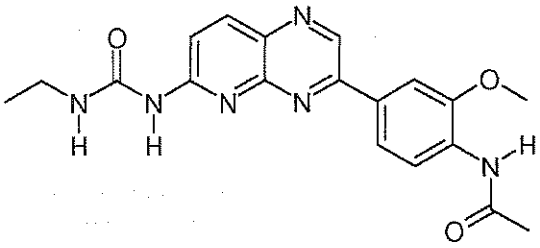
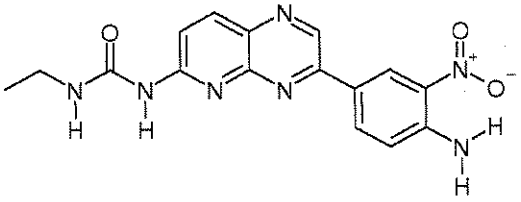
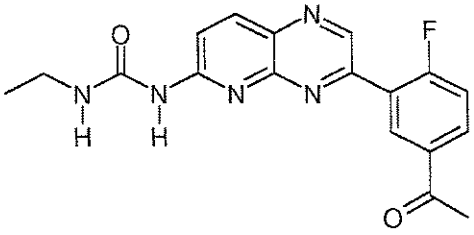
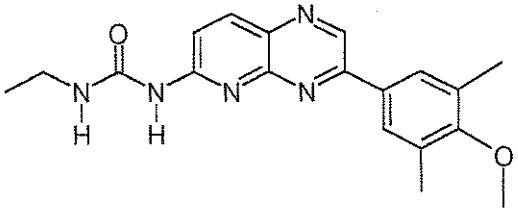
46		1-Ethyl-3-[3-(3-hydroxy-4-methoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
47		1-[3-(3-Bromo-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
48		1-Ethyl-3-{3-[4-(morpholine-4-carbonyl)-phenylamino]-pyrido[2,3-b]-pyrazin-6-yl}-urea
49		1-Cyclopropyl-3-[3-(3-isopropoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
50		5-[6-(3-Ethyl-ureido)-pyrido[2,3-b]-pyrazin-3-ylamino]-2-hydroxy-benzoic acid methyl ester

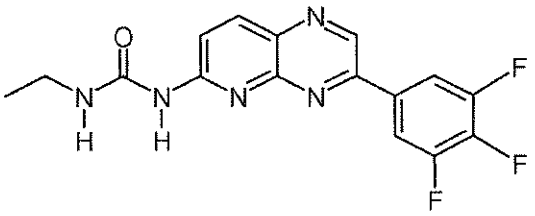
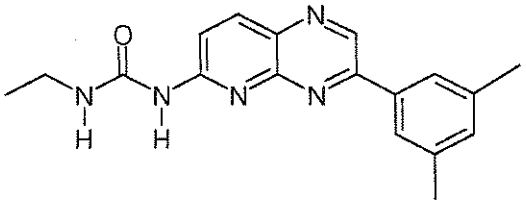
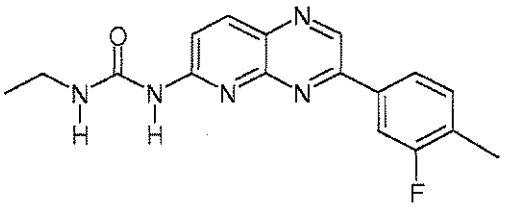
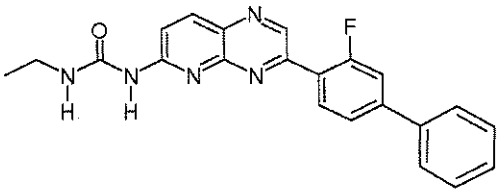
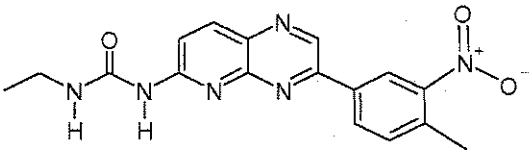
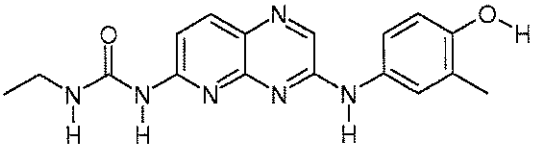
51		1-Ethyl-3-[3-(2-isopropoxy-pyridin-3-yl)-pyrido[2,3-b]pyrazin-6-yl]-urea
52		1-Ethyl-3-[3-(2H-pyrazol-3-yl)-pyrido[2,3-b]pyrazin-6-yl]-urea
53		1-Ethyl-3-[3-(3-methyl-3H-imidazol-4-yl)-pyrido[2,3-b]pyrazin-6-yl]-urea
54		Acetic acid 4-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-2-methoxy-phenyl ester
55		1-Ethyl-3-[3-(2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

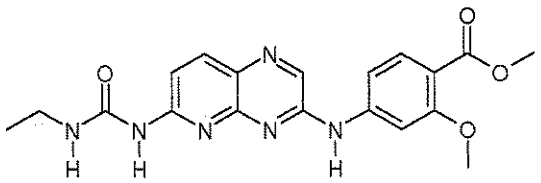
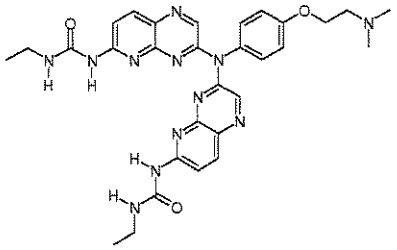
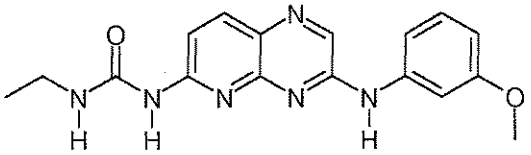
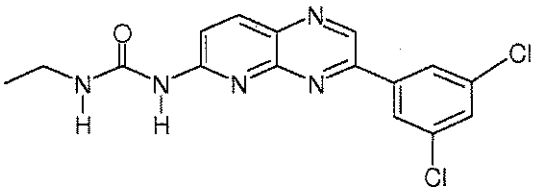
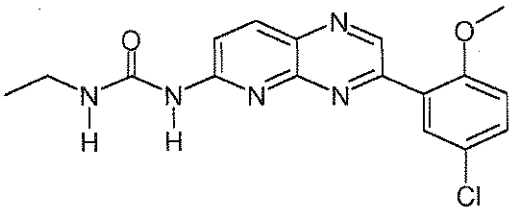
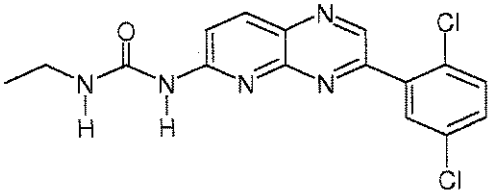
56		1-Ethyl-3-[3-(3-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
57		1-[3-(2-Benzyloxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
58		1-[3-(1-Benzyl-1H-pyrazol-4-yl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
59		1-Ethyl-3-[3-(1-isobutyl-1H-pyrazol-4-yl)-pyrido[2,3-b]pyrazin-6-yl]-urea
60		1-Ethyl-3-{3-[1-(2-morpholin-4-yl-ethyl)-1H-pyrazol-4-yl]-pyrido[2,3-b]pyrazin-6-yl}-urea
61		1-Ethyl-3-[3-(1H-pyrrolo[2,3-b]pyridin-5-yl)-pyrido[2,3-b]pyrazin-6-yl]-urea

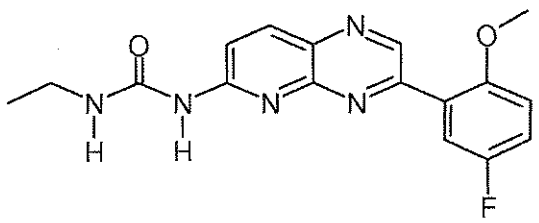
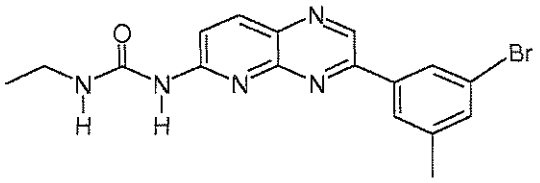
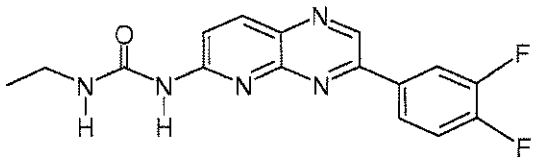
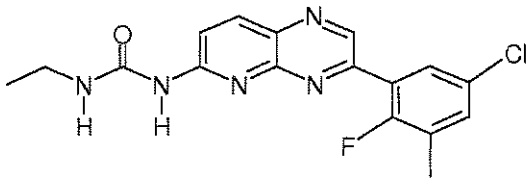
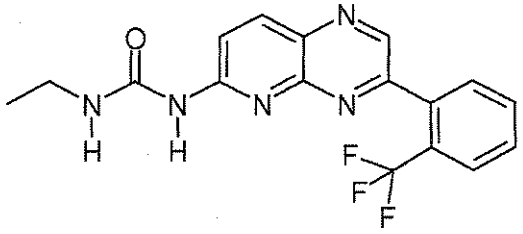
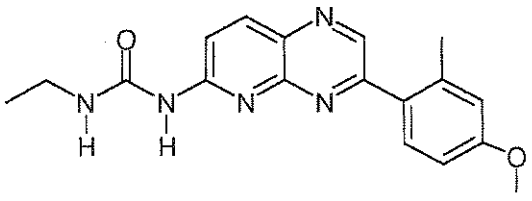
62		1-Ethyl-3-[3-(2-isobutoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
63		1-Ethyl-3-{3-[3-methoxy-4-(3-morpholin-4-yl-propoxy)-phenyl]-pyrido[2,3-b]pyrazin-6-yl}-urea
64		1-Ethyl-3-(3-phenylamino-pyrido-[2,3-b]pyrazin-6-yl)-urea
65		1-[3-(3-Isopropoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-(4-morpholin-4-yl-butyl)-urea
66		2-Acetylamino-N-(3-p-tolylamino-pyrido[2,3-b]pyrazin-6-yl)-acetamide
67		1-[3-(3-Bromo-2-isopropoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

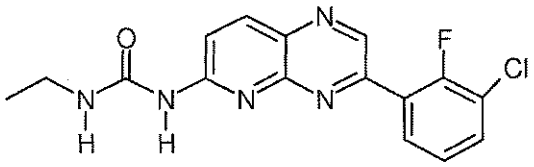
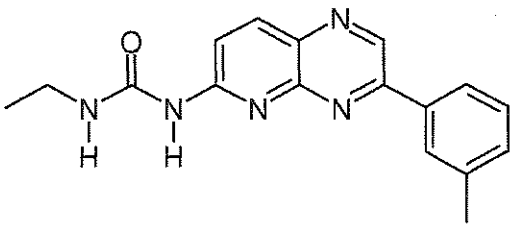
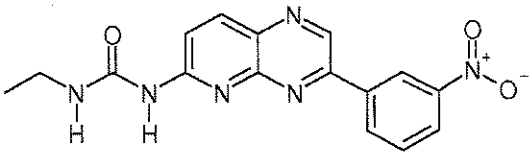
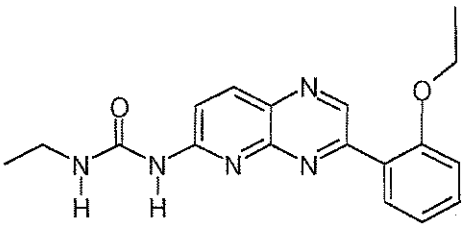
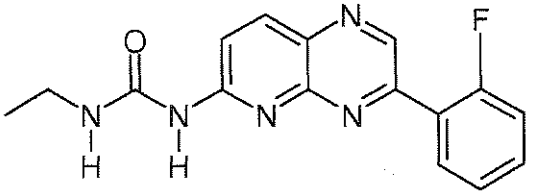
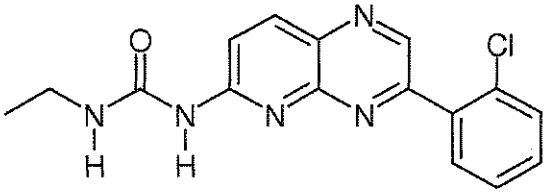
68		1-[3-(2,3-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
70		1-Ethyl-3-[3-(1H-indol-2-yl)-pyrido[2,3-b]pyrazin-6-yl]-urea
71		1-(3-Dibenzofuran-4-yl-pyrido[2,3-b]pyrazin-6-yl)-3-ethyl-urea
72		1-[3-(2-Amino-pyrimidin-5-yl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
73		1-[3-(3-Difluoromethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
74		1-{3-[4-(1,1-Dioxo-1lambda*6*-thiomorpholin-4-ylmethyl)-phenylamino]-pyrido[2,3-b]pyrazin-6-yl}-3-ethyl-urea

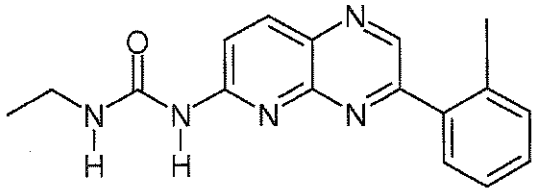
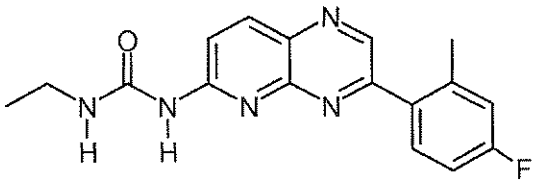
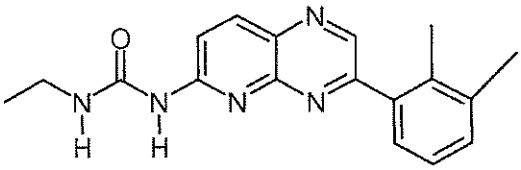
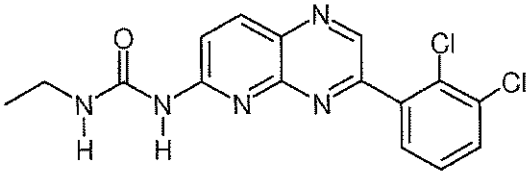
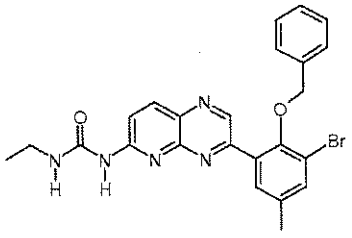
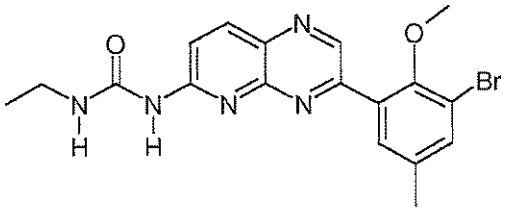
75		1-Ethyl-3-[3-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
76		N-{4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-phenyl}-succinamic acid methyl ester
77		N-{4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-2-methoxy-phenyl}-acetamide
78		1-[3-(4-Amino-3-nitro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
79		1-[3-(5-Acetyl-2-fluoro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
80		1-Ethyl-3-[3-(4-methoxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea

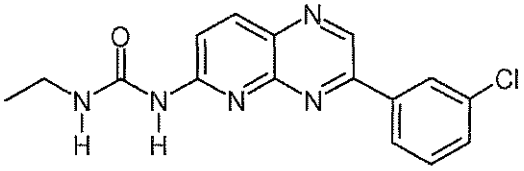
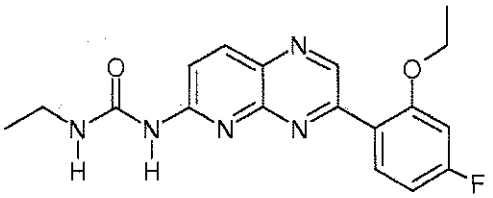
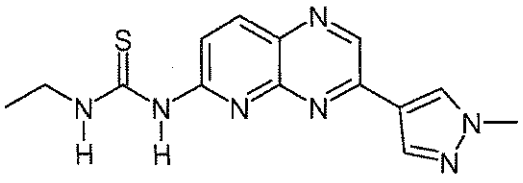
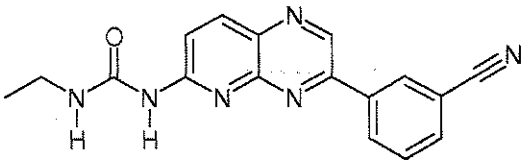
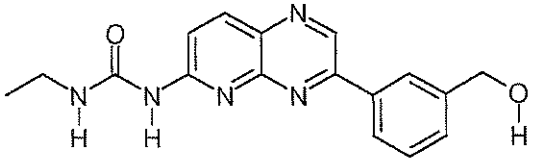
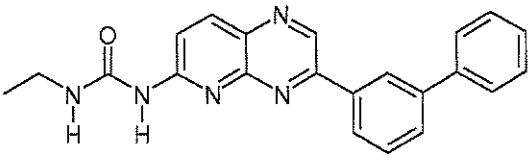
81		1-Ethyl-3-[3-(3,4,5-trifluoro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
82		1-[3-(3,5-Dimethyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
83		1-Ethyl-3-[3-(3-fluoro-4-methyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
84		1-Ethyl-3-[3-(3-fluoro-biphenyl-4-yl)-pyrido[2,3-b]pyrazin-6-yl]-urea
85		1-Ethyl-3-[3-(4-methyl-3-nitro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
86		1-Ethyl-3-[3-(4-hydroxy-3-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

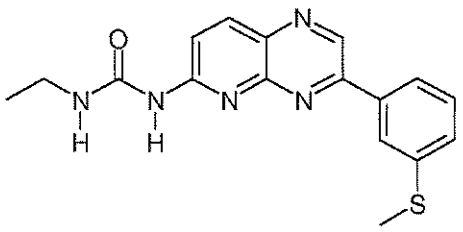
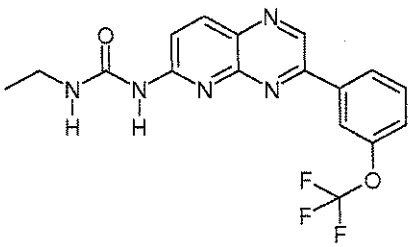
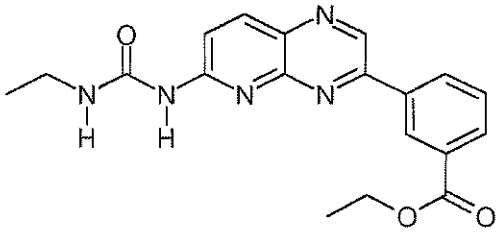
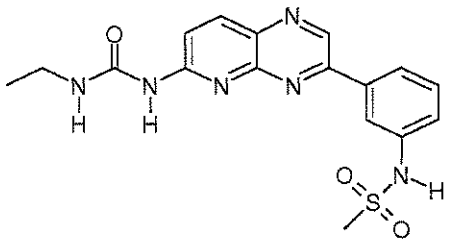
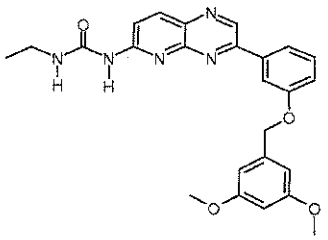
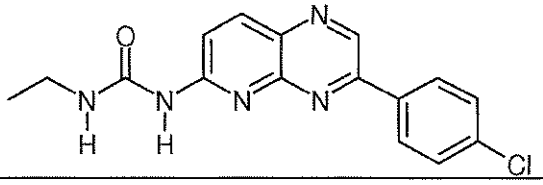
87		4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-2-methoxy-benzoic acid methyl ester
88		1,1'-(3,3'-(4-(2-(dimethyl-amino)ethoxy)phenyl azanediyl)bis(pyrido[2,3-b]pyrazine-6,3-diyl))bis(3-ethylurea)
89		1-Ethyl-3-[3-(3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
90		1-[3-(3,5-Dichloro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
91		1-[3-(5-Chloro-2-methoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
92		1-[3-(2,5-Dichloro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

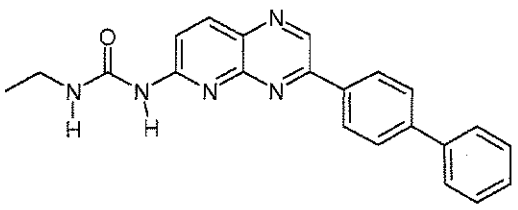
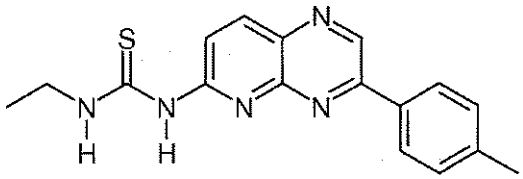
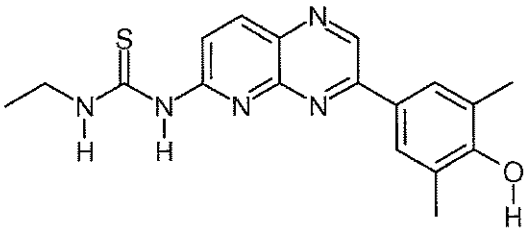
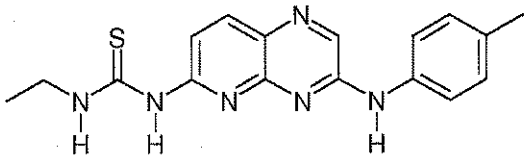
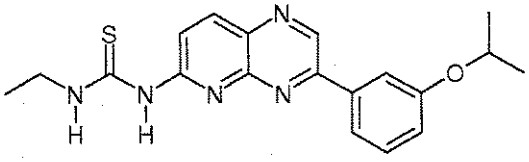
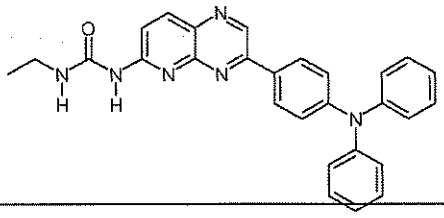
93		1-Ethyl-3-[3-(5-fluoro-2-methoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
94		1-[3-(3-Bromo-5-methyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
95		1-[3-(3,4-Difluoro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
96		1-[3-(5-Chloro-2-fluoro-3-methyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
97		1-Ethyl-3-[3-(2-trifluoromethyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
98		1-Ethyl-3-[3-(4-methoxy-2-methyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea

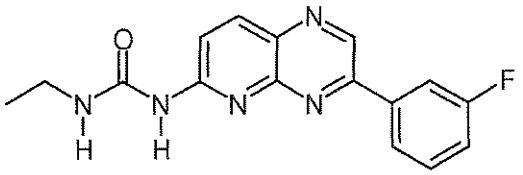
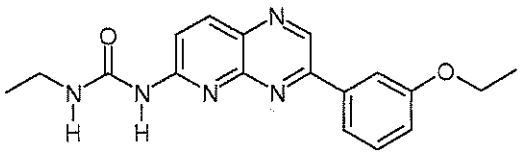
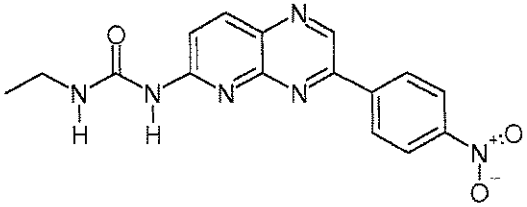
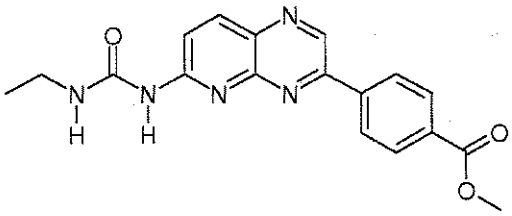
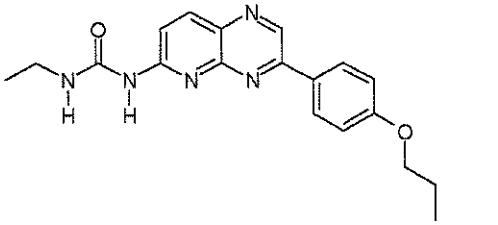
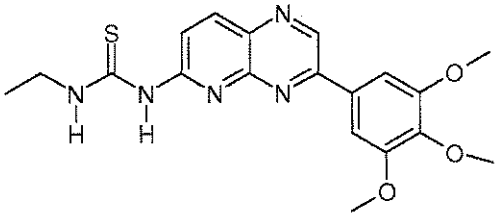
99		1-[3-(3-Chloro-2-fluoro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
100		1-Ethyl-3-(3-m-tolyl-pyrido[2,3-b]pyrazin-6-yl)-urea
101		1-Ethyl-3-[3-(3-nitro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
102		1-[3-(2-Ethoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
103		1-Ethyl-3-[3-(2-fluoro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
104		1-[3-(2-Chloro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

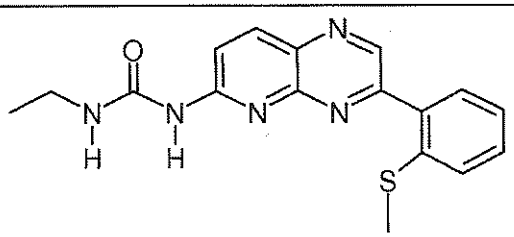
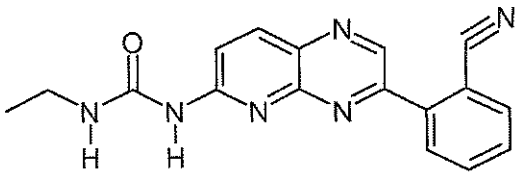
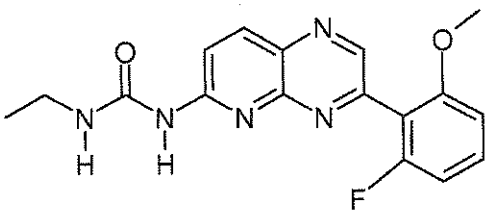
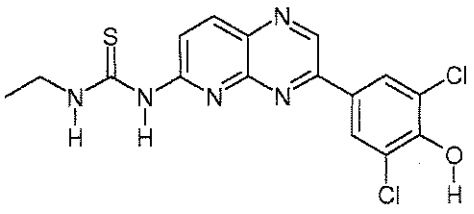
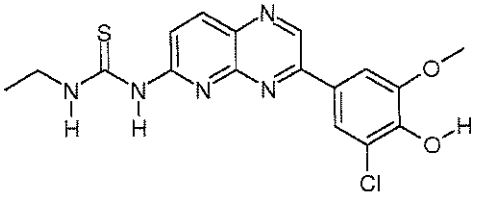
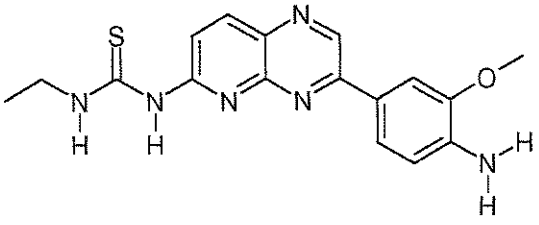
105		1-Ethyl-3-(3-o-tolyl-pyrido[2,3-b]pyrazin-6-yl)-urea
106		1-Ethyl-3-[3-(5-fluoro-2-methyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
107		1-[3-(2,3-Dimethyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
108		1-[3-(2,3-Dichloro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
109		1-[3-(2-Benzyloxy-3-bromo-5-methyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
110		1-[3-(3-Bromo-2-methoxy-5-methyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

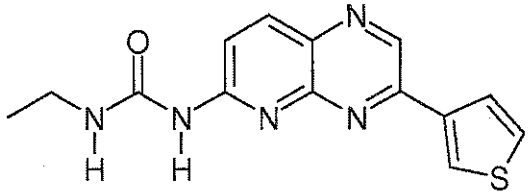
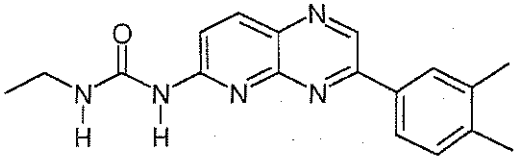
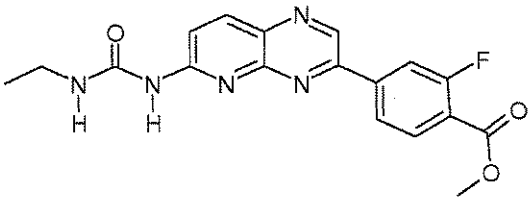
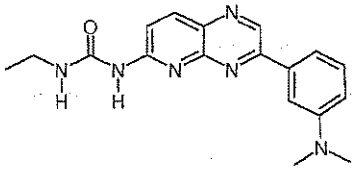
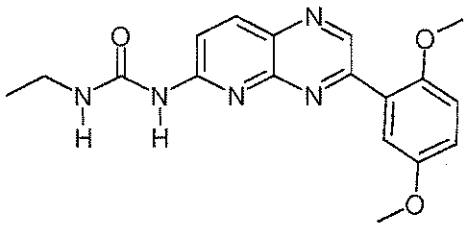
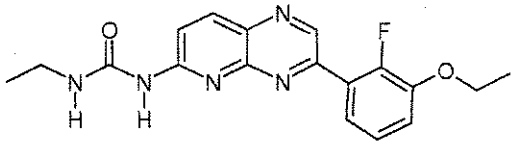
111		1-[3-(3-Chloro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
112		1-[3-(2-Ethoxy-4-fluoro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
113		1-Ethyl-3-[3-(1-methyl-1H-pyrazol-4-yl)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
114		1-[3-(3-Cyano-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
115		1-Ethyl-3-[3-(3-hydroxymethyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
116		1-(3-Biphenyl-3-yl-pyrido[2,3-b]pyrazin-6-yl)-3-ethyl-urea

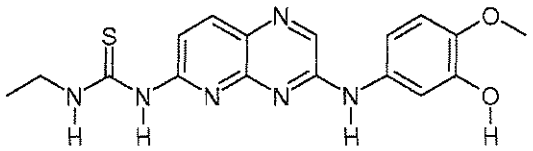
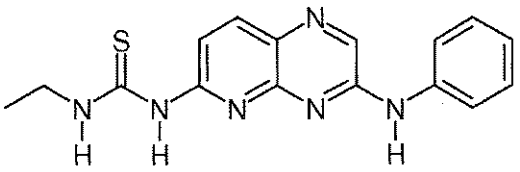
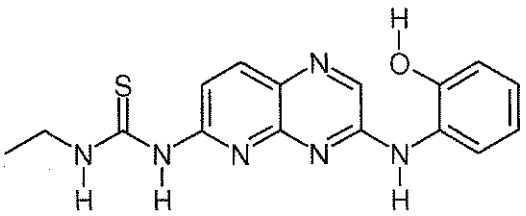
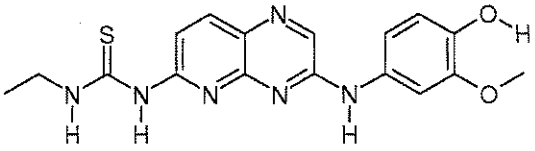
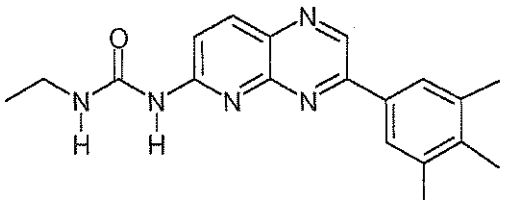
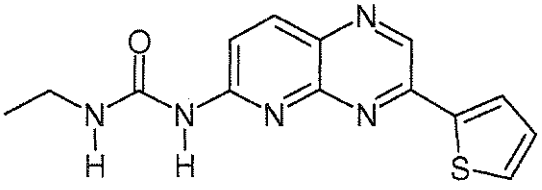
117		1-Ethyl-3-[3-(3-methylsulfanyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
118		1-Ethyl-3-[3-(3-trifluoromethoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
119		3-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-benzoic acid ethyl ester
120		N-{3-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-phenyl}-methanesulfonamide
121		1-{3-[3-(3,5-Dimethoxy-benzyloxy)-phenyl]-pyrido[2,3-b]pyrazin-6-yl}-3-ethyl-urea
122		1-[3-(4-Chloro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

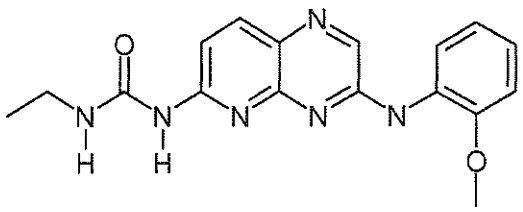
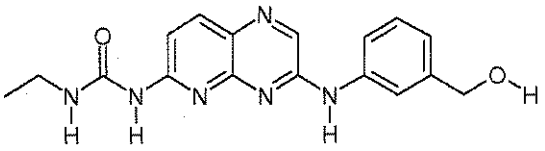
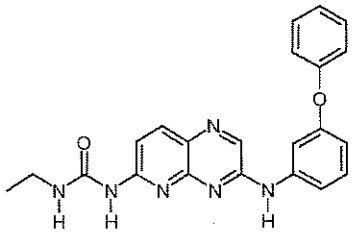
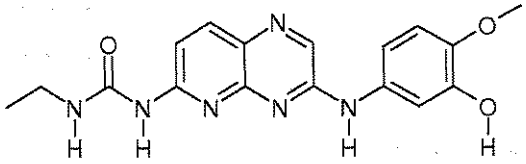
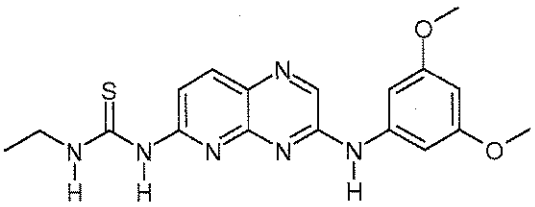
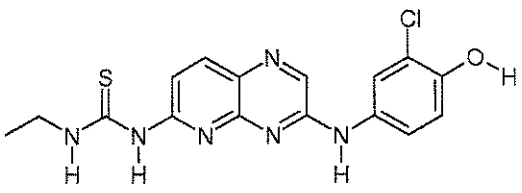
123		1-(3-Biphenyl-4-yl-pyrido[2,3-b]pyrazin-6-yl)-3-ethyl-urea
124		1-Ethyl-3-(3-p-tolyl-pyrido[2,3-b]pyrazin-6-yl)-thiourea
125		1-Ethyl-3-[3-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
126		1-Ethyl-3-(3-p-tolylamino-pyrido[2,3-b]pyrazin-6-yl)-thiourea
127		1-Ethyl-3-[3-(3-isopropoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
128		1-[3-(4-Diphenylamino-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

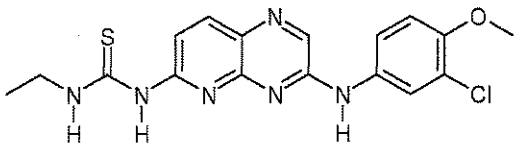
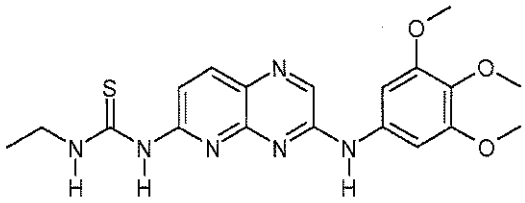
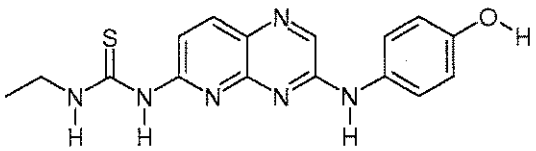
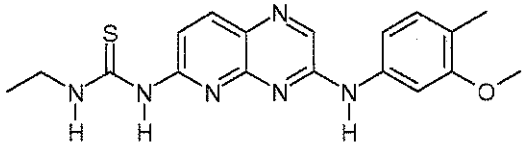
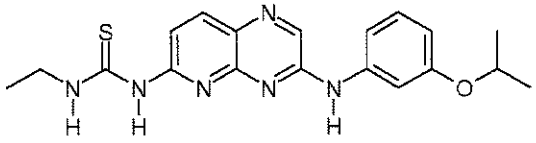
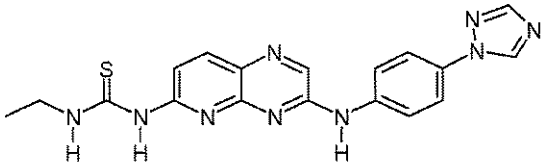
129		1-Ethyl-3-[3-(3-fluoro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
130		1-[3-(3-Ethoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
131		1-Ethyl-3-[3-(4-nitro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
132		4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-benzoic acid methyl ester
133		1-Ethyl-3-[3-(4-propoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
134		1-Ethyl-3-[3-(3,4,5-trimethoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

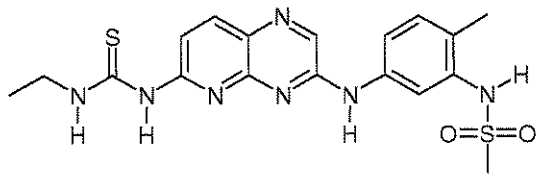
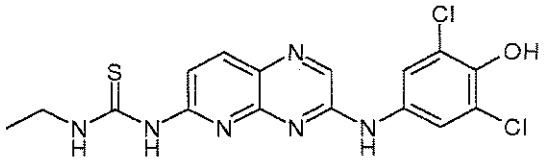
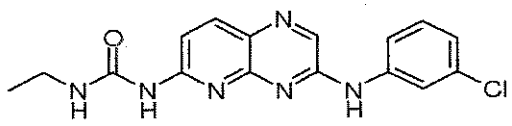
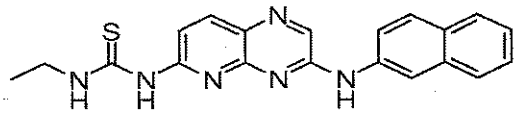
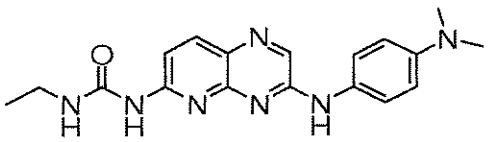
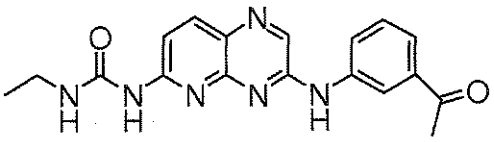
135		1-Ethyl-3-[3-(2-methylsulfanyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
136		1-[3-(3-Cyano-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
137		1-[3-(2-Chloro-6-methoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
138		1-[3-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
139		1-[3-(3-Chloro-4-hydroxy-5-methoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
140		1-[3-(4-Amino-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea

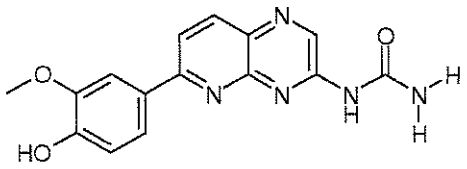
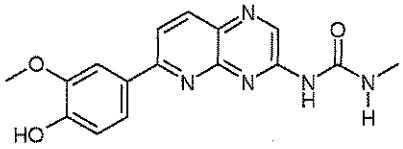
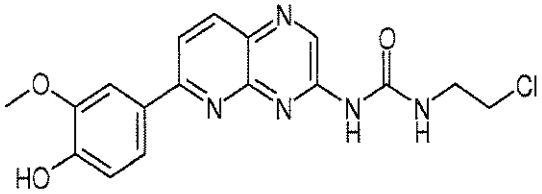
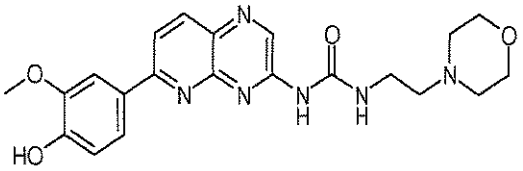
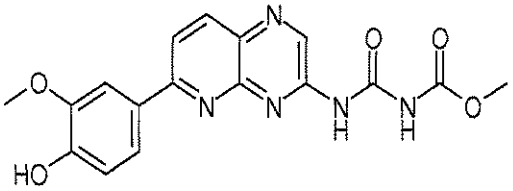
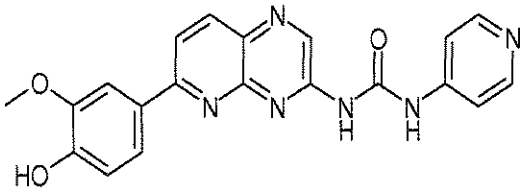
141		1-Ethyl-3-(3-thiophen-3-yl-pyrido[2,3-b]pyrazin-6-yl)-urea
142		1-[3-(3,4-Dimethyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
143		4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-yl]-2-fluoro-benzoic acid methyl ester
144		1-[3-(3-Dimethylamino-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
145		1-[3-(2,5-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
146		1-[3-(3-Ethoxy-2-fluoro-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

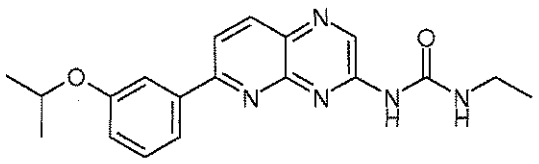
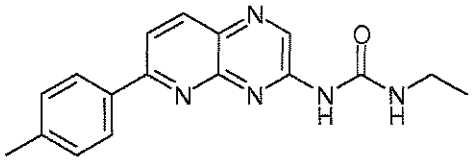
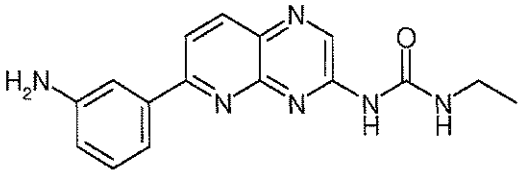
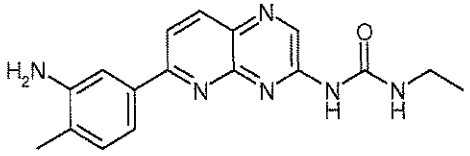
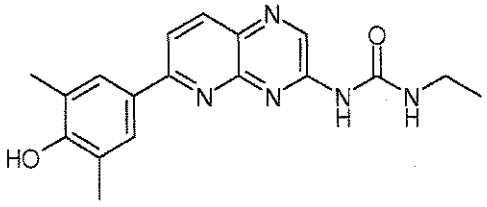
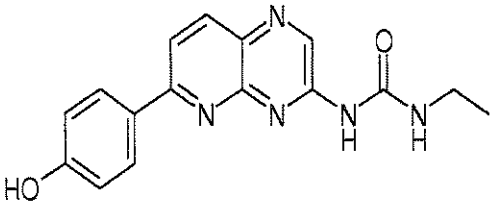
147		1-Ethyl-3-[3-(3-hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
148		1-Ethyl-3-(3-phenylamino-pyrido[2,3-b]pyrazin-6-yl)-thiourea
149		1-Ethyl-3-[3-(2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
150		1-Ethyl-3-[3-(4-hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
151		1-Ethyl-3-[3-(3,4,5-trimethyl-phenyl)-pyrido[2,3-b]pyrazin-6-yl]-urea
152		1-Ethyl-3-(3-thiophen-2-yl-pyrido[2,3-b]pyrazin-6-yl)-urea

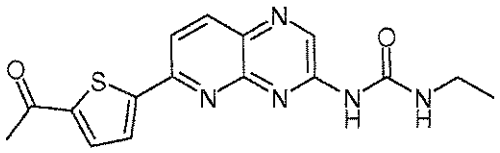
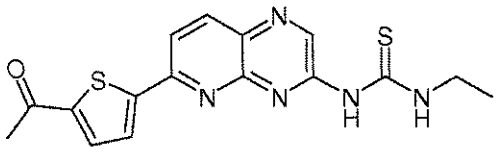
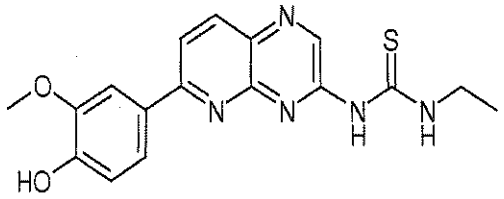
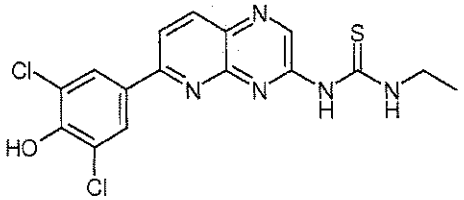
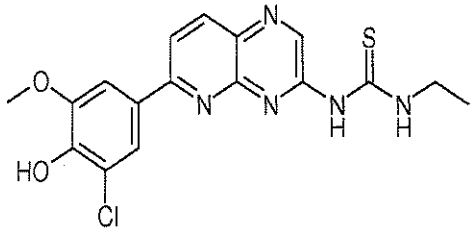
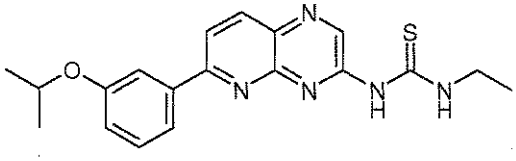
153		1-Ethyl-3-[3-(2-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
154		1-Ethyl-3-[3-(3-hydroxymethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
155		1-Ethyl-3-[3-(3-phenoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
156		1-Ethyl-3-[3-(3-hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
157		1-[3-(3,5-Dimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
158		1-[3-(3-Chloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea

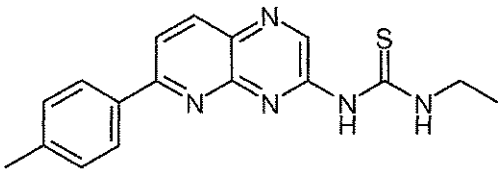
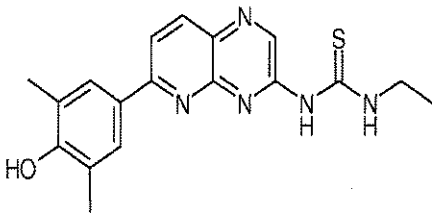
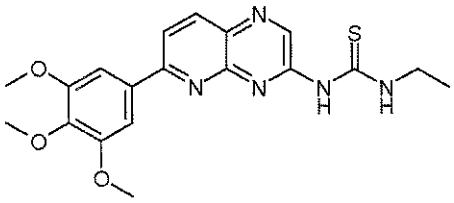
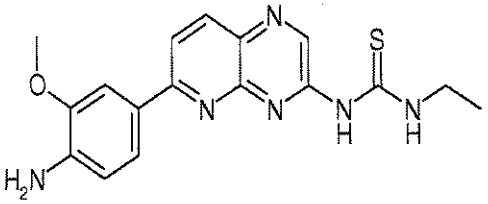
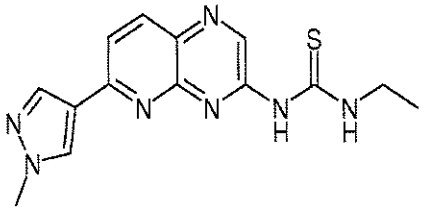
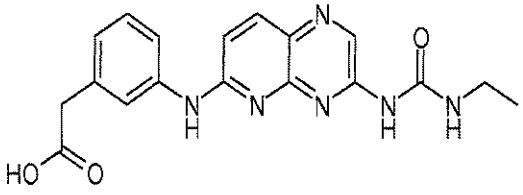
159		1-[3-(3-Chloro-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
160		1-Ethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
161		1-Ethyl-3-[3-(4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
162		1-Ethyl-3-[3-(3-methoxy-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
163		1-Ethyl-3-[3-(3-isopropoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
164		1-Ethyl-3-[3-(4-[1,2,4]triazol-1-yl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

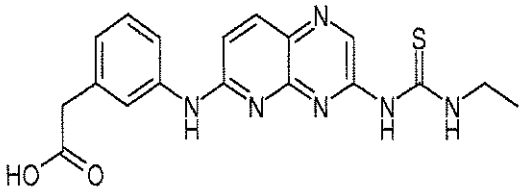
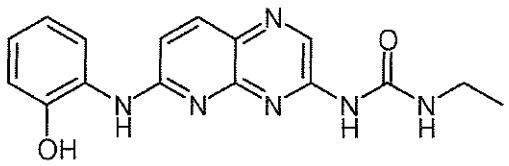
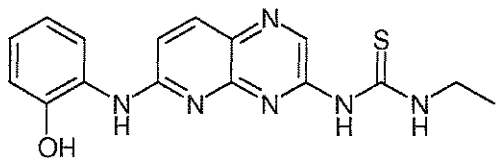
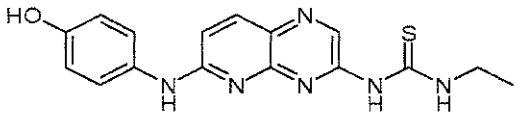
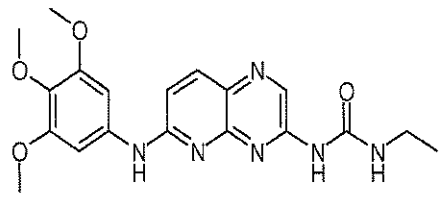
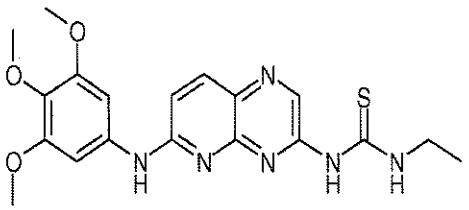
165		N-{5-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-2-methyl-phenyl}-methanesulfonamide
166		1-[3-(3,5-Dichloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
167		1-[3-(3-Chloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
168		1-Ethyl-3-[3-(naphthalen-2-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
169		1-[3-(4-Dimethylamino-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
170		1-[3-(3-Acetyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

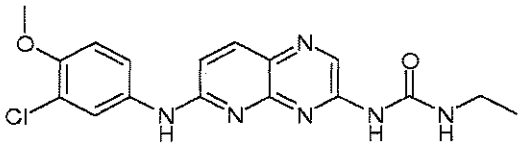
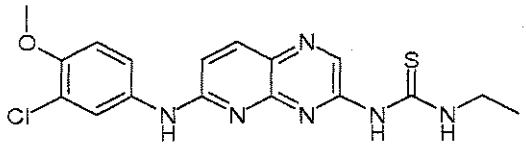
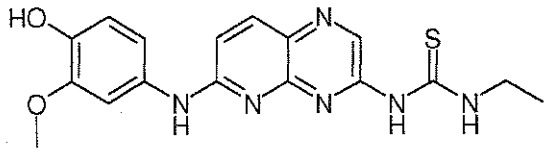
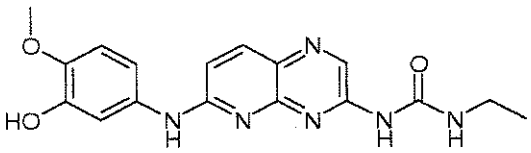
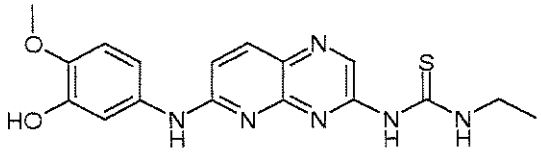
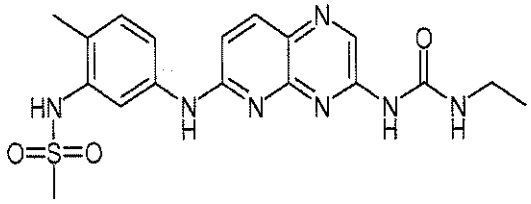
171		[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
172		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-methyl-urea
173		1-(2-Chloro-ethyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
174		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(2-morpholin-4-yl-ethyl)-urea
175		
176		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-pyridin-4-yl-urea

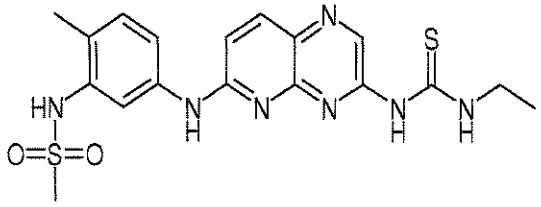
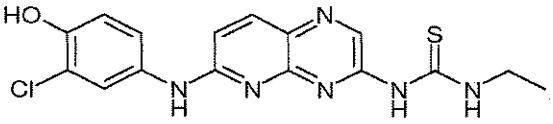
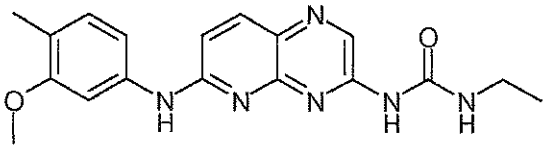
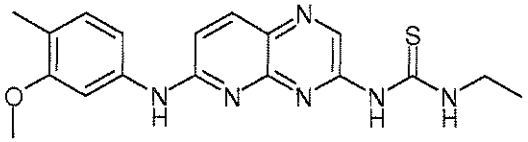
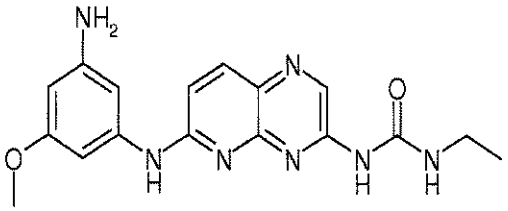
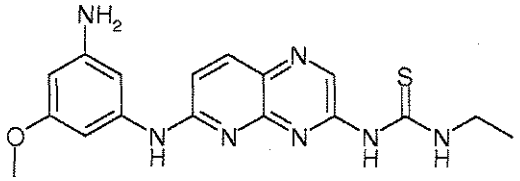
177		1-Ethyl-3-[6-(3-isopropoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
178		1-Ethyl-3-(6-p-tolyl-pyrido[2,3-b]pyrazin-3-yl)-urea
179		1-[6-(3-Amino-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
180		1-[6-(3-Amino-4-methyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
181		1-Ethyl-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
182		1-Ethyl-3-[6-(4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

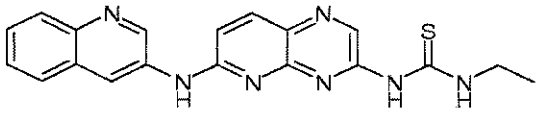
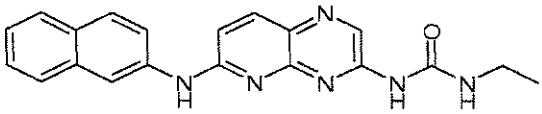
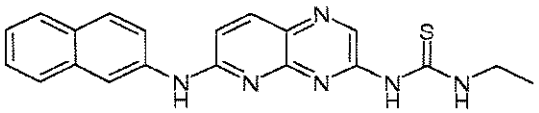
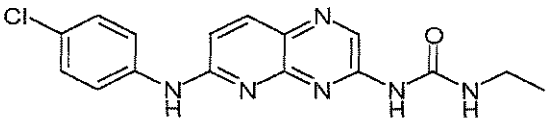
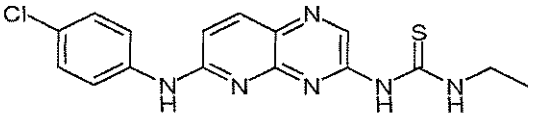
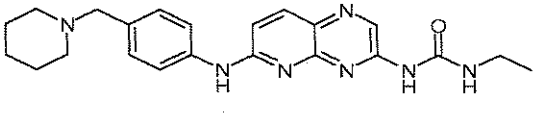
183		1-[6-(5-Acetyl-thiophen-2-yl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
184		1-[6-(5-Acetyl-thiophen-2-yl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
185		1-Ethyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
186		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
187		1-[6-(3-Chloro-4-hydroxy-5-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
188		1-Ethyl-3-[6-(3-isopropoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

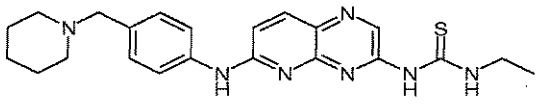
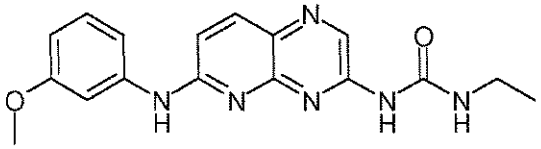
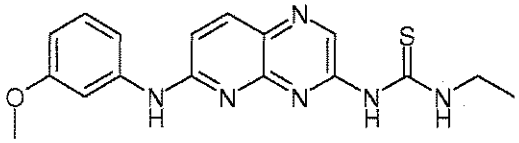
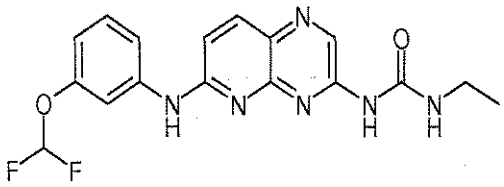
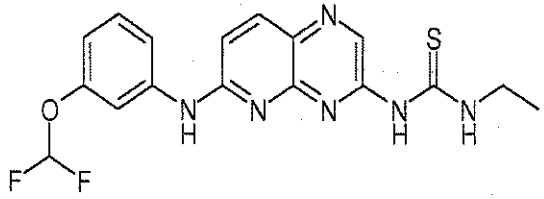
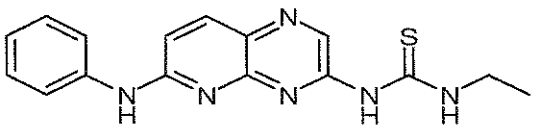
189		1-Ethyl-3-(6-p-tolyl-pyrido[2,3-b]pyrazin-3-yl)-thiourea
190		1-Ethyl-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
191		1-Ethyl-3-[6-(3,4,5-trimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
192		1-[6-(4-Amino-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
193		1-Ethyl-3-[6-(1-methyl-1H-pyrazol-4-yl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
194		{3-[3-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-6-ylamino]-phenyl}-acetic acid

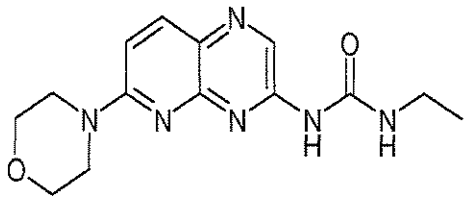
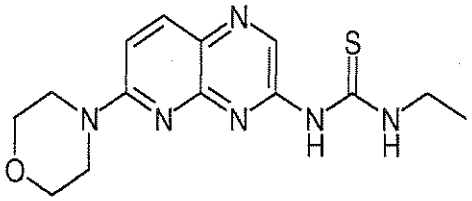
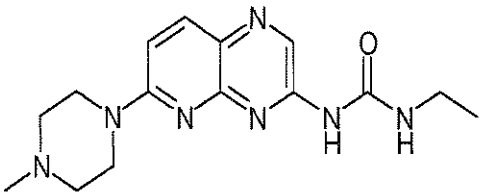
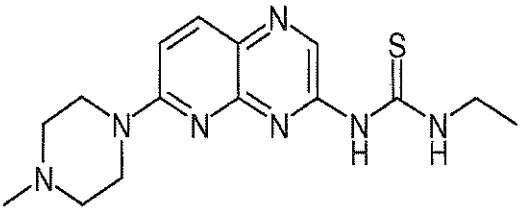
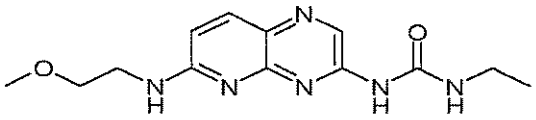
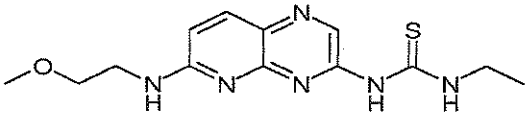
195		{3-[3-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-6-ylamino]-phenyl}-acetic acid
196		1-Ethyl-3-[6-(2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
197		1-Ethyl-3-[6-(2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
198		1-Ethyl-3-[6-(4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
199		1-Ethyl-3-[6-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
200		1-Ethyl-3-[6-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

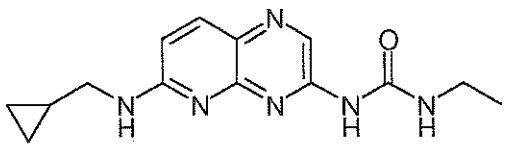
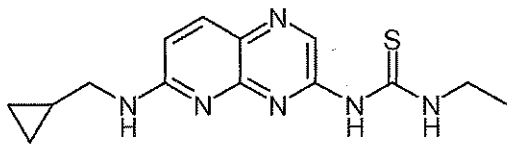
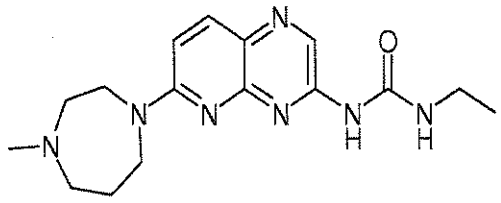
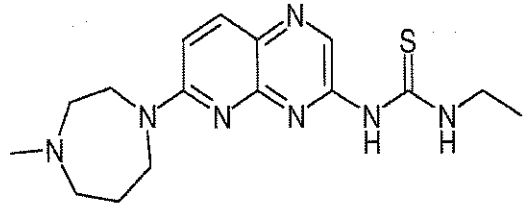
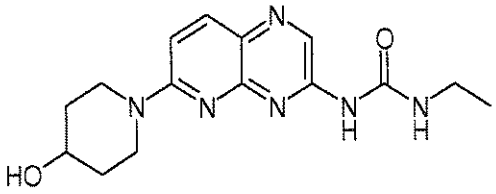
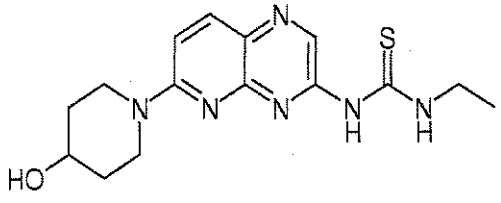
201		1-[6-(3-Chloro-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
202		1-[6-(3-Chloro-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
203		1-Ethyl-3-[6-(4-hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
204		1-Ethyl-3-[6-(3-hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
205		1-Ethyl-3-[6-(3-hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
206		N-{5-[3-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-6-ylamino]-2-methyl-phenyl}-methanesulfonamide

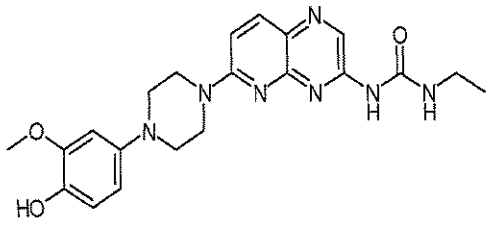
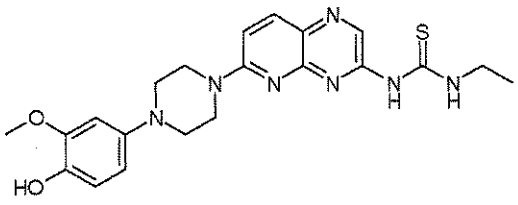
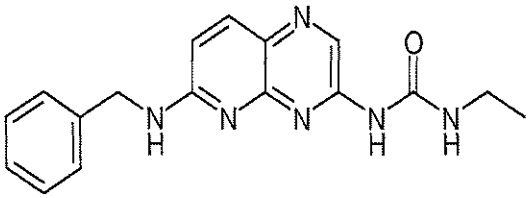
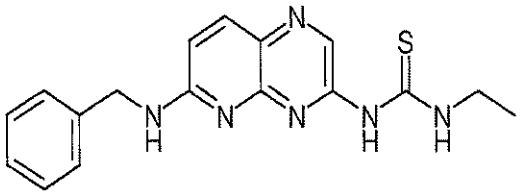
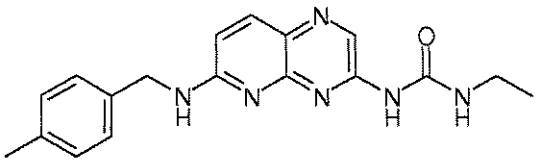
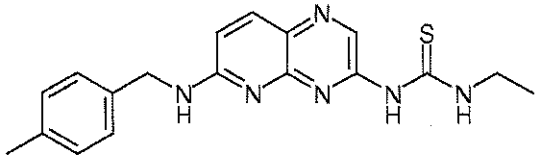
207		N-{5-[3-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-6-ylamino]-2-methyl-phenyl}-methanesulfonamide
208		1-[6-(3-Chloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
209		1-Ethyl-3-[6-(3-methoxy-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
210		1-Ethyl-3-[6-(3-methoxy-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
211		1-[6-(3-Amino-5-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
212		1-[6-(3-Amino-5-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea

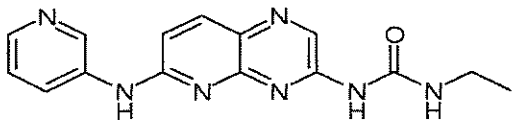
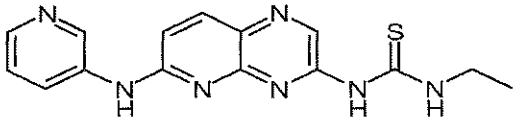
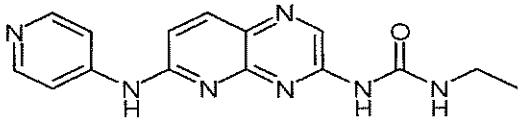
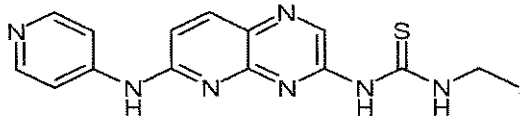
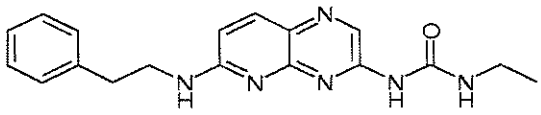
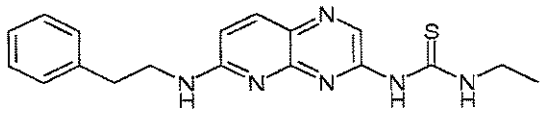
213		1-Ethyl-3-[6-(quinolin-3-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
214		1-Ethyl-3-[6-(naphthalen-2-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
215		1-Ethyl-3-[6-(naphthalen-2-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
216		1-[6-(4-Chloro-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
217		1-[6-(4-Chloro-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
218		1-Ethyl-3-[6-(4-piperidin-1-ylmethyl-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea

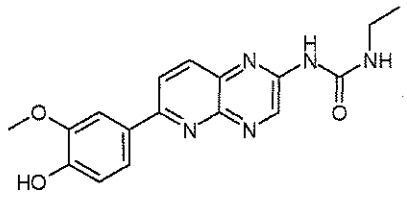
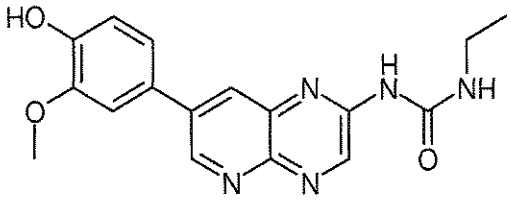
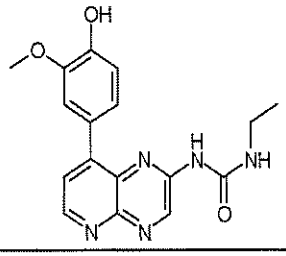
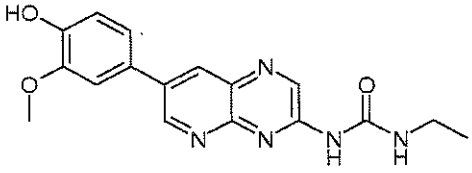
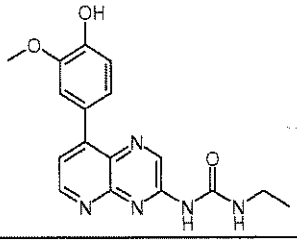
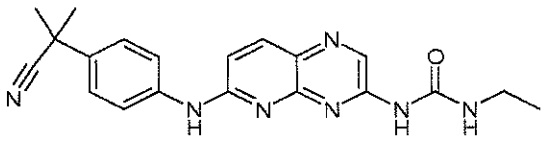
219		1-Ethyl-3-[6-(4-piperidin-1-ylmethyl-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
220		1-Ethyl-3-[6-(3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
221		1-Ethyl-3-[6-(3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
222		1-[6-(3-Difluoromethoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
223		1-[6-(3-Difluoromethoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
224		1-Ethyl-3-(6-phenylamino-pyrido[2,3-b]pyrazin-3-yl)-thiourea

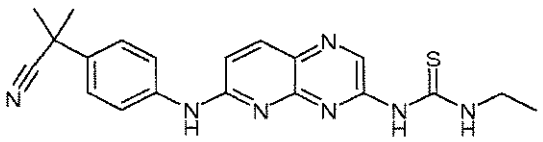
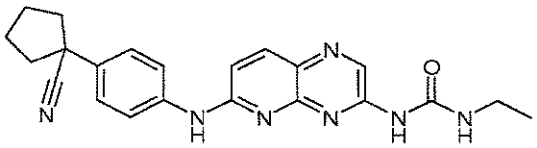
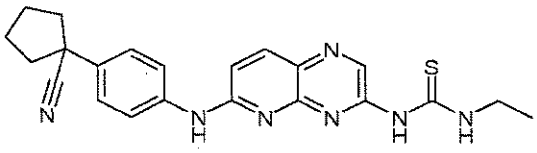
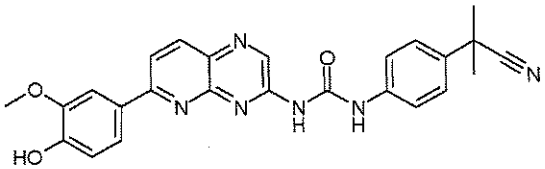
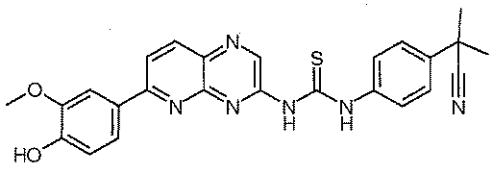
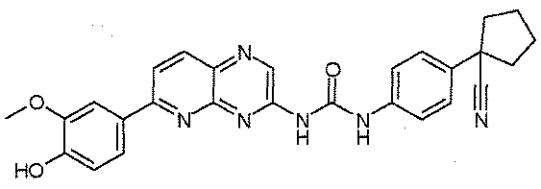
225		1-Ethyl-3-(6-morpholin-4-yl-pyrido[2,3-b]pyrazin-3-yl)-urea
226		1-Ethyl-3-(6-morpholin-4-yl-pyrido[2,3-b]pyrazin-3-yl)-thiourea
227		1-Ethyl-3-[6-(4-methyl-piperazin-1-yl)-pyrido[2,3-b]pyrazin-3-yl]-urea
228		1-Ethyl-3-[6-(4-methyl-piperazin-1-yl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
229		1-Ethyl-3-[6-(2-methoxy-ethylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
230		1-Ethyl-3-[6-(2-methoxy-ethylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

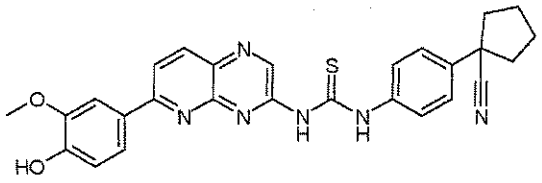
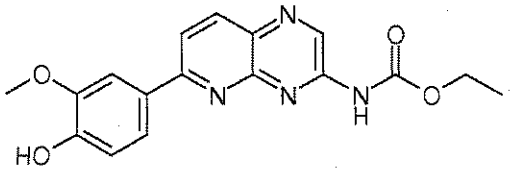
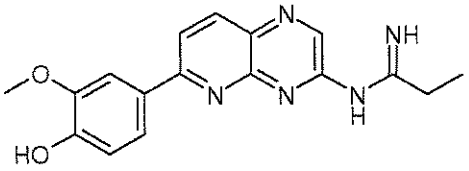
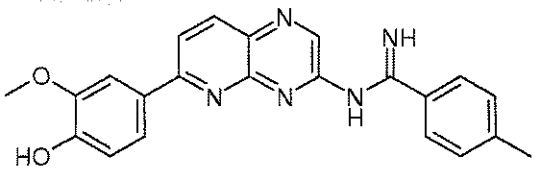
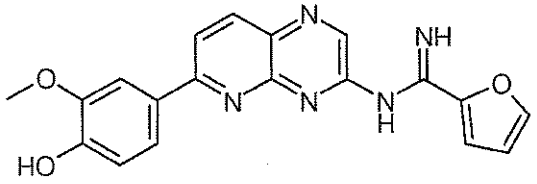
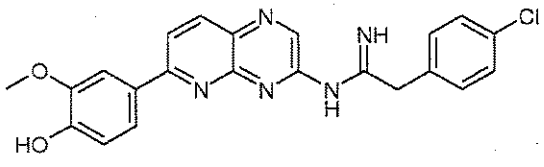
231		1-[6-(Cyclopropylmethyl-amino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
232		1-[6-(Cyclopropylmethyl-amino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
233		1-Ethyl-3-[6-(4-methyl-[1,4]diazepan-1-yl)-pyrido[2,3-b]pyrazin-3-yl]-urea
234		1-Ethyl-3-[6-(4-methyl-[1,4]diazepan-1-yl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
235		1-Ethyl-3-[6-(4-hydroxy-piperidin-1-yl)-pyrido[2,3-b]pyrazin-3-yl]-urea
236		1-Ethyl-3-[6-(4-hydroxy-piperidin-1-yl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

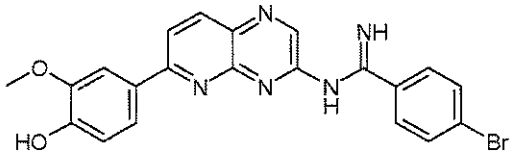
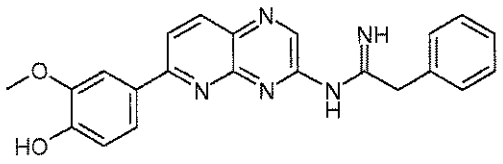
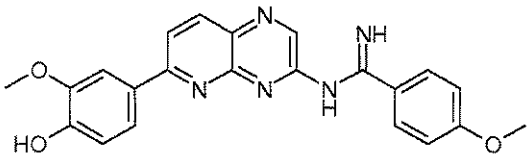
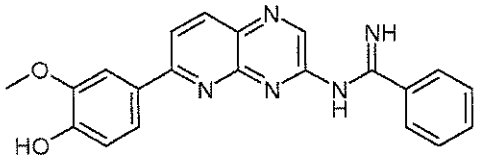
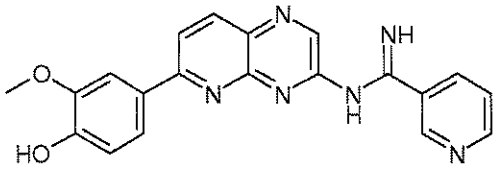
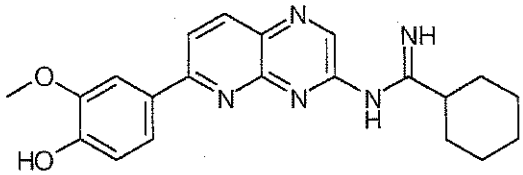
237		1-Ethyl-3-{6-[4-(4-hydroxy-3-methoxy-phenyl)-piperazin-1-yl]-pyrido[2,3-b]pyrazin-3-yl}-urea
238		1-Ethyl-3-{6-[4-(4-hydroxy-3-methoxy-phenyl)-piperazin-1-yl]-pyrido[2,3-b]pyrazin-3-yl}-thiourea
239		1-(6-Benzylamino-pyrido[2,3-b]pyrazin-3-yl)-3-ethyl-urea
240		1-(6-Benzylamino-pyrido[2,3-b]pyrazin-3-yl)-3-ethyl-thiourea
241		1-Ethyl-3-[6-(4-methyl-benzylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
242		1-Ethyl-3-[6-(4-methyl-benzylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

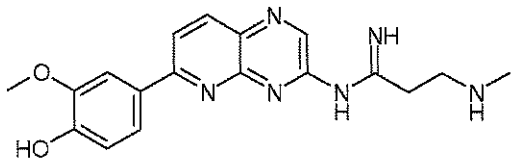
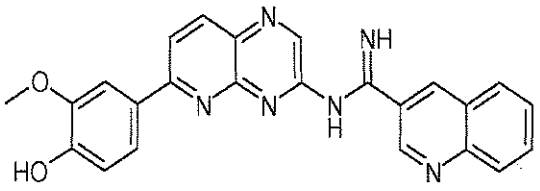
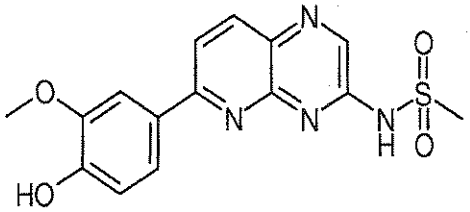
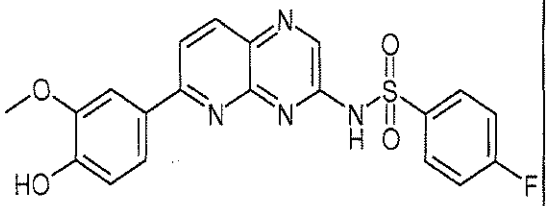
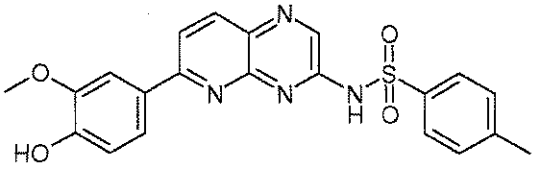
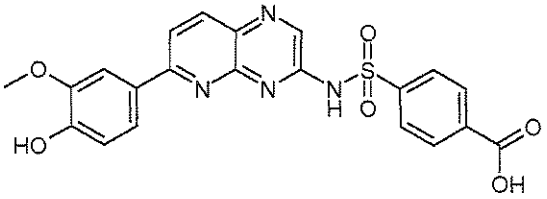
243		1-Ethyl-3-[6-(pyridin-3-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
244		1-Ethyl-3-[6-(pyridin-3-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
245		1-Ethyl-3-[6-(pyridin-4-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea
246		1-Ethyl-3-[6-(pyridin-4-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
247		1-Ethyl-3-(6-phenethylamino-pyrido[2,3-b]pyrazin-3-yl)-urea
248		1-Ethyl-3-(6-phenethylamino-pyrido[2,3-b]pyrazin-3-yl)-thiourea

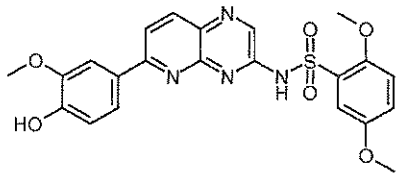
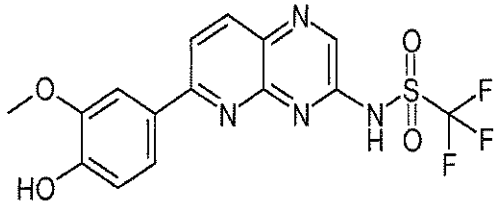
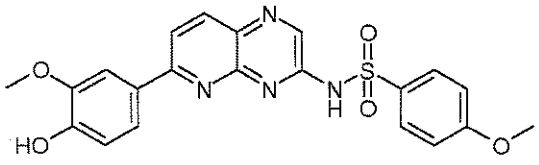
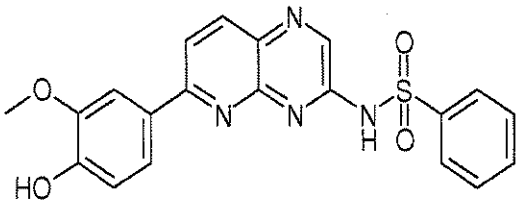
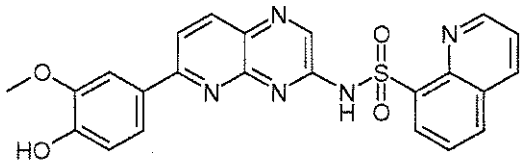
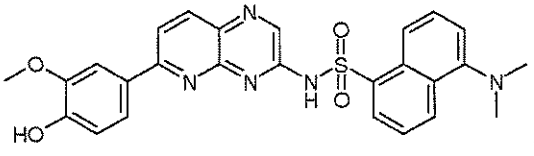
249		1-Ethyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-urea
250		1-Ethyl-3-[7-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-urea
251		1-Ethyl-3-[8-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-urea
252		1-Ethyl-3-[7-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
253		1-Ethyl-3-[8-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
254		1-{6-[4-(Cyano-dimethyl-methyl)-phenylamino]-pyrido[2,3-b]pyrazin-3-yl}-3-ethyl-urea

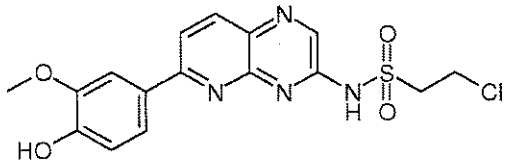
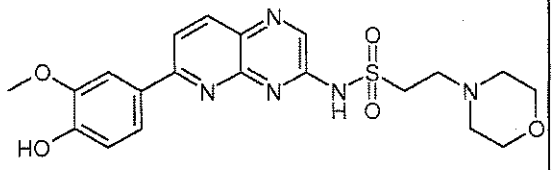
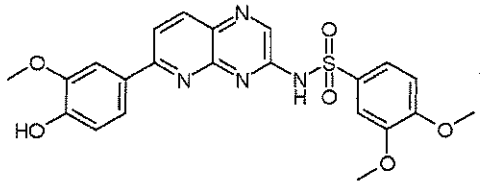
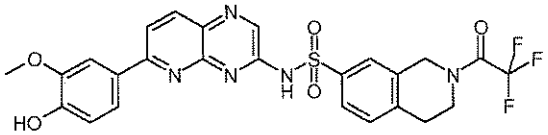
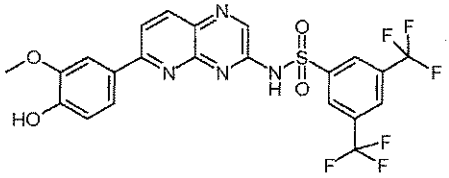
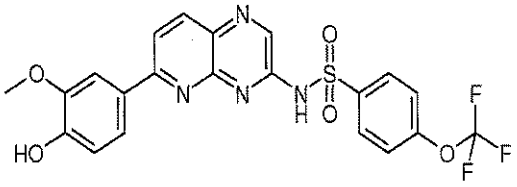
255		1-{6-[4-(Cyano-dimethyl-methyl)-phenylamino]-pyrido[2,3-b]pyrazin-3-yl}-3-ethyl-thiourea
256		1-{6-[4-(1-Cyano-cyclopentyl)-phenylamino]-pyrido[2,3-b]pyrazin-3-yl}-3-ethyl-urea
257		1-{6-[4-(1-Cyano-cyclopentyl)-phenylamino]-pyrido[2,3-b]pyrazin-3-yl}-3-ethyl-thiourea
258		1-[4-(Cyano-dimethyl-methyl)-phenyl]-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
259		1-[4-(Cyano-dimethyl-methyl)-phenyl]-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
260		1-[4-(1-Cyano-cyclopentyl)-phenyl]-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

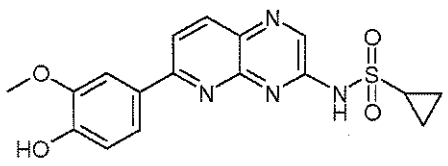
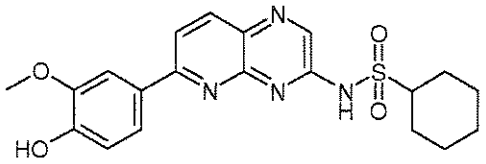
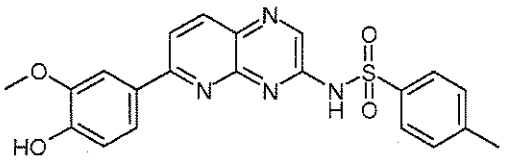
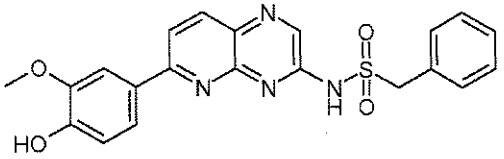
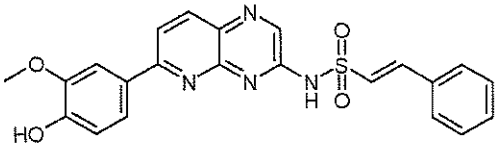
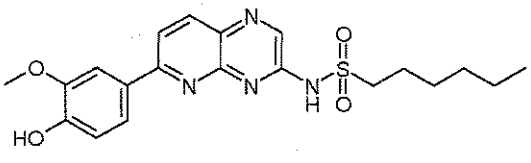
261		1-[4-(1-Cyano-cyclopentyl)-phenyl]-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
262		[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-carbamic acid ethyl ester
263		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-propionamidine
264		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-methyl-benzamidine
265		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-furan-2-carboxamidine
266		2-(4-Chloro-phenyl)-N-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-acetamidine

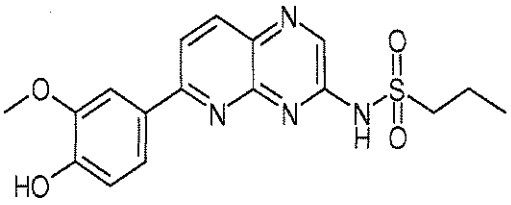
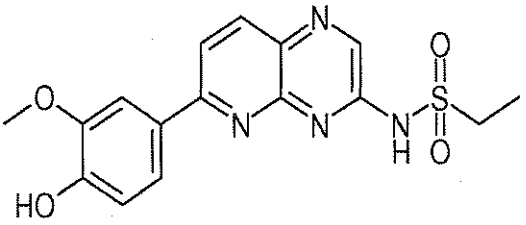
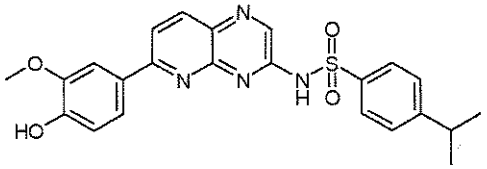
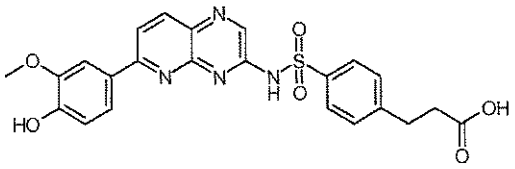
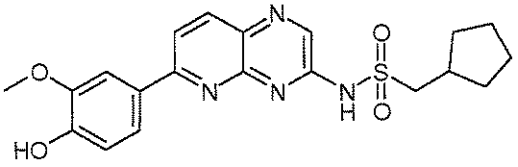
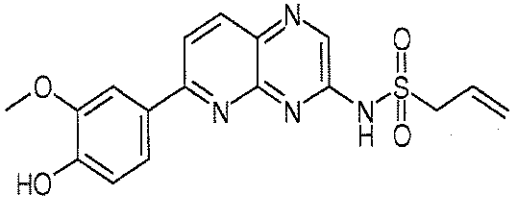
267		4-Bromo-N-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-benzamidine
268		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-2-phenyl-acetamidine
269		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-methoxy-benzamidine
270		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-benzamidine
271		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-nicotinamidine
272		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-cyclohexanecarbox-amidine

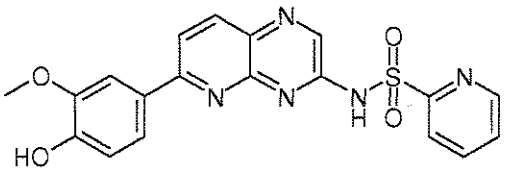
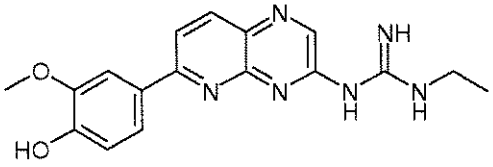
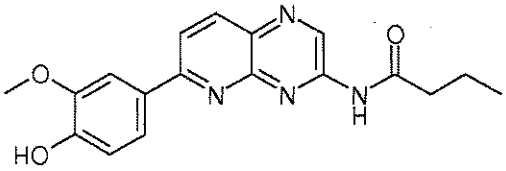
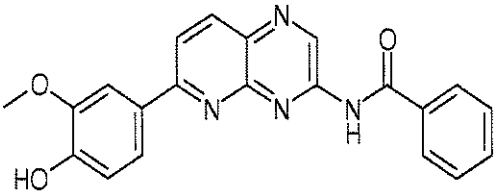
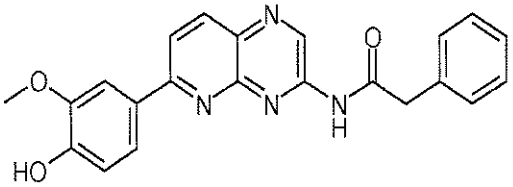
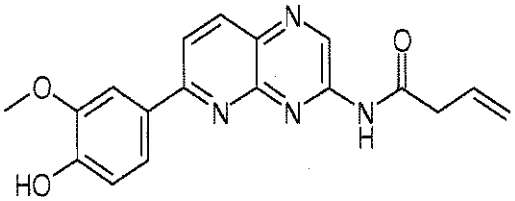
273		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-methylamino-propionamidine
274		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-quinoline-3-carboxamidine
275		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-methanesulfonamide
276		4-Fluoro-N-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-benzenesulfonamide
277		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-methyl-benzenesulfonamide
278		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-carboxy-benzenesulfonamide

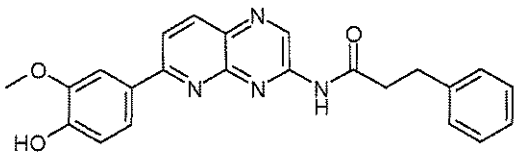
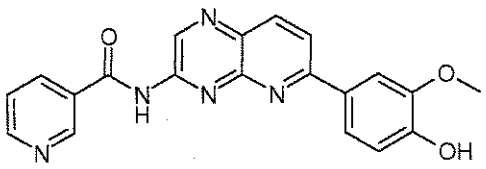
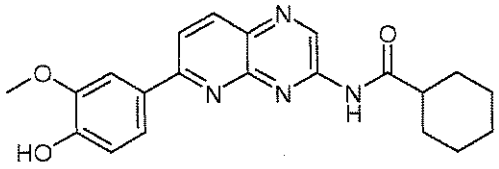
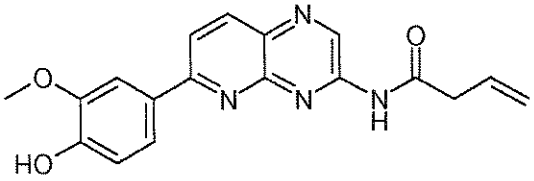
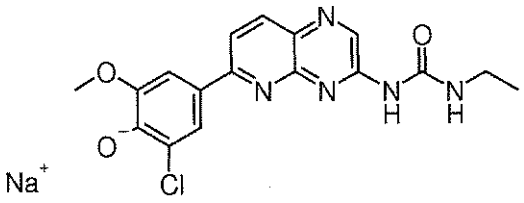
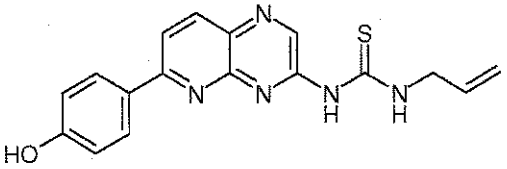
279		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-2,5-dimethoxy-benzenesulfonamide
280		C,C,C-Trifluoro-N-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-methanesulfonamide
281		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-methoxy-benzenesulfonamide
282		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-benzenesulfonamide
283		Quinoline-8-sulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
284		5-Dimethylamino-naphthalene-1-sulfonicacid[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide

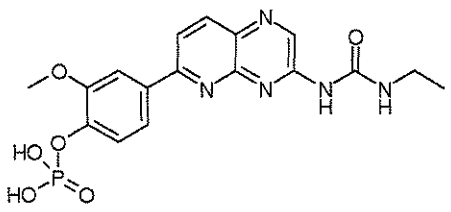
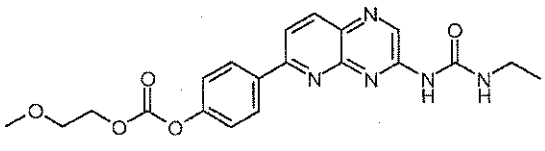
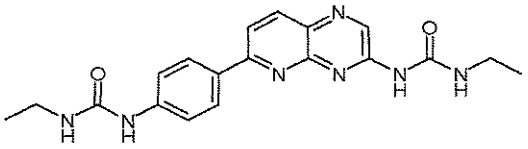
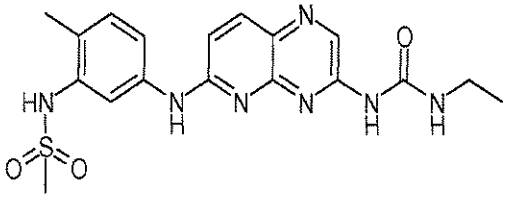
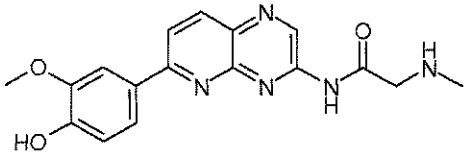
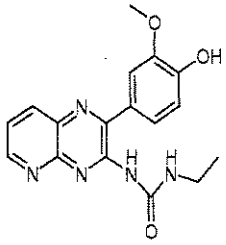
285		2-Chloro-ethanesulfonic acid[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
286		2-Morpholin-4-yl-ethanesulfonicacid[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
287		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3,4-dimethoxy-benzenesulfonamide
288		2-(2,2,2-Trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
289		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3,5-bis-trifluoromethyl-benzenesulfonamide
290		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-trifluoromethoxy-benzenesulfonamide

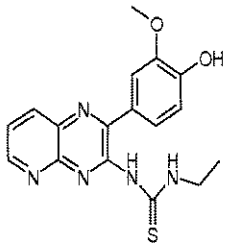
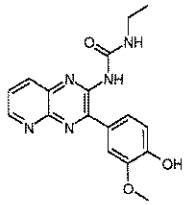
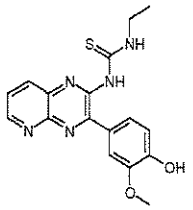
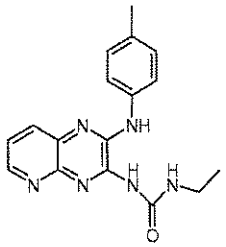
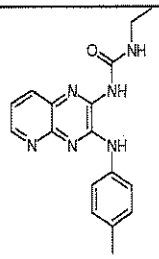
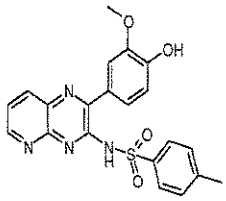
291		Cyclopropanesulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
292		Cyclohexanesulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
293		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-methyl-benzenesulfonamide
294		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-C-phenyl-methanesulfonamide
295		(E)-2-Phenyl-ethenesulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
296		Hexane-1-sulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide

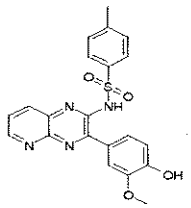
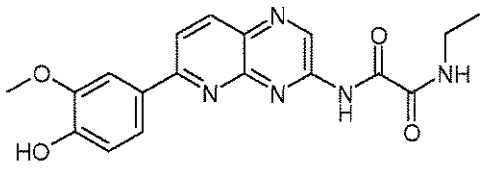
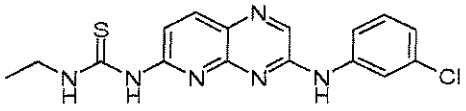
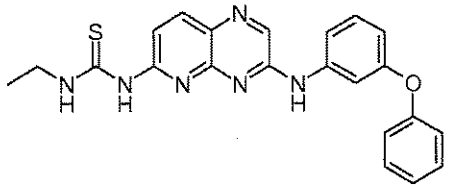
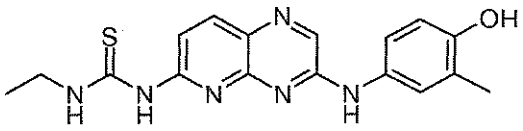
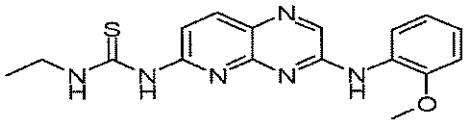
297		Propane-1-sulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
298		Ethanesulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
299		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-isopropyl-benzenesulfonamide
300		3-{4-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-ylsulfamoyl]-phenyl}-propionic acid
301		C-Cyclopentyl-N-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-methanesulfonamide
302		Prop-2-ene-1-sulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide

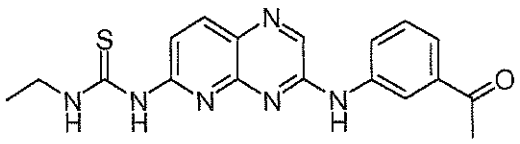
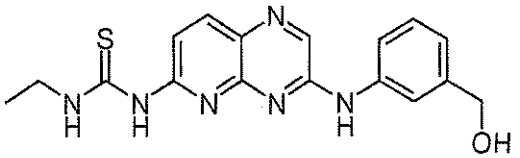
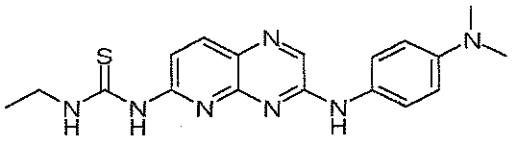
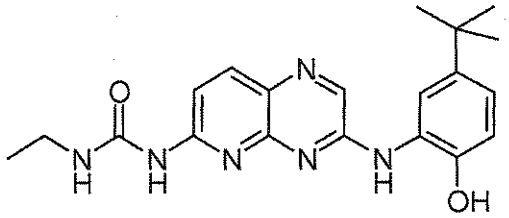
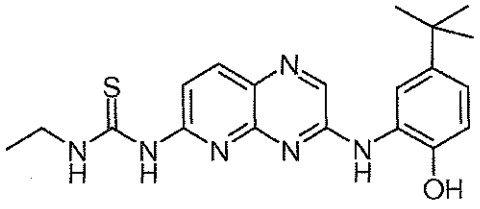
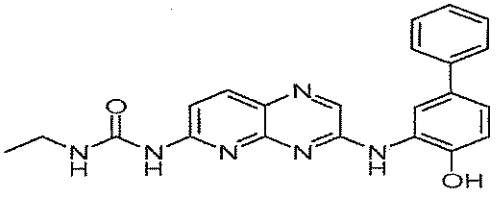
303		Pyridine-2-sulfonic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
304		N-Ethyl-N'-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-guanidine
305		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-butyramide
306		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-benzamide
307		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-2-phenyl-acetamide
308		But-3-enoic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide

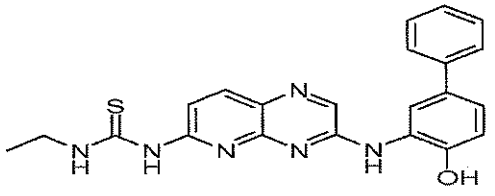
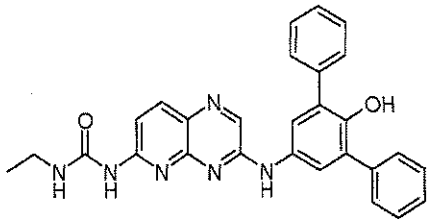
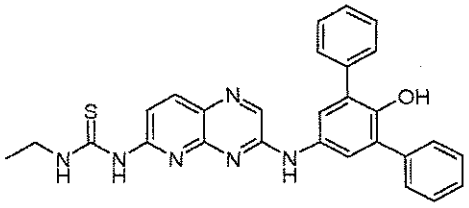
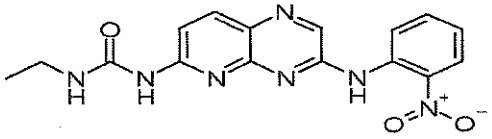
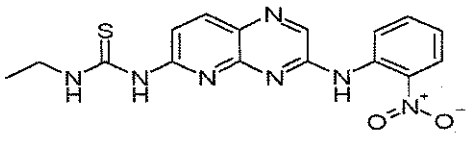
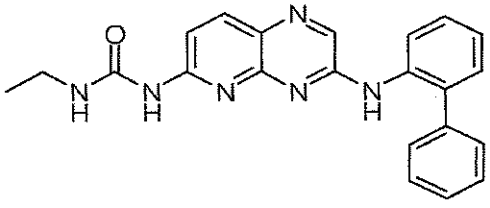
309		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenyl-propionamide
310		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-nicotinamide
311		Cyclohexanecarboxylic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
312		But-3-enoic acid [6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
313		Sodium; 2-chloro-4-[3-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-6-yl]-6-methoxy-phenolate
314		1-Allyl-3-[6-(4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

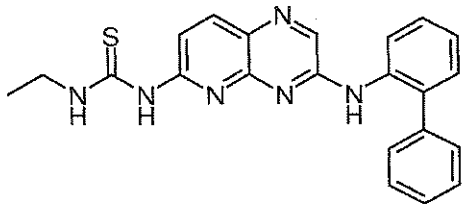
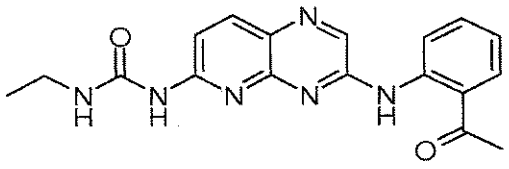
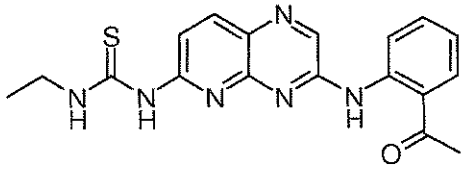
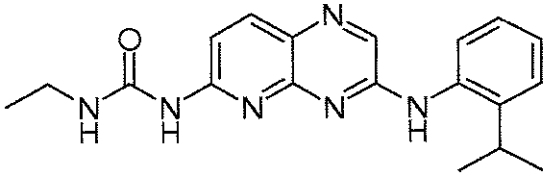
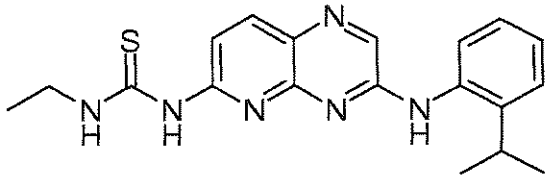
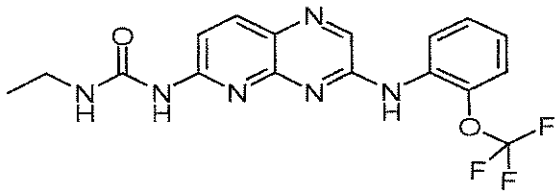
315		Phosphoric acid mono-{4-[3-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-6-yl]-2-methoxy-phenyl} ester
316		Carbonic acid 4-[3-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-6-yl]-phenyl ester 2-methoxy-ethyl ester
317		1-Ethyl-3-{6-[4-(3-ethyl-ureido)-phenyl]-pyrido[2,3-b]pyrazin-3-yl}-urea
318		N-{5-[3-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-6-ylamino]-2-methyl-phenyl}-methanesulfonamid
319		N-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-2-methylamino-acetamide
320		1-Ethyl-3-[2-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

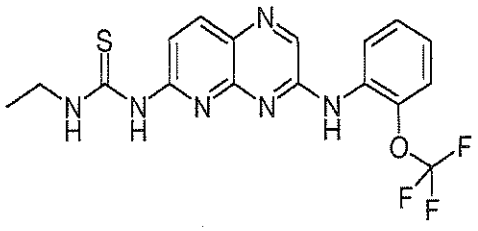
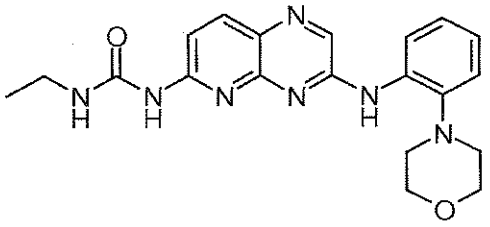
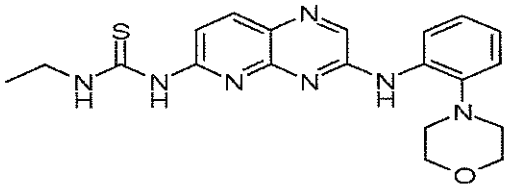
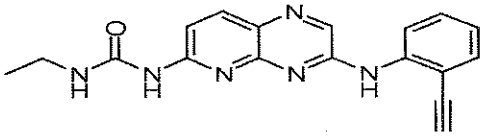
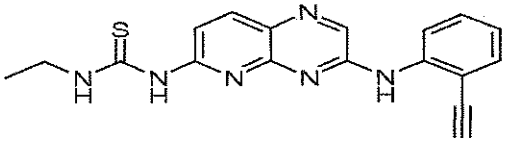
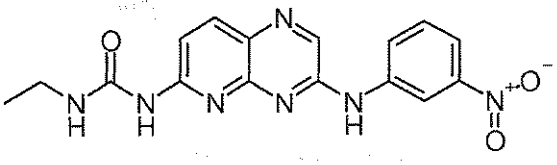
321		1-Ethyl-3-[2-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
322		1-Ethyl-3-[3-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-urea
323		1-Ethyl-3-[3-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-thiourea
324		1-Ethyl-3-(2-p-tolylamino-pyrido[2,3-b]pyrazin-3-yl)-urea
325		1-Ethyl-3-(3-p-tolylamino-pyrido[2,3-b]pyrazin-2-yl)-urea
326		N-[2-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-methyl-benzenesulfonamide

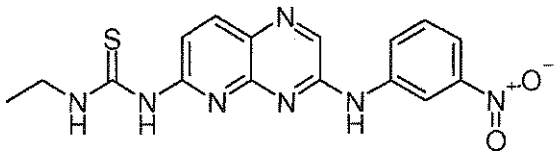
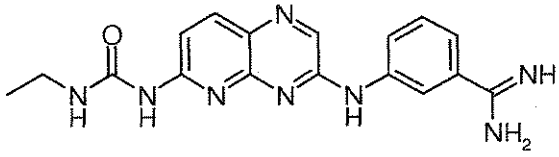
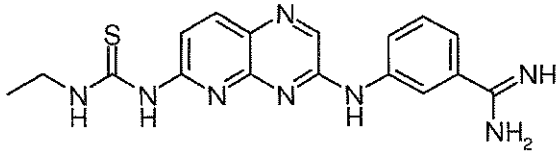
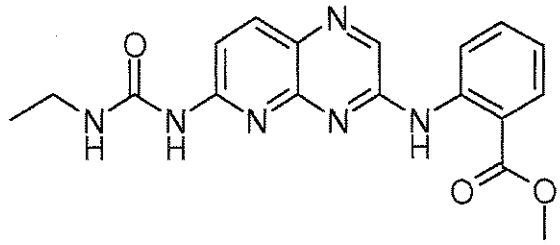
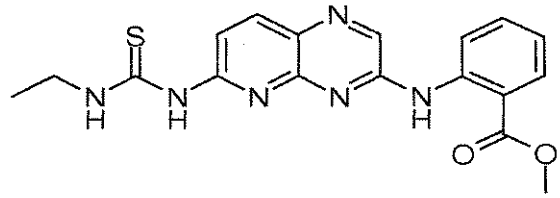
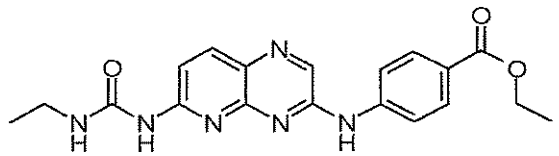
327		N-[3-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-4-methyl-benzenesulfonamide
328		N-Ethyl-N'-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-oxalamide
329		1-[3-(3-Chloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
330		1-Ethyl-3-[3-(3-phenoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
331		1-Ethyl-3-[3-(4-hydroxy-3-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
332		1-Ethyl-3-[3-(2-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

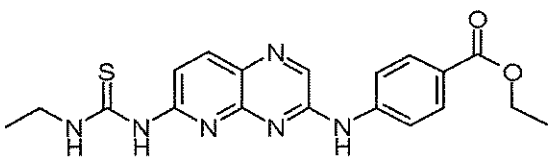
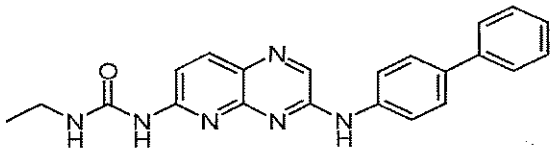
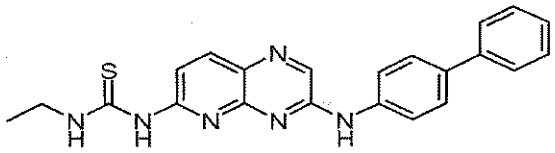
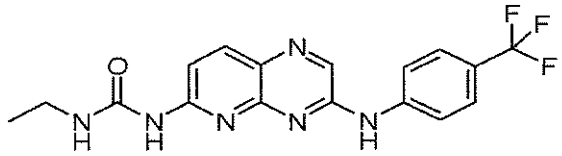
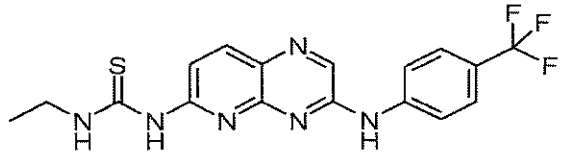
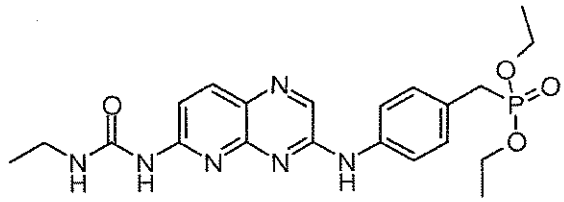
333		1-[3-(3-Acetyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
334		1-Ethyl-3-[3-(3-hydroxymethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
335		1-[3-(4-Dimethylamino-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
336		1-[3-(5-tert-Butyl-2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
337		1-[3-(5-tert-Butyl-2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
338		1-Ethyl-3-[3-(4-hydroxy-biphenyl-3-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

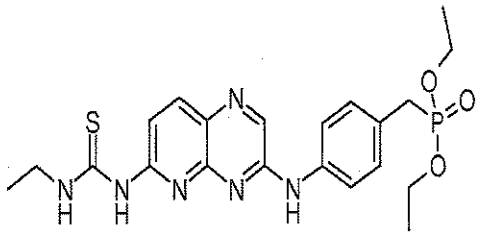
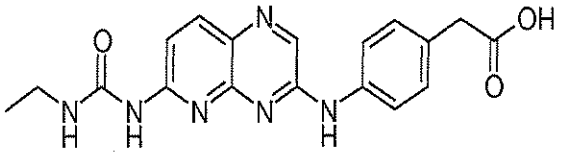
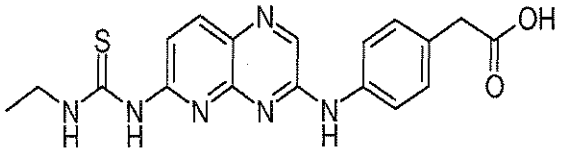
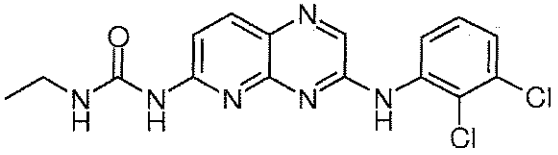
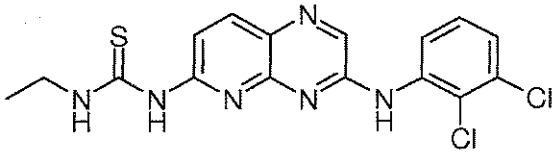
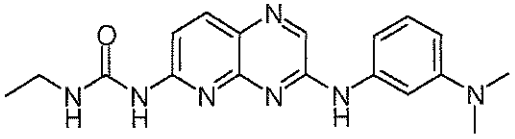
339		1-Ethyl-3-[3-(4-hydroxy-biphenyl-3-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
340		1-Ethyl-3-[3-(2'-hydroxy-[1,1';3',1'']terphenyl-5'-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
341		1-Ethyl-3-[3-(2'-hydroxy-[1,1';3',1'']terphenyl-5'-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
342		1-Ethyl-3-[3-(2-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
343		1-Ethyl-3-[3-(2-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
344		1-[3-(Biphenyl-2-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

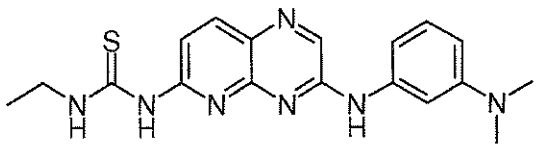
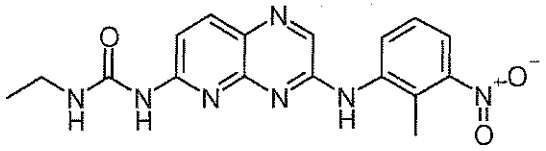
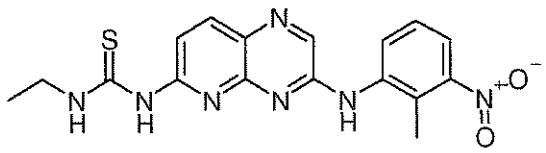
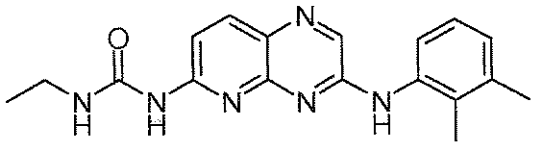
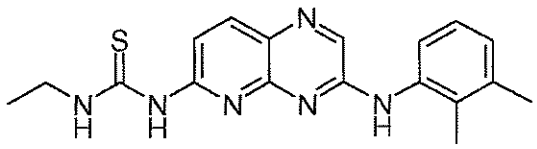
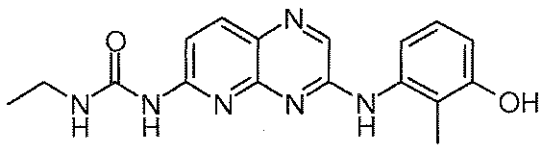
345		1-[3-(Biphenyl-2-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
346		1-[3-(2-Acetyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
347		1-[3-(2-Acetyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
348		1-Ethyl-3-[3-(2-isopropyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
349		1-Ethyl-3-[3-(2-isopropyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
350		1-Ethyl-3-[3-(2-trifluoromethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

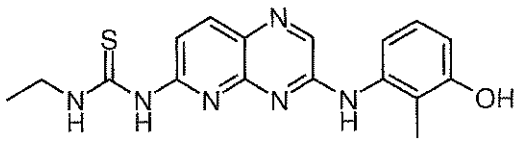
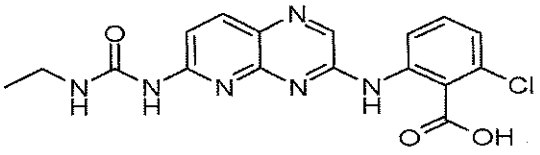
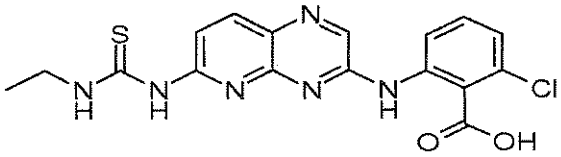
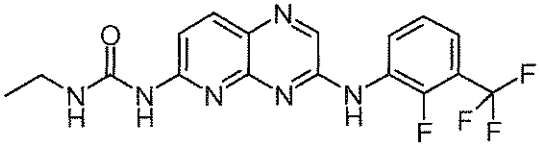
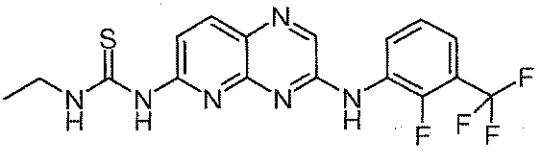
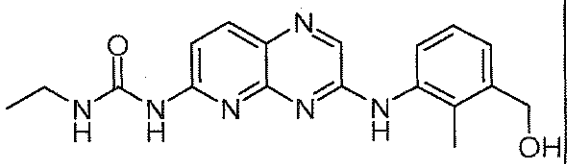
351		1-Ethyl-3-[3-(2-trifluoromethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
352		1-Ethyl-3-[3-(2-morpholin-4-yl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
353		1-Ethyl-3-[3-(2-morpholin-4-yl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
354		1-Ethyl-3-[3-(2-ethynyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
355		1-Ethyl-3-[3-(2-ethynyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
356		1-Ethyl-3-[3-(3-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

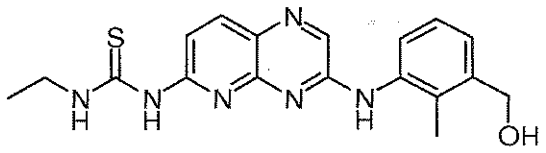
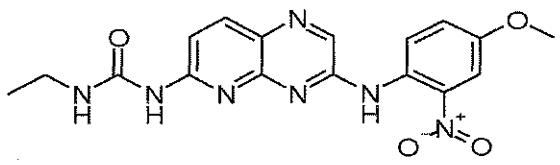
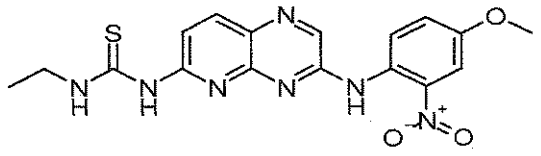
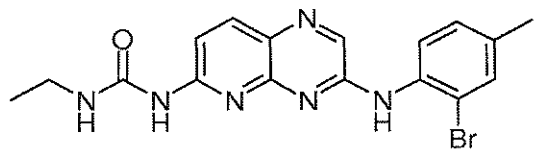
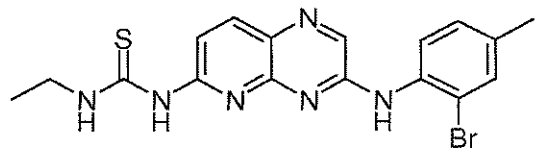
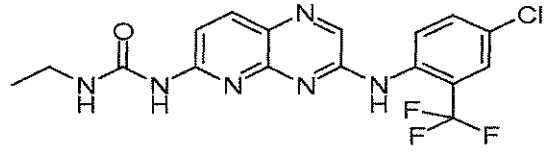
357		1-Ethyl-3-[3-(3-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
358		3-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzamidine
359		3-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzamidine
360		2-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid methyl ester
361		2-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid methyl ester
362		4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid ethyl ester

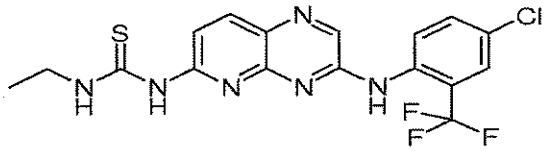
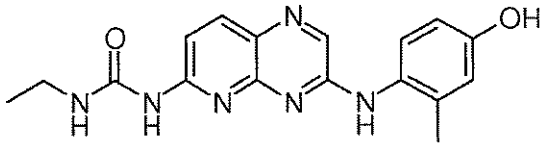
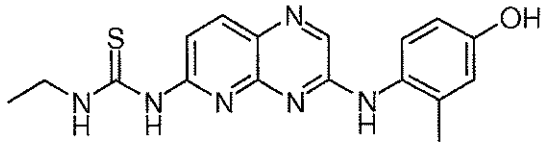
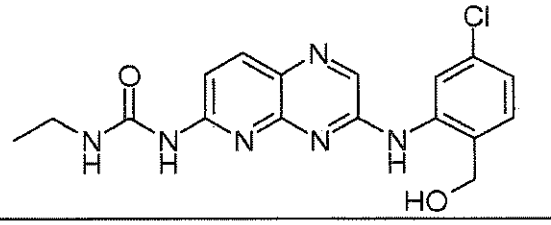
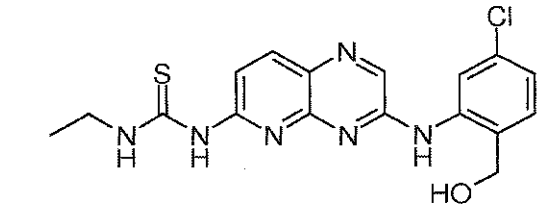
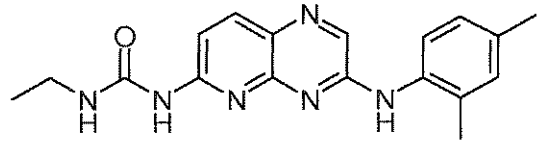
363		4-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid ethyl ester
364		1-[3-(Biphenyl-4-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
365		1-[3-(Biphenyl-4-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
366		1-Ethyl-3-[3-(4-trifluoromethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
367		1-Ethyl-3-[3-(4-trifluoromethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
368		{4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzyl}-phosphonic acid diethyl ester

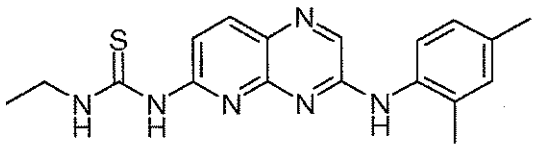
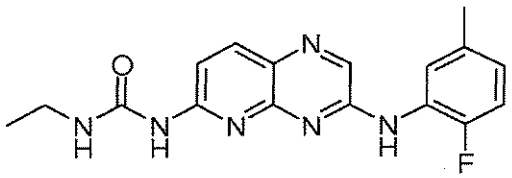
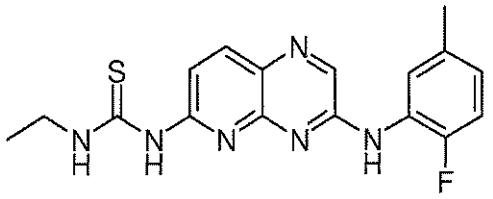
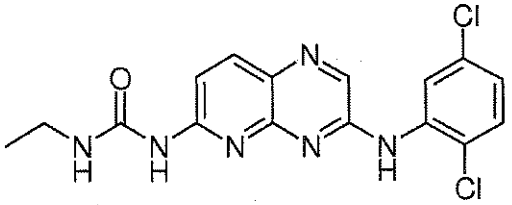
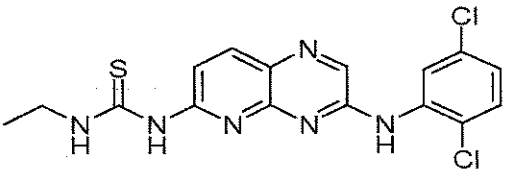
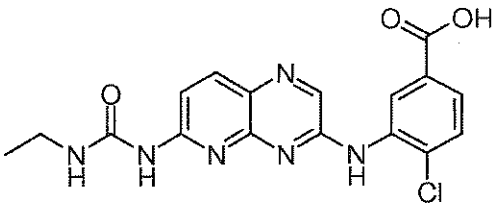
369		{4-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzyl}-phosphonic acid di-ethyl ester
370		{4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-phenyl}-acetic acid
371		{4-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-phenyl}-acetic acid
372		1-[3-(2,3-Dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
373		1-[3-(2,3-Dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
374		1-[3-(3-Dimethylamino-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

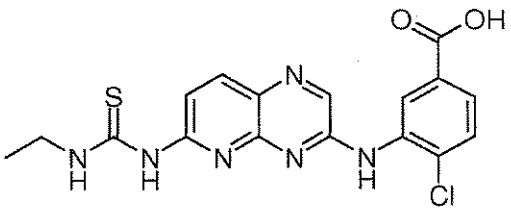
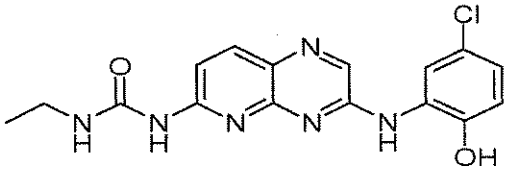
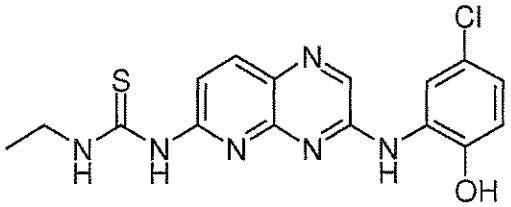
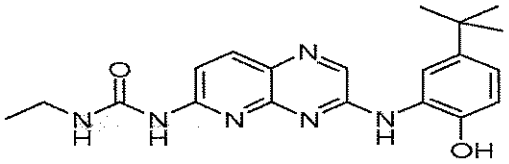
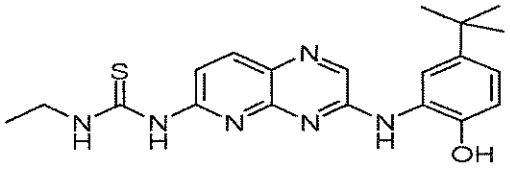
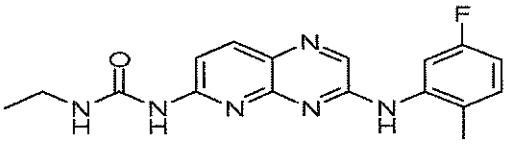
375		1-[3-(3-Dimethylamino-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
376		1-Ethyl-3-[3-(2-methyl-3-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
377		1-Ethyl-3-[3-(2-methyl-3-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
378		1-[3-(2,3-Dimethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
379		1-[3-(2,3-Dimethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
380		1-Ethyl-3-[3-(3-hydroxy-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

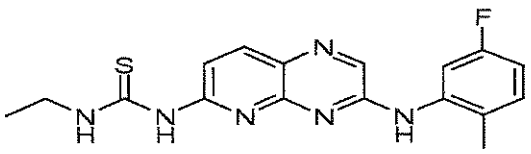
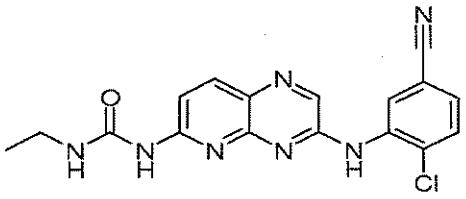
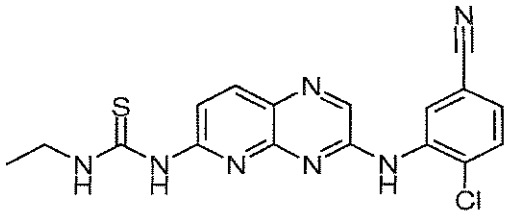
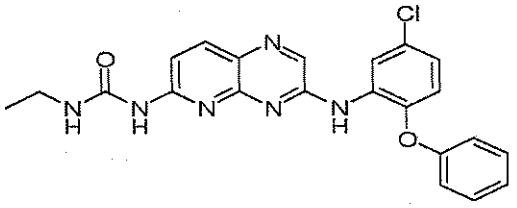
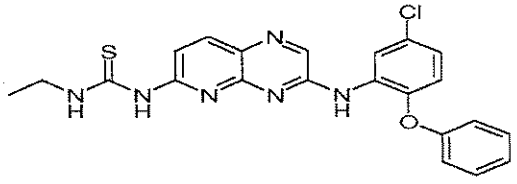
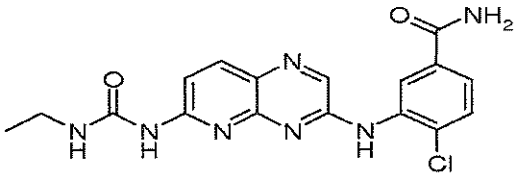
381		1-Ethyl-3-[3-(3-hydroxy-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
382		2-Chloro-6-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid
383		2-Chloro-6-[6-(3-ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid
384		1-Ethyl-3-[3-(2-fluoro-3-trifluoromethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
385		1-Ethyl-3-[3-(2-fluoro-3-trifluoromethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
386		1-Ethyl-3-[3-(3-hydroxymethyl-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

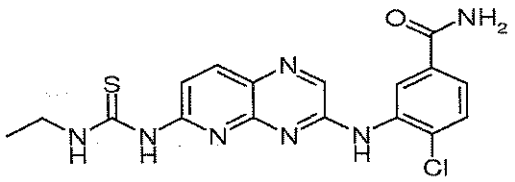
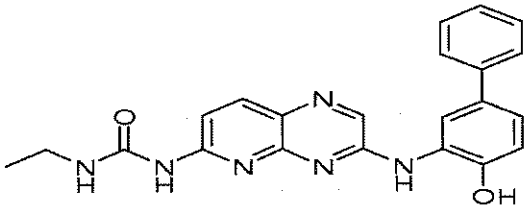
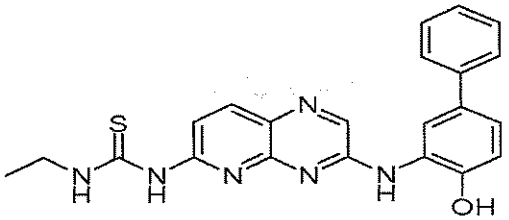
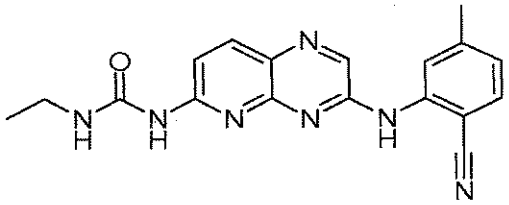
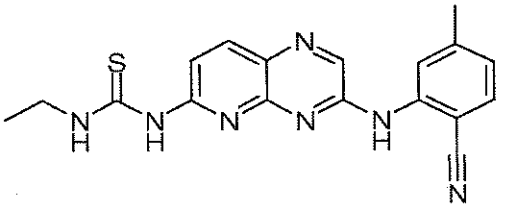
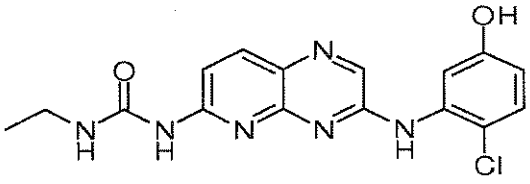
387		1-Ethyl-3-[3-(3-hydroxymethyl-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
388		1-Ethyl-3-[3-(4-methoxy-2-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
389		1-Ethyl-3-[3-(4-methoxy-2-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
390		1-[3-(2-Bromo-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
391		1-[3-(2-Bromo-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
392		1-[3-(4-Chloro-2-trifluoromethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

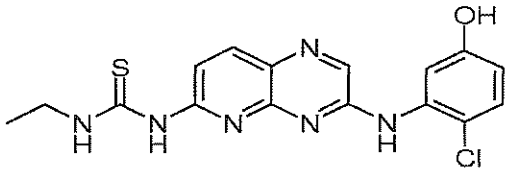
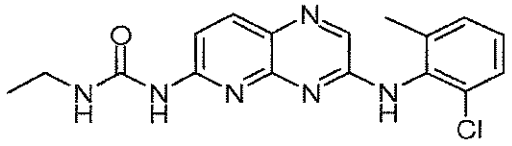
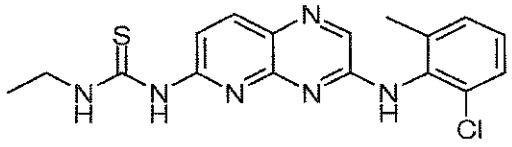
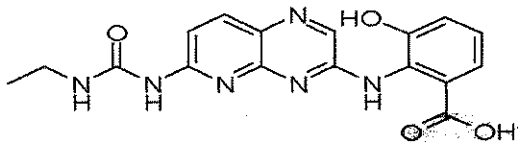
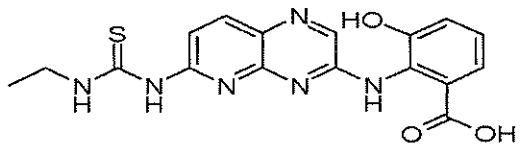
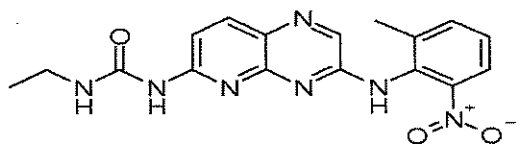
393		1-[3-(4-Chloro-2-trifluoromethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
394		1-Ethyl-3-[3-(4-hydroxy-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
395		1-Ethyl-3-[3-(4-hydroxy-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
396		1-[3-(5-Chloro-2-hydroxymethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
397		1-[3-(5-Chloro-2-hydroxymethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
398		1-[3-(2,4-Dimethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

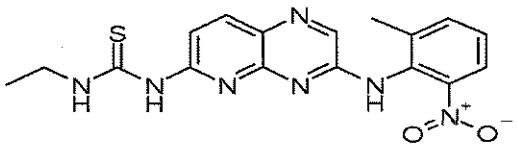
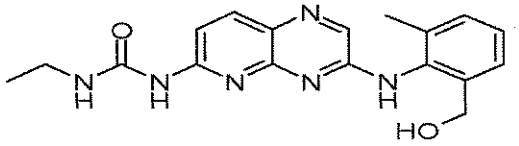
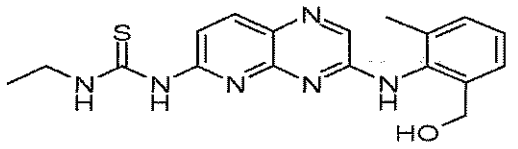
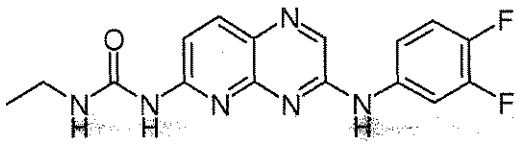
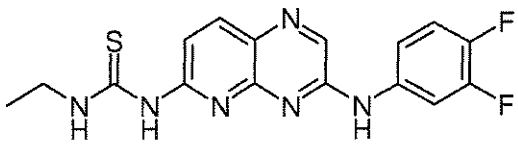
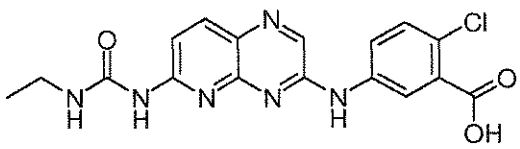
399		1-[3-(2,4-Dimethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
400		1-Ethyl-3-[3-(2-fluoro-5-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
401		1-Ethyl-3-[3-(2-fluoro-5-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
402		1-[3-(2,5-Dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
403		1-[3-(2,5-Dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
404		4-Chloro-3-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid

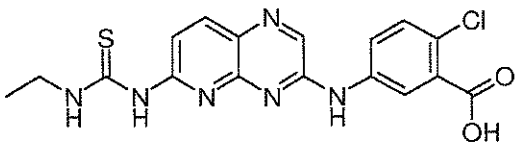
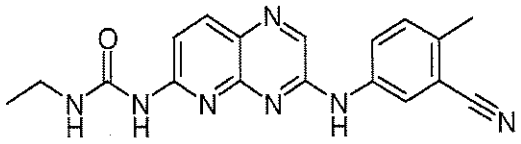
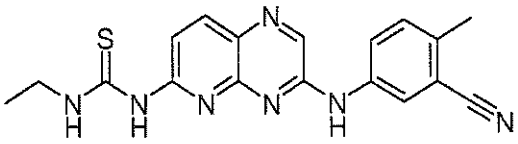
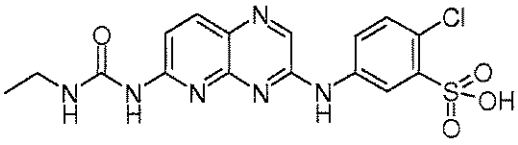
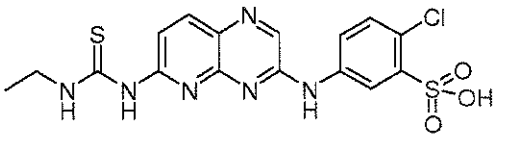
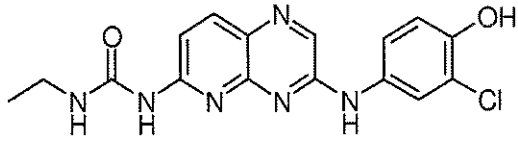
405		4-Chloro-3-[6-(3-ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid
406		1-[3-(5-Chloro-2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
407		1-[3-(5-Chloro-2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
408		1-[3-(5-tert-Butyl-2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
409		1-[3-(5-tert-Butyl-2-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
410		1-Ethyl-3-[3-(5-fluoro-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

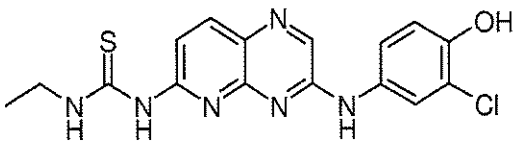
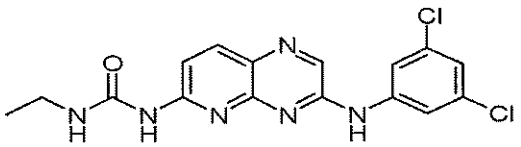
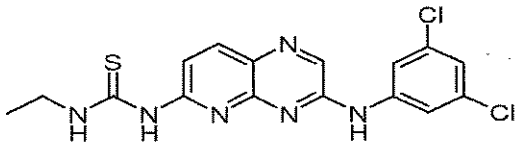
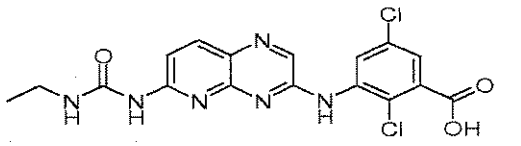
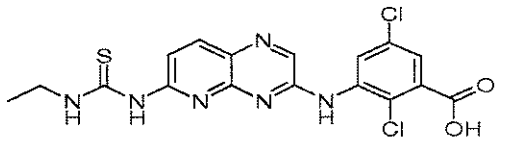
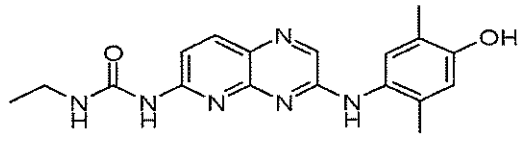
411		1-Ethyl-3-[3-(5-fluoro-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
412		1-[3-(2-Chloro-5-cyano-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
413		1-[3-(2-Chloro-5-cyano-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
414		1-[3-(5-Chloro-2-phenoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
415		1-[3-(5-Chloro-2-phenoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
416		4-Chloro-3-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzamide

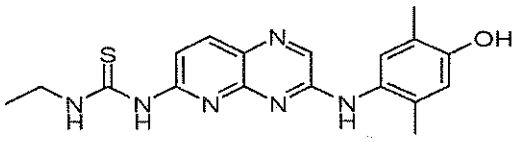
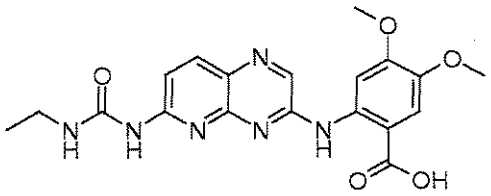
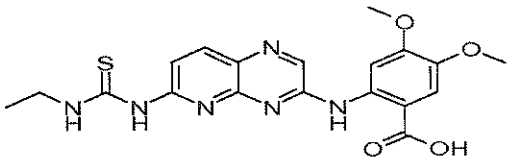
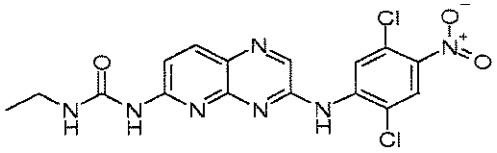
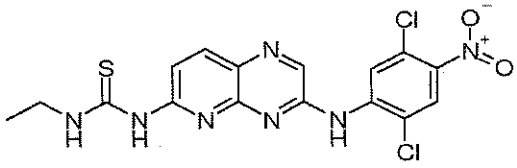
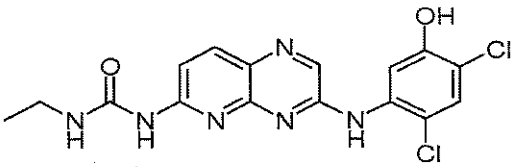
417		4-Chloro-3-[6-(3-ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzamide
418		1-Ethyl-3-[3-(4-hydroxy-biphenyl-3-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
419		1-Ethyl-3-[3-(4-hydroxy-biphenyl-3-ylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
420		1-[3-(2-Cyano-5-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
421		1-[3-(2-Cyano-5-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
422		1-[3-(2-Chloro-5-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

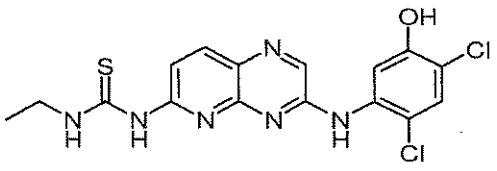
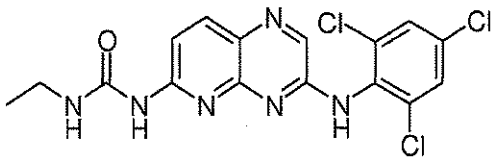
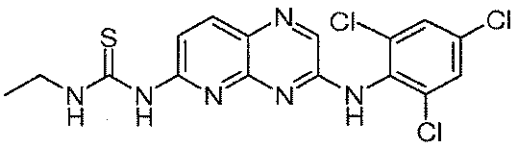
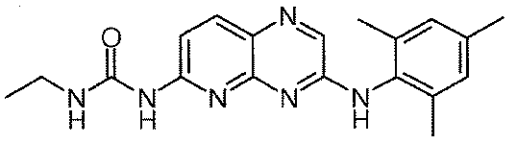
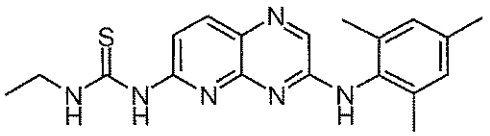
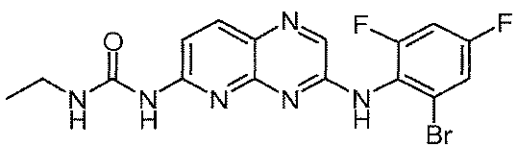
423		1-[3-(2-Chloro-5-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
424		1-[3-(2-Chloro-6-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
425		1-[3-(2-Chloro-6-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
426		2-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-3-hydroxy-benzoic acid
427		2-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-3-hydroxy-benzoic acid
428		1-Ethyl-3-[3-(2-methyl-6-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

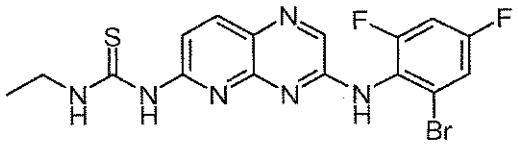
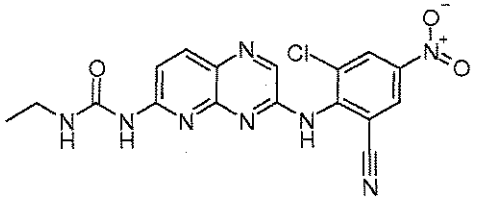
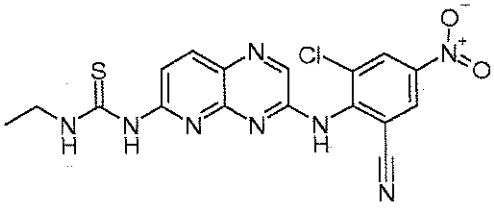
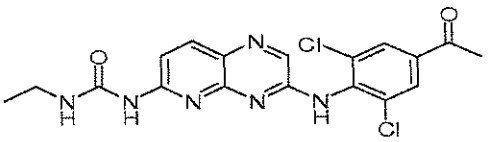
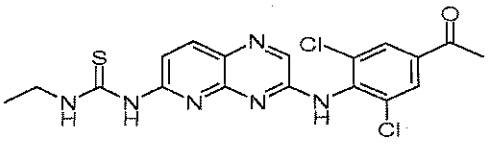
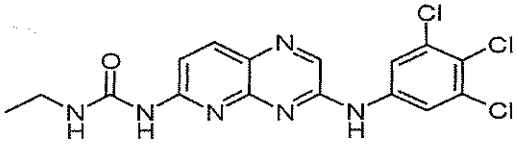
429		1-Ethyl-3-[3-(2-methyl-6-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
430		1-Ethyl-3-[3-(2-hydroxymethyl-6-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
431		1-Ethyl-3-[3-(2-hydroxymethyl-6-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
432		1-[3-(3,4-Difluoro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
433		1-[3-(3,4-Difluoro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
434		2-Chloro-5-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid

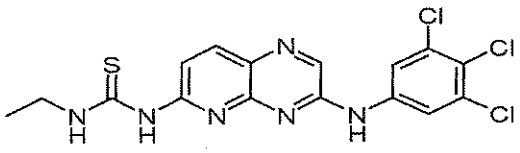
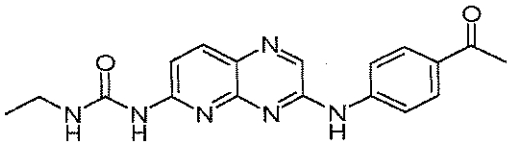
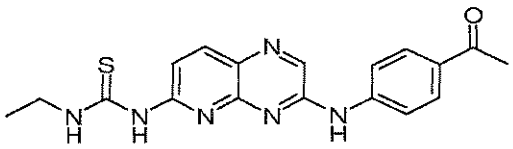
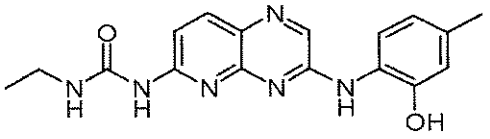
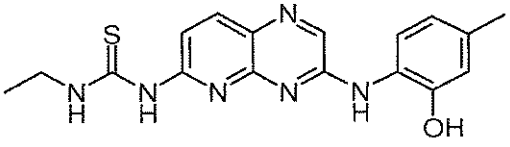
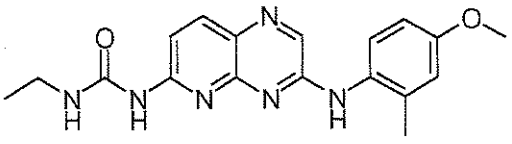
435		2-Chloro-5-[6-(3-ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid
436		1-[3-(3-Cyano-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
437		1-[3-(3-Cyano-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
438		2-Chloro-5-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzenesulfonic acid
439		2-Chloro-5-[6-(3-ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzenesulfonic acid
440		1-[3-(3-Chloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

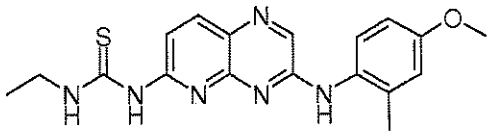
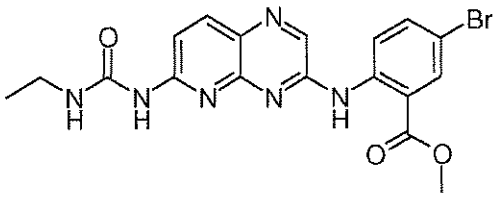
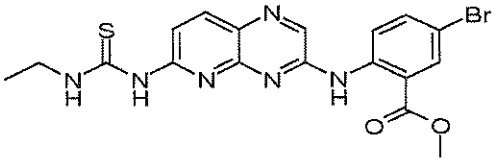
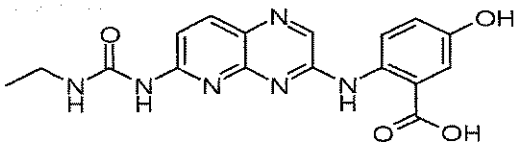
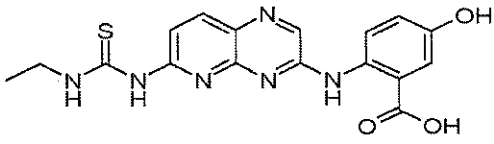
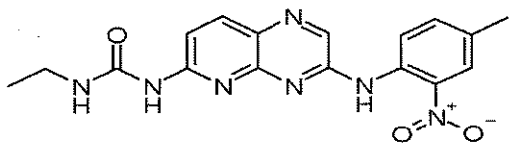
441		1-[3-(3-Chloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
442		1-[3-(3,5-Dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
443		1-[3-(3,5-Dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
444		2,5-Dichloro-3-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid
445		2,5-Dichloro-3-[6-(3-ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid
446		1-Ethyl-3-[3-(4-hydroxy-2,5-dimethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

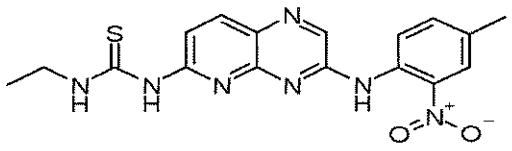
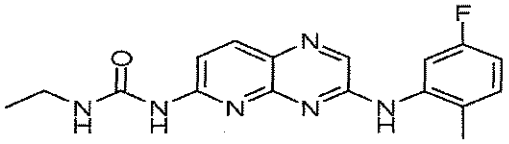
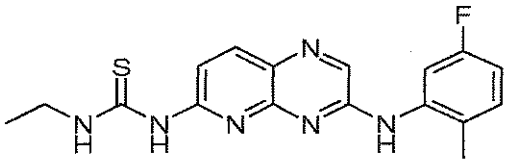
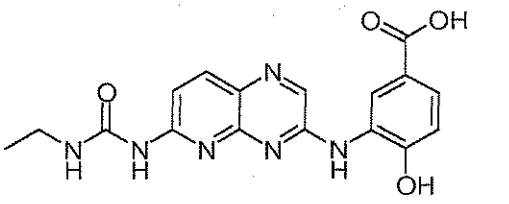
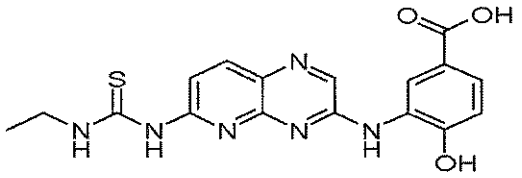
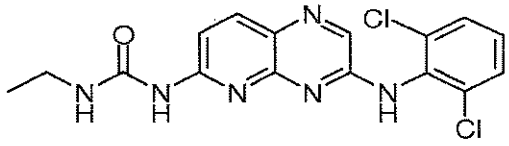
447		1-Ethyl-3-[3-(4-hydroxy-2,5-dimethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
448		2-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-4,5-dimethoxy-benzoic acid
449		2-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-4,5-dimethoxy-benzoic acid
450		1-[3-(2,5-Dichloro-4-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
451		1-[3-(2,5-Dichloro-4-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
452		1-[3-(2,4-Dichloro-5-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

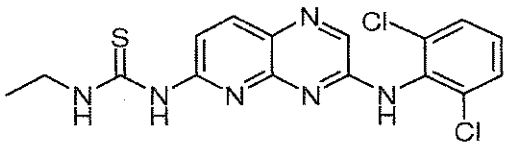
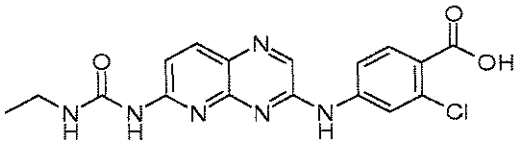
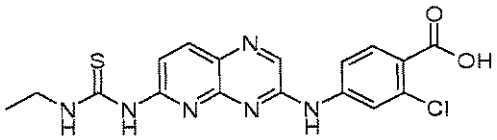
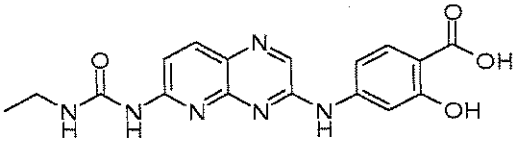
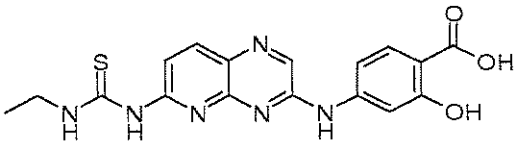
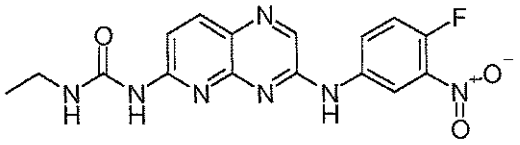
453		1-[3-(2,4-Dichloro-5-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
454		1-Ethyl-3-[3-(2,4,6-trichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
455		1-Ethyl-3-[3-(2,4,6-trichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
456		1-Ethyl-3-[3-(2,4,6-trimethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
457		1-Ethyl-3-[3-(2,4,6-trimethyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
458		1-[3-(2-Bromo-4,6-difluoro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

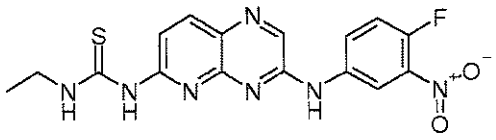
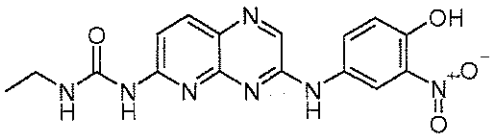
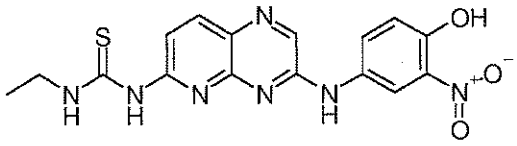
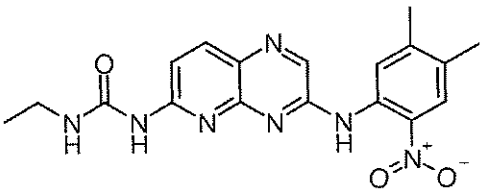
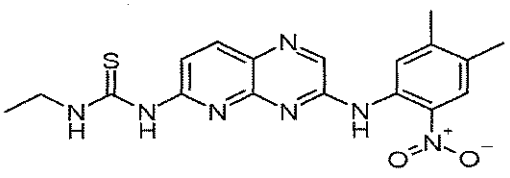
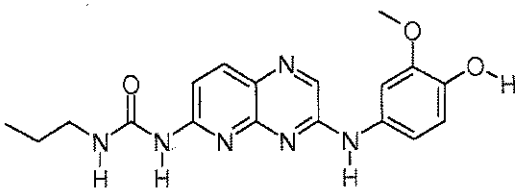
459		1-[3-(2-Bromo-4,6-difluoro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
460		1-[3-(2-Chloro-6-cyano-4-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
461		1-[3-(2-Chloro-6-cyano-4-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
462		1-[3-(4-Acetyl-2,6-dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
463		1-[3-(4-Acetyl-2,6-dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
464		1-Ethyl-3-[3-(3,4,5-trichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

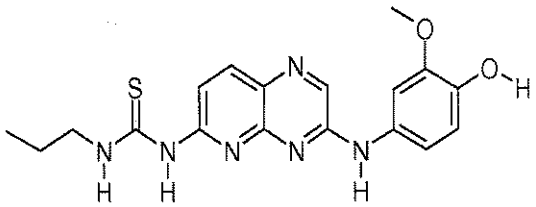
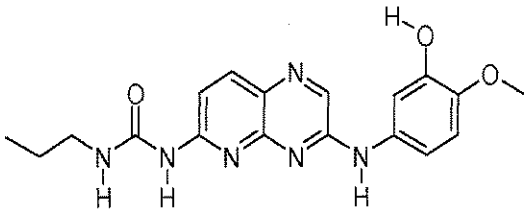
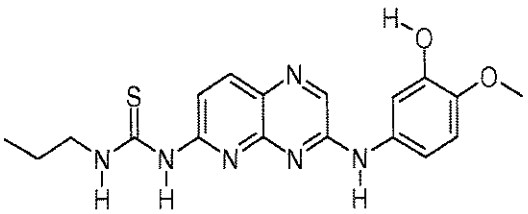
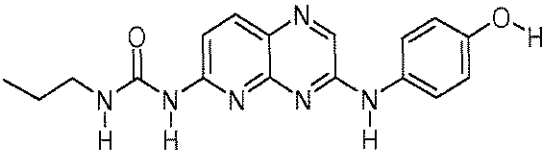
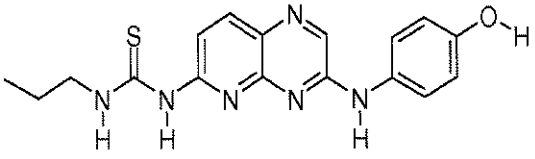
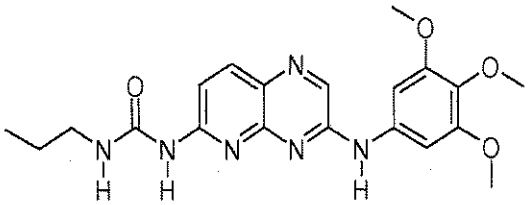
465		1-Ethyl-3-[3-(3,4,5-trichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
466		1-[3-(4-Acetyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
467		1-[3-(4-Acetyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
468		1-Ethyl-3-[3-(2-hydroxy-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
469		1-Ethyl-3-[3-(2-hydroxy-4-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
470		1-Ethyl-3-[3-(4-methoxy-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

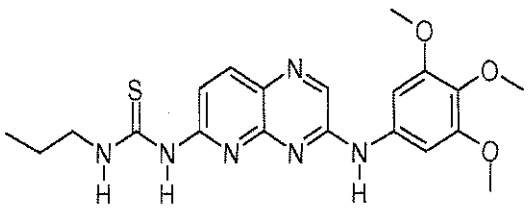
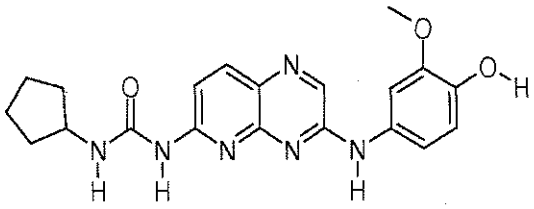
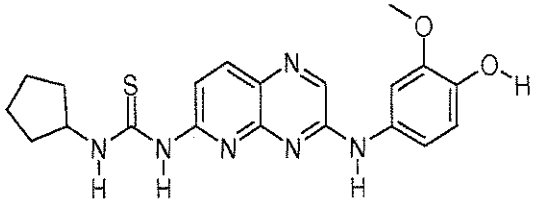
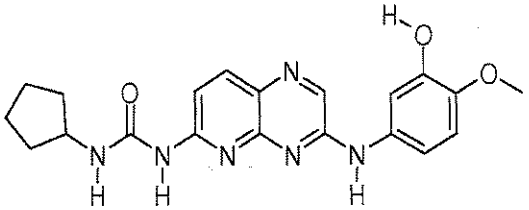
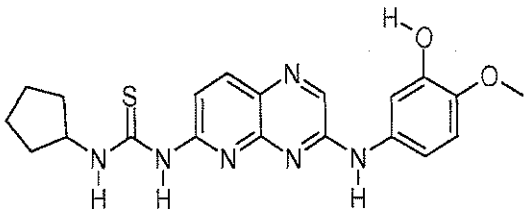
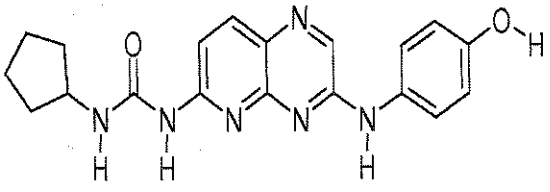
471		1-Ethyl-3-[3-(4-methoxy-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
472		5-Bromo-2-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid methyl ester
473		5-Bromo-2-[6-(3-ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid methyl ester
474		2-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-5-hydroxy-benzoic acid
475		2-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-5-hydroxy-benzoic acid
476		1-Ethyl-3-[3-(4-methyl-2-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

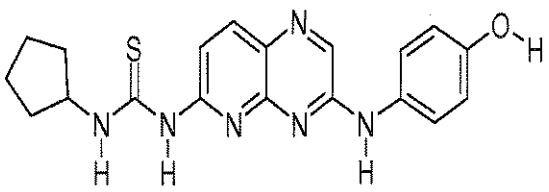
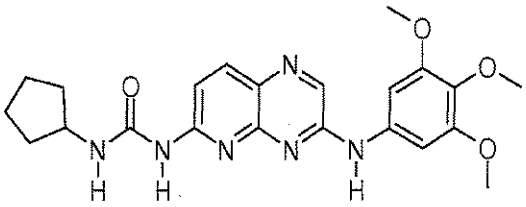
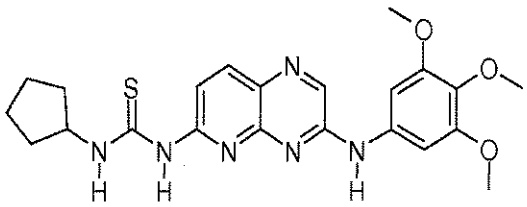
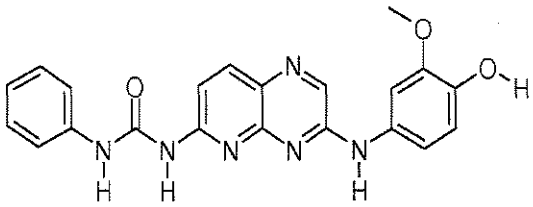
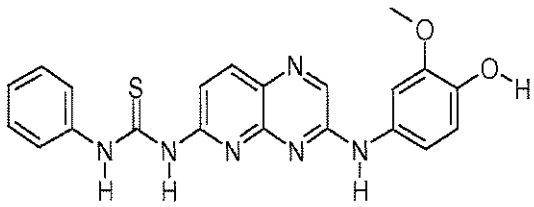
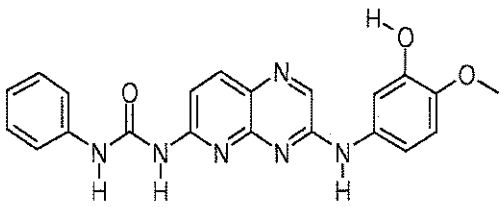
477		1-Ethyl-3-[3-(4-methyl-2-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
478		1-Ethyl-3-[3-(5-fluoro-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
479		1-Ethyl-3-[3-(5-fluoro-2-methyl-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
480		3-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-4-hydroxy-benzoic acid
481		3-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-4-hydroxy-benzoic acid
482		1-[3-(2,6-Dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea

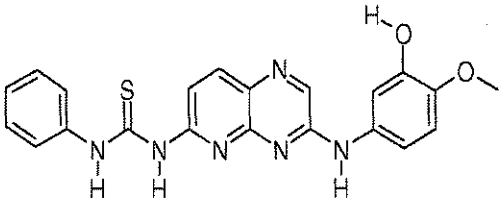
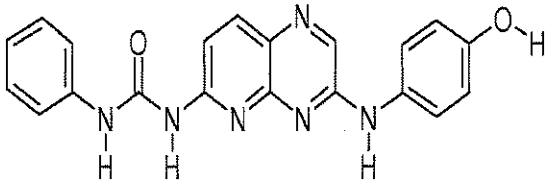
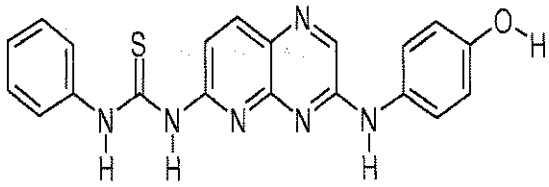
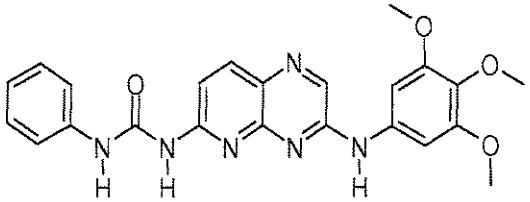
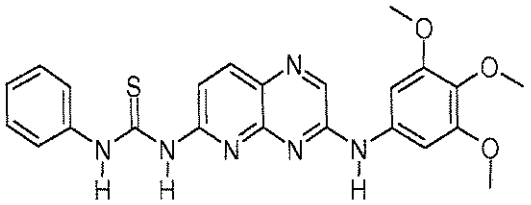
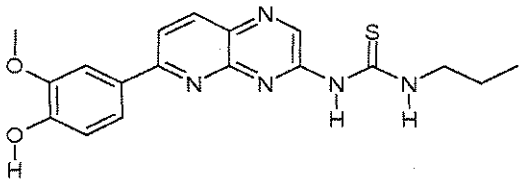
483		1-[3-(2,6-Dichloro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
484		2-Chloro-4-[6-(3-ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid
485		2-Chloro-4-[6-(3-ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-benzoic acid
486		4-[6-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-3-ylamino]-2-hydroxy-benzoic acid
487		4-[6-(3-Ethyl-thioureido)-pyrido[2,3-b]pyrazin-3-ylamino]-2-hydroxy-benzoic acid
488		1-Ethyl-3-[3-(4-fluoro-3-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

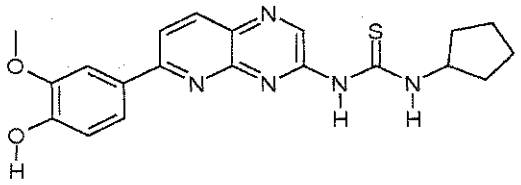
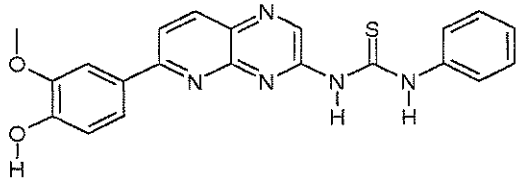
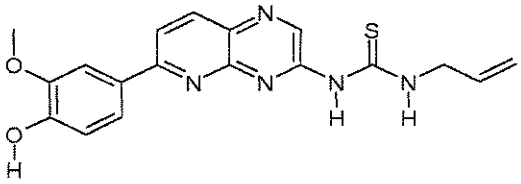
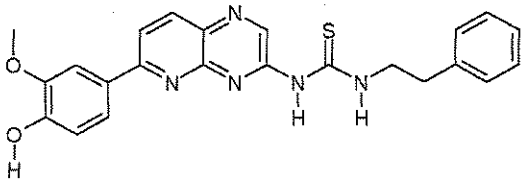
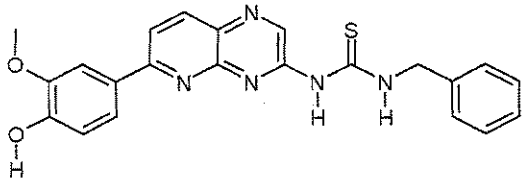
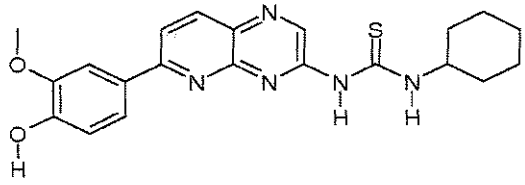
489		1-Ethyl-3-[3-(4-fluoro-3-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
490		1-Ethyl-3-[3-(4-hydroxy-3-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
491		1-Ethyl-3-[3-(4-hydroxy-3-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
492		1-[3-(4,5-Dimethyl-2-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-urea
493		1-[3-(4,5-Dimethyl-2-nitro-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-ethyl-thiourea
494		1-[3-(4-Hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-propyl-urea

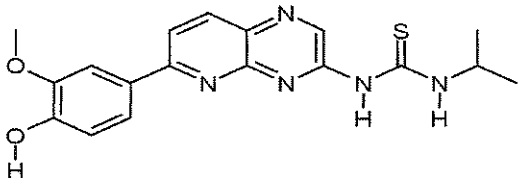
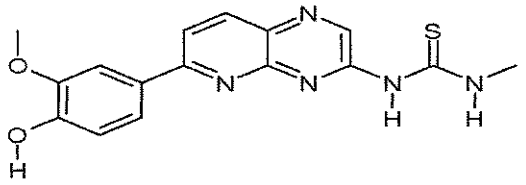
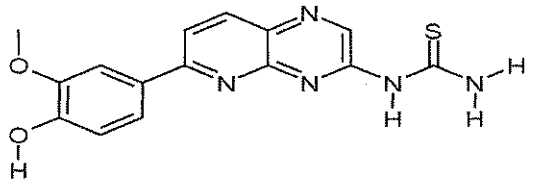
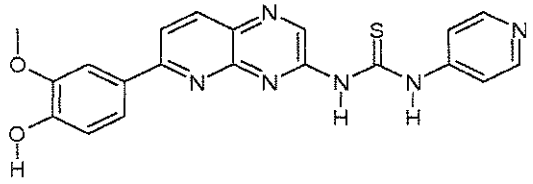
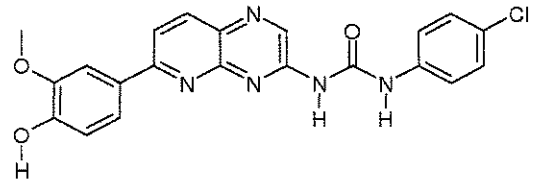
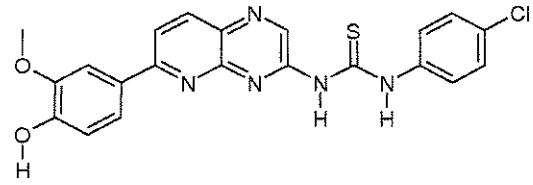
495		1-[3-(4-Hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-propyl-thiourea
496		1-[3-(3-Hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-propyl-urea
497		1-[3-(3-Hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-propyl-thiourea
498		1-[3-(4-Hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-propyl-urea
499		1-[3-(4-Hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-propyl-thiourea
500		1-Propyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

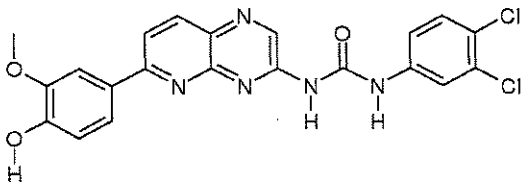
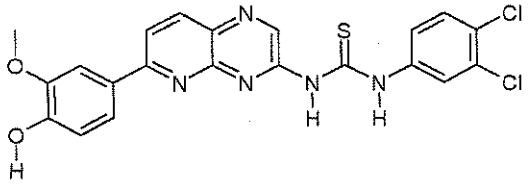
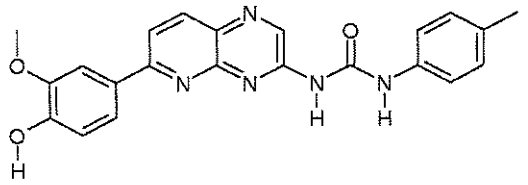
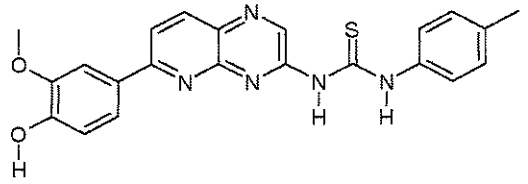
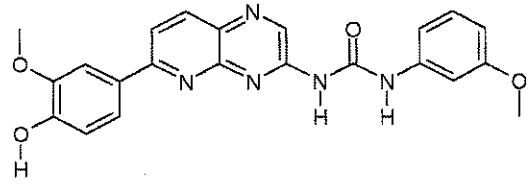
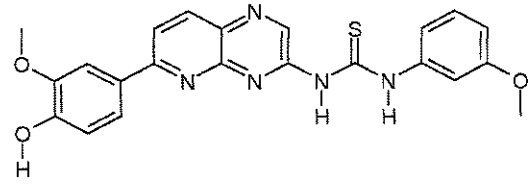
501		1-Propyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
502		1-Cyclopentyl-3-[3-(4-hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
503		1-Cyclopentyl-3-[3-(4-hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
504		1-Cyclopentyl-3-[3-(3-hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
505		1-Cyclopentyl-3-[3-(3-hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
506		1-Cyclopentyl-3-[3-(4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

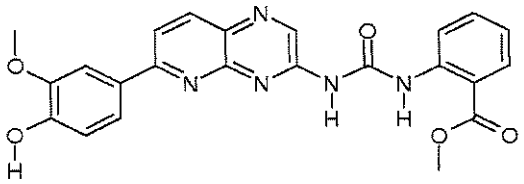
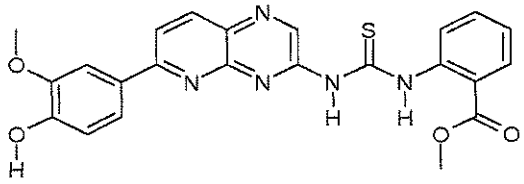
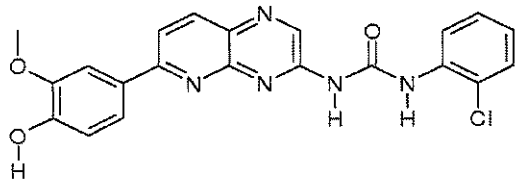
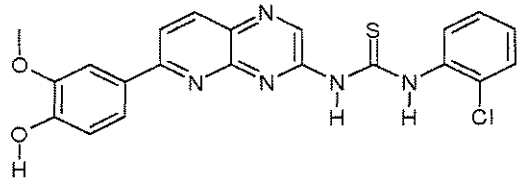
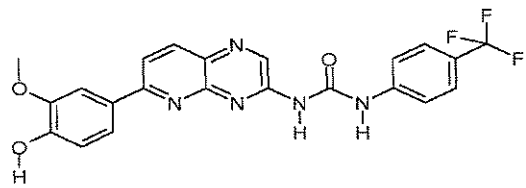
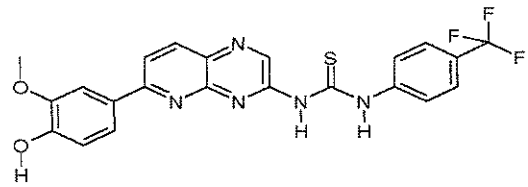
507		1-Cyclopentyl-3-[3-(4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
508		1-Cyclopentyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
509		1-Cyclopentyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
510		1-[3-(4-Hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-phenyl-urea
511		1-[3-(4-Hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-phenyl-thiourea
512		1-[3-(3-Hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-phenyl-urea

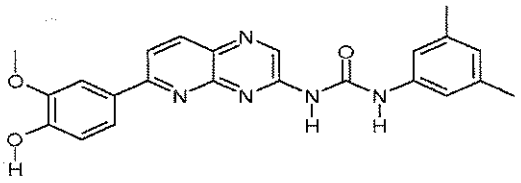
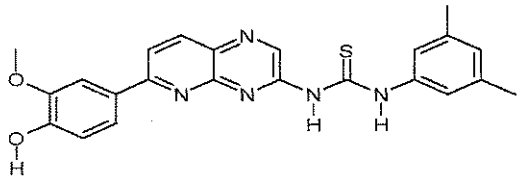
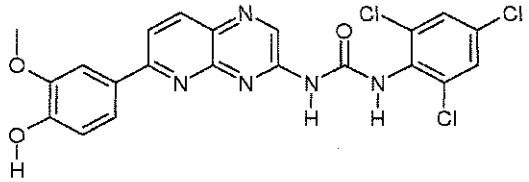
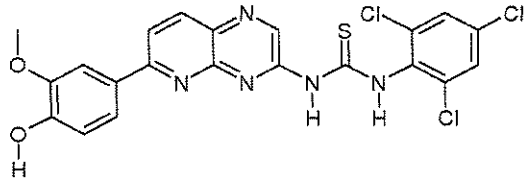
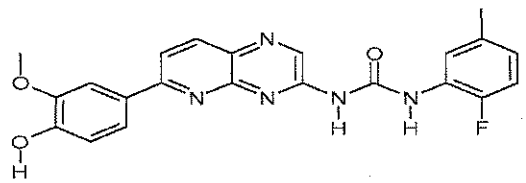
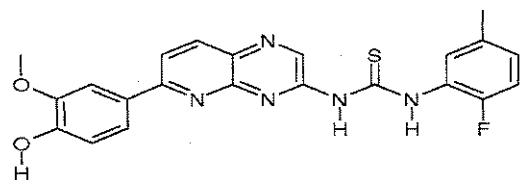
513		1-[3-(3-Hydroxy-4-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-phenyl-thiourea
514		1-[3-(4-Hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-phenyl-urea
515		1-[3-(4-Hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-3-phenyl-thiourea
516		1-Phenyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
517		1-Phenyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
518		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-propyl-thiourea

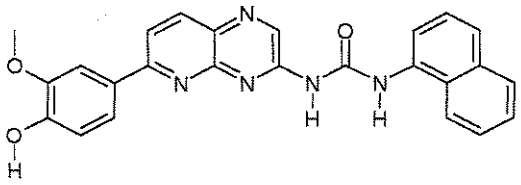
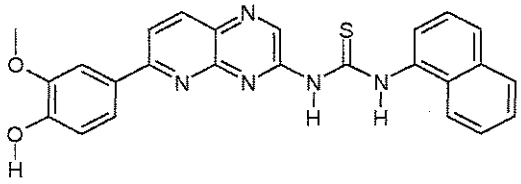
519		1-Cyclopentyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
520		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenyl-thiourea
521		1-Allyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
522		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenethyl-thiourea
523		1-Benzyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
524		1-Cyclohexyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

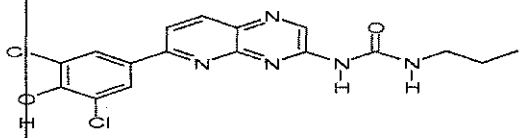
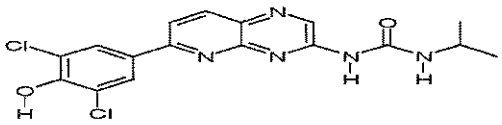
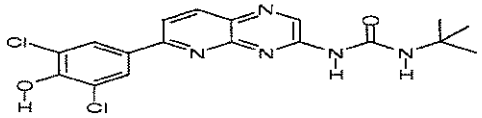
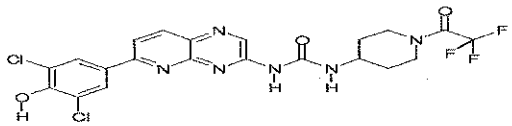
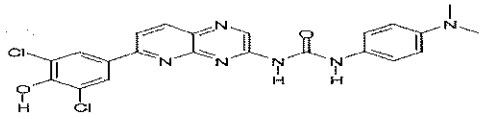
525		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-isopropyl-thiourea
526		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-methyl-thiourea
527		[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
528		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-pyridin-4-yl-thiourea
529		1-(4-Chloro-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
530		1-(4-Chloro-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

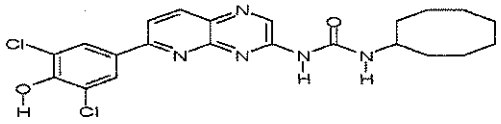
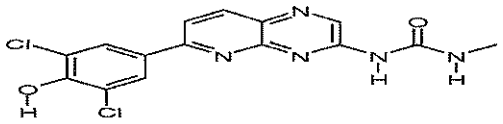
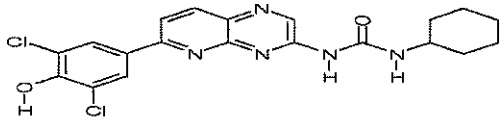
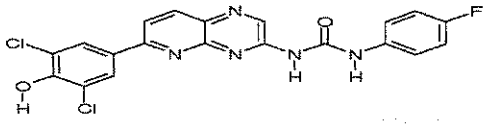
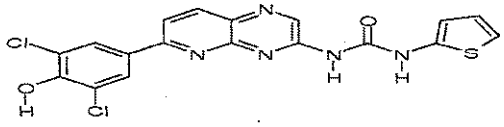
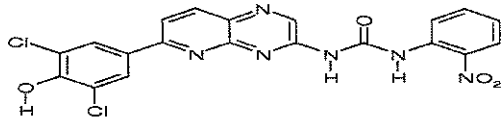
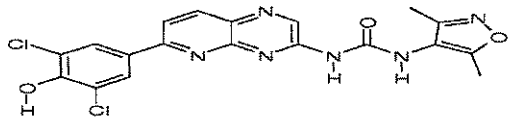
531		1-(3,4-Dichloro-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
532		1-(3,4-Dichloro-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
533		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-p-tolyl-urea
534		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-p-tolyl-thiourea
535		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(3-methoxy-phenyl)-urea
536		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(3-methoxy-phenyl)-thiourea

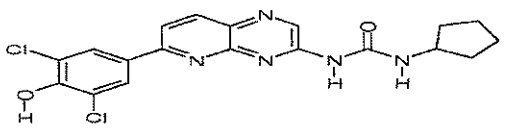
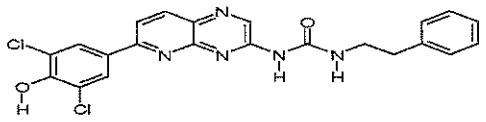
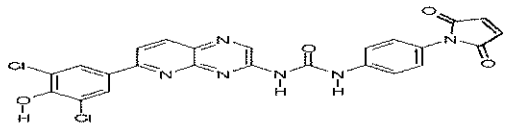
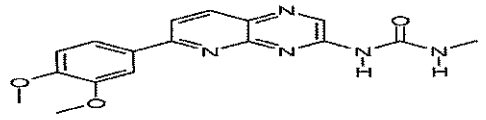
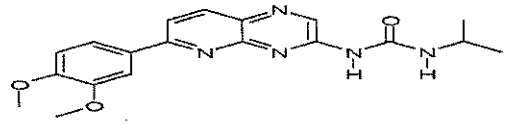
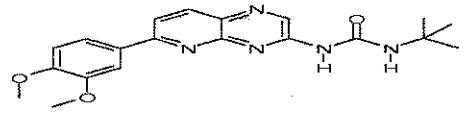
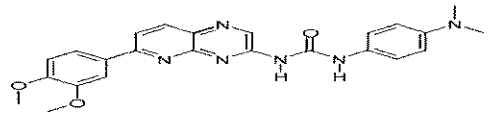
537		2-{3-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-ureido}-benzoic acid methyl ester
538		2-{3-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thioureido}-benzoic acid methyl ester
539		1-(2-Chloro-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
540		1-(2-Chloro-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
541		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-trifluoromethyl-phenyl)-urea
542		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-trifluoromethyl-phenyl)-thiourea

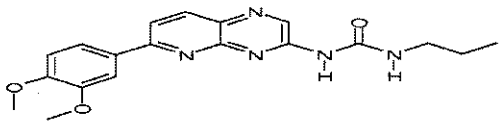
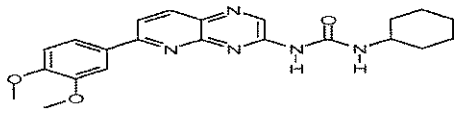
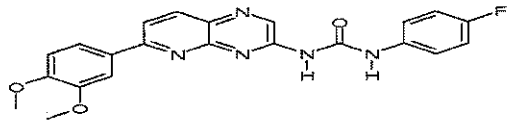
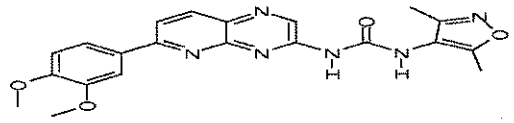
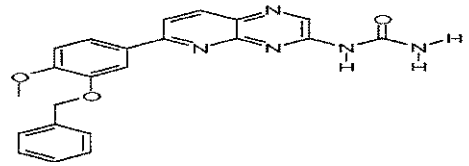
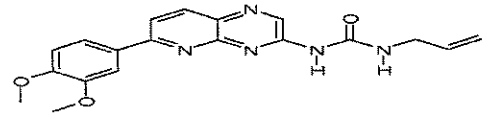
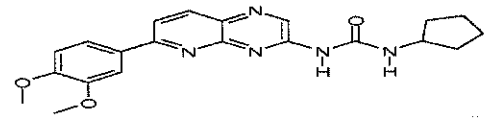
543		1-(3,5-Dimethyl-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
544		1-(3,5-Dimethyl-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
545		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(2,4,6-trichloro-phenyl)-urea
546		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(2,4,6-trichloro-phenyl)-thiourea
547		1-(2-Fluoro-5-methyl-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
548		1-(2-Fluoro-5-methyl-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

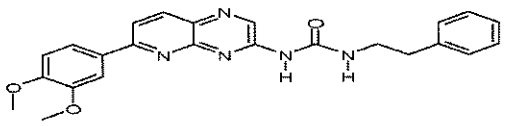
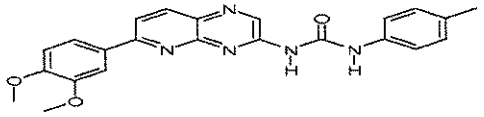
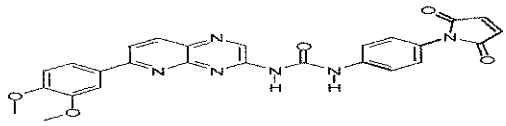
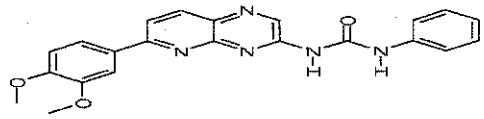
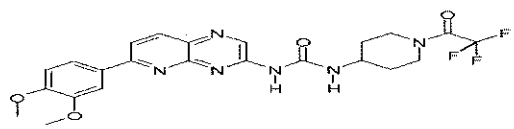
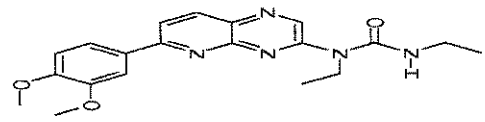
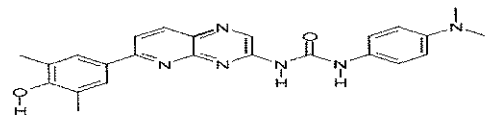
549		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-naphthalen-1-yl-urea
550		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-naphthalen-1-yl-thiourea

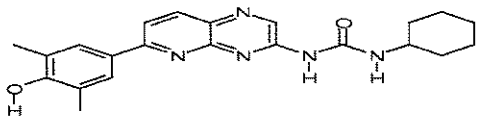
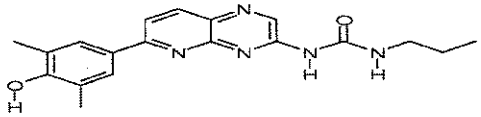
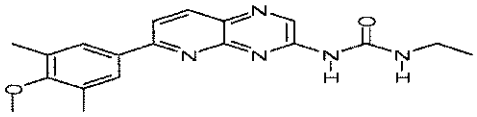
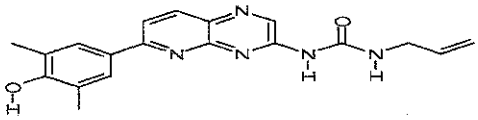
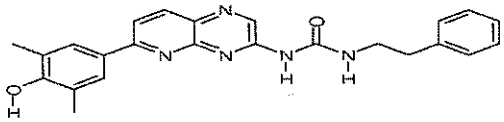
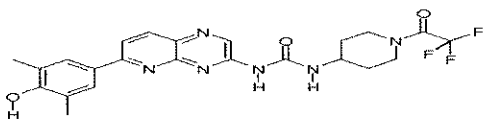
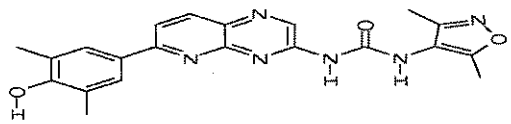
551		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-propyl-urea
552		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-isopropyl-urea
553		1-tert-Butyl-3-[6-(3,5-dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
554		1-tert-Butyl-3-[6-(3,5-dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
555		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-dimethylamino-phenyl)-urea

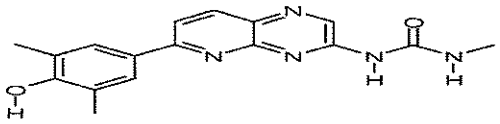
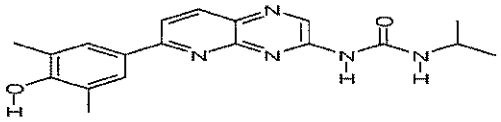
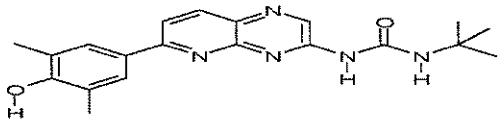
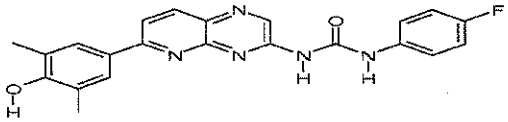
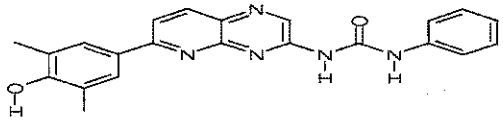
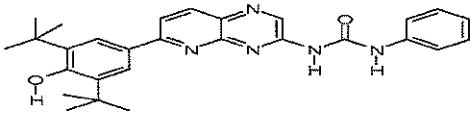
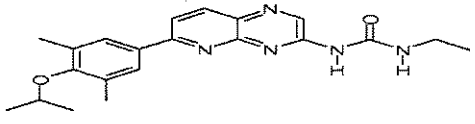
556		1-Cyclooctyl-3-[6-(3,5-dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
557		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-methyl-urea
558		1-Cyclohexyl-3-[6-(3,5-dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
559		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-fluoro-phenyl)-urea
560		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-thiophen-2-yl-urea
561		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(2-nitro-phenyl)-urea
562		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(3,5-dimethyl-isoxazol-4-yl)-urea

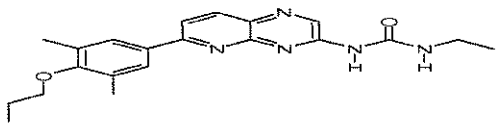
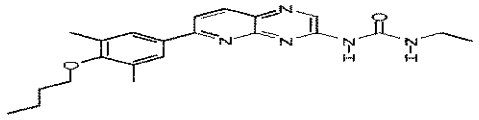
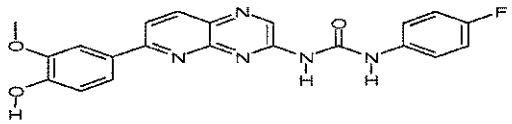
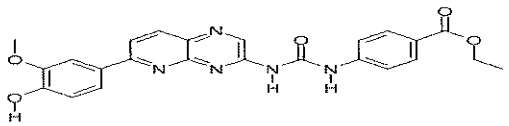
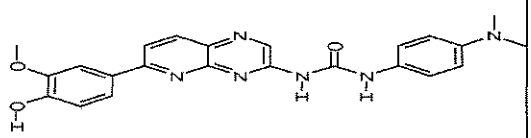
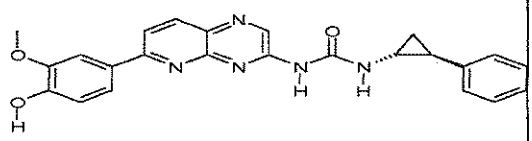
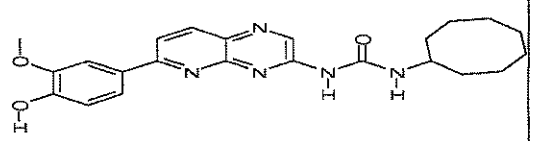
563		1-Cyclopentyl-3-[6-(3,5-dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
564		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenethyl-urea
565		1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-[4-(2,5-dioxo-2,5-dihydro-pyrrol-1-yl)-phenyl]-urea
566		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-methyl-urea
567		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-isopropyl-urea
568		1-tert-Butyl-3-[6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
569		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-dimethylamino-phenyl)-urea

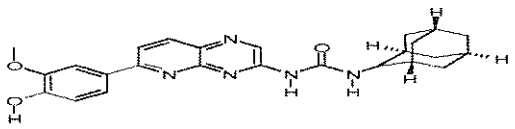
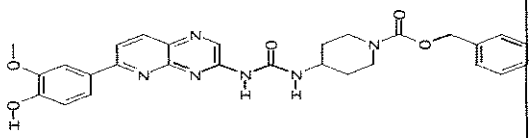
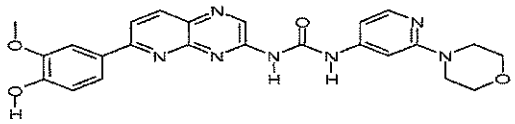
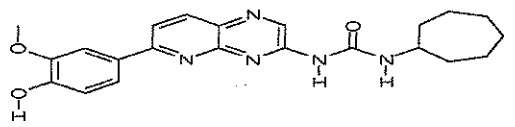
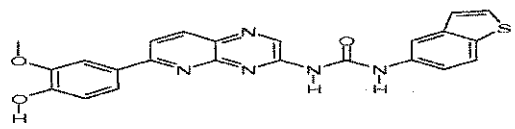
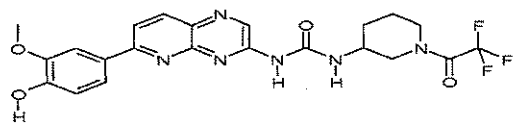
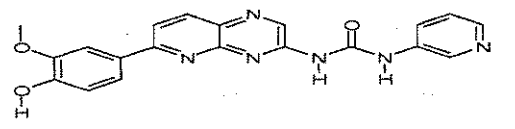
570		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-propyl-urea
571		1-Cyclohexyl-3-[6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
572		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-fluoro-phenyl)-urea
573		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(3,5-dimethyl-isoxazol-4-yl)-urea
574		[6-(4-Benzyloxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
575		1-Allyl-3-[6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
576		1-Cyclopentyl-3-[6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

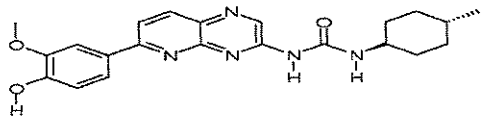
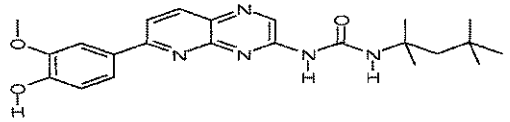
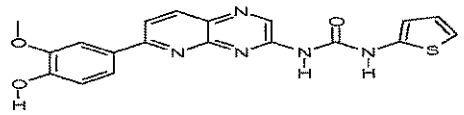
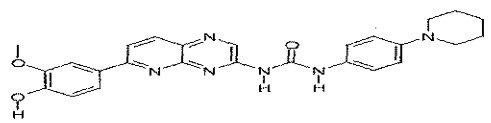
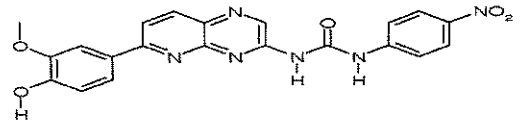
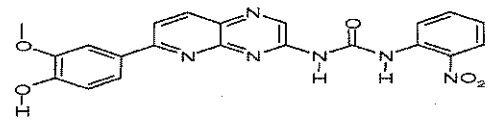
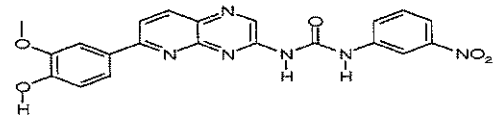
577		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenethyl-urea
578		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-p-tolyl-urea
579		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-[4-(2,5-dioxo-2,5-dihydro-pyrrol-1-yl)-phenyl]-urea
580		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenyl-urea
581		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-[1-(2,2,2-trifluoro-acetyl)-piperidin-4-yl]-urea
582		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-1,3-diethyl-urea
583		1-Ethyl-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

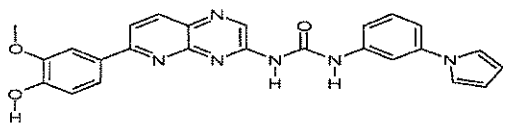
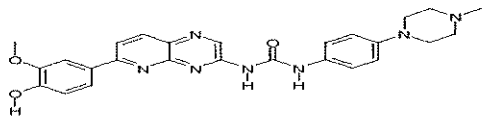
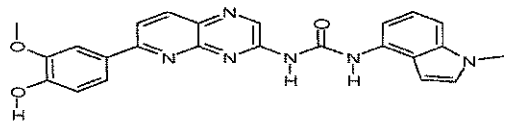
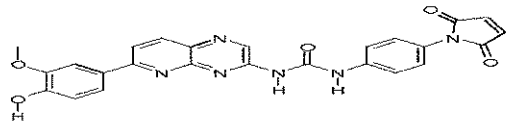
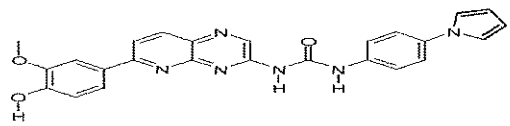
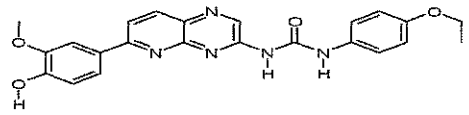
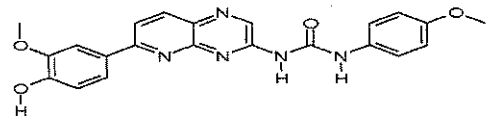
584		1-(4-Dimethylamino-phenyl)-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
585		1-Cyclohexyl-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
586		1-Ethyl-3-[6-(4-methoxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
587		1-Allyl-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
588		1-[6-(4-Hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenethyl-urea
589		1-[6-(4-Hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-[1-(2,2,2-trifluoro-acetyl)-piperidin-4-yl]-urea
590		1-(3,5-Dimethyl-isoxazol-4-yl)-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

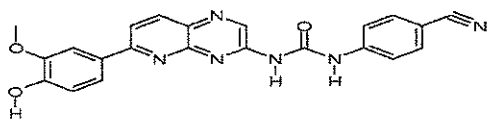
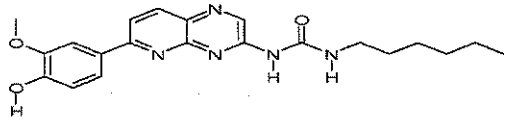
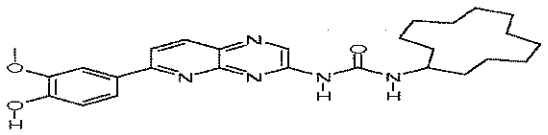
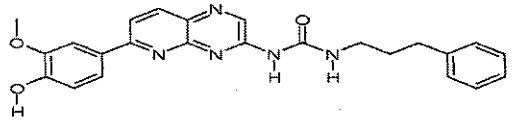
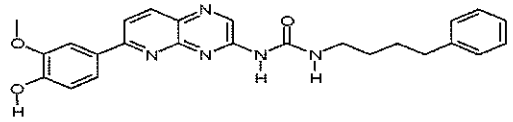
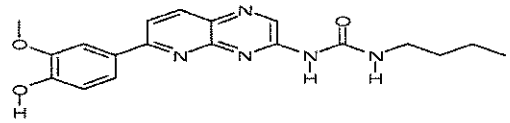
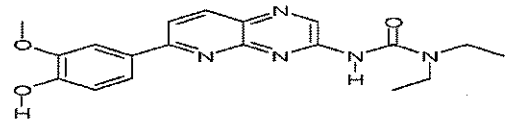
591		1-[6-(4-Hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-methyl-urea
592		1-[6-(4-Hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-isopropyl-urea
593		1-tert-Butyl-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
594		1-(4-Fluoro-phenyl)-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
595		1-[6-(4-Hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenyl-urea
596		1-[6-(3,5-Di-tert-butyl-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
597		1-Ethyl-3-[6-(4-isopropoxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

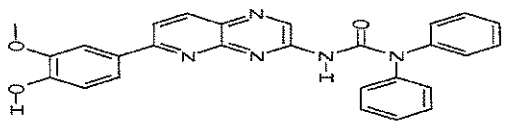
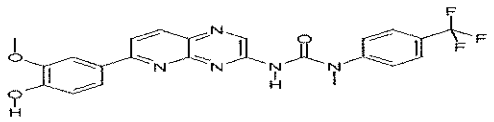
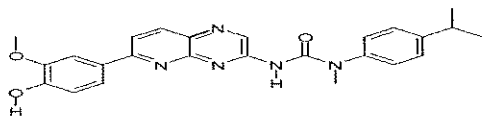
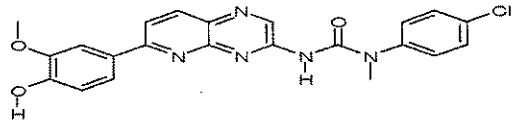
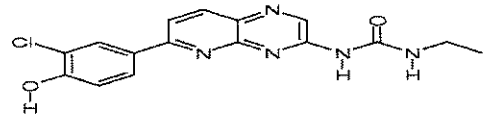
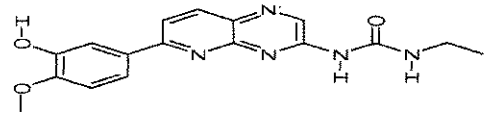
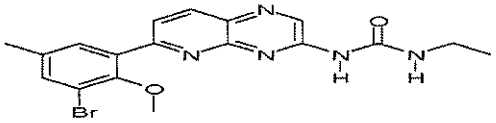
598		1-[6-(3,5-Dimethyl-4-propoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
599		1-[6-(4-Butoxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
600		1-(4-Fluoro-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
601		4-{3-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-ureido}-benzoic acid ethyl ester
602		1-(4-Dimethylamino-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
603		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-((1R,2S)-2-phenyl-cyclopropyl)-urea
604		1-Cyclooctyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

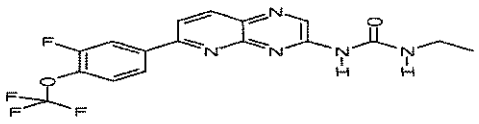
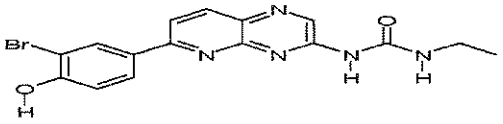
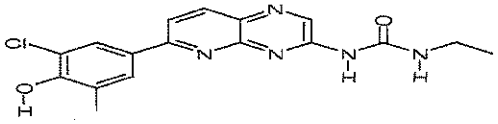
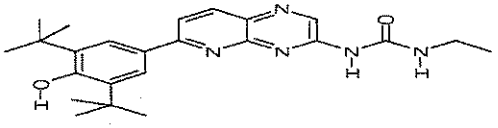
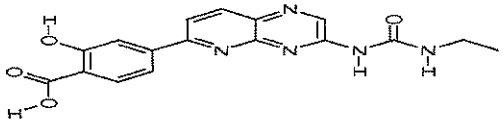
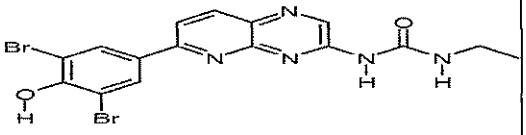
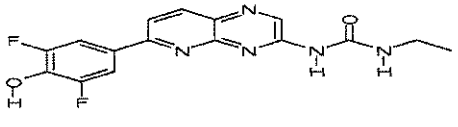
605		1-Adamantan-1-yl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
606		4-{3-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-ureido}-piperidine-1-carboxylic acid benzyl ester
607		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(2-morpholin-4-yl-pyridin-4-yl)-urea
608		1-Cycloheptyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
609		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-thiophen-2-yl-urea
610		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-[1-(2,2,2-trifluoro-acetyl)-piperidin-3-yl]-urea
611		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-pyridin-3-yl-urea

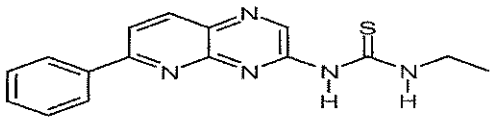
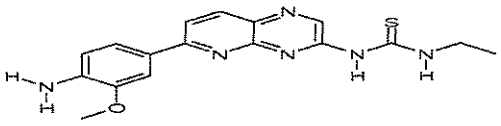
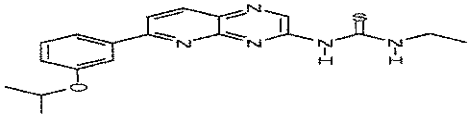
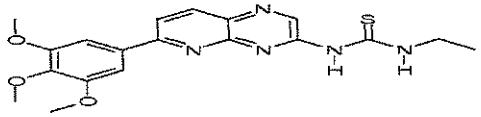
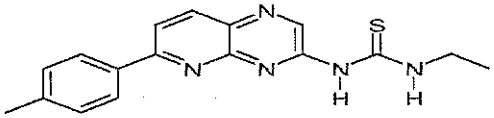
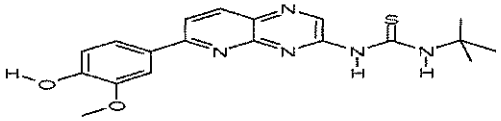
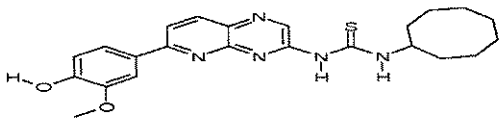
612		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-methyl-cyclohexyl)-urea
613		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(1,1,3,3-tetramethyl-butyl)-urea
614		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-thiophen-2-yl-urea
615		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-piperidin-1-yl-phenyl)-urea
616		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-nitro-phenyl)-urea
617		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(2-nitro-phenyl)-urea
618		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(3-nitro-phenyl)-urea

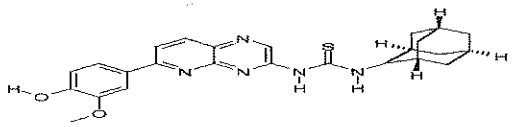
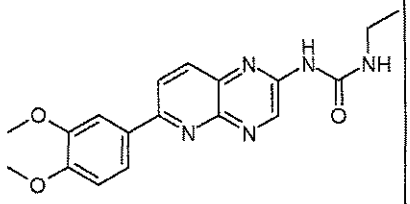
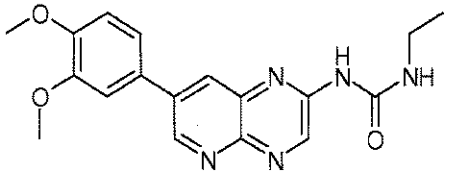
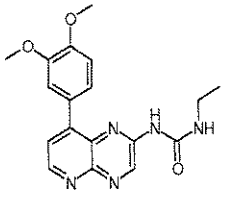
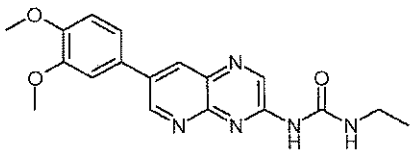
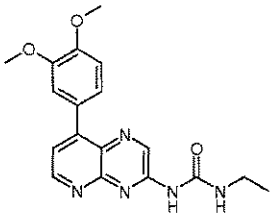
619		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(3-pyrrol-1-yl-phenyl)-urea
620		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-[4-(4-methyl-piperazin-1-yl)-phenyl]-urea
621		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(1-methyl-1H-indol-4-yl)-urea
622		1-[4-(2,5-Dioxo-2,5-dihydro-pyrrol-1-yl)-phenyl]-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
623		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-pyrrol-1-yl-phenyl)-urea
624		1-(4-Ethoxy-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
625		1-(4-Methoxy-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

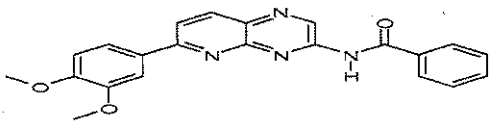
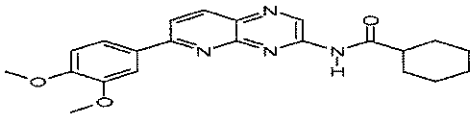
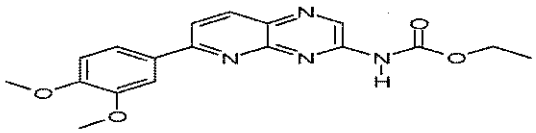
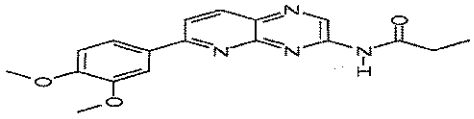
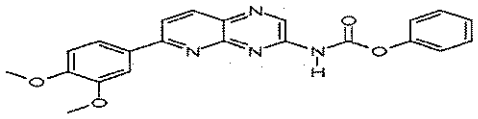
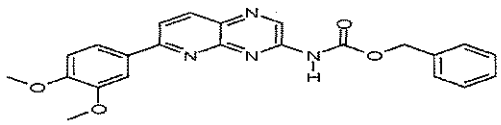
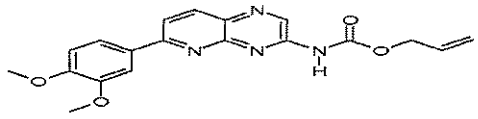
626		1-(4-Cyano-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
627		1-Hexyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
628		1-Cyclododecyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
629		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(3-phenyl-propyl)-urea
630		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-(4-phenyl-butyl)-urea
631		1-Butyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
632		1,1-Diethyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

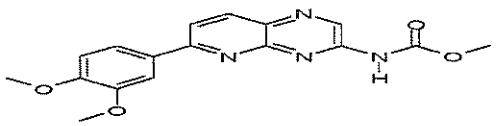
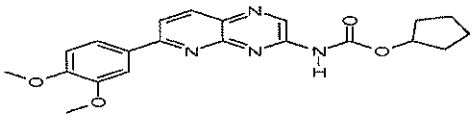
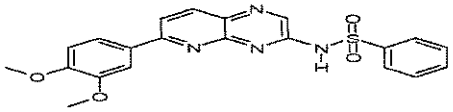
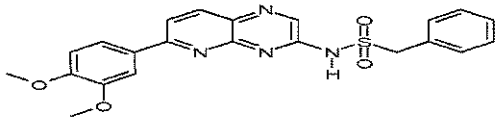
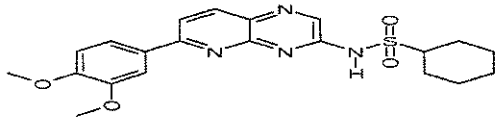
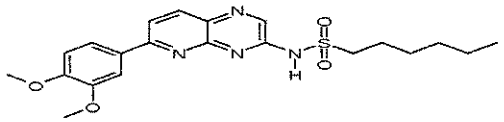
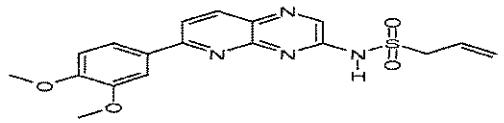
633		3-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-1,1-diphenyl-urea
634		3-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-1-methyl-1-(4-trifluoromethyl-phenyl)-urea
635		3-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-1-(4-isopropyl-phenyl)-1-methyl-urea
636		1-(4-Chloro-phenyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-1-methyl-urea
637		1-[6-(3-Chloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
638		1-Ethyl-3-[6-(3-hydroxy-4-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
639		1-[6-(3-Bromo-2-methoxy-5-methyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

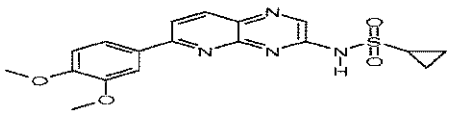
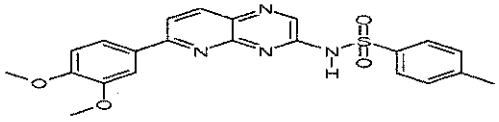
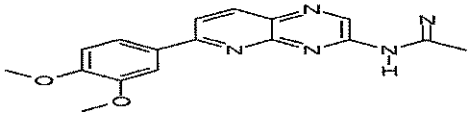
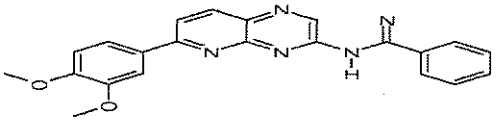
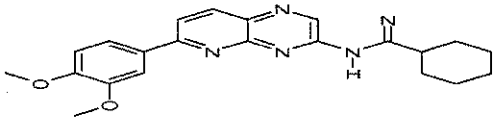
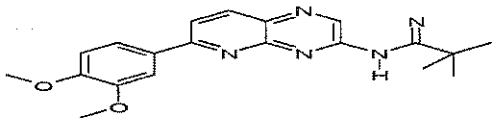
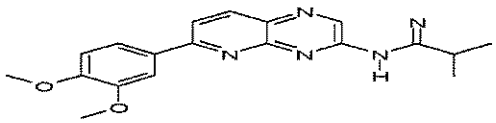
640		1-Ethyl-3-[6-(3-fluoro-4-trifluoromethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
641		1-[6-(3-Bromo-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
642		1-[6-(3-Chloro-4-hydroxy-5-methyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
643		1-[6-(3,5-Di-tert-butyl-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
644		4-[3-(3-Ethyl-ureido)-pyrido[2,3-b]pyrazin-6-yl]-2-hydroxy-benzoic acid methyl ester
645		1-[6-(3,5-Di-bromo-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
646		1-[6-(3,5-Di-fluoro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

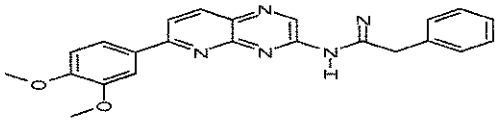
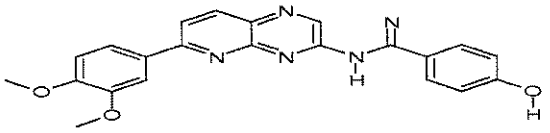
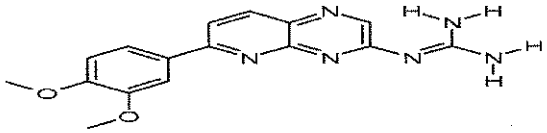
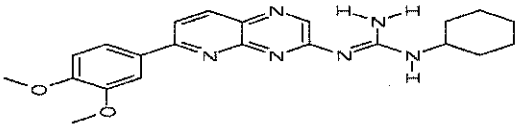
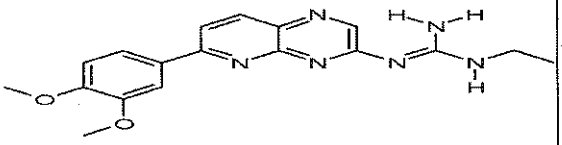
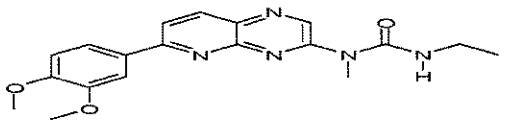
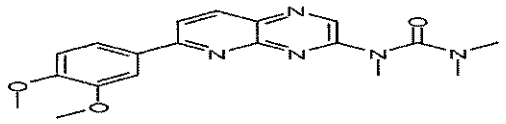
647		1-Ethyl-3-(6-phenyl-pyrido[2,3-b]pyrazin-3-yl)-thiourea
648		1-[6-(4-Amino-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-thiourea
649		1-Ethyl-3-[6-(3-isopropoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
650		1-Ethyl-3-[6-(3,4,5-trimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
651		1-Ethyl-3-(6-p-tolyl-pyrido[2,3-b]pyrazin-3-yl)-thiourea
652		1-tert-Butyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
653		1-Cyclooctyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea

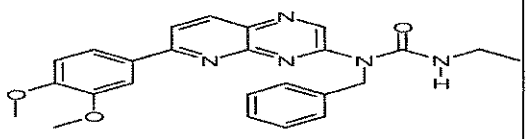
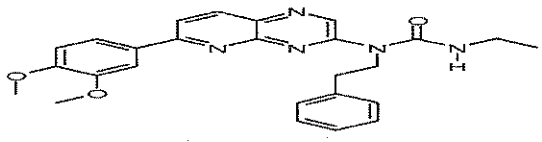
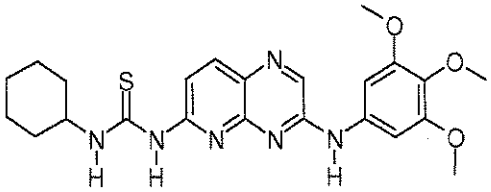
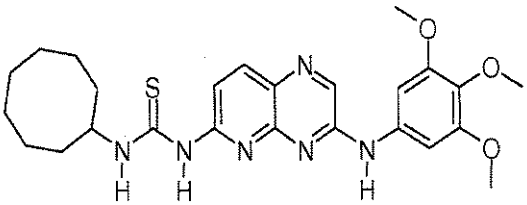
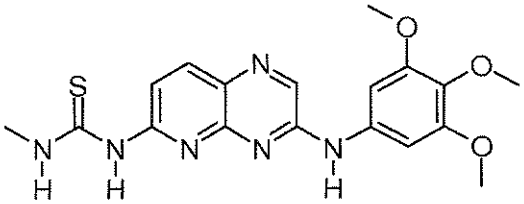
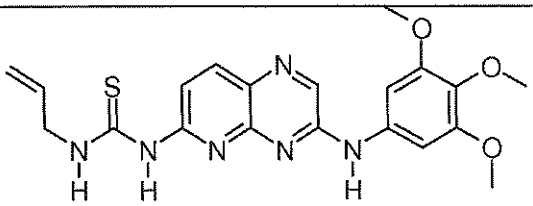
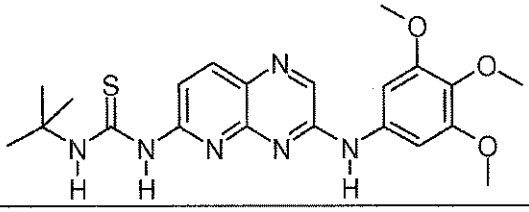
654		1-Adamantan-1-yl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
655		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-3-ethyl-urea
656		1-[7-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-3-ethyl-urea
657		1-[8-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-2-yl]-3-ethyl-urea
658		1-Ethyl-3-[7-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
659		1-Ethyl-3-[8-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

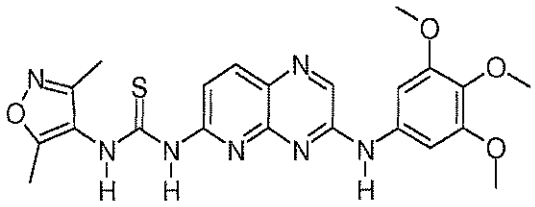
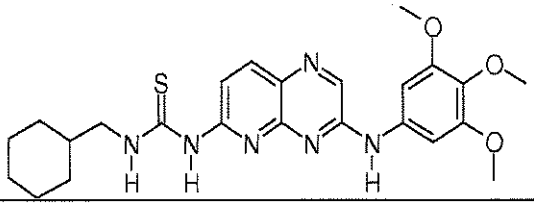
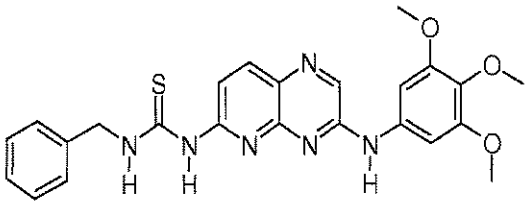
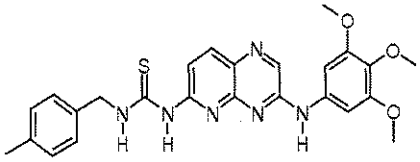
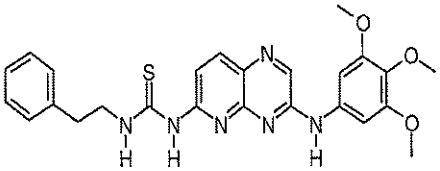
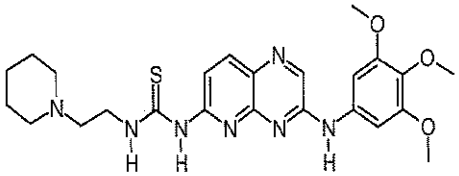
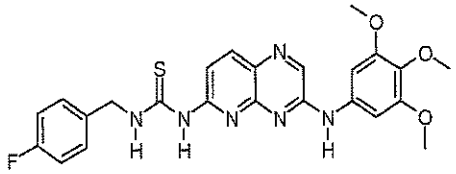
660		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-benzamide
661		Cyclohexanecarboxylic acid [6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
662		[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-carbamic acid ethyl ester
663		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-propionamide
664		[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-carbamic acid phenyl ester
665		[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-carbamic acid benzyl ester
666		[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-carbamic acid allyl ester

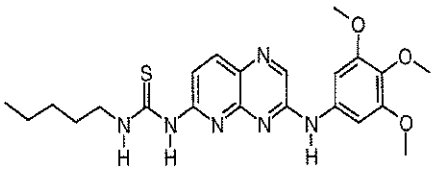
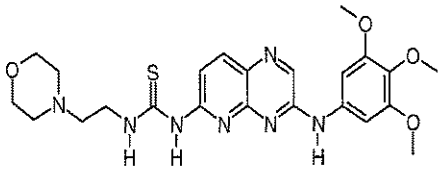
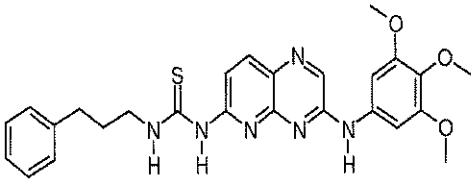
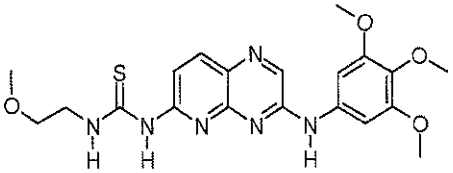
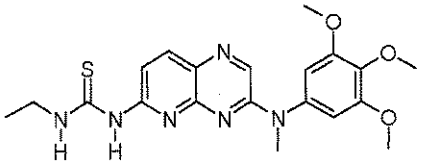
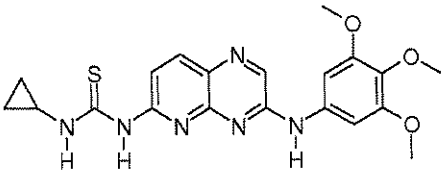
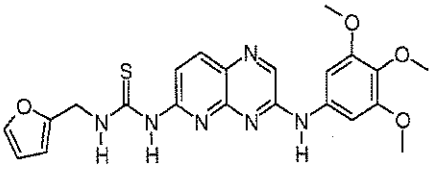
667		[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-carbamic acid methyl ester
668		[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-carbamic acid cyclopentyl ester
669		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-benzenesulfonamide
670		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-C-phenyl-methanesulfonamide
671		Cyclohexanesulfonic acid [6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
672		Hexane-1-sulfonic acid [6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
673		Prop-2-ene-1-sulfonic acid [6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide

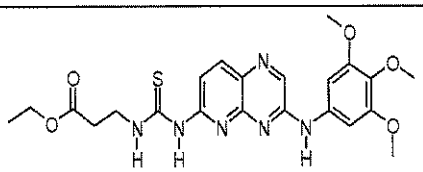
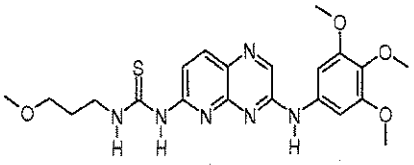
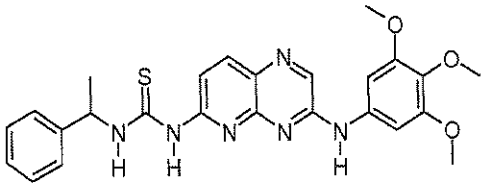
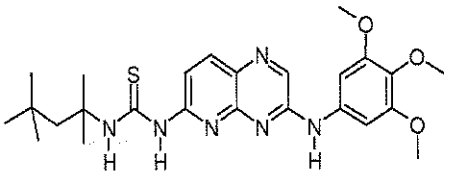
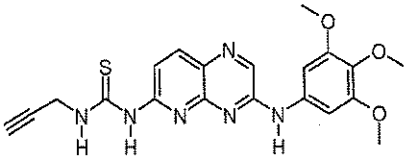
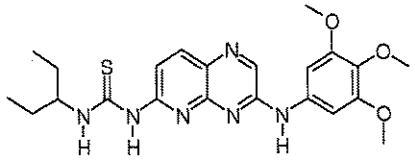
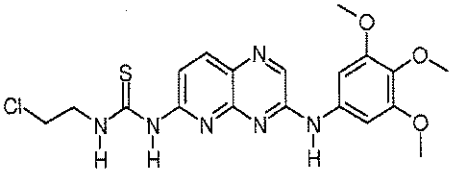
674		Cyclopropanesulfonic acid [6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-amide
675		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-methyl-benzenesulfonamide
676		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-acetamidine
677		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-benzamidine
678		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-cyclohexanecarboxa-midine
679		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-2,2-dimethyl-propionamidine
680		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-isobutyramidine

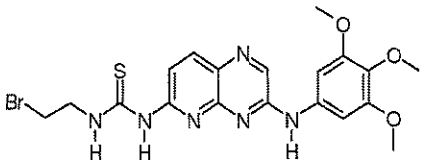
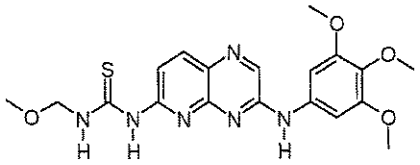
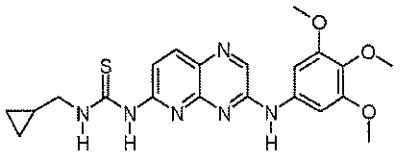
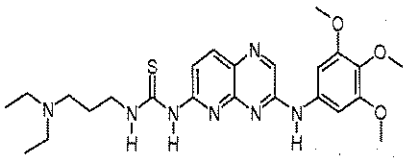
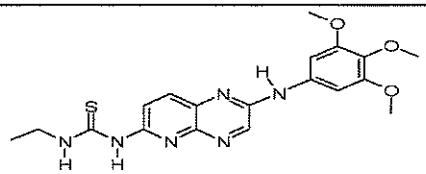
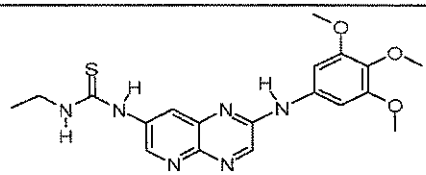
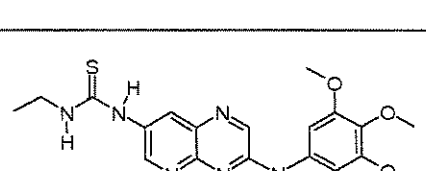
681		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-2-phenyl-acetamidine
682		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-4-hydroxy-benzamidine
683		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-guanidine
684		N-Cyclohexyl-N'-[6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-guanidine
685		N-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-N'-ethyl-guanidine
686		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-1-methyl-urea
687		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-1,3,3-trimethyl-urea

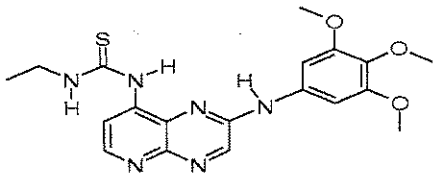
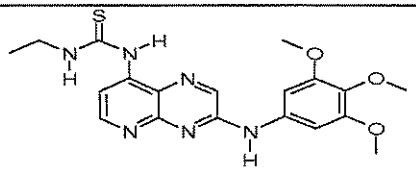
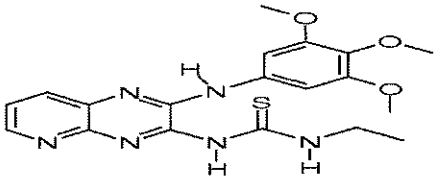
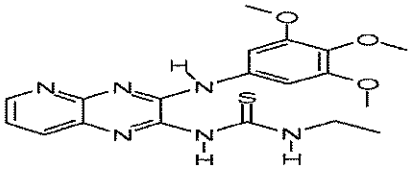
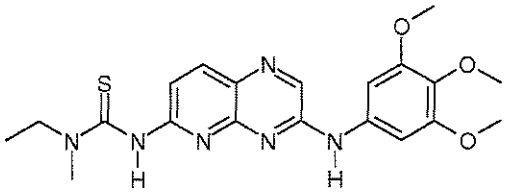
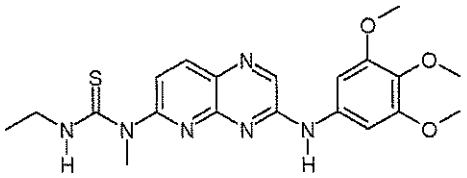
688		1-Benzyl-1-[6-(3,4-dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea
689		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-1-phenethyl-urea
690		1-Cyclohexyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
691		1-Cyclooctyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
692		1-Methyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
693		1-Allyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
694		1-tert-Butyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

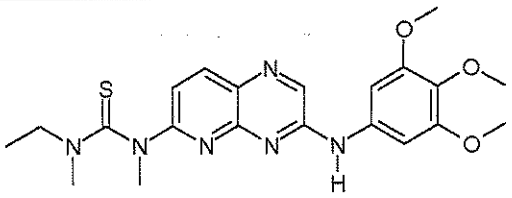
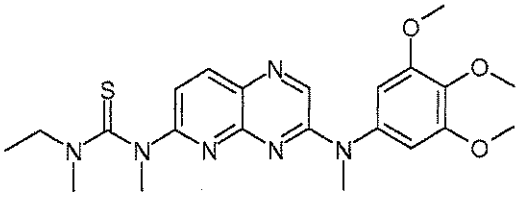
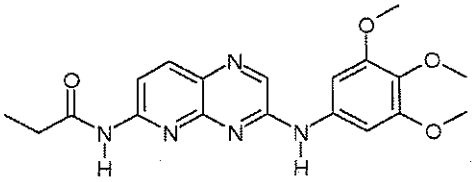
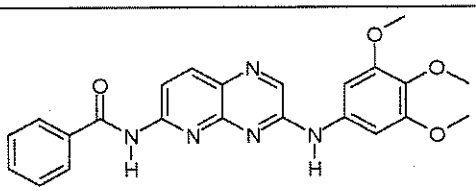
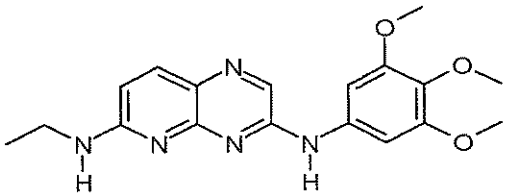
695		1-(3,5-Dimethyl-isoxazol-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
696		1-Cyclohexylmethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
697		1-Benzyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
698		1-(4-Methyl-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
699		1-Phenethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
700		1-(2-Piperidin-1-yl-ethyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
701		1-(4-Fluoro-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

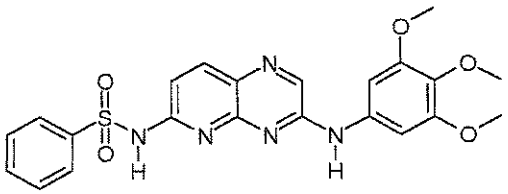
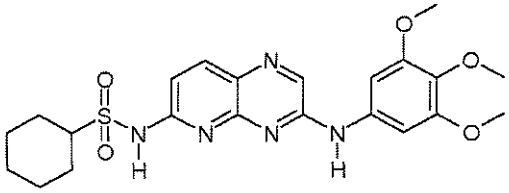
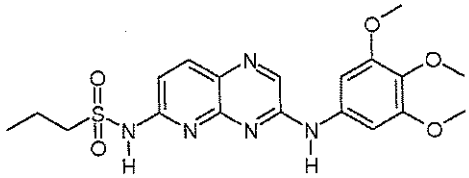
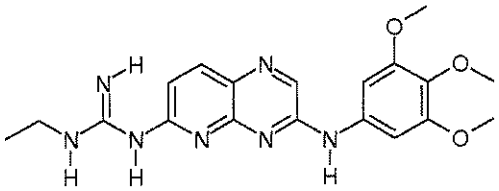
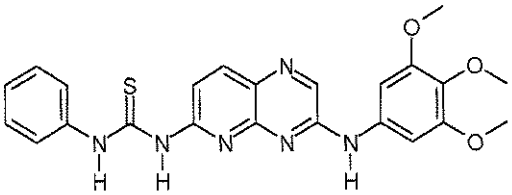
702		1-Pentyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
703		1-(2-Morpholin-4-yl-ethyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
704		1-(3-Phenyl-propyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
705		1-(2-Methoxy-ethyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
706		1-Ethyl-3-{3-[methyl-(3,4,5-trimethoxy-phenyl)-amino]-pyrido[2,3-b]pyrazin-6-yl}-thiourea
707		1-Cyclopropyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
708		1-Furan-2-ylmethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

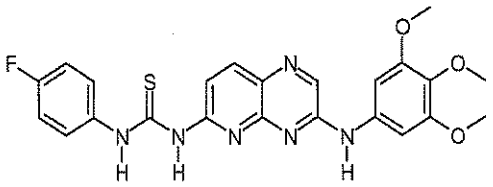
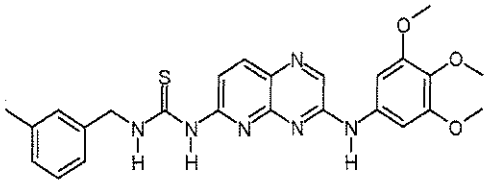
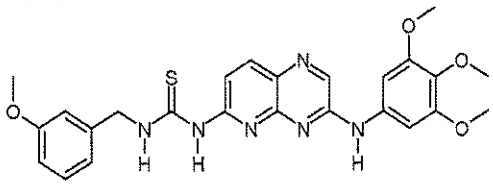
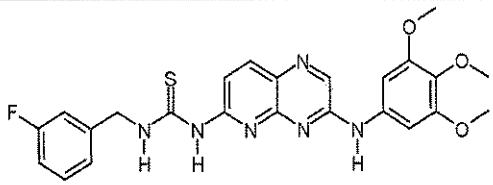
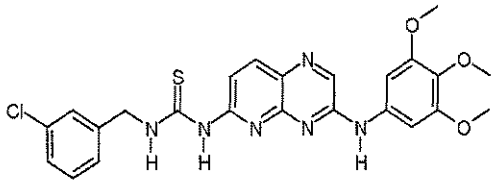
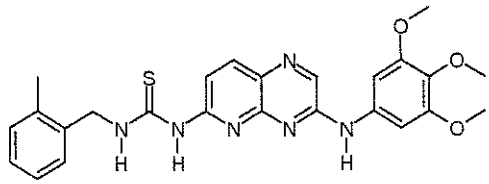
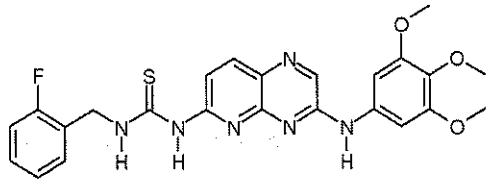
709		3-{3-[3-(3,4,5-Trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thioureido}-propionic acid ethyl ester
710		1-(3-Methoxy-propyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
711		1-(1-Phenyl-ethyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
712		1-(1,1,3,3-Tetramethyl-butyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
713		1-Prop-2-ynyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
714		1-(1-Ethyl-propyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
715		1-(2-Chloro-ethyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

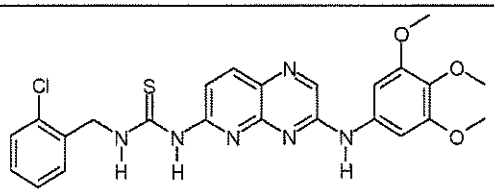
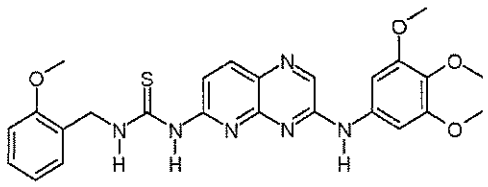
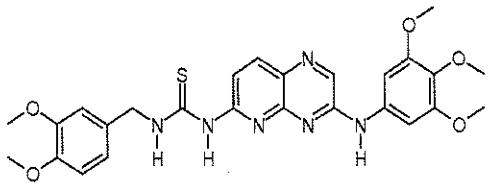
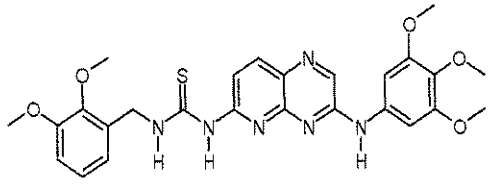
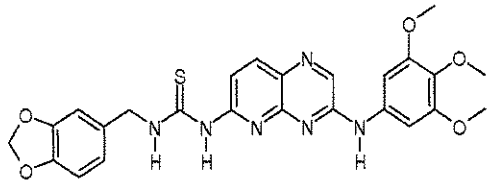
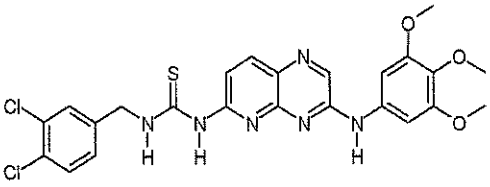
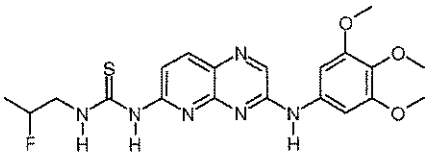
716		1-(2-Bromo-ethyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
717		1-Methoxymethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
718		1-Cyclopropylmethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
719		1-(3-Diethylamino-propyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
720		1-Ethyl-3-[2-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
721		1-Ethyl-3-[2-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-7-yl]-thiourea
722		1-Ethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-7-yl]-thiourea

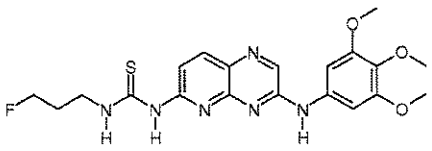
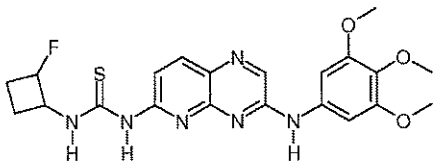
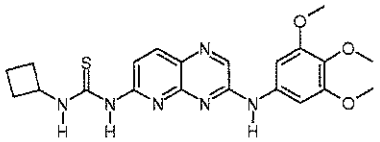
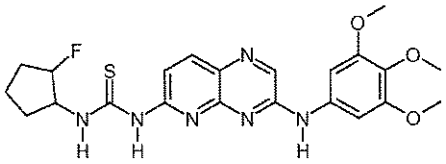
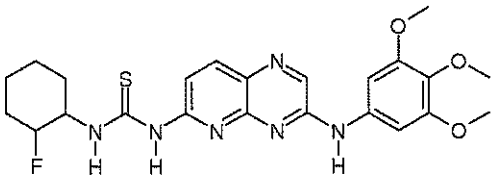
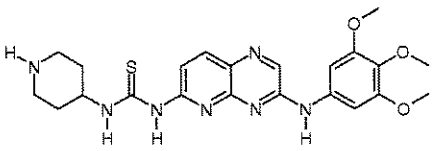
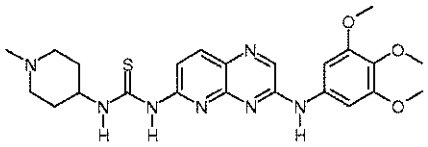
723		1-Ethyl-3-[2-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-8-yl]-thiourea
724		1-Ethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-8-yl]-thiourea
725		1-Ethyl-3-[2-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-thiourea
726		1-Ethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-2-yl]-thiourea
727		1-Ethyl-1-methyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
728		3-Ethyl-1-methyl-1-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

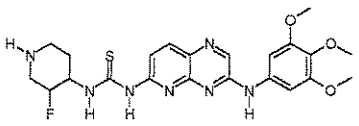
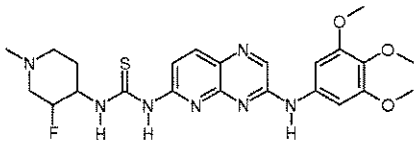
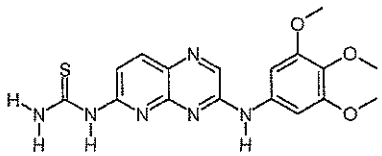
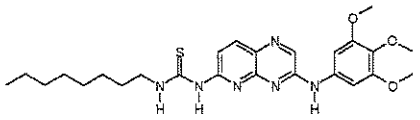
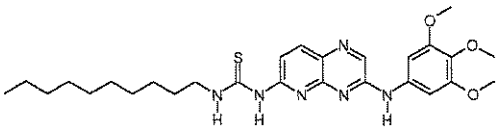
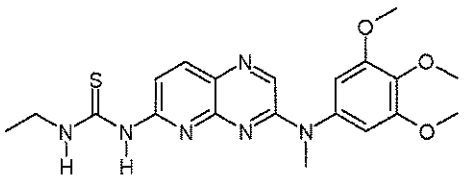
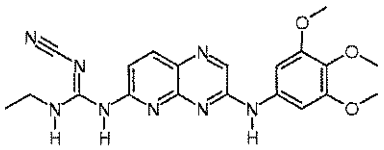
729		1-Ethyl-1,3-dimethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
730		1-Ethyl-1,3-dimethyl-3-{3-[methyl-(3,4,5-trimethoxy-phenyl)-amino]-pyrido[2,3-b]pyrazin-6-yl}-thiourea
731		N-[3-(3,4,5-Trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-propionamide
732		N-[3-(3,4,5-Trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-benzamide
733		N*6*-Ethyl-N*3*-(3,4,5-trimethoxy-phenyl)-pyrido[2,3-b]pyrazine-3,6-diamine

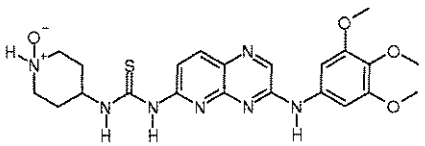
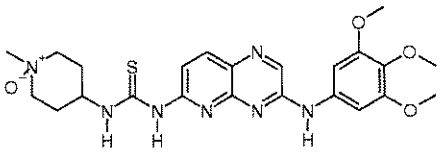
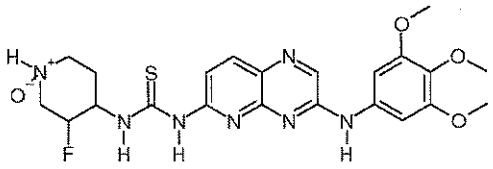
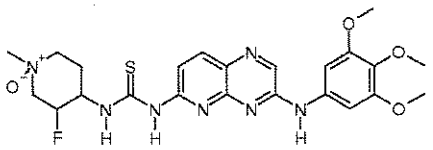
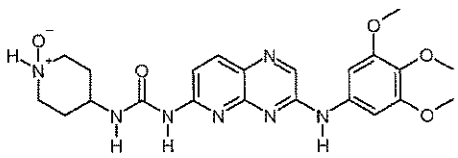
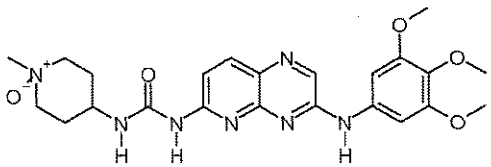
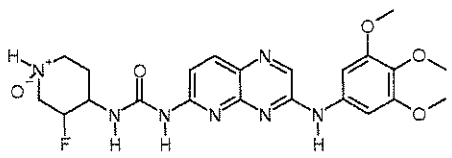
734		N-[3-(3,4,5-Trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-benzenesulfonamide
735		Cyclohexanesulfonic acid [3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-amide
736		Propane-1-sulfonic acid [3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-amide
737		N-Ethyl-N'-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-guanidine
738		1-Phenyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

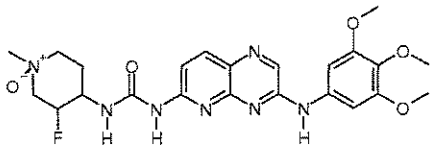
739		1-(4-Fluoro-phenyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
740		1-(3-Methyl-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
741		1-(3-Methoxy-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
742		1-(3-Fluoro-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
743		1-(3-Chloro-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
744		1-(2-Methyl-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
745		1-(2-Fluoro-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

746		1-(2-Chloro-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
747		1-(2-Methoxy-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
748		1-(3,4-Dimethoxy-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
749		1-(2,3-Dimethoxy-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
750		1-Benzo[1,3]dioxol-5-ylmethyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
751		1-(3,4-Dichloro-benzyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
752		1-(2-Fluoro-propyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

753		1-(3-Fluoro-propyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
754		1-(2-Fluoro-cyclobutyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
755		1-Cyclobutyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
756		1-(2-Fluoro-cyclopentyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
757		1-(2-Fluoro-cyclohexyl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
758		1-Piperidin-4-yl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
759		1-(1-Methyl-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea

760		1-(3-Fluoro-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
761		1-(3-Fluoro-1-methyl-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
762		[3-(3,4,5-Trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
763		1-Octyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
764		1-Decyl-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
765		1-Ethyl-3-{3-[methyl-(3,4,5-trimethoxy-phenyl)-amino]-pyrido[2,3-b]pyrazin-6-yl}-thiourea
766		Namensgebung mit Autonom nicht möglich

767		1-(1-Oxy-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
768		1-(1-Methyl-1-oxy-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
769		1-(3-Fluoro-1-oxy-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
770		1-(3-Fluoro-1-methyl-1-oxy-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-thiourea
771		1-(1-Oxy-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
772		1-(1-Methyl-1-oxy-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea
773		1-(3-Fluoro-1-oxy-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

774		1-(3-Fluoro-1-methyl-1-oxy-piperidin-4-yl)-3-[3-(3,4,5-trimethoxy-phenylamino)-pyrido[2,3-b]pyrazin-6-yl]-urea

For the avoidance of doubt, if chemical name and chemical structure of the above illustrated compounds do not correspond by mistake, the chemical structure is regarded to unambigously define the compound.

All the above generically or explicitly disclosed pyrido[2,3-b]pyrazine derivatives, including preferred subsets/embodiments of general formula (I) and Compounds **1 to 774** are hereinafter referred to as compounds of the (present) invention.

The terms indicated for explanation of the above compounds of the invention always, unless indicated otherwise in the description or in the claims, have the following meanings:

The term "unsubstituted" means that the corresponding radical, group or moiety has no substituents.

The term "substituted" means that the corresponding radical, group or moiety has one or more substituents. Where a radical has a plurality of substituents, and a selection of various substituents is specified, the substituents are selected independently of one another and do not need to be identical.

The term "alkyl" for the purposes of this invention refers to acyclic saturated or unsaturated hydrocarbon radicals which may be branched or straight-chain and have 1 to 8 carbon atoms, i.e. C_{1-8}-alkanyls, C_{2-8}-alkenyls and C_{2-8}-alkynyls. Alkenyls have at least one C-C double bond and alkynyls at least one C-C triple bond. Alkynyls may additionally also have at least one C-C double bond. Examples of suitable alkyl radicals are methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, iso-pentyl, neo-pentyl, tert-pentyl, 2- or 3-methyl-pentyl, n-hexyl, 2-hexyl, isohexyl, n-heptyl, n-octyl, n-nonyl, n-decyl, n-undecyl, n-dodecyl, n-tetradecyl, n-hexadecyl, n-octadecyl, n-icosanyl, n-docosanyl, ethylenyl (vinyl), propenyl ($-CH_2CH=CH_2$; $-CH=CH-CH_3$, $-C(=CH_2)-CH_3$), butenyl, pentenyl, hexenyl, heptenyl, octenyl, octadienyl, octade-

cenyl, octadec-9-enyl, icosenyl, icos-11-enyl, (Z)-icos-11-enyl, docosnyl, docos-13-enyl, (Z)-docos-13-enyl, ethynyl, propynyl ($-CH_2-C\equiv CH$, $-C\equiv C-CH_3$), butynyl, pentynyl, hexynyl, heptynyl, octynyl.

The term "(C_9-C_{30})alkyl" for the purposes of this invention refers to acyclic saturated or unsaturated hydrocarbon radicals which may be branched or straight-chain and have 9 to 30 carbon atoms, i.e. C_{9-30}-alkanyls, C_{9-30}-alkenyls and C_{9-30}-alkynyls. C_{9-30}-Alkenyls have at least one C-C double bond and C_{9-30}-alkynyls at least one C-C triple bond. C_{9-30}-Alkynyls may additionally also have at least one C-C double bond. Examples of suitable (C_9-C_{30})alkyl radicals are tetradecyl, hexadecyl, octadecyl, eicosanyl, cis-13-docosenyl (erucyl), trans-13-docosenyl (brassidyl), cis-15-tetracosenyl (nervonyl) and trans-15-tetracosenyl.

The term "cycloalkyl" for the purposes of this invention refers to saturated and partially unsaturated non-aromatic cyclic hydrocarbon groups/radicals, having 1 to 3 rings, that contain 3 to 20, preferably 3 to 12, most preferably 3 to 8 carbon atoms. The cycloalkyl radical may also be part of a bi- or polycyclic system, where, for example, the cycloalkyl radical is fused to an aryl, heteroaryl or heterocyclyl radical as defined herein by any possible and desired ring member(s). The bonding to the compounds of the general formula (I) can be effected via any possible ring member of the cycloalkyl radical. Examples of suitable cycloalkyl radicals are cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclodecyl, cyclohexenyl, cyclopentenyl and cyclooctadienyl.

The term "heterocyclyl" for the purposes of this invention refers to a mono- or polycyclic system of 3 to 20, preferably 5 or 6 to 14 ring atoms comprising carbon atoms and 1, 2, 3, 4, or 5 heteroatoms, in particular nitrogen, oxygen and/or sulfur which are identical or different. The cyclic system may be saturated, mono- or polyunsaturated but may not be aromatic. In the case of a cyclic system consisting of at least two rings the rings may be fused or spiro- or otherwise connected. Such "heterocyclyl" radicals can be linked via any ring member. The term "heterocyclyl" also includes systems in which the heterocycle is part of a bi- or polycyclic saturated, partially unsaturated and/or aromatic system, such as where the heterocycle is fused to an "aryl", "cycloalkyl", "heteroaryl" or "heterocyclyl" group as defined herein via any desired and possible ring member of the heterocycyl radical. The bonding to the compounds of the general formula (I) can be effected via any possible ring member of the heterocycyl radical. Examples of suitable "heterocyclyl" radicals are pyrrolidinyl, thiapyrrolidinyl, piperidinyl,

piperazinyl, oxapiperazinyl, oxapiperidinyl, oxadiazolyl, tetrahydrofuryl, imidazolidinyl, thiazolidinyl, tetrahydropyranyl, morpholinyl, tetrahydrothiophenyl, dihydropyranyl.

The term "aryl" for the purposes of this invention refers to aromatic hydrocarbon systems having 3 to 14, preferably 5 to 14, more preferably 6 to 14 carbon atoms. The term "aryl" also includes systems in which the aromatic cycle is part of a bi- or polycyclic saturated, partially unsaturated and/or aromatic system, such as where the aromatic cycle is fused to an "aryl", "cycloalkyl", "heteroaryl" or "heterocyclyl" group as defined herein via any desired and possible ring member of the aryl radical. The bonding to the compounds of the general formula (I) can be effected via any possible ring member of the aryl radical. Examples of suitable "aryl" radicals are phenyl, biphenyl, naphthyl and anthracenyl, but likewise indanyl, indenyl, or 1,2,3,4-tetrahydronaphthyl.

The term "heteroaryl" for the purposes of this invention refers to a 3 to 14, preferably 5 to 14, more preferably 5-, 6- or 7-membered cyclic aromatic hydrocarbon radical which comprises at least 1, where appropriate also 2, 3, 4 or 5 heteroatoms, preferably nitrogen, oxygen and/or sulfur, where the heteroatoms are identical or different. The number of nitrogen atoms is preferably 0, 1, 2, or 3, and that of the oxygen and sulfur atoms is independently 0 or 1. The term "heteroaryl" also includes systems in which the aromatic cycle is part of a bi- or polycyclic saturated, partially unsaturated and/or aromatic system, such as where the aromatic cycle is fused to an "aryl", "cycloalkyl", "heteroaryl" or "heterocyclyl" group as defined herein via any desired and possible ring member of the heteroaryl radical. The bonding to the compounds of the general formula (I) can be effected via any possible ring member of the heteroaryl radical. Examples of suitable "heteroaryl" are pyrrolyl, thienyl, furyl, imidazolyl, thiazolyl, isothiazolyl, oxazolyl, oxadiazolyl, isoxazolyl, pyrazolyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, indolyl, quinolinyl, isoquinolinyl, imidazolyl, triazolyl, triazinyl, tetrazolyl, phthalazinyl, indazolyl, indolizinyl, quinoxalinyl, quinazolinyl, pteridinyl, carbazolyl, phenazinyl, phenoxazinyl, phenothiazinyl, acridinyl.

For the purposes of the present invention, the terms "alkyl-cycloalkyl", "cycloalkylalkyl", "alkyl-heterocyclyl", "heterocyclylalkyl", "alkyl-aryl", "arylalkyl", "alkyl-heteroaryl" and "heteroarylalkyl" mean that alkyl, cycloalkyl, heterocycl, aryl and heteroaryl are each as defined above, and the cycloalkyl, heterocyclyl, aryl and heteroaryl radical is bonded to the compounds of the general formula (I) via an alkyl radical, preferably C_1-C_8-alkyl radical, more preferably C_1-C_4-alkyl radical.

The term "halogen", "halogen atom" or "halogen substituent" (Hal-) for the purposes

of this invention refers to one, where appropriate, a plurality of fluorine (F, fluoro), bromine (Br, bromo), chlorine (Cl, chloro), or iodine (I, iodo) atoms. The designations "dihalogen", "trihalogen" and "perhalogen" refer respectively to two, three and four substituents, where each substituent can be selected independently from the group consisting of fluorine, chlorine, bromine and iodine. "Halogen" preferably means a fluorine, chlorine or bromine atom.

All stereoisomers of the compounds of the invention are contemplated, either in a mixture or in pure or substantially pure form. The compounds of the invention can have asymmetric centers at any of the carbon atoms. Consequently, they can exist in the form of their racemates, in the form of the pure enantiomers and/or diastereomers or in the form of mixtures of these enantiomers and/or diastereomers. The mixtures may have any desired mixing ratio of the stereoisomers.

Thus, for example, the compounds of the invention which have one or more centers of chirality and which occur as racemates or as diastereomer mixtures can be fractionated by methods known per se into their optical pure isomers, i.e. enantiomers or diastereomers. The separation of the compounds of the invention can take place by column separation on chiral or nonchiral phases or by recrystallization from an optionally optically active solvent or with use of an optically active acid or base or by derivatization with an optically active reagent such as, for example, an optically active alcohol, and subsequent elimination of the radical.

The compounds of the invention may be present in the form of their double bond isomers as "pure" E or Z isomers, or in the form of mixtures of these double bond isomers.

Where possible, the compounds of the invention may be in the form of the tautomers.

It is likewise possible for the compounds of the invention to be in the form of any desired prodrugs such as, for example, esters, carbonates, carbamates, ureas, amides, N-oxides or phosphates, in which cases the actually biologically active form is released only through metabolism. Any compound that can be converted in vivo to provide the bioactive agent (i.e. compounds of the invention) is a prodrug within the scope and spirit of the invention.

Various forms of prodrugs are well known in the art and are described for instance

in:

(i) Wermuth CG et al., Chapter 31: 671-696, The Practice of Medicinal Chemistry, Academic Press 1996;

(ii) Bundgaard H, Design of Prodrugs, Elsevier 1985; and

(iii) Bundgaard H, Chapter 5: 131-191, A Textbook of Drug Design and Development, Harwood Academic Publishers 1991.

Said references are incorporated herein by reference.

It is further known that chemical substances are converted in the body into metabolites which may where appropriate likewise elicit the desired biological effect - in some circumstances even in more pronounced form.

Any biologically active compound that was converted in vivo by metabolism from any of the compounds of the invention is a metabolite within the scope and spirit of the invention.

Corresponding prodrugs and metabolites of the compounds of the invention should be considered to be part of the invention.

The compounds of the invention can, if they have a sufficiently basic group such as, for example, a secondary or tertiary amine, be converted with inorganic and organic acids into salts. The pharmaceutically acceptable salts of the compounds of the invention are preferably formed with hydrochloric acid, hydrobromic acid, iodic acid, sulfuric acid, phosphoric acid, methanesulfonic acid, p-toluenesulfonic acid, carbonic acid, formic acid, acetic acid, sulfoacetic acid, trifluoroacetic acid, oxalic acid, malonic acid, maleic acid, succinic acid, tartaric acid, racemic acid, malic acid, embonic acid, mandelic acid, fumaric acid, lactic acid, citric acid, taurocholic acid, glutaric acid, stearic acid, glutamic acid or aspartic acid. The salts which are formed are, inter alia, hydrochlorides, chlorided, hydrobromides, bromides, iodides, sulfates, phosphates, methanesulfonates, tosylates, carbonates, bicarbonates, formates, acetates, sulfoacetates, triflates, oxalates, malonates, maleates, succinates, tartrates, malates, embonates, mandelates, fumarates, lactates, citrates, glutarates, stearates, aspartates and glutamates. The stoichiometry of the salts formed from the compounds of the invention may moreover be an integral or non-integral multiple of one.

The compounds of the invention can, if they contain a sufficiently acidic group such as, for example, the carboxy, sulfonic acid, phosphoric acid or a phenolic group, be

converted with inorganic and organic bases into their physiologically tolerated salts. Examples of suitable inorganic bases are ammonium, sodium hydroxide, potassium hydroxide, calcium hydroxide, and of organic bases are ethanolamine, diethanolamine, triethanolamine, ethylenediamine, t-butylamine, t-octylamine, dehydroabietylamine, cyclohexylamine, dibenzylethylene-diamine and lysine. The stoichiometry of the salts formed from the compounds of the invention can moreover be an integral or non-integral multiple of one.

It is likewise possible for the compounds of the invention to be in the form of their solvates and, in particular, hydrates which can be obtained for example by crystallization from a solvent or from aqueous solution. It is moreover possible for one, two, three or any number of solvate or water molecules to combine with the compounds of the invention to give solvates and hydrates.

It is known that chemical substances form solids which exist in different order states which are referred to as polymorphic forms or modifications. The various modifications of a polymorphic substance may differ greatly in their physical properties. The compounds of the invention can exist in various polymorphic forms and certain modifications may moreover be metastable. All these polymorphic forms of the compounds are to be regarded as belonging to the invention.

It has now been found in a surprising and advantageous manner that the compounds of the invention can also act, i.e. have a modulating or inhibiting effect, on two or more signal transduction pathways or enzymes of such pathways. It has been found that the compounds of the invention act, i.e. modulate or inhibit, with high selectivity.

Such a simultaneous, for example dual, modulation or inhibition of two or more signal transduction pathways, for example ras-Raf-Mek-Erk signal pathway, PI3K-Akt signal pathway and/or SAPK signal pathway, more specifically Erk1/Erk2 and/or PI3K and/or Jnk and/or p38, is advantageous over the only single modulation or inhibition of one signal transduction pathway, since synergistic therapeutic effects can be brought about, for example enhanced apoptosis and more rapid and efficient tumor regression.

The surprising advantageous effects of the compounds of the invention enable multiple therapeutic approaches to be pursued in the physiological and/or pathophysiological states or conditions which are sensitive to the treatment or modulation of, or are mediated by, two or more signal transduction pathways.

It has also been found in a surprising and advantageous manner that the compounds of the invention can also act, i.e. have modulating or inhibiting action, with high selectivity on the ras-Raf-Mek-Erk signal transduction pathway or enzymes thereof, and that the multiple mechanisms of action and therapeutic approaches detailed above can also find use with this signal pathway or enzymes.

It has also been found in a surprising and advantageous manner that the compounds of the invention can also act, i.e. have modulating or inhibiting action, with high selectivity on the PI3K-Akt signal transduction pathway or enzymes thereof, and that the multiple mechanisms of action and therapeutic approaches detailed above can also find use with this signal pathway or enzymes.

It has also been found in a surprising and advantageous manner that the compounds of the invention can also act, i.e. have modulating or inhibiting action, with high selectivity on the SAPK signal transduction pathway or enzymes thereof, and that the multiple mechanisms of action and therapeutic approaches detailed above can also find use with this signal pathway or enzymes.

It has additionally been found in a surprising and advantageous manner that the compounds of the invention can also act, i.e. have a modulating or inhibiting action, with high selectivity on enzymes such as ATM, ATR, mTOR, DNA-PK and/or hSMG-1, and that the multiple mechanisms of action and therapeutic approaches detailed above can also find use with these enzymes.

According to the invention, the term "modulation" is understood to mean the following: "activation, partial activation, inhibition, partial inhibition". It is within the technical knowledge of the average person skilled in the art to measure and to determine such an activation, partial activation, inhibition or partial inhibition by means of the customary measurement and determination methods. For example, a partial activation can be measured and determined in relation to a full activation; and likewise a partial inhibition in relation to a full inhibition.

According to the invention, the term "inhibition" is understood to mean the following: "partial or full inhibition". It is within the technical knowledge of the average person skilled in the art to measure and to determine such a partial or full inhibition by means of the customary measurement and determination methods. For example, partial inhibition can be measured and determined in relation to full inhibition.

The terms "modulation" and "inhibition" relate, in connection with "enzymes" and/or "kinases" in the context of this invention, both to the inactive form (enzymatically inactive) and/or active form (enzymatically active) of the particular enzyme and/or kinase. This means in the context of this invention that a compound of the invention can display its modulating action on the inactive form, active form or both forms of the enzyme and/or kinase.

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the present invention or pharmaceutical compositions as described herein for use as a medicament.

In another aspect, the object of the present invention has surprisingly been solved by providing the use of the compounds of the invention or pharmaceutical compositions as described herein for the manufacture of a medicament for the treatment or prophylaxis of the physiological and/or pathological conditions described herein.

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the invention for use in the manufacture of a medicament for modulating misdirected cellular signal transduction processes, especially for influencing the function of active and inactive receptor tyrosine kinases, and also cytoplasmic tyrosine, serine/threonine and lipid kinases, such as c-Raf, B-Raf, Mek, MAPKs, PDGFRbeta, Flt-3, IGF1R, PI3K, PKB/Akt1, c-Kit, c-Abl, FGFR1 and KDR.

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the invention for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, that are mediated by one or more signal transduction pathways selected from the group consisting of: "ras-Raf-Mek-Erk signal transduction pathway, PI3K-Akt signal transduction pathway and/or SAPK signal transduction pathway".

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the invention for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals mediated by one or more enzymes selected from the group consisting of: "ATM, ATR, mTOR, DNA-PK, hSMG-1".

In a further aspect, the object of the invention has surprisingly been solved by provid-

ing the compounds of the invention for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the treatment or prophylaxis is brought about by modification of one or more enzymes selected from the group consisting of: "ATM, ATR, mTOR, DNA-PK, hSMG-1".

In a preferred embodiment, the compounds of the invention are provided for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions mediated by ras-Raf-Mek-Erk signal transduction pathway and PI3K-Akt signal transduction pathway in mammals, and/or for the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the treatment or prophylaxis is brought about by modulation of ras-Raf-Mek-Erk signal transduction pathway and of PI3K-Akt signal transduction pathway.

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the invention for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions mediated by ras-Raf-Mek-Erk signal transduction pathway in mammals.

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the invention for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions mediated by PI3K-Akt signal transduction pathway in mammals.

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the invention for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the treatment or prophylaxis is brought about by modulation of PI3K-Akt signal transduction pathway.

In a preferred embodiment, the compounds of the invention are provided for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions mediated by SAPK signal transduction pathway and PI3K-Akt signal transduction pathway in mammals, and/or for the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the treatment or prophylaxis is brought about by modulation of SAPK signal transduction pathway and of PI3K-Akt signal transduction pathway.

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the invention for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions mediated by SAPK signal transduction pathway in mammals.

In a further aspect, the object of the invention has surprisingly been solved by providing the compounds of the invention for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the treatment or prophylaxis is brought about by modulation of SAPK signal transduction pathway.

In a preferred embodiment, the compounds of the invention are provided for the uses detailed above, where the modulation of ras-Raf-Mek-Erk signal transduction pathway is brought about by modulation of one or more enzymes selected from the group consisting of: "tyrosine kinase, serine/threonine kinase, receptor tyrosine kinase, cytoplasmic tyrosine kinase, cytoplasmic serine/threonine kinase" and preferably selected from the group consisting of "Erk, Erk1, Erk2".

In a further preferred embodiment, the compounds of the invention are provided for the uses as detailed above, where the modulation of PI3K-Akt signal transduction pathway is brought about by modulation of one or more enzymes selected from the group consisting of "lipid kinases" and preferably selected from the group consisting of: "PI3K, PI3Kalpha, PI3Kbeta, PI3Kgamma, PI3Kdelta, PI3K-C2alpha, PI3K-C2beta, PI3K-Vps34p".

In a further preferred embodiment, the compounds of the invention are provided for the uses as detailed above, where the modulation of SAPK signal transduction pathway is brought about by modulation of one or more enzymes selected from the group consisting of: "tyrosine kinase, serine/threonine kinase, receptor tyrosine kinase, cytoplasmatic tyrosine kinase, cytoplasmatic serine/threonine kinase" and preferably selected from the group consisting of: "Jnk, Jnk1, Jnk2, Jnk3, p38, p38alpha, p38beta, p38gamma, p38delta".

In a further aspect, the object of the invention has surprisingly been solved by providing a process of manufacturing the compounds of the invention.

In a further aspect, the object of the invention has surprisingly been solved by provid-

ing the compounds of the invention according to the aspects, preferred embodiments and uses detailed above for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the treatment or prophylaxis is brought about by modulation of two or more enzymes.

In a more preferred embodiment, the compounds of the invention are provided for the uses detailed above, where at least one enzyme in the treatment or prophylaxis brought about by modulation of two or more enzymes is selected from the group consisting of: "Erk, Erk1, Erk2" and at least one enzyme is selected from the group consisting of: "PI3K, PI3Kalpha, PI3Kbeta, PI3Kgamma, PI3Kdelta, PI3K-C2alpha, PI3K-C2beta, PI3K-Vps34p".

In a more preferred embodiment, the compounds of the invention are provided for the uses detailed above, where at least one enzyme in the treatment or prophylaxis brought about by modulation of two or more enzymes is selected from the group consisting of: "Jnk, Jnk1, Jnk2, Jnk3, p38, p38alpha, p38beta, p38gamma, p38delta" and at least one enzyme is selected from the group consisting of: "PI3K, PI3Kalpha, PI3Kbeta, PI3Kgamma, PI3Kdelta, PI3K-C2alpha, PI3K-C2beta, PI3K-Vps34p".

In a more preferred embodiment, the compounds of the invention are provided for the uses detailed above, where at least one enzyme in the treatment or prophylaxis brought about by modulation of two or more enzymes is selected from the group consisting of: "Erk, Erk1, Erk2" and at least one enzyme is selected from the group consisting of: "ATM, ATR, mTOR, DNA-PK, hSMG-1".

In a more preferred embodiment, the compounds of the invention are provided for the uses detailed above, where at least one enzyme in the treatment or prophylaxis brought about by modulation of two or more enzymes is selected from the group consisting of: "Jnk, Jnk1, Jnk2, Jnk3, p38, p38alpha, p38beta, p38gamma, p38delta" and at least one enzyme is selected from the group consisting of: "ATM, ATR, mTOR, DNA-PK, hSMG-1".

In a more preferred embodiment, the compounds of the invention are provided for the uses detailed above, where at least one enzyme in the treatment or prophylaxis brought about by modulation of two or more enzymes is selected from the group consisting of: „PI3K, PI3Kalpha, PI3Kbeta, PI3Kgamma, PI3Kdelta, PI3K-C2alpha, PI3K-C2beta, PI3K-Vps34p" and at least one enzyme is selected from the group consisting of: "ATM, ATR, mTOR, DNA-PK, hSMG-1".

In another preferred embodiment, the compounds of the invention are provided for the uses detailed above, where the modulation is an inhibition.

Likewise, corresponding medicaments comprising at least one compound of the invention or at least one pharmaceutical composition as described herein for use in the treatment or prophylaxis of the herein disclosed physiological and/or pathological conditions are also comprised by the present invention.

For the purpose of the present invention, the compounds of the invention may be administered to all known mammals, especially to the human, for treatment and/or prophylaxis.

Preferably, such mammals are selected from the group consisting of "human, domestic animals, cattle, livestock, pets, cow, sheep, pig, goat, horse, pony, donkey, hinny, mule, hare, rabbit, cat, dog, guinea pig, hamster, rat, mouse". More preferably, such mammals are human.

For the purpose of the present invention, the compounds of the invention may be used for the treatment or prophylaxis of all known physiological and/or pathophysiological conditions.

In a preferred embodiment, the compounds of the invention are provided for the uses detailed above, where the physiological and/or pathophysiological conditions are selected from the group consisting of: "malignant tumors, benign tumors, inflammatory disorders, inflammations, pain, rheumatic disorders, arthritic disorders, HIV infections, neurological or neurodegenerative disorders, rheumatism, arthritis, AIDS, ARC (AIDS related complex), Kaposi's sarcoma, tumors originating in the brain and/or nervous system and/or meninges (refer to WO 99/01764), dementia, Alzheimer's disease, hyperproliferative disorders, psoriasis, endometriosis, scar formation, benign prostate hyperplasia (BPH), disorders of the immune system, autoimmune disorders, immune deficiency disorders, colon tumor, stomach tumor, intestine tumor, lung tumor, pancreas tumor, ovarian tumor, prostate tumor, leukemia, melanoma, liver tumor, kidney tumor, head tumor, throat tumor, glioma, breast tumor, uterine cancer, endometrial cancer, cervical cancer, brain tumor, adenocanthoma, bladder cancer, colorectal tumor, esophageal cancer, gynecological tumor, ovarian tumor, thyroid cancer, lymphoma, chronic leukemia, acute leukemia, restenosis, diabetes, diabetic nephropathy, fibrotic disorders, cys-

tic fibrosis, malignant nephrosclerosis, thrombotic microangiopathy syndrome, organ transplant rejection, glomerulopathies, disorders of the metabolism, solid tumors, rheumatic arthritis, diabetic retinopathy, asthma, allergies, allergic disorders, chronic obstructive pulmonary disorders, inflammatory bowel disorder, fibrosis, atherosclerosis, cardiac disorders, cardiovascular disorders, disorders of the heart muscle, vascular disorders, angiogenetic disorders, kidney disorders, rhinitis, Grave's disease, focal ischemia, heart failure, ischemia, cardiac hypertrophy, kidney failure, cardiac myocyte dysfunction, high blood pressure, vascular constriction, stroke, anaphylactic shock, blood platelet agglutination, skeletal muscular atrophy, obesity, excess weight, glucose homeostasis, congestive heart failure, angina, heart attack, myocardial infarction, hyperglycemia, hypoglycemia, hypertension".

In a further aspect of the present invention, the object of the invention has surprisingly been solved by providing the compounds of the invention according to the aspects, preferred embodiments and uses detailed above for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the medicament comprises at least one further pharmacologically active substance.

In a further aspect of the present invention, the object of the invention has surprisingly been solved by providing the compounds of the invention according to the aspects, preferred embodiments and uses detailed above for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the medicament is administered before and/or during and/or after the treatment with at least one further pharmacologically active substance.

In a further aspect of the present invention, the object of the invention has surprisingly been solved by providing the compounds of the invention according to the aspects, preferred embodiments and uses detailed above for use in the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathophysiological conditions in mammals, where the medicament is administered before and/or during and/or after the treatment with radiation therapy and/or surgery.

In the context of the present invention, the compounds of the invention may be administered with all known pharmacologically active substances in a combination therapy as detailed.

In a preferred embodiment, the compounds of the invention are provided for the uses detailed above, where the further pharmacologically active substance is selected from the group consisting of: "DNA topoisomerase I and/or II inhibitors, DNA intercalators, alkylating agents, microtubuli destabilizers, hormone and/or growth factor receptor agonists and/or antagonists, antibodies against growth factors and their receptors, kinase inhibitors, antimetabolites".

In a preferred embodiment, the compounds of the invention are provided for the above uses, where the further pharmacologically active substance is selected from the group consisting of: "asparaginase, bleomycin, carboplatin, carmustine, chlorambucil, cisplatin, colaspase, cyclophosphamide, cytarabine, dacarbazine, dactinomycin, daunorubicin, doxorubicin (adriamycin), epirubicin, etoposide, 5-fluorouracil, hexamethylmelamine, hydroxyurea, ifosfamide, irinotecan, leucovorin, lomustine mechlorethamine, 6-mercaptopurine, mesna, methotrexate, mitomycin C, mitoxantrone, prednisolone, prednisone, procarbazine, raloxifen, streptozocin, tamoxifen, thioguanine, topotecan, vinblastine, vincristine, vindesine, aminoglutethimide, L-asparaginase, azathioprine, 5-azacytidine cladribine, busulfan, diethylstilbestrol, 2',2'-difluorodeoxycytidine, docetaxel, erythrohydroxynonyladenine, ethynylestradiol, 5-fluorodeoxyuridine, 5-fluorodeoxyuridine monophosphate, fludarabine phosphate, fluoxymesterone, flutamide, hydroxyprogesterone caproate, idarubicin, interferon, medroxyprogesterone acetate, megestrol acetate, melphalan, mitotane, paclitaxel, oxaliplatin, pentostatin, N-phosphonoacetyl-L-aspartate (PALA), plicamycin, semustine, teniposide, testosterone propionate, thiotepa, trimethylmelamine, uridine, vinorelbine, epothilone, gemcitabine, taxotere, BCNU, CCNU, DTIC, 5-fluorouarcil, herceptin, avastin, erbitux, sorafenib, gleevec, iressa, tarceva, rapamycin, actinomycin D, sunitinib (sutent)".

The compounds of the present invention and/or where appropriate additional pharmacologically active substances or pharmaceutical compositions as described herein can be administered in a known manner. The route of administration may thereby be any route which effectively transports the active compound to the appropriate or desired site of action, for example orally or non-orally, in particular topically, transdermally, pulmonary, rectally, intravaginally, nasally or parenteral or by implantation. Oral administration is preferred.

The compounds of the present invention and/or where appropriate additional pharmacologically active substances or pharmaceutical compositions as described herein can be administered as liquid, semisolid and solid medicinal forms. This takes place in

the manner suitable in each case in the form of aerosols, powders, dusting powders and epipastics, tablets including coated tablets, emulsions, foams, solutions, suspensions, gels, ointments, pastes, pills, pastilles, capsules or suppositories. They can be administered in a suitable dosage form to the skin, epicutaneously as solution, suspension, emulsion, foam, ointment, paste or plaster; via the oral and lingual mucosa, buccally, lingually or sublingually as tablet, pastille, coated tablet, linctus or gargle; via the gastric and intestinal mucosa, enterally as tablet, coated tablet, capsule, solution, suspension or emulsion; via the rectal mucosa, rectally as suppository, rectal capsule or ointment; via the nasal mucosa, nasally as drops, ointments or spray; via the bronchial and alveolar epithelium, by the pulmonary route or by inhalation as aerosol or inhalant; via the conjunctiva, conjunctivally as eyedrops, eye ointment, eye tablets, lamellae or eye lotion; via the mucosa of the genital organs, intravaginally as vaginal suppositories, ointments and douche, by the intrauterine route as uterine pessary; via the urinary tract, intraurethrally as irrigation, ointment or bougie; into an artery, intraarterially as injection; into a vein, intravenously as injection or infusion; into the skin, intracutaneously as injection or implant; under the skin, subcutaneously as injection or implant; into the muscle, intramuscularly as injection or implant; into the abdominal cavity, intraperitoneally as injection or infusion.

As already explained above, the compounds of the invention can also be combined with other pharmaceutically active substances. It is possible for the purpose of a combination therapy to administer the individual active ingredients simultaneously or separately, in particular either by the same route (for example orally) or by separate routes (for example orally and as injection). They may be present and administered in identical or different amounts in a unit dose. It is also possible to use a particular dosage regimen when this appears appropriate. It is also possible in this way to combine a plurality of the novel compounds according to the invention with one another.

The compounds of the invention and/or where appropriate additional pharmacologically active substances are converted into a form which can be administered and are mixed where appropriate with pharmaceutically acceptable carriers and/or auxiliaries and/or diluents. Suitable excipients and carriers are described for example in Zanowiak P, Ullmann's Encyclopedia of Industrial Chemistry 2005, Pharmaceutical Dosage Forms, 1-33; Spiegel AJ et al., Journal of Pharmaceutical Sciences 1963, 52: 917-927; Czetsch-Lindenwald H, Pharm. Ind. 1961, 2: 72-74; Fiedler HP, Lexikon der Hilfsstoffe für Pharmazie, Kosmetik and angrenzende Gebiete 2002, Editio Cantor Verlag, p65-

68.

Oral administration can take place for example in solid form as tablet, capsule, gel capsule, coated tablet, granulation or powder, but also in the form of a drinkable solution or emulsion. The compounds of the invention can for oral administration be combined with known and ordinarily used, physiologically acceptable auxiliaries and carriers, such as, for example, gum arabic, talc, starch, sugars such as, for example, mannitol, methylcellulose, lactose, gelatin, surface-active agents, magnesium stearate, cyclodextrins, aqueous or nonaqueous carriers, diluents, dispersants, emulsifiers, lubricants, preservatives and flavorings (e.g. essential oils). The compounds of the invention can also be dispersed in a microparticulate, e.g. nanoparticulate, composition.

Non-oral administration can take place for example by intravenous, subcutaneous, intramuscular injection of sterile aqueous or oily solutions, suspensions or emulsions, by means of implants or by ointments, creams or suppositories. Administration as sustained release form is also possible where appropriate. Implants may comprise inert materials, e.g. biodegradable polymers or synthetic silicones such as, for example, silicone rubber. Intravaginal administration is possible for example by means of vaginal rings. Intrauterine administration is possible for example by means of diaphragms or other suitable intrauterine devices. Transdermal administration is additionally provided, in particular by means of a formulation suitable for this purpose and/or suitable means such as, for example, patches.

The dosage may vary within a wide range depending on type and/or severity of the disease, physiological and/or pathological condition, the mode of administration, the age, gender, bodyweight and sensitivity of the subject to be treated. It is within the ability of a skilled worker to determine a "pharmacologically effective amount" of a compound of the invention and/or additional pharmacologically active substance. Administration can take place in a single dose or a plurality of separate dosages.

A suitable unit dose is, for example, from 0.001 mg to 100 mg of the active ingredient, i.e. at least one compound of the invention and, where appropriate, at least one additional pharmacologically active substance, per kg of a patient's bodyweight.

In another aspect, the present invention relates to a pharmaceutical composition comprising a pharmacologically active amount of at least one compound of the invention, preferably a compound of the invention selected from the group consisting of:

compound 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 66, 67, 68, 69, 70, 71, 72, 73, 74, 75, 76, 77, 78, 80, 81, 82, 83, 85, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 100, 101, 102, 103, 104, 105, 106, 107, 108, 109, 110, 111, 112, 113, 114, 115, 116, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 141, 142, 143, 144, 145, 146, 147, 148, 149, 150, 151, 152, 153, 154, 155, 156, 157, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 168, 169, 170, 171, 172, 173, 174, 175, 176, 177, 178, 179, 180, 181, 182, 183, 184, 185, 186, 187, 188, 189, 190, 191, 192, 193, 194, 195, 196, 197, 198, 199, 200, 201, 202, 203, 204, 205, 206, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 217, 218, 219, 220, 221, 222, 223, 224, 225, 226, 227, 228, 229, 230, 231, 232, 233, 234, 235, 236, 237, 238, 239, 240, 241, 242, 243, 244, 245, 246, 247, 248, 249, 250, 251, 252, 253, 254, 255, 256, 257, 258, 259, 260, 261, 262, 263, 264, 265, 266, 267, 268, 269, 270, 271, 272, 273, 274, 275, 276, 277, 278, 279, 280, 281, 282, 283, 284, 285, 286, 287, 288, 289, 290, 291, 292, 293, 294, 295, 296, 297, 298, 299, 300, 301, 302, 303, 304, 305, 306, 307, 308, 309, 310, 311, 312, 313, 314, 315, 316, 317, 318, 319, 320, 321, 322, 323, 324, 325, 326, 327, 328, 329, 330, 331, 332, 333, 334, 335, 336, 337, 338, 339, 340, 341, 342, 343, 344, 345, 346, 347, 348, 349, 350, 351, 352, 353, 354, 355, 356, 357, 358, 359, 360, 361, 362, 363, 364, 365, 366, 367, 368, 369, 370, 371, 372, 373, 374, 375, 376, 377, 378, 379, 380, 381, 382, 383, 384, 385, 386, 387, 388, 389, 390, 391, 392, 393, 394, 395, 396, 397, 398, 399, 400, 401, 402, 403, 404, 405, 406, 407, 408, 409, 410, 411, 412, 413, 414, 415, 416, 417, 418, 419, 420, 421, 422, 423, 424, 425, 426, 427, 428, 429, 430, 431, 432, 433, 434, 435, 436, 437, 438, 439, 440, 441, 442, 443, 444, 445, 446, 447, 448, 449, 450, 451, 452, 453, 454, 455, 456, 457, 458, 459, 460, 461, 462, 463, 464, 465, 466, 467, 468, 469, 470, 471, 472, 473, 474, 475, 476, 477, 478, 479, 480, 481, 482, 483, 484, 485, 486, 487, 488, 489, 490, 491, 492, 493, 494, 495, 496, 497, 498, 499, 500, 501, 502, 503, 504, 505, 506, 507, 508, 509, 510, 511, 512, 513, 514, 515, 516, 517, 518, 519, 520, 521, 522, 523, 524, 525, 526, 527, 528, 529, 530, 531, 532, 533, 534, 535, 536, 537, 538, 539, 540, 541, 542, 543, 544, 545, 546, 547, 548, 549, 550, 551, 552, 553, 554, 555, 556, 557, 558, 559, 560, 561, 562, 563, 564, 565, 566, 567, 568, 569, 570, 571, 572, 573, 574, 575, 576, 577, 578, 579, 580, 581, 582, 583, 584, 585, 586, 587, 588, 589, 590, 591, 592, 593, 594, 595, 596, 597, 598, 599, 600, 601, 602, 603, 604, 605, 606, 607, 608, 609, 610, 611, 612, 613, 614, 615, 616, 617, 618, 619, 620, 621, 622, 623,

624, 625, 626, 627, 628, 629, 630, 631, 632, 633, 634, 635, 636, 637, 638, 639, 640, 641, 642, 643, 644, 645, 646, 647, 648, 649, 650, 651, 652, 653, 654, 655, 656, 657, 658, 659, 660, 661, 662, 663, 664, 665, 666, 667, 668, 669, 670, 671, 672, 673, 674, 675, 676, 677, 678, 679, 680, 681, 682, 683, 684, 685, 686, 687, 688, 689, 690, 691, 692, 693, 694, 695, 696, 697, 698, 699, 700, 701, 702, 703, 704, 705, 706, 707, 708, 709, 710, 711, 712, 713, 714, 715, 716, 717, 718, 719, 720, 721, 722, 723, 724, 725, 726, 727, 728, 729, 730, 731, 732, 733, 734, 735, 736, 737, 738, 739, 740, 741, 742, 743, 744, 745, 746, 747, 748, 749, 750, 751, 752, 753, 754, 755, 756, 757, 758, 759, 760, 761, 762, 763, 764, 765, 766, 767, 768, 769, 770, 771, 772, 773 and/or **compound 774.**

In a further aspect, such a pharmaceutical composition additionally comprises at least one pharmaceutically acceptable carrier and/or auxiliary and/or comprises at least one further pharmacologically active substance.

In a preferred embodiment, such further pharmacologically active substance is selected from the group consisting of: "DNA topoisomerase I and/or II inhibitors, DNA intercalators, alkylating agents, microtubuli destabilizers, hormone and/or growth factor receptor agonists and/or antagonists, antibodies against growth factors and their receptors, kinase inhibitors, antimetabolites" and preferably is selected from the group consisting of: "asparaginase, bleomycin, carboplatin, carmustine, chlorambucil, cisplatin, colaspase, cyclophosphamide, cytarabine, dacarbazine, dactinomycin, daunorubicin, doxorubicin (adriamycin), epirubicin, etoposide, 5-fluorouracil, hexamethylmelamine, hydroxyurea, ifosfamide, irinotecan, leucovorin, lomustine mechlorethamine, 6-mercaptopurine, mesna, methotrexate, mitomycin C, mitoxantrone, prednisolone, prednisone, procarbazine, raloxifen, streptozocin, tamoxifen, thioguanine, topotecan, vinblastine, vincristine, vindesine, aminoglutethimide, L-asparaginase, azathioprine, 5-azacytidine cladribine, busulfan, diethylstilbestrol, 2',2'-difluorodeoxycytidine, docetaxel, erythrohydroxynonyladenine, ethynylestradiol, 5-fluorodeoxyuridine, 5-fluorodeoxyuridine monophosphate, fludarabine phosphate, fluoxymesterone, flutamide, hydroxyprogesterone caproate, idarubicin, interferon, medroxyprogesterone acetate, megestrol acetate, melphalan, mitotane, paclitaxel, oxaliplatin, pentostatin, N-phosphonoacetyl-L-aspartate (PALA), plicamycin, semustine, teniposide, testosterone propionate, thiotepa, trimethylmelamine, uridine, vinorelbine, epothilone, gemcitabine, taxotere, BCNU, CCNU, DTIC, 5-fluorouarcil, herceptin, avastin, erbitux, sorafenib,

gleevec, iressa, tarceva, rapamycin, actinomycin D, sunitinib (sutent)".

Concerning the pharmaceutical compositions of the invention, at least one of the compounds of the invention is present in a pharmacologically effective amount, preferably in a unit dose, e.g. the aforementioned unit dose, specifically and preferably in an administration form which makes oral administration possible. Furthermore, reference may be made to that already said in connection with the possible uses and administrations of the compounds of the invention.

In a further aspect of the present invention, the object of the invention has surprisingly been solved by providing a kit comprising a pharmacologically active amount of at least one compound of the invention as detailed above and/or at least one pharmaceutical composition as detailed above and a pharmacologically active amount of at least one further pharmacologically active substance as defined above.

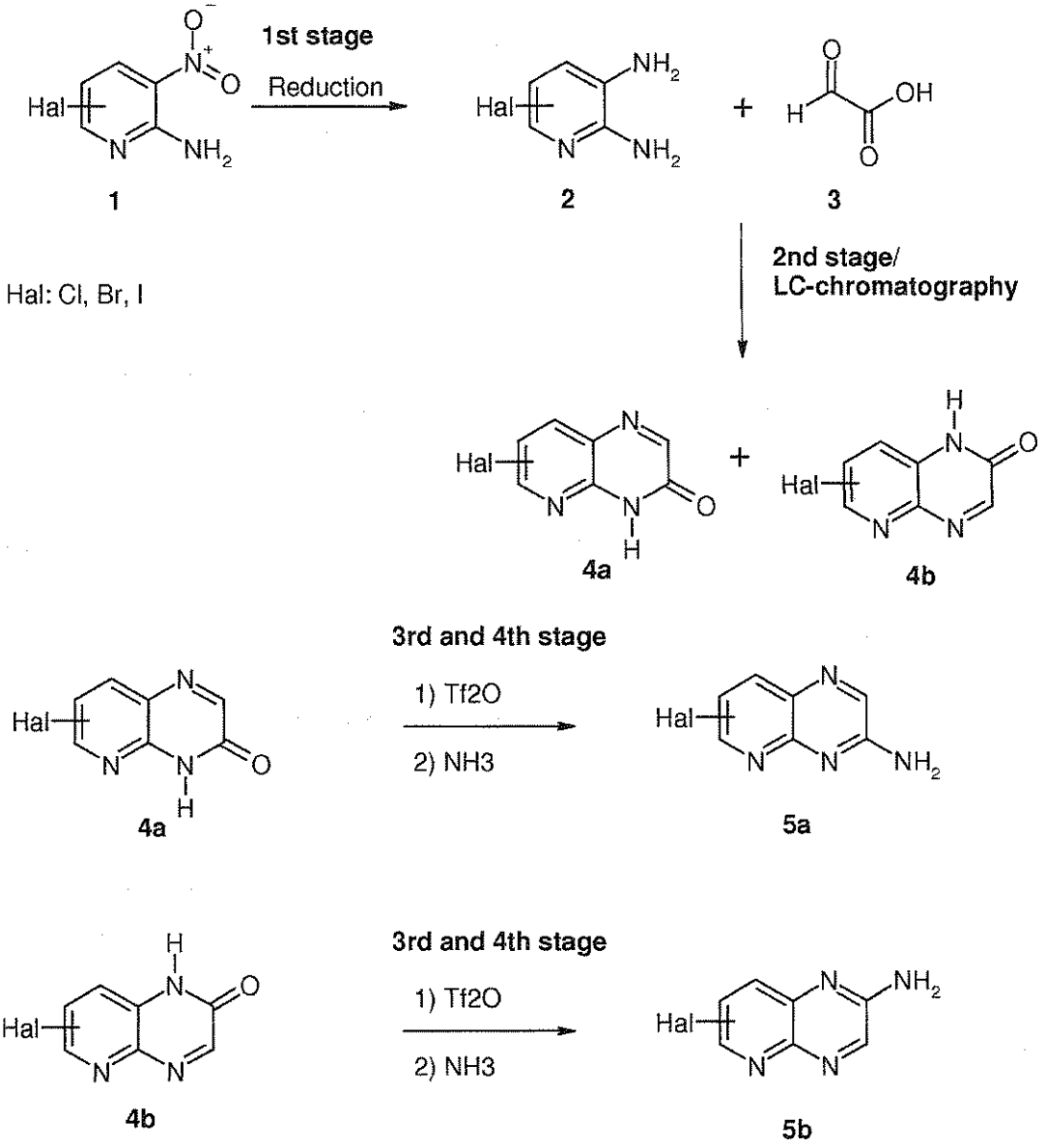
General synthesis methods for the compounds of the invention:

The processes for preparing the substituted pyrido[2,3-b]pyrazine compounds of the invention are illustrated below.

The compounds of the invention are obtainable according to the following schemes (schemes 1 - 12) and corresponding processes known to those skilled in the art:

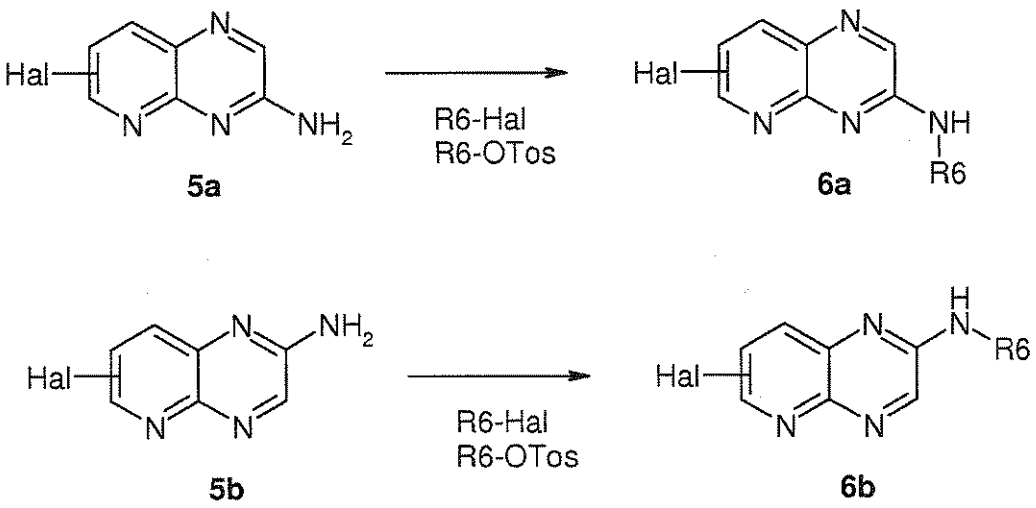
The definition of the R1 to R18 and X2, X3, X9 and X41 radicals shown in the following schemes corresponds to the substituents defined above in connection with the general formula (I), for example Z radicals, R radicals, X radicals, Y radicals, etc. The individual assignment can be accomplished in a simple manner by the person skilled in the art on the basis of his or her average technical knowledge.

Scheme 1



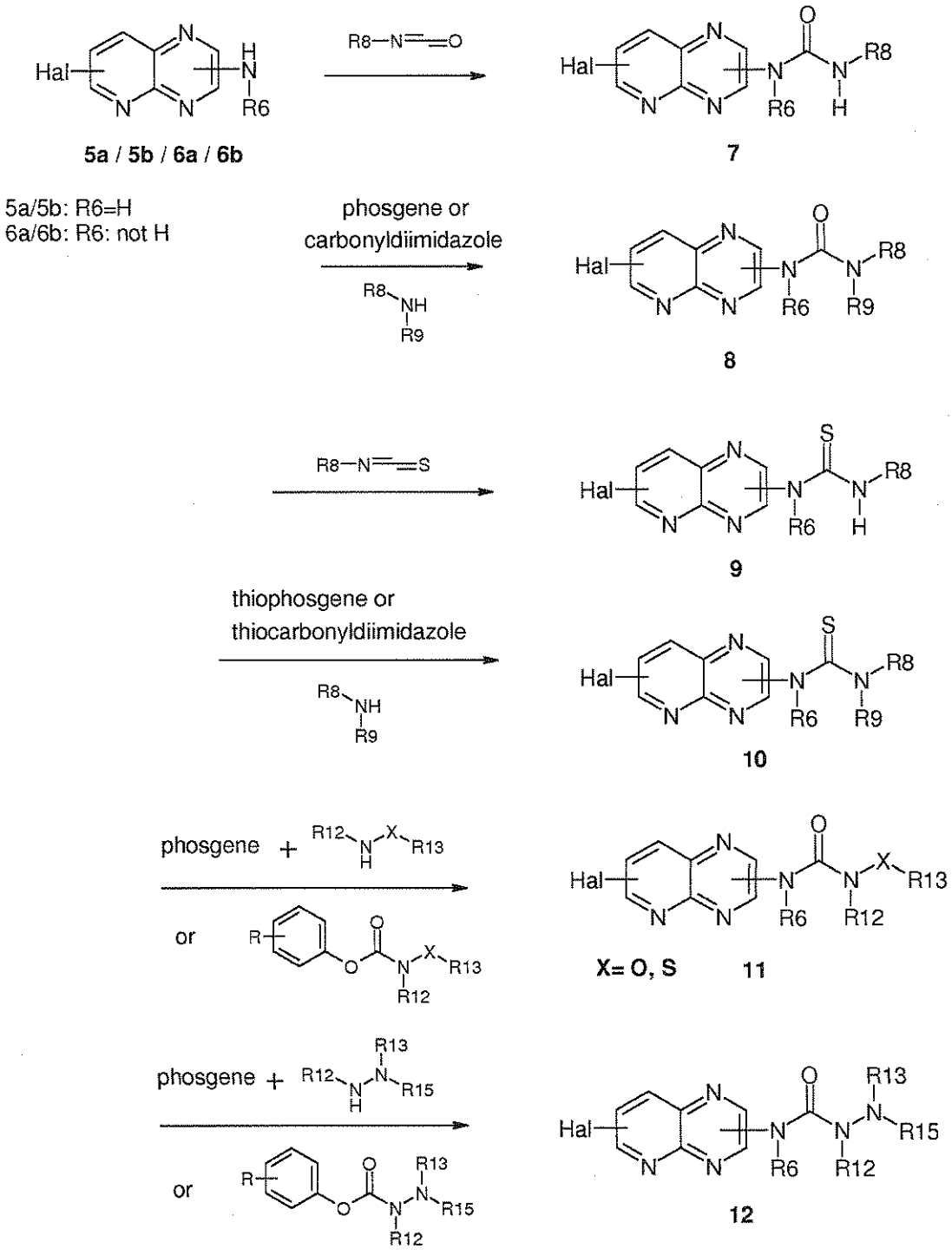
Precursors for selected examples of the compounds of the invention in which the substituent R6 is not to be H are, for example, obtainable by the process in scheme 2 or a corresponding process known to those skilled in the art.

Scheme 2

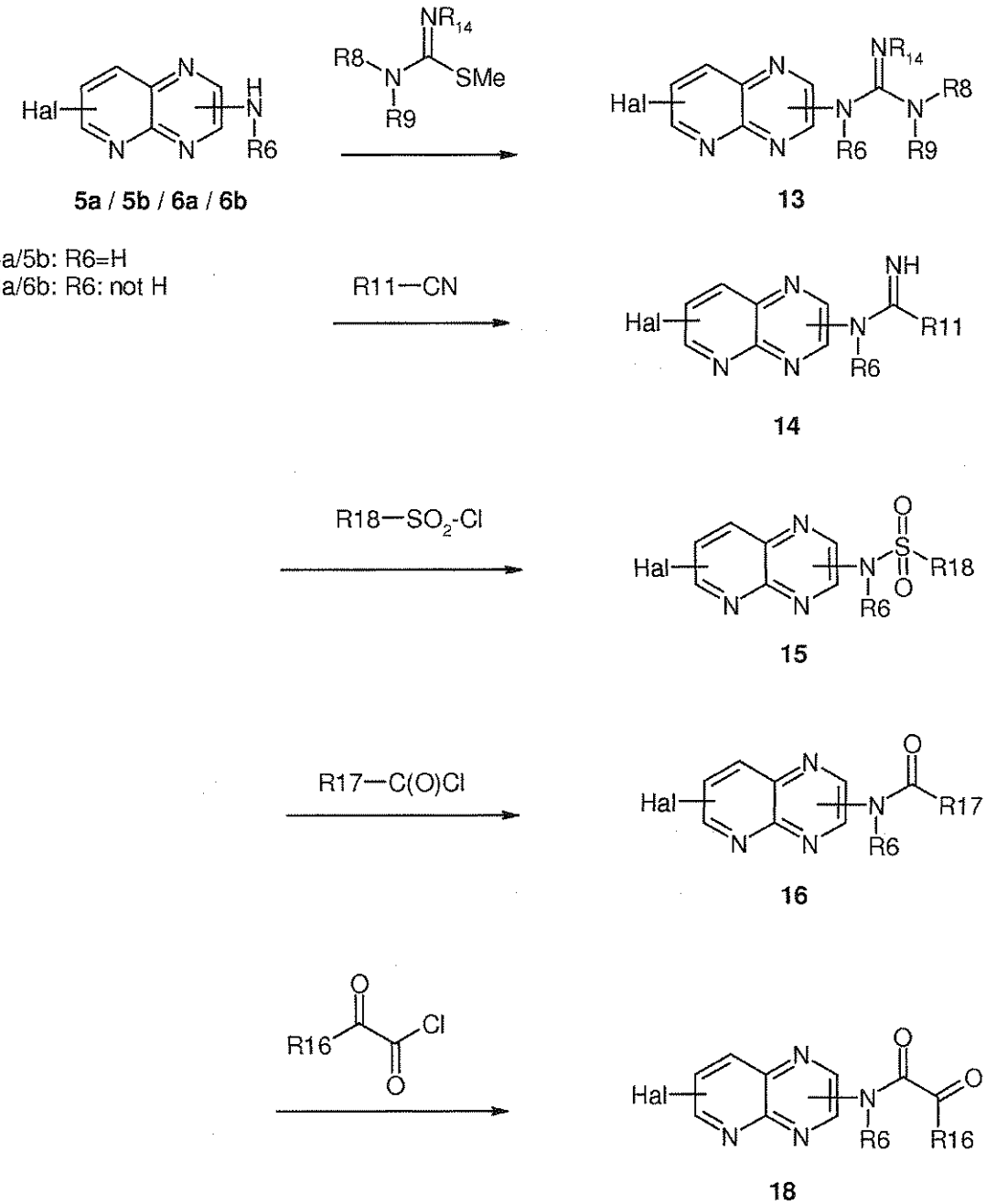


The precursors **5a**, **5b**, **6a** and **6b** from schemes 1 and 2 can be converted to the compounds of the invention, for example, by the process in schemes 3 and 4 or a corresponding process known to those skilled in the art.

Scheme 3

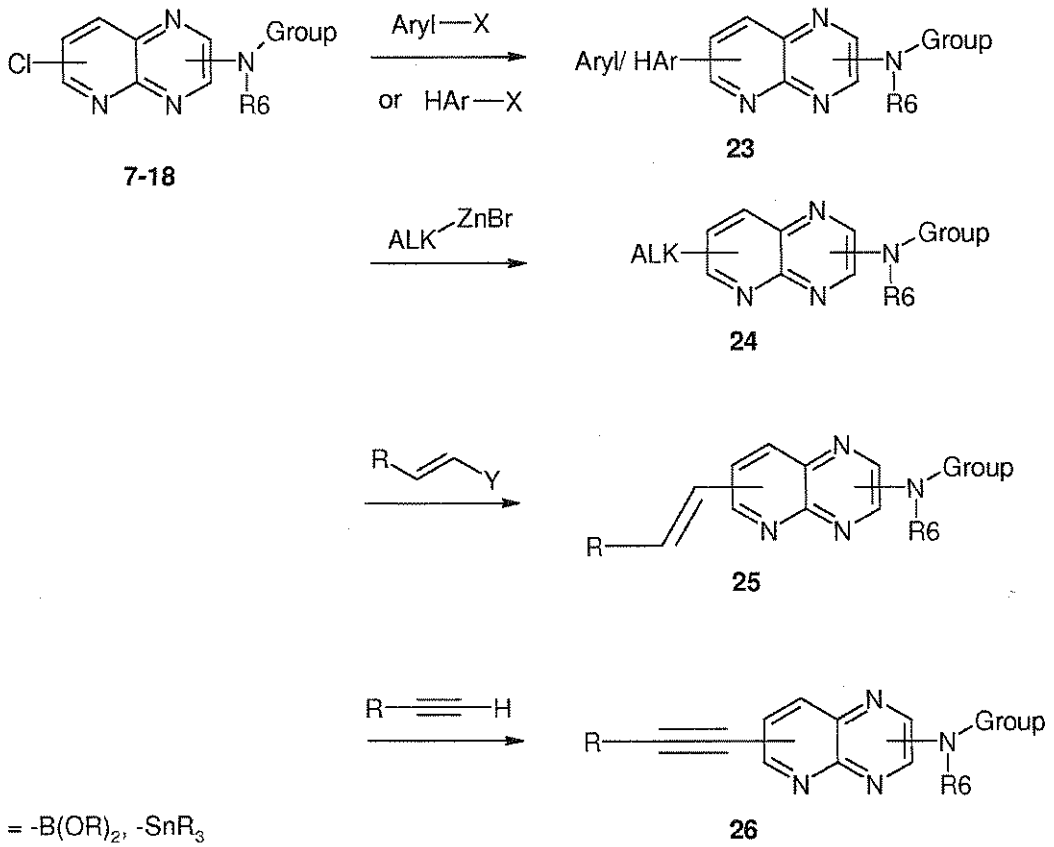

5a / 5b / 6a / 6b
5a/5b: R6=H
6a/6b: R6: not H
R8—N=O
7
phosgene or
carbonyldiimidazole
8
R8—N=S
9
thiophosgene or
thiocarbonyldiimidazole
10
phosgene +
or
X= O, S
11
phosgene +
or
12

Scheme 4



Selected examples of the compounds of the invention in which the substituents R1, R2 and/or R5 may be selected substituted aryl, heteroaryl, alkyl, alkenyl or alkynyl radicals are, for example, obtainable by the process in scheme 5 or corresponding processes known to those skilled in the art.

Scheme 5



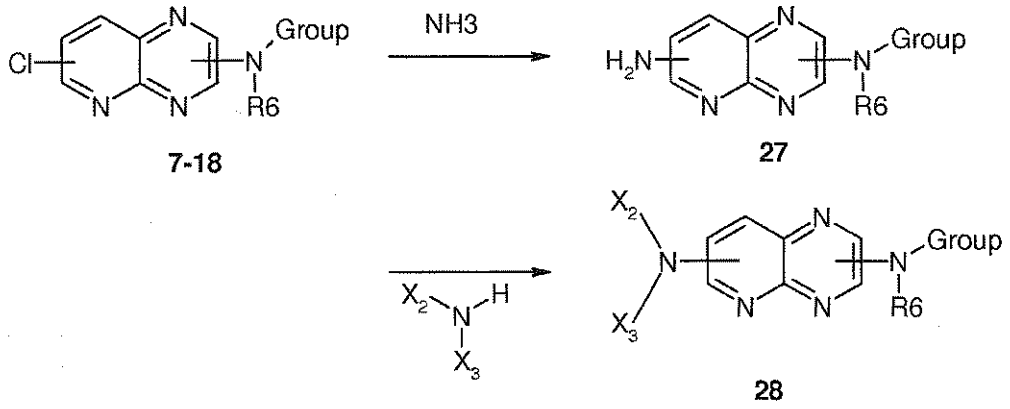
X = $-B(OR)_2$, $-SnR_3$

Y = H, $-B(OR)_2$, $-SnR_3$

R = Alkyl, Aryl, HAr, etc.

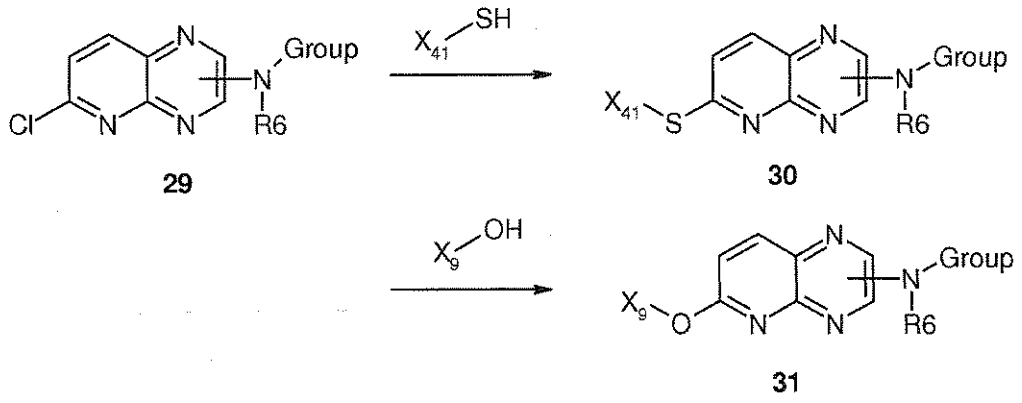
Selected examples of the compounds of the invention in which the substituents R1, R2 and/or R5 may be selected NH2 or NX2X3-substituted radicals are, for example, obtainable by the process in scheme 6 or corresponding processes known to those skilled in the art.

Scheme 6



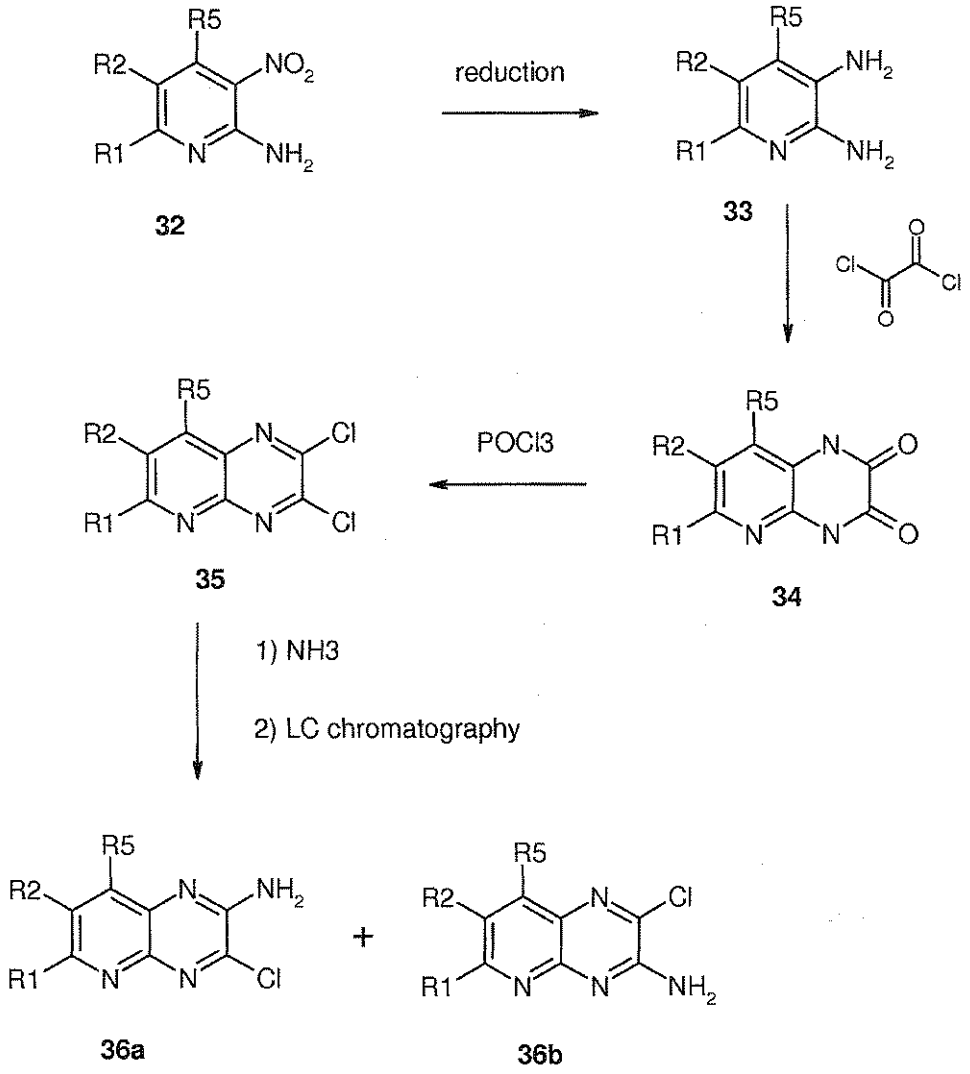
Selected examples of the compounds of the invention in which the substituents R1 may be selected O-X9 or S-X41-substituted radicals are, for example, obtainable by the process in scheme 7 or corresponding processes known to those skilled in the art.

Scheme 7



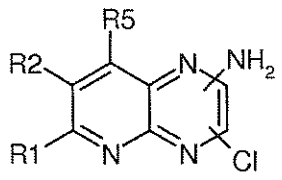
Precursors for selected examples of the compounds of the invention in which the substituents R3 and R4 are to be substituted by hydrogen are, for example, obtainable by the process in scheme 8 or a corresponding process known to those skilled in the art.

Scheme 8

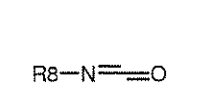


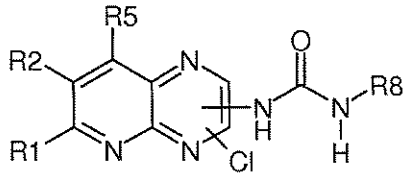
The precursors **36a** and **36b** from scheme 8 can be converted to the compounds of the invention, for example, by the process in schemes 9-12 or a corresponding process known to those skilled in the art.

Scheme 9



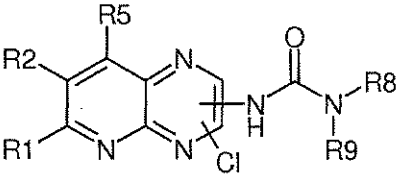
36a/ 36b



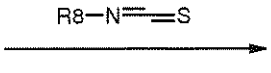


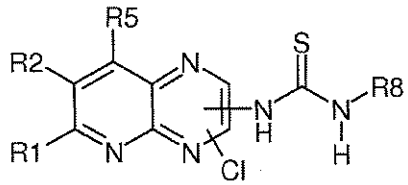
37a /37 b

phosgene or carbonyldiimidazole



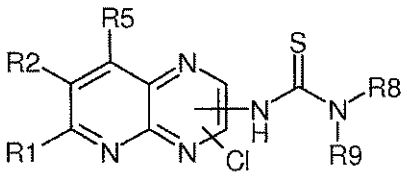
38a / 38b





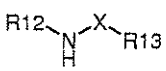
39a / 39b

thiophosgene or thiocarbonyldiimidazole

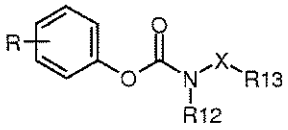


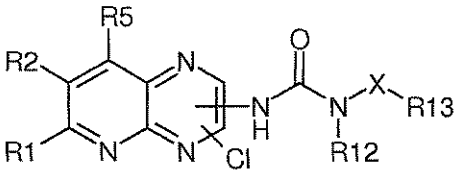
40a/ 40b

phosgene +



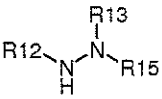
or



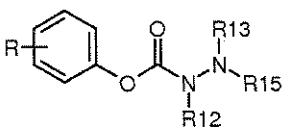


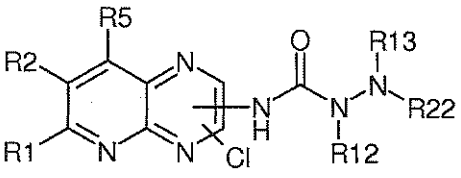
X= O, S **41a/ 41b**

phosgene +



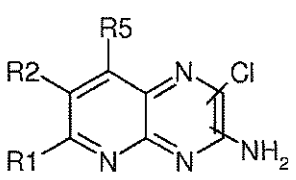
or



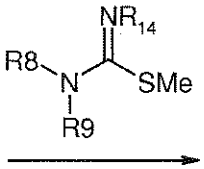


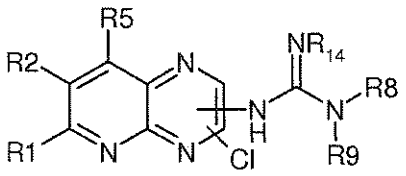
42a / 42b

Scheme 10



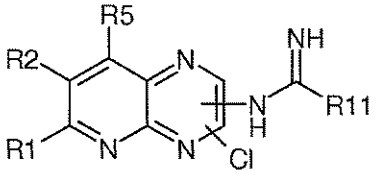
36a/36b





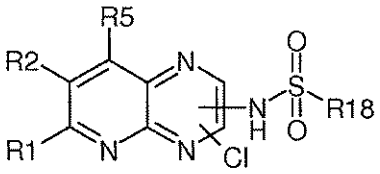
43a/ 43b

R11—CN



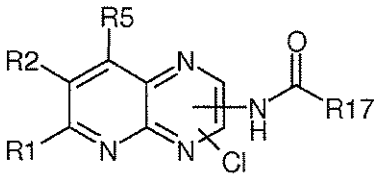
44a/ 44b

$R18—SO_2-Cl$

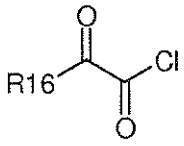


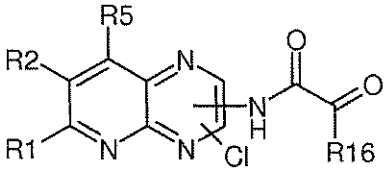
45a/ 45b

R17—C(O)Cl



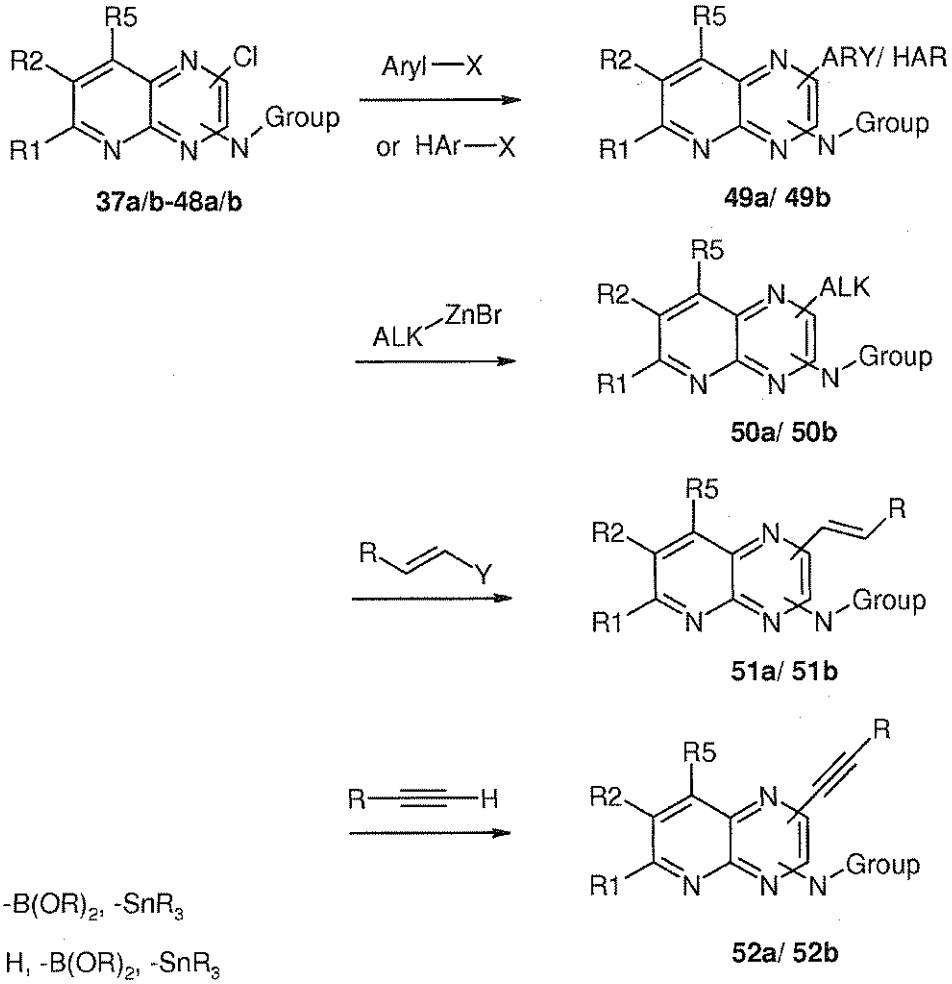
46a/ 46b





48a/ 48b

Scheme 11



37a/b-48a/b

49a/ 49b

50a/ 50b

51a/ 51b

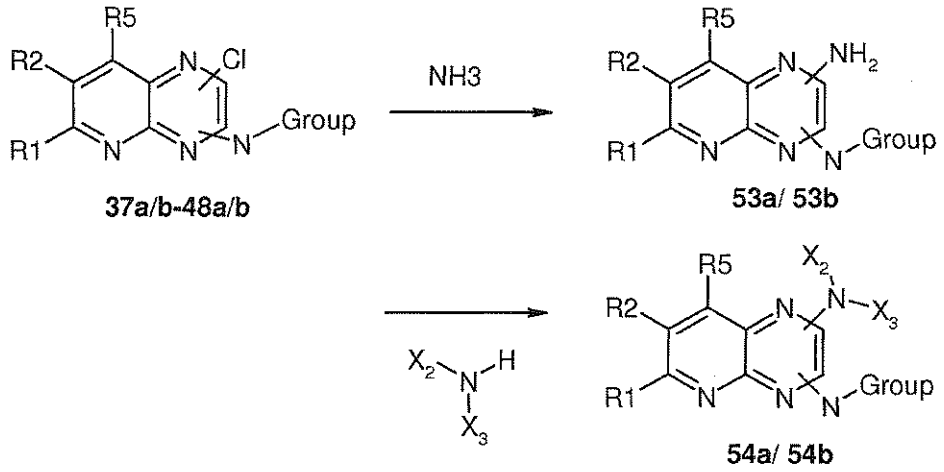
52a/ 52b

X = -$B(OR)_2$, -SnR_3

Y = H, -$B(OR)_2$, -SnR_3

R = Alkyl, Aryl, HAr, etc.

Scheme 12



The starting compounds and intermediates are either commercially available or can be prepared by procedures known per se or known to those skilled in the art. The reactants **5-18** and **36-48** are valuable intermediates for the preparation of the compounds of the invention.

For the preparation of the starting compounds, intermediates and the compounds of the invention, reference is made inter alia to the patents WO 2004/104002 and WO 2004/104003, and also, for example, to the following primary literature whose contents are hereby incorporated into the disclosure of the present application:

1) Houben-Weyl, Methoden der Organischen Chemie, volume 4/1a, pp 343-350

2) Houben-Weyl, Methoden der Organischen Chemie, 4th ed., volume E 7b (part 2), p. 579

3) GB 1184848

4) EP 0 735 025

5) D. Catarzi, et al.; *J. Med. Chem.* **1996**, 1330-1336

6) J. K. Seydel, et al.; *J. Med. Chem.* **1994**, 3016-3022

7) Houben-Weyl, Methods of Organic Chemistry, Volume E 9c, pp.231-235

8) Houben-Weyl/Science of Synthesis, Volume 16, p. 1269

9) C. L. Leese, H. N. Rydon *J. Chem. Soc.* **1955**, 303-309;

10) T. S. Osdene, G. M. Timmis *J. Chem. Soc.* **1955**, 2033-2035

11) W. He, et al. *Bioorg. Med. Chem. Lett.* **2003**, *13*, 3097-3100

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Under some of the reaction conditions specified, OH, SH and NH_2 groups may possibly enter into undesired side reactions. It is therefore preferred to provide them with protecting groups or, in the case of NH_2, to replace it with NO_2, and then to eliminate the protecting group or to reduce the NO_2 group. For instance, in a modification of the above-described processes, at least one OH group in the starting compounds can be replaced, for example, by a benzyloxy group, and/or at least one SH group can be replaced, for example, by an S-benzyl group and/or at least one NH_2 group can be replaced, for example, by an NH-benzyl group or by an NO_2 group. Subsequently, at least one - preferably all - benzyloxy group(s) or NH-benzyl group(s) can be eliminated,

for example, with hydrogen and palladium on carbon, and/or at least one – preferably all - S-benzyl group(s) can be eliminated, for example, with sodium in ammonia, and/or at least one - preferably all - NO_2 group(s) can be reduced, for example, with hydrogen and Raney nickel to NH_2.

Under some of the reaction conditions mentioned, OH, NH_2 and COOH groups may possibly enter into undesired side reactions. It is therefore preferred to convert starting compounds and intermediates which contain at least one OH group and/or at least one NH_2 group and/or at least one COOH group to corresponding carboxylic ester and carboxamide derivatives. In a modification of the above-described processes, starting compounds and intermediates which have at least one OH group and/or which have at least one NH_2 group can be converted to carboxylic ester or carboxamide derivatives by reaction with an activated carboxylic acid group, for example a carbonyl chloride group. In a modification of the above-described processes, starting compounds and intermediates which contain at least one COOH can be converted to carboxylic ester or carboxamide derivatives by reaction with an activating agent, for example thionyl chloride or carbonyldiimidazole, and subsequent reaction with a suitable alcohol or amine. Subsequently, at least one - preferably all - carboxylic ester or carboxamide group(s) in the starting compounds and intermediates can be detached, for example, with dilute aqueous acids or bases, in order to release one - preferably all - OH group(s) and/or NH_2 group(s) and/or COOH group(s).

The compounds of the invention were named using the AutoNom 2000 software (ISIS ™/ Draw 2.5; MDL).

The contents of all cited references are hereby incorporated by reference in their entirety. The invention is explained in more detail by means of the following examples without, however, being restricted thereto.

Examples

I) Preparation and physicochemical characterization of selected compounds of the invention

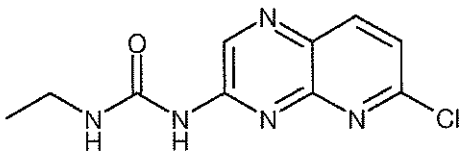
The general synthesis methods which are based on the synthesis schemes 1 – 12 were used to synthesize the following compounds of the invention. In addition, their NMR spectroscopy data and mass spectrometry data and melting points are included, respectively.

The precursors used for the preparation of the compounds of the invention can - unless stated otherwise - be synthesized by processes known to those skilled in the art.

The chemicals and solvents used were obtained commercially from the conventional suppliers (Acros, Aldrich, Fluka, Lancaster, Maybridge, Merck, Sigma, TCI, etc.) or synthesized.

Example 1:

1-(6-Chloro-pyrido[2,3-b]pyrazin-3-yl)-3-ethyl-urea



A total of 5.0 g 6-Chloro-pyrido[2,3-b]pyrazin-3-ylamine (27.7 mmol; 1 eq) was suspended in 150 mL of dry 1,4-dioxane. 2.63 g (33.2 mmol; 1.2 eq) ethyl isocyanate was added and the reaction mixture was stirred at 100 °C for 4 hours. Additional 1.97 g (27.7 mmol; 1 eq) of ethyl isocyanate were added and the resulting solution was stirred at 100 °C for further 4 hours. After cooling to room temperature, the precipitate was filtered of and dried *in vacuo* to afford 6.5 g (93.3% yield) of 1-(6-Chloro-pyrido[2,3-b]pyrazin-3-yl)-3-ethyl-urea.

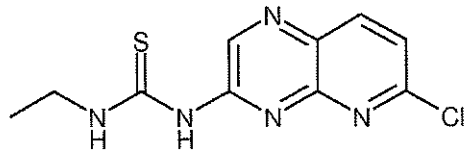
m.p.: 240 °C

ESI-MS: found: 252.0 ($M+H^+$); calculated: 251.68 g/mol

1H-NMR (DMSO-d6) δ = 10.38 (s, 1H), 9.00 (s, 1H), 8.42 (m, 2H), 7.69 (d, 1H), 3.30 (m, 2H), 1.15 (t, 3H) ppm

Example 2:

1-(6-Chloro-pyrido[2,3-b]pyrazin-3-yl)-3-ethyl-thiourea



A total of 5.0 g 6-Chloro-pyrido[2,3-b]pyrazin-3-ylamine (27.7 mmol; 1 eq) was dissolved in 150 mL of dry DMF. 1.32 g NaH (60% dispersion in mineral oil; 33.2 mmol; 1.2 eq) was added and the dark brown solution was stirred at room temperature for 1 hour. 2.4 g (27.7 mmol; 1 eq) ethyl isothiocyanate was then added and the resulting mixture was stirred at room temperature for 3 h. The reaction mixture was then poured

into 500 mL of water. The solution was neutralized with 1 N HCl whereas the product crystallizes. The brown solid was filtered of and recrystallised from dichloromethane/ diethyl ether to afford 3.6 g (48.5% yield) of 1-(6-Chloro-pyrido[2,3-b]pyrazin-3-yl)-3-ethyl-thiourea.

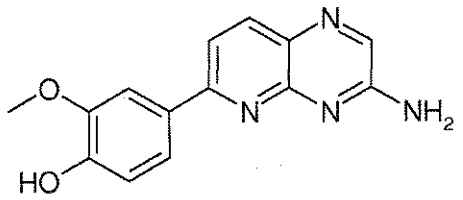
m.p.: 215 °C

ESI-MS: found: 268.1 ($M+H^+$); calculated: 267.74 g/mol

1H-NMR (DMSO-d6) δ = 11.55 (s, 1H), 11.40 (s, 1H), 8.88 (s, 1H), 8.44 (d, 1H), 7.75 (d, 1H), 3.75 (m, 2H), 1.30 (t, 3H) ppm

Example 3:

4-(3-Amino-pyrido[2,3-b]pyrazin-6-yl)-2-methoxy-phenol



To a suspension of 1.13 g 6-Chloro-pyrido[2,3-b]pyrazin-3-ylamine (5.94 mmol; 1 eq) and 1.67 g 2-Methoxy-4-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-phenol (6.55 mmol; 1.1 eq.) in ethylene glycol dimethyl ether (45 mL) under Ar, a solution of 6.29 g sodium carbonate (59.3 mmol; 10 eq.) in water (20 mL) and a suspension of 378 mg FluoroFlash catalyst (0.24 mmol; 0.04 eq.) in undecafluoro(trifluoromethyl) cyclohexane (45 mL) were added. The mixture was heated to 75°C for 5 hours. Additional 0.76 g (2.97 mmol; 0.5 eq) of 2-Methoxy-4-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-phenol were added and the resulting mixture was stirred at 75 °C for 7.5 hours. After cooling to room temperature, the aqueous and organic phase were separated from the fluorous phase and concentrated in vacuo. The residue was dissolved in methanol and methylene dichloride and filtered. The black solution was again concentrated in vacuo. The residue was taken up in water and the resulting solution was neutralized with 1 N HCl whereas the product crystallizes. The brown solid was filtered of, washed with water and dried in vacuo to afford 1.5 g (80.2% yield) of 4-(3-Amino-pyrido[2,3-b]pyrazin-6-yl)-2-methoxy-phenol.

ESI-MS: found: 269.0 ($M+H^+$); calculated: 268.28 g/mol

1H-NMR (DMSO-d6) δ = 9.47 (s, 1H), 8.29 (s, 1H), 8.15 (d, 1H), 7.91 (d, 1H), 7.84 (s, 1H), 7.67 (d, 1H), 7.34 (s, 2H), 6.90 (d, 1H), 3.89 (s, 3H) ppm

Example 4:

Compound 1 - 1-Ethyl-3-(6-p-tolylamino-pyrido[2,3-b]pyrazin-3-yl)-urea

A total of 100 mg 1-(6-Chloro-pyrido[2,3-b]pyrazin-3-yl)-3-ethyl-urea/ **example 1** (0.40 mmol; 1eq) was suspended in 3 ml of n-propanole. To this suspension 51 mg p-toluidine (0.48 mmol; 1.2 eq) was added and the reaction mixture was stirred at 100 °C for 5 hours. After cooling to room temperature, the precipitate formed was filtered and washed with diethyl ether. The brown crystals were dissolved in dichloromethane, washed with 0.5 N HCl and NaHCO3. The solution was dried over MgSO4 and the solvent was removed to afford 74 mg (52.4% yield) of 1-Ethyl-3-(6-p-tolylamino-pyrido[2,3-b]pyrazin-3-yl)-urea (**compound 1**) as yellow powder.

m.p.: 235 °C

ESI-MS: found: 323.0 ($M+H^+$); calculated: 322.37 g/mol

1H-NMR (DMSO-d6) δ = 9.97 (s, 1H), 9.14 (s, 1H), 8.72 (s, 1H), 8.23 (s, 1H), 8.00 (d, 1H), 7.86 (d, 2H), 7.16 (d, 2H), 7.09 (d, 1H), 3.27 (m, 2H), 1.18 (t, 3H) ppm

Example 5:

Compound 2 - 1-[6-(4-Amino-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

To a suspension of 100 mg 1-(6-Chloro-pyrido[2,3-b]pyrazin-3-yl)-3-ethyl-urea/ **example 1** (0.39 mmol; 1 eq) and 108 mg 2-Methoxy-4-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-phenylamine (0.43 mmol; 1.1 eq.) in ethylene glycol dimethyl ether (4 mL) under Ar, a solution of 414 mg sodium carbonate (3.9 mmol; 10 eq.) in water (1.5 mL) and a suspension of 12 mg FluoroFlash catalyst (0.01 mmol; 0.02 eq.) in undecafluoro(trifluoromethyl) cyclohexane (4 mL) were added. The mixture was heated to 60°C for 4 hours. After cooling to room temperature, the aqueous and organic phase were separated from the fluorous phase and concentrated in vacuo. The

residue was dissolved in methanol and methylene dichloride and filtered. The black solution was again concentrated in vacuo. The residue was taken up in water and the resulting solution was neutralized with 1 N HCl whereas the product crystallizes. The brown solid was filtered of, washed with water and dried in vacuo to afford 120 mg (86.4% yield) of 1-[6-(4-Amino-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea (**compound 2).**

m.p.: >310 °C

ESI-MS: found: 339.1 ($M+H^+$); calculated: 338.37 g/mol

1H-NMR (DMSO-d6) δ = 10.15 (s, 1H), 8.88 (s, 1H), 8.55 (s, 1H), 8.26 (d, 1H), 8.13 (d, 1H), 7.78 (s, 1H), 7.71 (d, 1H), 6.75 (s, 1H), 5.38 (d, 2H), 3.91 (s, 3H), 3.27 (m, 2H), 1.18 (t, 3H) ppm

Example 6:

Compound 3 - 1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenyl-urea

In dry 1,4-dioxane (6 mL) was stirred 111.3 mg 4-(3-Amino-pyrido[2,3-b]pyrazin-6-yl)-2-methoxy-phenol/ **example 3** (0.35 mmol; 1 eq.). The mixture was heated to 70°C. 120 mg (0.99 mmol; 2.8 eq) Phenyl isocyanate was added and the reaction mixture was stirred at 70 °C for 4 hours. Additional 64 mg (0.53 mmol; 1.5 eq) of Phenyl isocyanate were added and the resulting mixture was stirred at 70 °C for 4 hours. After cooling to room temperature, the mixture was concentrated in vacuo and the residue was stirred in ethanol. Diethyl ether was added and the product was collected by filtration. The solid was washed with ethanol, methylene dichloride and diethyl ether and dried *in vacuo* to afford 92.5 mg (67.7% yield) of 1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenyl-urea (**compound 3**).

m.p.: 240 °C

ESI-MS: found: 388.3 ($M+H^+$); calculated: 387.40 g/mol

1H-NMR (DMSO-d6) δ = 10.98 (s, 1H), 10.45 (s, 1H), 9.62 (s, 1H), 9.07 (s, 1H), 8.40 (d, 1H), 8.26 (d, 1H), 7.96 (s, 1H), 7.82 (d, 1H), 7.61 (d, 2H), 7.39 (t, 2H), 7.11 (t, 1H), 6.96 (d, 1H), 3.94 (s, 3H) ppm

The following examples were synthesized according to the Compounds 1-3 and the general schemes 1-12:

Example 7:

Compound 4 - 1-Ethyl-3-(6-phenyl-pyrido[2,3-b]pyrazin-3-yl)-urea

ESI-MS: found: 294.1 ($M+H^+$); calculated: 293.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.27 (s, 1H); 8.97 (s, 1H); 8.65 (s, 1H); 8.45 (d, 1H); 8.29 (dd, 2H); 8.26 (d, 1H); 7.53-7.60 (m, 3H); 3.32-3.36 (m, 2H); 1.20 (t, 3H) ppm.

Example 8:

Compound 5 - 1-Ethyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

ESI-MS: found: 340.2 ($M+H^+$); calculated: 339.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.21 (s, 1H); 9.58 (s, 1H); 8.94 (s, 1H); 8.55 (s, 1 H); 8.35 (d, 1H); 8.20 (dd, 1H); 7.89 (d, 1H); 7.78 (dd, 1H); 6.94 (d, 1H); 3.92 (s, 3H); 3.29-3.35 (m, 2H); 1.19 (t, 3H) ppm.

Example 9:

Compound 6 - 1-[6-(4-Chloro-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

m.p..: 276°C

ESI-MS: found: 328.2 ($M+H^+$); calculated: 327.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.29 (s, 1H); 8.97 (s, 1H); 8.65 (s, 1 H); 8.45 (d, 1H); 8.33 (dd, 1H); 8.27 (d, 1H); 7.64 (d, 2H); 3.31-3.35 (m, 2H); 1.19 (t, 3H) ppm.

Example 10:

Compound 7 - 1-Ethyl-3-(6-pyridin-4-yl-pyrido[2,3-b]pyrazin-3-yl)-urea

m.p.: 211°C

ESI-MS: found: 295.2 ($M+H^+$); calculated: 294.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.35 (s, 1H); 9.03 (s, 1H); 8.80 (d, 2 H); 8.62 (s, 1H); 8.54 (d, 1H); 8.36 (d, 1H); 8.23 (d, 2H); 3.31-3.36 (m, 2H); 1.20 (t, 3H) ppm.

Example 11:

Compound 8 - 1-[6-(3-Chloro-4-hydroxy-5-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

ESI-MS: found: 374.3 ($M+H^+$); calculated: 373.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.23 (s, 1H); 10.00 (s, 1H); 8.97 (s, 1H); 8.53 (s, 1 H); 8.38 (d, 1H); 8.28 (d, 1H); 7.94 (d, 1H); 7.87 (d, 1H); 3.98 (s, 3H); 3.31-3.35 (m, 2H); 1.19 (t, 3H) ppm.

Example 12:

Compound 9 - 1-[6-(3,5-Dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

m.p.: >300°C

ESI-MS: found: 378.4 ($M+H^+$); calculated: 377.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.00 (s, 1H); 8.71 (s, 1H); 8.66 (s, 1H); 8.05 (d, 1 H); 8.00 (s, 2H); 7.92 (d, 1H); 3.31-3.35 (m, 2H); 1.18 (t, 3H) ppm.

Example 13:

Compound 10 - 1-Ethyl-3-[6-(1-methyl-1H-pyrazol-4-yl)-pyrido[2,3-b]pyrazin-3-yl]-

urea

m.p.: 211°C

ESI-MS: found: 298.2 ($M+H^+$); calculated: 297.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.17 (s, 1H); 8.85 (s, 1H); 8.65 (s, 1H); 8.51 (s, 1H); 8.29 (d, 1 H); 8.19 (s, 1H); 7.91 (d, 1H); 3.94 (s, 3H); 3.31-3.35 (m, 2H); 1.19 (t, 3H) ppm.

Example 14:

Compound 11 - 1-Ethyl-3-[6-(4-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 244°C

ESI-MS: found: 323.9 ($M+H^+$); calculated: 323.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.22 (s, 1H); 8.92 (s, 1H); 8.65 (s, 1H); 8.38 (d, 1 H); 8.27 (d, 2H); 8.20 (d, 1H); 7.12 (d, 2H); 3.86 (s, 3H); 3.31-3.36 (m, 2H); 1.19 (t, 3H) ppm.

Example 15:

Compound 12 - 1-Ethyl-3-[6-(3-isopropoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea

ESI-MS: found: 367.0 ($M+H^+$); calculated: 366.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.02 (s, 1H); 9.77 (s, 1H); 8.67 (s, 1H); 8.47 (s, 1 H); 8.03 (d, 1H); 7.97 (s, 1H); 7.20-7.22 (m, 2H); 7.11 (d, 1H); 6.57-6.60 (m, 1H); 4.57-4.63 (m, 1H); 3.26-3.31 (m, 2H); 1.30 (d, 6H); 1.19 (t, 3H) ppm.

Example 16:

Compound 13 - 1-Ethyl-3-(6-phenylamino-pyrido[2,3-b]pyrazin-3-yl)-urea

m.p.: 202°C

ESI-MS: found: 309.1 ($M+H^+$); calculated: 308.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.00 (s, 1H); 9.81 (s, 1H); 8.74 (s, 1H); 8.22 (s, 1 H); 8.03 (d, 1H); 7.98 (d, 2H); 7.35 (dd, 2H); 7.13 (d, 1H); 7.02 (dd, 1H); 3.24-3.30 (m, 2H); 1.18 (t, 3H) ppm.

Example 17:

Compound 14 - 1-Phenyl-3-(6-phenylamino-pyrido[2,3-b]pyrazin-3-yl)-urea

m.p.: 251°C

ESI-MS: found: 357.3 ($M+H^+$); calculated: 356.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 11.13 (s, 1H); 10.31 (s, 1H); 9.90 (s, 1H); 8.75 (s, 1H); 8.08 (d, 1H); 8.06 (d, 2); 7.59 (d, 2H); 7.37-7.42 (m, 4H); 7.18 (d, 1H); 7.09 (dd, 1H); 7.06 (dd, 1H) ppm.

Example 18:

Compound 15 - 1-[6-(3,5-Dichloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

m.p.: 272°C

ESI-MS: found: 393.3 ($M+H^+$); calculated: 392.0 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.06 (s, 1H); 9.85 (s, 1H); 9.72 (s, 1H); 8.69 (s, 1 H); 8.42 (s, 1H); 8.09 (s, 2H); 8.04 (d, 1H); 7.04 (d, 1H); 3.25-3.30 (m, 2H); 1.22 (t, 3H) ppm.

Example 19:

Compound 16 - 1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-propyl-urea

m.p.: 220-222°C

ESI-MS: found: 354.1 ($M+H^+$); calculated: 353.38 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.25 (s, 1H), 9.59 (s, 1H), 8.90 (bs, 1H), 8.87 (s, 1H), 8.34 (d, 1H), 8.20 (d, 1H), 7.92 (s, 1H), 7.77 (d, 1H), 6.94 (d, 1H), 3.91 (s, 3H), 3.27-3.33 (m, 2H), 1.60 (m, 2H), 1.04 (t, 3H) ppm

Example 20:

Compound 17 - 1-Cyclohexyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 232-235 °C

ESI-MS: found: 394.2 ($M+H^+$); calculated: 393.44 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.19 (s, 1H), 9.60 (s, 1H), 9.10 (bs, 1H), 8.85 (s, 1H), 8.34 (d, 1H), 8.21 (d, 1H), 7.94 (s, 1H), 7.77 (d, 1H), 6.94 (d, 1H), 3.91 (s, 3H), 3.78 (m, 1H), 1.75-1.85 (m, 4H), 1.40-1.54 (m, 6H) ppm

Example 21:

Compound 18 - 1-Allyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 211-213 °C

ESI-MS: found: 352.0 ($M+H^+$); calculated: 351.36 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.33 (s, 1H), 9.59 (s, 1H), 8.94 (bs, 1H), 8.90 (bs, 1H), 8.35 (d, 1H), 8.21 (d, 1H), 7.89 (s, 1H), 7.77 (d, 1H), 6.94 (d, 1H), 6.00 (m, 1H), 5.38 (d, 1H), 5.19 (d, 1H), 3.97 (t, 2H), 3.91 (s, 3H) ppm

Example 22:

Compound 19 - 1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-isopropyl-urea

m.p.: 212-214°C

ESI-MS: found: 354.0 ($M+H^+$); calculated: 353.38 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.10 (s, 1H), 9.59 (s, 1H), 8.94 (s, 1H), 8.58 (bs, 1H), 8.34 (d, 1H), 8.21 (d, 1H), 7.92 (s, 1H), 7.77 (d, 1H), 6.94 (d, 1H), 3.92 (m, 1H), 3.91 (s, 3H), 1.24 (d, 6H) ppm

Example 23:

Compound 20 - 1-Cyclopentyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 222-225°C

ESI-MS: found: 380.1 ($M+H^+$); calculated: 379.42 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.15 (s, 1H), 9.60 (s, 1H), 9.09 (bs, 1H), 8.85 (s, 1H), 8.34 (d, 1H), 8.20 (d, 1H), 7.96 (s, 1H), 7.77 (d, 1H), 6.94 (d, 1H), 4.15 (m, 1H), 3.91 (s, 3H), 1.92 (m, 2H), 1.81 (m, 2H), 1.66 (m, 2H), 1.57 (m, 2H) ppm

Example 24:

Compound 21 - 1-Ethyl-3-[6-(4-hydroxy-3-methoxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 255°C

ESI-MS: found: 355.0 ($M+H^+$); calculated: 354.37 g/mol

^{1}H-NMR (DMSO-d_6) δ = 9.94 (bs, 1H), 9.59 (s, 1H), 8.68 (bs, 2H), 8.55 (s, 1H), 7.95 (d, 1H), 7.83 (s, 1H), 7.19 (d, 1H), 7.04 (d, 1H), 6.75 (d, 1H), 3.82 (s, 3H), 3.27 (m, 2H), 1.15 (t, 3H) ppm

Example 25:

Compound 22 - 1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

m.p.: >235°C

ESI-MS: found: 354.1 ($M+H^+$); calculated: 353.38 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.23 (s, 1H), 8.98 (s, 1H), 8.53 (bs, 1H), 8.38 (d, 1H), 8.25 (d, 1H), 7.90 (s, 1H), 7.88 (d, 1H), 7.14 (d, 1H), 3.91 (s, 3H), 3.86 (s, 3H), 3.32 (m, 2H), 1.19 (t, 3H) ppm

Example 26:

Compound 23 - 1-Ethyl-3-[6-(3,4,5-trimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: >230°C

ESI-MS: found: 384.1 ($M+H^+$); calculated: 383.41 g/mol

^{1}H-NMR (DMSO-d_6) δ = 10.25 (s, 1H), 9.03 (s, 1H), 8.44 (bs, 1H), 8.42 (d, 1H), 8.32 (d, 1H), 7.60 (s, 2H), 3.93 (s, 6H), 3.76 (s, 3H), 3.32 (m, 2H), 1.19 (t, 3H) ppm

Example 27:

Compound 24 - 1-Ethyl-3-[6-(4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 277°C

ESI-MS: found: 325.1 ($M+H^+$); calculated: 324.34 g/mol

^{1}H-NMR (DMSO-d_6) δ = 9.92 (s, 1H), 9.59 (s, 1H), 9.16 (bs, 1H), 8.65 (s, 1H), 8.34 (bs, 1H), 7.94 (d, 1H), 7.70 (d, 2H), 7.04 (d, 1H), 6.76 (d, 2H), 3.26 (m, 2H), 1.16 (t, 3H) ppm

Example 28:

Compound 25 - 1-Ethyl-3-(6-p-tolylamino-pyrido[2,3-b]pyrazin-3-yl)-thiourea

m.p.: 195°C

ESI-MS: found: 339.0 ($M+H^+$); calculated: 338.44 g/mol

^{1}H-NMR (DMSO-d_6) δ = 11.97 (t, 1H), 11.14 (s, 1H), 9.81 (s, 1H), 8.48 (s, 1H), 8.02 (d, 1H), 7.87 (d, 2H), 7.13-7.15 (d, 3H), 3.67 (m, 2H), 2.29 (s, 3H), 1.36 (t, 3H) ppm

Example 29:

Compound 26 - 1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-phenethyl-urea

m.p.: 235-237°C

ESI-MS: found: 416.2 ($M+H^+$); calculated: 415.46 g/mol

1H-NMR (DMSO-d6) δ = 10.26 (s, 1H), 9.59 (s, 1H), 8.89 (s, 1H), 8.74 (s, 1H), 8.35 (d, 1H), 8.22 (d, 1H), 7.93 (s, 1H), 7.80 (d, 1H), 7.44 (d, 2H), 7.27 (t, 2H), 7.18 (t, 1H), 6.95 (d, 1H), 3.88 (s, 3H), 3.53 (m, 2H), 2.92 (t, 2H) ppm

Example 30:

Compound 27 - 1-(3,5-Dimethyl-isoxazol-4-yl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 249-252°C

ESI-MS: found:407.2 ($M+H^+$); calculated: 406.40 g/mol

1H-NMR (DMSO-d6) δ = 10.64 (s, 1H), 10.10 (s, 1H), 9.61 (s, 1H), 9.01 (s, 1H), 8.40 (d, 1H), 8.26 (d, 1H), 7.90 (s, 1H), 7.79 (d, 1H), 6.94 (d, 1H), 3.91 (s, 3H), 2.40 (s, 3H), 2.25 (s, 3H) ppm

Example 31:

Compound 28 - 1-tert-Butyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 219-222°C

ESI-MS: found: 368.1 ($M+H^+$); calculated: 367.41 g/mol.

1H-NMR (DMSO-d6) δ = 10.01 (s, 1H), 9.58 (s, 1H), 8.96 (s, 1H), 8.86 (s, 1H), 8.33 (d, 1H), 8.20 (d, 1H), 7.94 (s, 1H), 7.77 (d, 1H), 6.93 (d, 1H), 3.90 (s, 3H), 1.43 (s, 9H) ppm

Example 32:

Compound 29 - 1-Benzyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 229-231°C

ESI-MS: found: 402.2 ($M+H^+$); calculated: 401.43 g/mol

1H-NMR (DMSO-d6) δ = 10.36 (s, 1H), 9.58 (s, 1H), 9.11 (s, 1H), 8.94 (s, 1H), 8.35 (d, 1H), 8.20 (d, 1H), 7.85 (s, 1H), 7.75 (d, 1H), 7.43 (d, 2H), 7.38 (t, 2H), 7.29 (t, 1H), 6.93 (d, 1H), 4.54 (d, 2H), 3.88 (s, 3H) ppm

Example 33:

Compound 30 - 1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-[1-(2,2,2-trifluoro-acetyl)-piperidin-4-yl]-urea

m.p.: 236-239°C

ESI-MS: found: 491.2 ($M+H^+$); calculated: 490.45 g/mol

1H-NMR (DMSO-d6) δ = 10.29 (s, 1H), 9.60 (s, 1H), 9.19 (s, 1H), 8.89 (s, 1H), 8.35 (d, 1H), 8.22 (d, 1H), 7.92 (s, 1H), 7.76 (d, 1H), 6.92 (d, 1H), 4.04 (m, 2H), 3.88 (s, 3H), 3.83 (m, 1H), 3.57 (m, 1H), 3.48 (m, 1H), 2.06 (m, 2H), 1.63 (m, 2H) ppm

Example 34:

Compound 31 - 1-[6-(3-Chloro-4-hydroxy-phenylamino)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

m.p: 277-280 °C

ESI-MS: found: 359.1 ($M+H^+$); calculated: 358.79 g/mol

1H-NMR (DMSO-d6) δ = 10.00 (s, 1H), 9.78 (s, 1H), 9.71 (s, 1H), 8.65 (s, 1H), 8.46 (s, 1H), 7.99 (d, 1H), 7.35 (m, 1H), 7.05 (d, 1H), 6.94 (d, 1H), 3.26 (m, 2H), 1.20 (m, 3H) ppm

Example 35:

Compound 32 - 1-Ethyl-3-[6-(quinolin-3-ylamino)-pyrido[2,3-b]pyrazin-3-yl]-urea

m.p.: 265-270 °C

ESI-MS: found: 360.4 ($M+H^+$); calculated: 359.39 g/mol

1H-NMR (DMSO-d6) δ = 10.31 (s, 1H), 10.09 (s, 1H), 9.34 (d, 1H), 9,05 (d, 1H), 8.75 (s, 1H), 8.52 (s, 1H), 8,14 (d, 1H), 7.96 (d, 1H), 7,84 (d, 1H), 7,60 (m, 2H), 7.25 (d, 1H), 3.33 (m, 2H), 1,26 (m, 3H) ppm

Example 36:

Compound 33

ESI-MS: found: 760.5 ($M+H^+$); calculated: 759.79 g/mol

Example 37:

Compound 34

m.p.: 182-184 °C

ESI-MS: found: 476.3 ($M+H^+$); calculated: 475.44 g/mol

Example 38:

Compound 35

m.p.: 245-247°C

ESI-MS: found: 365.2 ($M+H^+$); calculated: 364.41 g/mol

Example 39:

Compound 36

m.p.: 177°C

ESI-MS: found: 338.3 ($M+H^+$); calculated: 337.38 g/mol

Example 40:

Compound 37

m.p.: 247-249°C

ESI-MS: found: 452.2 ($M+H^+$); calculated: 451.89 g/mol

Example 41:

Compound 38

ESI-MS: found: 368.2 ($M+H^+$); calculated: 367.41 g/mol.

Example 42:

Compound 39

ESI-MS: found: 402.2 ($M+H^+$); calculated: 401.85 g/mol.

Example 43:

Compound 40

m.p.: >240°C

ESI-MS: found: 441.4 ($M+H^+$); calculated: 440.46 g/mol.

Example 44:

Compound 41

m.p.: >350°C

ESI-MS: found: 420.3 ($M+H^+$); calculated: 463.30 g/mol.

Example 45:

Compound 42

m.p.: 238-241°C

ESI-MS: found: 389.3 ($M+H^+$); calculated: 388.33 g/mol.

Example 46:

Compound 43

m.p.: 246-249°C

ESI-MS: found: 418.2 ($M+H^+$); calculated: 417.44 g/mol.

Example 47:

Compound 44

m.p.: >330°C

ESI-MS: found: 529.3 ($M+H^+$); calculated: 528.56 g/mol.

Example 48:

Compound 45

ESI-MS: found: 367.2 ($M+H^+$); calculated: 366.38 g/mol.

Example 49:

Compound 46

m.p.: >270°C

ESI-MS: found: 340.3 ($M+H^+$); calculated: 339.35 g/mol.

Example 50:

Compound 47

m.p.: 337-339°C

ESI-MS: found: 390.2, 388.3 ($M+H^+$); calculated: 388.22 g/mol.

Example 51:

Compound 48

m.p.: 276-279°C

ESI-MS: found: 422.3 ($M+H^+$); calculated: 421.46 g/mol.

Example 52:

Compound 49

m.p.: 134°C

ESI-MS: found: 379.4 ($M+H^+$); calculated: 378.43 g/mol.

Example 53:

Compound 50

m.p.: 195-200°C

ESI-MS: found: 383.2 ($M+H^+$); calculated: 382.38 g/mol.

Example 54:

Compound 51

m.p.: 231-232°C

ESI-MS: found: 353.1 ($M+H^+$); calculated: 352.40 g/mol.

Example 55:

Compound 52

m.p.: 218-220°C

ESI-MS: found: 284.1 ($M+H^+$); calculated: 283.29 g/mol.

Example 56:

Compound 53

m.p.: 257-259 °C

ESI-MS: found: 298.3 ($M+H^+$); calculated: 297.32 g/mol.

Example 57:

Compound 54

m.p.: 227-229 °C

ESI-MS: found: 382.1 ($M+H^+$); calculated: 381.39 g/mol.

Example 58:

Compound 55

m.p.: 243-246 °C

ESI-MS: found: 325.2 ($M+H^+$); calculated: 324.34 g/mol.

Example 59:

Compound 56

m.p.: 270-273 °C

ESI-MS: found: 325.3 ($M+H^+$); calculated: 324.34 g/mol.

Example 60:

Compound 57

m.p.: 181-183 °C

ESI-MS: found: 400.3 ($M+H^+$); calculated: 399.45 g/mol.

Example 61:

Compound 58

m.p.: 258-261 °C

ESI-MS: found: 374.2 ($M+H^+$); calculated: 373.42 g/mol.

Example 62:

Compound 59

m.p.: 189-191 °C

ESI-MS: found: 340.3 ($M+H^+$); calculated: 339.40 g/mol.

Example 63:

Compound 60

m.p.: 223-226 °C

ESI-MS: found: 397.3 ($M+H^+$); calculated: 396.45 g/mol.

Example 64:

Compound 61

m.p.: 270-274 °C

ESI-MS: found: 334.2 ($M+H^+$); calculated: 333.35 g/mol.

Example 65:

Compound 62

m.p.: 179-181 °C

ESI-MS: found: 366.2 ($M+H^+$); calculated: 365.43 g/mol.

Example 66:

Compound 63

m.p.: 225-227 °C

ESI-MS: found: 467.3 ($M+H^+$); calculated: 466.54 g/mol.

Example 67:

Compound 64

m.p.: 229-231 °C

ESI-MS: found: 309.2 ($M+H^+$); calculated: 308.34 g/mol.

Example 68:

Compound 65

ESI-MS: found: 480.3 ($M+H^+$); calculated: 479.58 g/mol.

Example 69:

Compound 66

m.p.: 276-279 °C

ESI-MS: found: 351.2 ($M+H^+$); calculated: 350.38 g/mol.

Example 70:

Compound 67

m.p.: 200-202°C

ESI-MS: found: 432.0 ($M+H^+$); calculated: 430.30 g/mol.

Example 71:

Compound 68

m.p.: 182-185°C

ESI-MS: found: 354.1 ($M+H^+$); calculated: 353.38 g/mol.

Example 73:

Compound 70

m.p.: >300°C

ESI-MS: found: 333.2 ($M+H^+$); calculated: 332.37 g/mol.

Example 74:

Compound 71

m.p.: >300°C

ESI-MS: found: 384.2 ($M+H^+$); calculated: 383.41 g/mol.

Example 75:

Compound 72

m.p.: >300°C

ESI-MS: found: 311.0 ($M+H^+$); calculated: 310.32 g/mol.

Example 76:

Compound 73

ESI-MS: found: 375.2 ($M+H^+$); calculated: 374.35 g/mol.

Example 77:

Compound 74

ESI-MS: found: 456.2 ($M+H^+$); calculated: 455.54 g/mol.

Example 78:

Compound 75

ESI-MS: found: 356.2 ($M+H^+$); calculated: 355.42 g/mol.

Example 79:

Compound 76

m.p.: 253°C

ESI-MS: found: 423.2 ($M+H^+$); calculated: 422.44 g/mol.

Example 80:

Compound 77

m.p.: >300°C

ESI-MS: found: 381.2 ($M+H^+$); calculated: 380.41 g/mol.

Example 81:

Compound 78

m.p.: >350°C

ESI-MS: found: 354.2 ($M+H^+$); calculated: 353.34 g/mol.

Example 82:

Compound 79

m.p.: 238.1-241.8°C

ESI-MS: found: 354.2 ($M+H^+$); calculated: 353.36 g/mol.

Example 83:

Compound 80

m.p.: 255.3-258.2°C

ESI-MS: found: 351.8 ($M+H^+$); calculated: 351.41 g/mol.

Example 84:

Compound 81

m.p.: 305.4-307.2°C

ESI-MS: found: 348.2 ($M+H^+$); calculated: 347.30 g/mol.

Example 85:

Compound 82

m.p.: 255.3-257.9°C

ESI-MS: found: 321.8 ($M+H^+$); calculated: 321.38 g/mol.

Example 86:

Compound 83

m.p.: 247.8-252.4°C

ESI-MS: found: 326.2 ($M+H^+$); calculated: 325.35 g/mol.

Example 87:

Compound 84

m.p.: 263.9-265.6°C

ESI-MS: found: 388.3 ($M+H^+$); calculated: 387.42 g/mol.

Example 88:

Compound 85

m.p.: 253.3-256.2°C

ESI-MS: found: 353.2 ($M+H^+$); calculated: 352.35 g/mol.

Example 89:

Compound 86

m.p.: 277-280 °C

ESI-MS: found: 339.3 ($M+H^+$); calculated: 338.37 g/mol.

Example 90:

Compound 87

m.p.: 256-259 °C

ESI-MS: found: 397.2 ($M+H^+$); calculated: 396.40 g/mol.

Example 91:

Compound 88

m.p.: 240-242 °C

ESI-MS: found: 306.5 ($M+H^+$); calculated: 610.68 g/mol.

Example 92:

Compound 89

m.p.: 216-219 °C

ESI-MS: found: 339.0 ($M+H^+$); calculated: 338.37 g/mol.

Example 93:

Compound 90

m.p.: 298-305 °C

ESI-MS: found: 362.3 ($M+H^+$); calculated: 362.22 g/mol.

Example 94:

Compound 91

m.p.: 254-257 °C

ESI-MS: found: 358.1 ($M+H^+$); calculated: 357.80 g/mol.

Example 95:

Compound 92

m.p.: 264-269 °C

ESI-MS: found: 362.2 ($M+H^+$); calculated: 362.22 g/mol.

Example 96:

Compound 93

m.p.: 253-258 °C

ESI-MS: found: 342.1 ($M+H^+$); calculated: 341.34 g/mol.

Example 97:

Compound 94

m.p.: 270-274 °C

ESI-MS: found: 386.2 ($M+H^+$); calculated: 386.25 g/mol.

Example 98:

Compound 95

m.p.: 259-262 °C

ESI-MS: found: 330.3 ($M+H^+$); calculated: 329.31 g/mol.

Example 99:

Compound 96

m.p.: 258-259 °C

ESI-MS: found: 360.2 ($M+H^+$); calculated: 359.79 g/mol.

Example 100:

Compound 97

m.p.: 240-243 °C

ESI-MS: found: 361.9 ($M+H^+$); calculated: 361.33 g/mol.

Example 101:

Compound 98

m.p.: 223-225 °C

ESI-MS: found: 338.0 ($M+H^+$); calculated: 337.38 g/mol.

Example 102:

Compound 99

m.p.: 275 °C

ESI-MS: found: 346.2 ($M+H^+$); calculated: 345.76 g/mol.

Example 103:

Compound 100

m.p.: 232-234 °C

ESI-MS: found: 307.9 ($M+H^+$); calculated: 307.36 g/mol.

Example 104:

Compound 101

m.p.: 249-253 °C

ESI-MS: found: 339.1 ($M+H^+$); calculated: 338.33 g/mol.

Example 105:

Compound 102

m.p.: 220-222 °C

ESI-MS: found: 337.8 ($M+H^+$); calculated: 337.38 g/mol.

Example 106:

Compound 103

m.p.: 226-228 °C

ESI-MS: found: 311.8 ($M+H^+$); calculated: 311.32 g/mol.

Example 107:

Compound 104

m.p.: 310°C

ESI-MS: found: 328.2 ($M+H^+$); calculated: 327.77 g/mol.

Example 108:

Compound 105

m.p.: 218-220°C

ESI-MS: found: 307.9 ($M+H^+$); calculated: 307.36 g/mol.

Example 109:

Compound 106

m.p.: 270°C

ESI-MS: found: 326.0 ($M+H^+$); calculated: 325.35 g/mol.

Example 110:

Compound 107

m.p.: 260°C

ESI-MS: found: 321.9 ($M+H^+$); calculated: 321.38 g/mol.

Example 111:

Compound 108

m.p.: >350°C

ESI-MS: found: 362.2 ($M+H^+$); calculated: 362.22 g/mol.

Example 112:

Compound 109

m.p.: 236-238 °C

ESI-MS: found: 494.0, 492.0 ($M+H^+$); calculated: 492.37 g/mol.

Example 113:

Compound 110

m.p.: 228-230 °C

ESI-MS: found: 417.9, 416.1 ($M+H^+$); calculated: 416.28 g/mol.

Example 114:

Compound 111

m.p.: 262-264 °C

ESI-MS: found: 328.1 ($M+H^+$); calculated: 327.77 g/mol.

Example 115:

Compound 112

m.p.: 229-231 °C

ESI-MS: found: 356.1 ($M+H^+$); calculated: 355.37 g/mol.

Example 116:

Compound 113

m.p.: 242°C

ESI-MS: found: 314.2 ($M+H^+$); calculated: 313.39 g/mol.

Example 117:

Compound 114

m.p.: 253-257°C

ESI-MS: found: 318.9 ($M+H^+$); calculated: 318.34 g/mol.

Example 118:

Compound 115

m.p.: 200-202°C

ESI-MS: found: 323.9 ($M+H^+$); calculated: 323.35 g/mol.

Example 119:

Compound 116

m.p.: 251-255°C

ESI-MS: found: 370.3 ($M+H^+$); calculated: 369.43 g/mol.

Example 120:

Compound 117

m.p.: 221-224°C

ESI-MS: found: 340.0 ($M+H^+$); calculated: 339.42 g/mol.

Example 121:

Compound 118

m.p.: 239-240 °C

ESI-MS: found: 378.1 ($M+H^+$); calculated: 377.32 g/mol.

Example 122:

Compound 119

m.p.: 222-223 °C

ESI-MS: found: 366.0 ($M+H^+$); calculated: 365.39 g/mol.

Example 123:

Compound 120

m.p.: 224-228 °C

ESI-MS: found: 387.1 ($M+H^+$); calculated: 386.43 g/mol.

Example 124:

Compound 121

m.p.: 212-217 °C

ESI-MS: found: 460.1 ($M+H^+$); calculated: 459.50 g/mol.

Example 125:

Compound 122

m.p.: 244-247°C

ESI-MS: found: 328.0 ($M+H^+$); calculated: 327.77 g/mol.

Example 126:

Compound 123

m.p.: 280°C

ESI-MS: found: 370.2 ($M+H^+$); calculated: 369.43 g/mol.

Example 127:

Compound 124

m.p.: 246°C

ESI-MS: found: 324.0 ($M+H^+$); calculated: 323.42 g/mol.

Example 128:

Compound 125

m.p.: 244°C

ESI-MS: found: 354.2 ($M+H^+$); calculated: 353.45 g/mol.

Example 129:

Compound 126

m.p.: 278°C

ESI-MS: found: 339.1 ($M+H^+$); calculated: 338.44 g/mol.

Example 130:

Compound 127

m.p.: 227°C

ESI-MS: found: 368.0 ($M+H^+$); calculated: 367.47 g/mol.

Example 131:

Compound 128

m.p.: 269-273°C

ESI-MS: found: 461.4 ($M+H^+$); calculated: 460.54 g/mol.

Example 132:

Compound 129

m.p.: 283-286°C

ESI-MS: found: 311.9 ($M+H^+$); calculated: 311.32 g/mol.

Example 133:

Compound 130

m.p.: 220-223°C

ESI-MS: found: 337.8 ($M+H^+$); calculated: 337.38 g/mol.

Example 134:

Compound 131

m.p.: >350°C

ESI-MS: found: 339.1 ($M+H^+$); calculated: 338.33 g/mol.

Example 135:

Compound 132

m.p.: 260°C

ESI-MS: found: 351.9 ($M+H^+$); calculated: 351.36 g/mol.

Example 136:

Compound 133

m.p.: 258.7°C

ESI-MS: found: 351.8 ($M+H^+$); calculated: 351.41 g/mol.

Example 137:

Compound 134

m.p.: 230°C

ESI-MS: found: 400.3 ($M+H^+$); calculated: 399.47 g/mol.

Example 138:

Compound 135

m.p.: 182-185°C

ESI-MS: found: 340.0 ($M+H^+$); calculated: 339.42 g/mol.

Example 139:

Compound 136

m.p.: 256-260 °C

ESI-MS: found: 319.1 ($M+H^+$); calculated: 318.34 g/mol.

Example 140:

Compound 137

m.p.: 236-239 °C

ESI-MS: found: 342.0 ($M+H^+$); calculated: 341.34 g/mol.

Example 141:

Compound 138

ESI-MS: found: 394.4 ($M+H^+$); calculated: 394.28 g/mol.

Example 142:

Compound 139

ESI-MS: found: 390.3 ($M+H^+$); calculated: 389.87 g/mol.

Example 143:

Compound 140

ESI-MS: found: 355.3 ($M+H^+$); calculated: 354.44 g/mol.

Example 144:

Compound 141

m.p.: 250°C

ESI-MS: found: 299.9 ($M+H^+$); calculated: 299.36 g/mol.

Example 145:

Compound 142

m.p.: 247-249°C

ESI-MS: found: 321.9 ($M+H^+$); calculated: 321.38 g/mol.

Example 146:

Compound 143

m.p.: 250-252°C

ESI-MS: found: 370.2 ($M+H^+$); calculated: 369.35 g/mol.

Example 147:

Compound 144

m.p.: 240-244°C

ESI-MS: found: 336.9 ($M+H^+$); calculated: 336.40 g/mol.

Example 148:

Compound 145

m.p.: 207-209°C

ESI-MS: found: 353.9 ($M+H^+$); calculated: 353.38 g/mol.

Example 149:

Compound 146

m.p.: 202-204°C

ESI-MS: found: 356.0 ($M+H^+$); calculated: 355.37 g/mol.

Example 150:

Compound 147

m.p.: 272°C

ESI-MS: found: 371.3 ($M+H^+$); calculated: 370.44 g/mol.

Example 151:

Compound 148

m.p.: 285°C

ESI-MS: found: 325.1 ($M+H^+$); calculated: 324.41 g/mol.

Example 152:

Compound 149

m.p.: 280°C

ESI-MS: found: 341.2 ($M+H^+$); calculated: 340.41 g/mol.

Example 153:

Compound 150

m.p.: 269°C

ESI-MS: found: 371.1 ($M+H^+$); calculated: 370.44 g/mol.

Example 154:

Compound 151

m.p.: 228-233°C

ESI-MS: found: 335.7 ($M+H^+$); calculated: 335.41 g/mol.

Example 155:

Compound 152

m.p.: 227-228°C

ESI-MS: found: 300.0 ($M+H^+$); calculated: 299.36 g/mol.

Example 156:

Compound 153

m.p.: 225°C

ESI-MS: found: 339.0 ($M+H^+$); calculated: 338.37 g/mol.

Example 157:

Compound 154

m.p.: 238°C

ESI-MS: found: 339.0 ($M+H^+$); calculated: 338.37 g/mol.

Example 158:

Compound 155

m.p.: 230°C

ESI-MS: found: 401.0 ($M+H^+$); calculated: 400.44 g/mol.

Example 159:

Compound 156

m.p.: 281°C

ESI-MS: found: 355.1 ($M+H^+$); calculated: 354.37 g/mol.

Example 160:

Compound 157

m.p.: 265°C

ESI-MS: found: 385.1 ($M+H^+$); calculated: 384.46 g/mol.

Example 161:

Compound 158

ESI-MS: found: 375.1 ($M+H^+$); calculated: 374.85 g/mol.

Example 162:

Compound 159

m.p.: 276°C

ESI-MS: found: 389.1 ($M+H^+$); calculated: 388.88 g/mol.

Example 163:

Compound 160

m.p.: 268°C

ESI-MS: found: 415.1 ($M+H^+$); calculated: 414.49 g/mol.

Example 164:

Compound 161

m.p.: 262°C

ESI-MS: found: 341.1 ($M+H^+$); calculated: 340.41 g/mol.

Example 165:

Compound 162

m.p.: 288°C

ESI-MS: found: 369.1 ($M+H^+$); calculated: 368.46 g/mol.

Example 166:

Compound 163

m.p.: 238°C

ESI-MS: found: 383.1 ($M+H^+$); calculated: 382.49 g/mol.

Example 167:

Compound 164

m.p.: 294°C

ESI-MS: found: 392.2 ($M+H^+$); calculated: 391.46 g/mol.

Example 168:

Compound 165

m.p.: 268°C

ESI-MS: found: 432.2 ($M+H^+$); calculated: 431.54 g/mol.

Example 169:

Compound 166

m.p.: 278°C

ESI-MS: found: 409.4 ($M+H^+$); calculated: 409.30 g/mol.

Example 170:

Compound 167

m.p.: 253°C

ESI-MS: found: 343.0 ($M+H^+$); calculated: 342.79 g/mol.

Example 171:

Compound 168

m.p.: 289°C

ESI-MS: found: 375.4 ($M+H^+$); calculated: 374.47 g/mol.

Example 172:

Compound 169

m.p.: 228°C

ESI-MS: found: 351.8 ($M+H^+$); calculated: 351.41 g/mol.

Example 173:

Compound 170

m.p.: 243°C

ESI-MS: found: 350.9 ($M+H^+$); calculated: 350.38 g/mol.

Example 174:

Compound 172

m.p.: 242-244°C

ESI-MS: found: 326.1 ($M+H^+$); calculated: 325.33 g/mol.

Example 175:

Compound 173

m.p.: 215-218°C

ESI-MS: found: 374.1 ($M+H^+$); calculated: 373.80 g/mol.

Example 176:

Compound 176

m.p.: 234-237°C

ESI-MS: found: 389.2 ($M+H^+$); calculated: 388.39 g/mol.

Example 177:

Compound 177

m.p.: 234-237°C

ESI-MS: found: 351.9 ($M+H^+$); calculated: 351.41 g/mol.

Example 178:

Compound 178

m.p.: 227-228°C

ESI-MS: found: 308.0 ($M+H^+$); calculated: 307.36 g/mol.

Example 179:

Compound 179

m.p.: >320°C

ESI-MS: found: 309.2 ($M+H^+$); calculated: 308.34 g/mol.

Example 180:

Compound 180

m.p.: >320°C

ESI-MS: found: 323.0 ($M+H^+$); calculated: 322.37 g/mol.

Example 181:

Compound 181

m.p.: >240°C

ESI-MS: found: 338.2 ($M+H^+$); calculated: 337.38 g/mol.

Example 182:

Compound 182

m.p.: 277-279°C

ESI-MS: found: 310.2 ($M+H^+$); calculated: 309.33 g/mol.

Example 183:

Compound 183

m.p.: >250°C

ESI-MS: found: 342.8 ($M+H^+$); calculated: 341.39 g/mol.

Example 184:

Compound 194

m.p.: 305-308°C

ESI-MS: found: 367.2 ($M+H^+$); calculated: 366.38 g/mol.

Example 185:

Compound 195

m.p.: 248°C

ESI-MS: found: 383.2 ($M+H^+$); calculated: 382.45 g/mol.

Example 186:

Compound 197

m.p.: 211°C

ESI-MS: found: 341.2 ($M+H^+$); calculated: 340.41 g/mol.

Example 187:

Compound 198

m.p.: 258°C

ESI-MS: found: 341.1 ($M+H^+$); calculated: 340.41 g/mol.

Example 188:

Compound 200

m.p.: 238°C

ESI-MS: found: 415.3 ($M+H^+$); calculated: 414.49 g/mol.

Example 189:

Compound 201

m.p.: 260°C

ESI-MS: found: 373.1 ($M+H^+$); calculated: 372.81 g/mol.

Example 190:

Compound 202

m.p.: 225°C

ESI-MS: found: 389.2 ($M+H^+$); calculated: 388.88 g/mol.

Example 191:

Compound 203

m.p.: 166°C

ESI-MS: found: 371.2 ($M+H^+$); calculated: 370.44 g/mol.

Example 192:

Compound 205

m.p.: 166°C

ESI-MS: found: 371.2 ($M+H^+$); calculated: 370.44 g/mol.

Example 193:

Compound 207

m.p.: 236°C

ESI-MS: found: 432.2 ($M+H^+$); calculated: 431.54 g/mol.

Example 194:

Compound 208

m.p.: 203°C

ESI-MS: found: 375.2 ($M+H^+$); calculated: 374.85 g/mol.

Example 195:

Compound 209

m.p.: 255°C

ESI-MS: found: 353.1 ($M+H^+$); calculated: 352.40 g/mol.

Example 196:

Compound 210

m.p.: 148°C

ESI-MS: found: 369.0 ($M+H^+$); calculated: 368.46 g/mol.

Example 197:

Compound 217

m.p.: 185°C

ESI-MS: found: 359.0 ($M+H^+$); calculated: 358.86 g/mol.

Example 198:

Compound 221

m.p.: 189°C

ESI-MS: found: 355.2 ($M+H^+$); calculated: 354.44 g/mol.

Example 199:

Compound 225

m.p.: 243-245 °C

ESI-MS: found: 303.2 ($M+H^+$); calculated: 302.34 g/mol.

Example 200:

Compound 227

m.p.: 214-216 °C

ESI-MS: found: 316.3 ($M+H^+$); calculated: 315.34 g/mol.

Example 201:

Compound 231

m.p.: 201-203 °C

ESI-MS: found: 287.1 ($M+H^+$); calculated: 286.34 g/mol.

Example 202:

Compound 233

m.p.: 199-201 °C

ESI-MS: found: 330.3 ($M+H^+$); calculated: 329.41 g/mol.

Example 203:

Compound 235

m.p.: 249-251 °C

ESI-MS: found: 317.2 ($M+H^+$); calculated: 316.36 g/mol.

Example 204:

Compound 239

m.p.: 211-213 °C

ESI-MS: found: 323.2 ($M+H^+$); calculated: 322.37 g/mol.

Example 205:

Compound 241

ESI-MS: found: 337.2 ($M+H^+$); calculated: 336.40 g/mol.

Example 206:

Compound 255

ESI-MS: found: 392.4 ($M+H^+$); calculated: 391.50 g/mol.

Example 207:

Compound 257

m.p.: 216 °C

ESI-MS: found: 418.1 ($M+H^+$); calculated: 417.54 g/mol.

Example 208:

Compound 329

m.p.: >220°C

ESI-MS: found: 359.3 ($M+H^+$); calculated: 358.86g/mol.

Example 209:

Compound 331

m.p.: 258°C

ESI-MS: found: 355.3 ($M+H^+$); calculated: 354.44g/mol.

Example 210:

Compound 332

m.p.: 232°C

ESI-MS: found: 355.1 ($M+H^+$); calculated: 354.44g/mol.

Example 211:

Compound 336

m.p.: 255°C

ESI-MS: found: 381.2 ($M+H^+$)- free Base; calculated: 416.91g/mol.

Example 212:

Compound 341

m.p.: 235°C

ESI-MS: found: 477.4 ($M+H^+$)- free base; calculated: 513.00g/mol.

Example 213:

Compound 374

m.p.: 215°C

ESI-MS: found: 352.0 ($M+H^+$); calculated: 351.41g/mol.

Example 214:

Compound 378

m.p.: 225°C

ESI-MS: found: 336.8 ($M+H^+$); calculated: 336.44g/mol.

Example 215:

Compound 380

ESI-MS: found: 339.2 ($M+H^+$); calculated: 338.37g/mol.

Example 216:

Compound 394

m.p.: 272°C

ESI-MS: found: 339.2 ($M+H^+$); calculated: 338.37g/mol.

Example 217:

Compound 398

m.p.: 210°C

ESI-MS: found: 336.8 ($M+H^+$); calculated: 336.40g/mol.

Example 218:

Compound 399

m.p.: 215°C

ESI-MS: found: 353.1 ($M+H^+$); calculated: 352.46g/mol.

Example 219:

Compound 433

m.p.: 265°C

ESI-MS: found: 361.2 ($M+H^+$); calculated: 360.39g/mol.

Example 220:

Compound 434

m.p.: 324-329°C

ESI-MS: found387.1 ($M+H^+$); calculated: 386.80g/mol.

Example 221:

Compound 441

m.p.: 220°C

ESI-MS: found: 375.3 ($M+H^+$); calculated: 374.85g/mol.

Example 222:

Compound 443

m.p.: 270°C

ESI-MS: found: 394.1 ($M+H^+$); calculated: 393.30g/mol.

Example 223:

Compound 446

m.p.: 222°C

ESI-MS: found: 353.0 ($M+H^+$); calculated: 352.40g/mol.

Example 224:

Compound 465

m.p.: 277°C

ESI-MS: found: 428.3 ($M+H^+$); calculated: 427.75g/mol.

Example 225:

Compound 467

m.p.: 232°C

ESI-MS: found: 367.1 ($M+H^+$); calculated: 366.45g/mol.

Example 226:

Compound 489

m.p.: 260°C

ESI-MS: found: 388.2 ($M+H^+$); calculated: 387.40g/mol.

Example 227:

Compound 491

m.p.: 250°C

ESI-MS: found: 386.4 ($M+H^+$); calculated: 385.41g/mol.

Example 228:

Compound 501

m.p.: 243°C

ESI-MS: found: 429.2 ($M+H^+$); calculated: 428.51g/mol.

Example 229:

Compound 509

m.p.: 246°C

ESI-MS: found: 455.1 ($M+H^+$); calculated: 454.55g/mol.

Example 230:

Compound 518

m.p.: 245-238°C

ESI-MS: found: 370.4 ($M+H^+$); calculated: 369.45g/mol.

Example 231:

Compound 519

m.p.: 233-235°C

ESI-MS: found: 396.3 ($M+H^+$); calculated: 395.48g/mol.

Example 232:

Compound 521

m.p.: 261-262 °C

ESI-MS: found: 368.2 ($M+H^+$); calculated: 367.43g/mol.

Example 233:

Compound 524

m.p.: 253-254 °C

ESI-MS: found: 410.4 ($M+H^+$); calculated: 409.51g/mol.

Example 234:

Compound 526

m.p.: 279-280 °C

ESI-MS: found: 342.0 ($M+H^+$); calculated: 341.39g/mol.

Example 235:

Compound 529

m.p.: 224-226 °C

ESI-MS: found: 422.3 ($M+H^+$); calculated: 421.84g/mol.

Example 236:

Compound 533

ESI-MS: found: 402.4 ($M+H^+$); calculated: 401.42g/mol.

Example 237:

Compound 541

ESI-MS: found: 456.4 ($M+H^+$); calculated: 455.39g/mol.

Example 238:

Compound 551

m.p.: 265-268 °C

ESI-MS: found: 392.2 ($M+H^+$); calculated: 392.24g/mol.

Example 239:

Compound 552

m.p.: 259-261 °C

ESI-MS: found: 392.2 ($M+H^+$); calculated: 392.24g/mol.

Example 240:

Compound 553

m.p.: 251-253 °C

ESI-MS: found: 406.2 ($M+H^+$); calculated: 406.27g/mol.

Example 241:

Compound 554

m.p.: 226-230 °C

ESI-MS: found: 530.2 ($M+H^+$); calculated: 529.30g/mol.

Example 242:

Compound 555

m.p.: 259-262 °C

ESI-MS: found: 469.3 ($M+H^+$); calculated: 469.33g/mol.

Example 243:

Compound 556

m.p.: 261-263 °C

ESI-MS: found: 460.3 ($M+H^+$); calculated: 460.36g/mol.

Example 244:

Compound 557

m.p.: 247-249 °C

ESI-MS: found: 364.2 ($M+H^+$); calculated: 364.19g/mol.

Example 245:

Compound 558

m.p.: 272-275 °C

ESI-MS: found: 432.2 ($M+H^+$); calculated: 432.31g/mol.

Example 246:

Compound 559

m.p.: 289-291 °C

ESI-MS: found: 444.3 ($M+H^+$); calculated: 444.25g/mol.

Example 247:

Compound 560

m.p.: 253-255 °C

ESI-MS: found: 432.3 ($M+H^+$); calculated: 432.29g/mol.

Example 248:

Compound 561

m.p.: >300°C

ESI-MS: found: 471.0 ($M+H^+$); calculated: 471.26g/mol.

Example 249:

Compound 562

m.p.: 257-260°C

ESI-MS: found: 445.1 ($M+H^+$); calculated: 445.26g/mol.

Example 250:

Compound 563

m.p.: 262-264°C

ESI-MS: found: 418.1 ($M+H^+$); calculated: 418.28g/mol.

Example 251:

Compound 564

m.p.: 280-282°C

ESI-MS: found: 454.3 ($M+H^+$); calculated: 454.32g/mol.

Example 252:

Compound 565

m.p.: >300°C

ESI-MS: found: 521.3 ($M+H^+$); calculated: 521.32g/mol.

Example 253:

Compound 566

m.p.: 253-255°C

ESI-MS: found: 340.1 ($M+H^+$); calculated: 339.35g/mol.

Example 254:

Compound 567

m.p.: 233-236°C

ESI-MS: found: 368.2 ($M+H^+$); calculated: 367.41g/mol.

Example 255:

Compound 568

m.p.: 230-233°C

ESI-MS: found: 382.2 ($M+H^+$); calculated: 381.43g/mol.

Example 256:

Compound 569

m.p.: 262-263 °C

ESI-MS: found: 445.3 ($M+H^+$); calculated: 444.49g/mol.

Example 257:

Compound 570

m.p.: 246-248 °C

ESI-MS: found: 368.2 ($M+H^+$); calculated: 367.41g/mol.

Example 258:

Compound 571

m.p.: 262-264 °C

ESI-MS: found: 408.3 ($M+H^+$); calculated: 407.47g/mol.

Example 259:

Compound 572

m.p.: 269-271 °C

ESI-MS: found: 420.4 ($M+H^+$); calculated: 419.41g/mol.

Example 260:

Compound 573

m.p.: 265-267 °C

ESI-MS: found: 421.3 ($M+H^+$); calculated: 420.43g/mol.

Example 261:

Compound 574

m.p.: 188-191 °C

ESI-MS: found: 402.2 ($M+H^+$); calculated: 401.42g/mol.

Example 262:

Compound 575

m.p.: 236-238 °C

ESI-MS: found: 366.1 ($M+H^+$); calculated: 365.39g/mol.

Example 263:

Compound 576

m.p.: 261-264 °C

ESI-MS: found: 394.3 ($M+H^+$); calculated: 393.44g/mol.

Example 264:

Compound 577

m.p.: 254-257°C

ESI-MS: found: 430.2 ($M+H^+$); calculated: 429.48g/mol.

Example 265:

Compound 578

m.p.: 263-266°C

ESI-MS: found: 416.2 ($M+H^+$); calculated: 415.48g/mol.

Example 266:

Compound 579

m.p.: 279-283°C

ESI-MS: found: 497.1 ($M+H^+$); calculated: 496.48g/mol.

Example 267:

Compound 580

m.p.: 248-251°C

ESI-MS: found: 402.1 ($M+H^+$); calculated: 401.42g/mol.

Example 268:

Compound 581

m.p.: 239-242 °C

ESI-MS: found: 505.0 ($M+H^+$); calculated: 504.47g/mol.

Example 269:

Compound 582

ESI-MS: found: 382.3 ($M+H^+$); calculated: 381.43g/mol.

Example 270:

Compound 583

m.p.: 273-275 °C

ESI-MS: found: 429.2 ($M+H^+$); calculated: 428.49g/mol.

Example 271:

Compound 584

m.p.: 247-250 °C

ESI-MS: found: 392.4 ($M+H^+$); calculated: 391.47g/mol.

Example 272:

Compound 585

ESI-MS: found: 351.9 ($M+H^+$); calculated: 351.41g/mol.

Example 273:

Compound 586

m.p.: 240-241 °C

ESI-MS: found: 351.9 ($M+H^+$); calculated: 351.41g/mol.

Example 274:

Compound 587

m.p.: 210-212 °C

ESI-MS: found: 350.1 ($M+H^+$); calculated: 349.39g/mol.

Example 275:

Compound 588

m.p.: 267-269 °C

ESI-MS: found: 414.2 ($M+H^+$); calculated: 413.48g/mol.

Example 276:

Compound 589

m.p.: 251-253 °C

ESI-MS: found: 489.0 ($M+H^+$); calculated: 488.47g/mol.

Example 277:

Compound 590

m.p.: 242-244 °C

ESI-MS: found: 405.2 ($M+H^+$); calculated: 404.43g/mol.

Example 278:

Compound 591

ESI-MS: found: 324.1 ($M+H^+$); calculated: 323.35g/mol.

Example 279:

Compound 592

ESI-MS: found: 351.9 ($M+H^+$); calculated: 351.41g/mol.

Example 280:

Compound 593

ESI-MS: found: 366.0 ($M+H^+$); calculated: 365.43g/mol.

Example 281:

Compound 594

ESI-MS: found: 404.3 ($M+H^+$); calculated: 403.42g/mol.

Example 282:

Compound 595

m.p.: 271-273°C

ESI-MS: found: 386.2 ($M+H^+$); calculated: 385.43g/mol.

Example 283:

Compound 596

m.p.: 263°C

ESI-MS: found: 422.2 ($M+H^+$); calculated: 421.54g/mol.

Example 284:

Compound 597

m.p.: 221°C

ESI-MS: found: 380.2 ($M+H^+$); calculated: 379.46g/mol.

Example 285:

Compound 598

m.p.: 232°C

ESI-MS: found: 380.1 ($M+H^+$); calculated: 379.46g/mol.

Example 286:

Compound 599

m.p.: 233°C

ESI-MS: found: 394.1 ($M+H^+$); calculated: 393.49g/mol.

Example 287:

Compound 600

m.p.: 244-246°C

ESI-MS: found: 406.3 ($M+H^+$); calculated: 405.39g/mol.

Example 288:

Compound 601

ESI-MS: found: 460.5 ($M+H^+$); calculated: 459.46g/mol.

Example 289:

Compound 602

m.p.: 287-290°C

ESI-MS: found: 431.2 ($M+H^+$); calculated: 430.47g/mol.

Example 290:

Compound 603

m.p.: 233-236°C

ESI-MS: found: 428.3 ($M+H^+$); calculated: 427.46g/mol.

Example 291:

Compound 604

m.p.: 232-234°C

ESI-MS: found: 422.4 ($M+H^+$); calculated: 421.50g/mol.

Example 292:

Compound 605

m.p.: 252-254°C

ESI-MS: found: 446.4 ($M+H^+$); calculated: 445.52g/mol.

Example 293:

Compound 606

m.p.: 210-212°C

ESI-MS: found: 529.4 ($M+H^+$); calculated: 528.57g/mol.

Example 294:

Compound 607

m.p.: 260-263°C

ESI-MS: found: 474.5 ($M+H^+$); calculated: 473.49g/mol.

Example 295:

Compound 608

ESI-MS: found: 408.4 ($M+H^+$); calculated: 407.47g/mol.

Example 296:

Compound 609

m.p.: 257-259°C

ESI-MS: found: 444.3 ($M+H^+$); calculated: 443.49g/mol.

Example 297:

Compound 610

ESI-MS: found: 491.5 ($M+H^+$); calculated: 490.44g/mol.

Example 298:

Compound 611

m.p.: 245-248°C

ESI-MS: found: 389.4 ($M+H^+$); calculated: 388.39g/mol.

Example 299:

Compound 612

m.p.: 272-273°C

ESI-MS: found: 408.4 ($M+H^+$); calculated: 407.47g/mol.

Example 300:

Compound 613

m.p.: 232-236°C

ESI-MS: found: 424.4 ($M+H^+$); calculated: 423.51g/mol.

Example 301:

Compound 614

m.p.: 216-219°C

ESI-MS: found: 394.4 ($M+H^+$); calculated: 393.43g/mol.

Example 302:

Compound 615

ESI-MS: found: 471.4 ($M+H^+$); calculated: 470.53g/mol.

Example 303:

Compound 616

m.p.: 247-250°C

ESI-MS: found: 433.3 ($M+H^+$); calculated: 432.39g/mol.

Example 304:

Compound 617

m.p.: 220-222°C

ESI-MS: found: 433.4 ($M+H^+$); calculated: 432.39g/mol.

Example 305:

Compound 618

m.p.: 274-276°C

ESI-MS: found: 433.1 ($M+H^+$); calculated: 432.39g/mol.

Example 306:

Compound 619

m.p.: 214-217°C

ESI-MS: found: 453.4 ($M+H^+$); calculated: 452.43g/mol.

Example 307:

Compound 620

m.p.: 207-210°C

ESI-MS: found: 486.3 ($M+H^+$); calculated: 485.55g/mol.

Example 308:

Compound 621

m.p.: 248-251 °C

ESI-MS: found: 441.5 ($M+H^+$); calculated: 440.46g/mol.

Example 309:

Compound 622

m.p.: 290-293 °C

ESI-MS: found: 483.5 ($M+H^+$); calculated: 482.45g/mol.

Example 310:

Compound 623

m.p.: 263-265 °C

ESI-MS: found: 453.4 ($M+H^+$); calculated: 452.47g/mol.

Example 311:

Compound 624

m.p.: 242-245 °C

ESI-MS: found: 432.2 ($M+H^+$); calculated: 431.45g/mol.

Example 312:

Compound 625

m.p.: 235-238 °C

ESI-MS: found: 418.1 ($M+H^+$); calculated: 417.42g/mol.

Example 313:

Compound 626

m.p.: 259-261 °C

ESI-MS: found: 413.3 ($M+H^+$); calculated: 412.41g/mol.

Example 314:

Compound 627

m.p.: 234-235 °C

ESI-MS: found: 396.4 ($M+H^+$); calculated: 395.46g/mol.

Example 315:

Compound 628

m.p.: 233-235 °C

ESI-MS: found: 478.4 ($M+H^+$); calculated: 477.61g/mol.

Example 316:

Compound 629

m.p.: 233-236 °C

ESI-MS: found: 430.3 ($M+H^+$); calculated: 429.48g/mol.

Example 317:

Compound 630

m.p.: 208-210 °C

ESI-MS: found: 444.4 ($M+H^+$); calculated: 443.50g/mol.

Example 318:

Compound 631

m.p.: 223-226 °C

ESI-MS: found: 368.2 ($M+H^+$); calculated: 367.41g/mol.

Example 319:

Compound 632

m.p.: 214-216 °C

ESI-MS: found: 368.2 ($M+H^+$); calculated: 367.41g/mol.

Example 320:

Compound 633

ESI-MS: found: 464.4 ($M+H^+$); calculated: 463.49g/mol.

Example 321:

Compound 634

ESI-MS: found: 470.1 ($M+H^+$); calculated: 469.42g/mol.

Example 322:

Compound 635

m.p.: 226-228 °C

ESI-MS: found: 444.1 ($M+H^+$); calculated: 443.50g/mol.

Example 323:

Compound 636

ESI-MS: found: 436.1 ($M+H^+$); calculated: 435.87g/mol.

Example 324:

Compound 637

m.p.: >270°C

ESI-MS: found: 344.6 ($M+H^+$); calculated: 343.77g/mol.

Example 325:

Compound 638

ESI-MS: found: 340.1 ($M+H^+$); calculated: 339.35g/mol.

Example 326:

Compound 639

m.p.: 250-252°C

ESI-MS: found: 416.9 ($M+H^+$); calculated: 416.77g/mol.

Example 327:

Compound 640

m.p.: 262°C

ESI-MS: found: 396.2 ($M+H^+$); calculated: 395.31g/mol.

Example 328:

Compound 641

m.p.: 291°C

ESI-MS: found: 388.2 ($M+H^+$); calculated: 388.22g/mol.

Example 329:

Compound 642

m.p.: 266°C

ESI-MS: found: 358.2 ($M+H^+$); calculated: 357.80g/mol.

Example 330:

Compound 643

m.p.: 263°C

ESI-MS: found: 422.2 ($M+H^+$); calculated: 421.54g/mol.

Example 331:

Compound 644

m.p.: 225°C

ESI-MS: found: 354.1 ($M+H^+$); calculated: 353.34g/mol.

Example 332:

Compound 647

ESI-MS: found: 310.2 ($M+H^+$); calculated: 309.40g/mol.

Example 333:

Compound 648

ESI-MS: found: 355.3 ($M+H^+$); calculated: 354.44g/mol.

Example 334:

Compound 649

ESI-MS: found: 368.1 ($M+H^+$); calculated: 367.47g/mol.

Example 335:

Compound 650

m.p.: 218-219°C

ESI-MS: found: 400.2 ($M+H^+$); calculated: 399.47g/mol.

Example 336:

Compound 651

m.p.: 230-231 °C

ESI-MS: found: 324.1 ($M+H^+$); calculated: 323.42g/mol.

Example 337:

Compound 652

m.p.: 213-215 °C

ESI-MS: found: 384.3 ($M+H^+$); calculated: 383.47g/mol.

Example 338:

Compound 653

m.p.: 250-251 °C

ESI-MS: found: 438.4 ($M+H^+$); calculated: 437.57g/mol.

Example 339:

Compound 654

m.p.: 238-240 °C

ESI-MS: found: 462.4 ($M+H^+$); calculated: 461.59g/mol.

Example 340:

Compound 655

ESI-MS: found: 354.0 ($M+H^+$); calculated: 353.38g/mol.

Example 341:

Compound 660

m.p.: 168-170°C

ESI-MS: found: 387.1 ($M+H^+$); calculated: 386.41g/mol.

Example 342:

Compound 661

ESI-MS: found: 393.3 ($M+H^+$); calculated: 392.46g/mol.

Example 343:

Compound 686

ESI-MS: found: 368.1 ($M+H^+$); calculated: 367.41g/mol.

Example 344:

Compound 690

m.p.: 248°C

ESI-MS: found: 469.2 ($M+H^+$); calculated: 468.58g/mol.

Example 345:

Compound 691

m.p.: 250°C

ESI-MS: found: 497.3 ($M+H^+$); calculated: 496.63g/mol.

Example 346:

Compound 692

m.p.: 258-261°C

ESI-MS: found: 401.1 ($M+H^+$); calculated: 400.46g/mol.

Example 347:

Compound 693

m.p.: 230-234°C

ESI-MS: found: 427.3 ($M+H^+$); calculated: 426.50g/mol.

Example 348:

Compound 694

m.p.: 218-220°C

ESI-MS: found: 443.2 ($M+H^+$); calculated: 442.54g/mol.

Example 349:

Compound 695

m.p.: 247°C

ESI-MS: found: 482.3 ($M+H^+$); calculated: 481.53g/mol.

Example 350:

Compound 696

m.p.: 347°C

ESI-MS: found: 483.1 ($M+H^+$); calculated: 482.61g/mol.

Example 351:

Compound 697

m.p.: 250-252°C

ESI-MS: found: 477.0 ($M+H^+$); calculated: 476.56g/mol.

Example 352:

Compound 698

m.p.: 248-250°C

ESI-MS: found: 491.1 ($M+H^+$); calculated: 490.59g/mol.

Example 353:

Compound 699

m.p.: 197-200°C

ESI-MS: found: 491.3 ($M+H^+$); calculated: 490.59g/mol.

Example 354:

Compound 700

m.p.: 206°C

ESI-MS: found: 498.1 ($M+H^+$); calculated: 497.62g/mol.

Example 355:

Compound 701

m.p.: 255°C

ESI-MS: found: 495.1 ($M+H^+$); calculated: 494.55g/mol.

Example 356:

Compound 702

m.p.: 210°C

ESI-MS: found: 457.4 ($M+H^+$); calculated: 456.57g/mol.

Example 357:

Compound 703

m.p.: 196°C

ESI-MS: found: 500.2 ($M+H^+$); calculated: 499.59g/mol.

Example 358:

Compound 704

m.p.: 195-198°C

ESI-MS: found: 505.3 ($M+H^+$); calculated: 504.61g/mol.

Example 359:

Compound 705

m.p.: 243-245°C

ESI-MS: found: 445.4 ($M+H^+$); calculated: 444.51g/mol.

Example 359:

Compound 706

m.p.: 222°C

ESI-MS: found: 428.9 ($M+H^+$); calculated: 428.51g/mol.

Example 360:

Compound 707

m.p.: 248°C

ESI-MS: found: 427.3 ($M+H^+$); calculated: 426.50g/mol.

Example 361:

Compound 708

m.p.: 228°C

ESI-MS: found: 467.3 ($M+H^+$); calculated: 466.52g/mol.

Example 362:

Compound 709

m.p.: 244°C

ESI-MS: found: 487.2 ($M+H^+$); calculated: 486.55g/mol.

Example 363:

Compound 710

m.p.: 246°C

ESI-MS: found: 459.4 ($M+H^+$); calculated: 458.54g/mol.

Example 364:

Compound 711

m.p.: 248°C

ESI-MS: found: 491.4 ($M+H^+$); calculated: 490.59g/mol.

Example 365:

Compound 733

m.p.: 110°C

ESI-MS: found: 356.0 ($M+H^+$); calculated: 355.40g/mol.

II) Biological effects of the compounds of the invention

II.1) Cell-free kinase assays (by means of ALPHA technology)

The inhibitory effect of the compounds of the invention was tested on various human serine/threonine kinases, tyrosine kinases and lipid kinases in enzymatic assays. Recombinant human kinases, for example PI3Kalpha, -beta, -gamma, -delta, Erk2, p38alpha, p38gamma, Jnk1, Jnk2 and others were used, in some cases as full-length kinases, in some cases as truncated fragments – but at least consisting of the functional kinase domains. The commercial kinase proteins (Proqinase, Upstate) were used as recombinant fusion proteins with GST (glutathione S-transferase) tag or His tag. Depending on the substrate type, the different kinase reactions were quantified by suitable ALPHA^{TM} beads (PerkinElmer).

Testing

The kinase assays for PI3K and Erk are described in detail and selected test results are cited below. To determine the IC_{50} value, the potential inhibitor substances were investigated at 10 half-logarithmically graduated concentrations of 3,16 nM - 100 µM.

a) PI3K-ALPHA (e.g. PI3Kalpha): The test substance, 1 ng of PI3Kalpha (#14-602, Upstate), 100 µM ATP and 20 µM PIP_2 substrate (#P4508, Echelon) were incubated on a 384-well Optiplate (Perkin Elmer) for 60 minutes in 50 mM Hepes, 50 mM NaCl, 5 mM $MgCl_2$, 0.05% Chaps, 5 mM DTT at pH 7.4. Subsequently, the kinase reaction was stopped by adding the ALPHA bead mix (10 µg/ml, #6760603/ PerkinElmer), preincubated with 1 nM GST:Grp1 fusion protein (Upstate) and 15 nM biotinylated PIP3 (#C-39B6/ Echelon) in 50 mM Hepes, 50 mM NaCl, 50 mM EDTA and 0.1% BSA, and left to stand overnight.

b) Erk2-ALPHA: The test substance, 0.625 ng of Erk2 (#14-173, Upstate), 10µM ATP and 15 nM biotinylated MBP (myelin basic protein) substrate were incubated on a 384-well Optiplate (Perkin Elmer) in a volume of 15 µl for 1h in 25 mM Tris, 10 mM $MgCl_2$, 0.1% Tween-20, 100 µM $NaVO_4$, 2 mM DTT at pH 7.5. The kinase reaction was stopped by adding 10 µl of the ALPHA bead mix (10 µg/ml, #6760617/ Perki-

nElmer), pre-incubated with anti-phospho MBP antibody (320 pM, #05-429/ Upstate), in 25 mM Tris, 200 mM NaCl, 100 mM EDTA and 0.3% BSA, and left to stand overnight.

The luminiscence was detected the next morning in a Fusion™alpha instrument (Perkin Elmer).

Evaluation

The calculation of % inhibition values per substance concentration was done by means of the following formula from the raw data determined in the Fusion™alpha:

$$\%\ \text{kinase inhibition}_{(\text{sample})} = 100 - \left(100x \frac{\text{mean}_{(\text{sample})} - \text{mean}_{(0\%\ \text{control})}}{\text{mean}_{(100\%\ \text{control})} - \text{mean}_{(0\%\ \text{control})}} \right)$$

The controls were determined 8 fold and the substance samples 2 fold. 0% control contained neither any ATP nor any substrate. The 100% control contained no test substance. The IC_{50} values were determined with GraphPadPrism.

The compounds of the invention exhibited effective inhibition of PI3Kalpha, Erk2, p38alpha and Jnk1 + Jnk2 with IC_{50} values of <500 nM (see **Table 1**).

Table 1: PI3Kalpha and MAPK kinase assay test results (IC_{50} [µM] at 10 µM or 100 µM* ATP)

Compound	PI3Kalpha*	Erk2	p38alpha	Jnk1 + Jnk2
5	<0.5	>100	>100	>10
20	<1	>100	>100	>100
30	<1	<10	<10	<31.6
46	<5	<0.5	>100	<1
50	<1	>100	>100	>100
54	<5	<0.5	>100	<31.6
55	<5	>100	<10	>100
56	<5	<10	<10	>10
73	<5	>100	>10	>100
147	<1	>100	>100	>31.6
160	<1	<31.6	<50	>100
173	<1	<50	<50	<31.6
176	<1	>31.6	<50	<50
181	<5	>100	<50	>100
509	<1	>100	>100	>100
526	<1	>100	<50	>100
553	<5	>100	>100	<100
563	<1	>100	-	-
566	<5	>100	>31.6	>100
614	<1	<31.6	<10	<31.6
637	<5	>100	>100	>100

Especially compound 5 and derivatives are characterized by low IC_{50} values against PI3K and high selectivity against the other kinases.

Also pyridopyrazines, substituted by -NH-heteroaryl at the pyrazine ring (for example, compounds 50, 55, 56 and 73), show PI3K inhibition, but no or only moderate MAPK inhibition.

Pyridopyrazines, substituted by heteroaryl at the pyrazine ring (for example, compounds 46 and 54) exhibit a dual mode of kinase inhibition at least, namely an inhibition of PI3K and Erk2.

II.2) Cellular assay: Testing for anti-proliferate activty (XTT assay)

The principle of this test is based on the intracellular reduction of the tetrazolium dye XTT (sodium 3'-[1-(phenylaminocarbonyl)-3,4-tetrazolium]bis(4-methoxy-6-nitro)benzenesulphonic acid, Sigma) to a formazan dye by mitochondrial dehydrogenases. The dye is formed only by metabolically active cells. Its photometrically measurable intensity is a quantitative indicator for the presence of living cells. The reduction in the dye formation as a result of incubation of the cells with substances serves as a parameter for the anti-proliferative activity.

Testing

The tumour cell lines (ATCC) were seeded in 96-well microtitre plates in a defined cell count (5000 cells/well for Hct116; 10000 cells/well for MDA MB468), and incubated overnight at 37°C, 5% CO_2 and 95% air humidity. The test substances were made up as stock solutions (10 mM) in DMSO. To determine the EC_{50} values, the potential inhibitor substances were added to the cells in quarter-logarithmically graded dilutions, so as to result in final concentrations of 0.28 µM-50 µM. The cell plates were then incubated for 45 h at 37°C, 5% CO_2 and 95% air humidity.

For the detection reaction, the XTT substrate was mixed with PMS (N-methyldibenzopyrazine methylsulphate, Sigma) and added to the cells, to result in a final concentration of 325 µg of XTT/ml and 2.5 µg PMS/ml. The mixture was then incubated for 3 h at 37°C, 95% air humidity. Subsequently the formazan salt formed by cellular dehydrogenases was quantified at an absorption of 490 nm.

Evaluation

The evaluation of the % inhibition values was done by means of the following formula from the values for the optical densities measured in each case at 490 nm:

$$\%\ \text{inhibition of cell proliferation}_{(\text{sample})} = 100 - \left(100x \frac{\text{mean}_{(\text{sample})} - \text{mean}_{(0\%\ \text{control})}}{\text{mean}_{(100\%\ \text{control})} - \text{mean}_{(0\%\ \text{control})}} \right)$$

The controls were determined 8 fold, the substance samples 2 fold. 0% control con-

tained no cells and the 100% control contained no test substance. The EC_{50} values were determined with GraphPadPrism.

The compounds of the invention exhibited effective inhibition of cell proliferation in some cases with EC_{50} values <1µM (see **Table 2**).

Table 2: XTT assay test results (EC50 [µM])

Compound	MDA-MB468	Hct116
5	<1	<2
46	<10	<10
50	<10	<5
54	<5	<5
55	<5	<5
56	<5	<10
73	<5	<5
89	<5	<5
113	<5	<5
126	<5	<5
134	<5	<5
138	<10	<10
140	<5	<5
147	<5	<5
148	<5	<10
150	<5	<5
152	<10	<10
160	<1	<1
173	<1	<1
176	<1	<1
181	<10	<10
509	<5	<5
526	<1	<5
553	<5	<5
563	<1	<1
566	<5	<5
614	<5	<5
637	<10	<10

Especially compound 5 and derivatives display high anti-proliferative potencies against human tumor cell lines, as they show EC_{50} values in the nanomolar range

II.3) Cellular assay: Testing of substrate inhibition (Western blotting)

This method enables a statement of whether the kinase modulator investigated achieves the desired effect in a cellular context too, i.e., in this case, a substrate protein downstream of the target kinase is examined for its phosphorylation status. To this end, the cells incubated with substance are lysed and the overall protein is separated on a reducing polyacrylamide gel. Subsequently, the proteins are transferred to a PVDF membrane by Western blotting and the substrate bands sought are made visible with specific antibodies and a suitable detection method. The substrate proteins downstream of the target kinases are detected simultaneously with an anti-phospho antibody which is specific in each case and a total antibody which recognizes the substrate total protein. The duplex technology of the ODYSSEY imager (LiCOR) enables this simultaneous measurement. The intensity of the total substrate bands is employed to normalize and quantify the phosphorylation inhibition or activation.

Testing

Suitable tumor cell lines (e.g. BxPC3, Hct116 or MDA MB468) were seeded into 6-well microtitre plates in a defined cell count (e.g. 350 000 cells/well for BxPC3 and Hct116) in the particular standard complete media and then incubated overnight at 37°C, 5% CO_2 and 95% air humidity. Afterwards, the cells were incubated for 24 h under serum-reduced conditions, i.e. in the particular medium except at only 0.25% serum. The test substances were made up as stock solutions (10 mM) in DMSO and incubated with the cells at final concentrations of 5, 15.8 and 50 µM for 5 h. This was followed by cell lysis in 25 mM Tris, 150 mM NaCl, 10 mM sodium pyrophosphate, 2 mM EGTA, 25 mM beta-glycerophosphate, 25 mM NaF, 10% glycerol, 0.75% NP-40, 100 µM $NaVO_4$ buffer. After protein quantification using the BCA (bicinchonic acid protein assay kit, Sigma) assay, amounts of protein of about 20 µg per track were separated on a Lämmli polyacrylamide gel and then transferred onto a PVDF membrane (Millipore) by semi-dry Western blotting at 0,8 mA/cm^2 for 1 h. This was followed by prehybridization of the membrane for 1 hour in I-block reagent (Applied Biosystems) and overnight simultaneous incubation with the specific antibodies. To determine the Erk and PI3K inhibition, the next substrates Rsk1 downstream were detected with the total antibody (Rsk #sc-231g C-21, Santa Cruz) and the phospho antibody (Phospho-p90RSK (S380) #9341, NEB Cell Signalling) and Akt with the total antibody (Akt1 #sc-1618 C-20, Santa Cruz) and the phospho antibody (Phospho-Akt (Ser 473) #9271,

NEB Cell Signaling). After the membrane had been washed, the secondary antibodies were incubated with anti-rabbit IR Dye 800 (#611-732-127, Rockland) for the phospho antibodies and anti-goat Alexa Fluor 680 (#A-21081, Molecular samples) for the total protein antibodies. After incubation for 30 min at room temperature in the dark, the hybridization of the detection antibody was detected on the membrane by scanning in an ODYSSEY imager (LiCOR).

Evaluation

At concentrations of 5-50 µM, the compounds of the invention exhibited selective inhibition of PI3K or dual inhibition of Erk (MAPK1/2) and of PI3K (see **Table 3**), which is indicated by inhibition of the phospho-band intensity of the downstream substrates Rsk1 and Akt.

Table 3 Inhibition of cellular substrate phosphorylation (at 50 µM)

Compound	PI3K →pAkt	Erk →pRsk
5	100%	0%
46	40%	100%
50	100%	0%
54	100%	90%
55	90%	0%
56	100%	0%

Especially, pyridopyrazines such as compound 5 show total inhibition of cellular phospho-Akt at compound concentrations <50 µM. The Raf-Mek-Erk pathway is not affected by these derivatives, as phospho-Rsk is not inhibited.

Also, pyridopyrazines, substituted by -NH-heteroaryl at the pyrazine ring (for example, compounds 50, 55 and 56), exhibit cellular PI3K selectivity, as they do not block the Raf-Mek-Erk pathway or show inhibition of phospho-Rsk respectively.

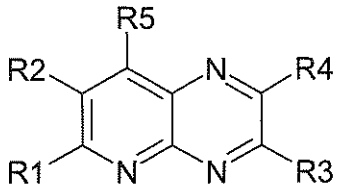
Pyridopyrazines, substituted by heteroaryl at the pyrazine ring (for example, compounds 46 and 54) exhibit a dual mode of kinase inhibition, as they inhibit both, the PI3K-Akt and the Raf-Mek-Erk-Rsk pathways.

Abbreviations

Akt	from: murine Akt8 retrovirus or protein kinase B (PKB)
Ask1	apoptosis signal-regulating kinase
ATR	ataxia-telangiectasia and Rad3-related
ATM	ataxia-telangiectasia mutated
Bag1	Bcl-2 associated athanogene-1
Bcl-2	B-cell leukaemia/lymhoma-2 gene
DNA-PK	DNA-dependent protein kinase
Erk	extracellular signal-regulated kinase
Flt-3	fms like tyrosine kinase 3
GSK-3	glycogen synthase kinase-3
hSMG-1	human orthologue of product of seven nematode gene-1
JAK-3	Janus kinase 3
JNK	c-jun N-terminal kinase
MAPK	mitogen activated protein kinase
Mek	MAP or Erk kinase
mTOR	mammalian target of rapamycin
PDGFR	platelet derived growth factor receptor
PI3K	phosphoinositol 3-kinase
PIKK	phosphoinositol 3-kinase related kinase
PIP_2	phosphatidylinositol biphosphate
PIP_3	phosphatidylinositol triphosphate
PtdIns	phosphatidylinositol
Raf	rapid accelerated fibrosarcoma
Ras	rat sarcoma
RTK	receptor tyrosine kinase
SAPK	stress-activated protein kinase
Ser	serine
Syk	spleen tyrosine kinase
Thr	threonine
Tyr	tyrosine
VEGFR	vascular endothelial growth factor receptor

Claims

1. Pyrido[2,3-b]pyrazine derivative according to general formula (Ia)



(Ia)

wherein:

R1 is phenyl, optionally substituted with at least one substituent, identical or different, selected from -F, -Cl, -Br, -I, -OH or –O-alkyl;

R2 = H;

R3 is -NH-C(Y1)NHR9, where Y1 is O or S, and R9 is selected from alkyl, cycloalkyl and heteroaryl, optionally substituted with at least one substituent, identical or different, selected from -F, -Cl, -Br and -I;

R4 = H;

R5 = H;

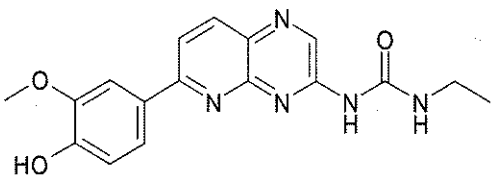
and wherein;

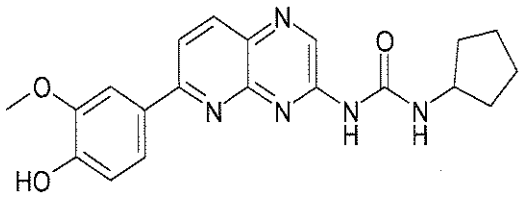
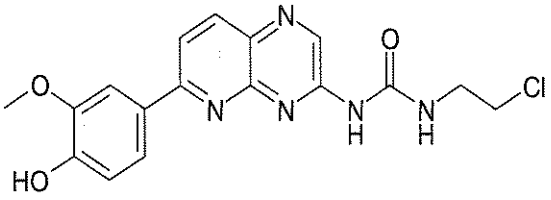
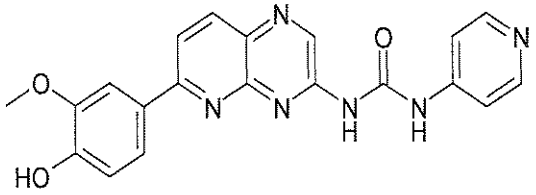
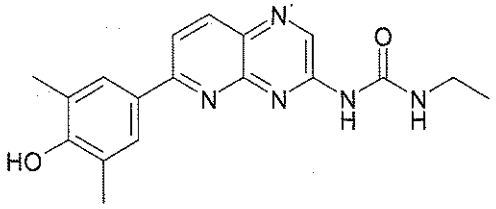
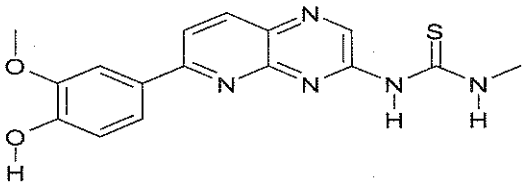
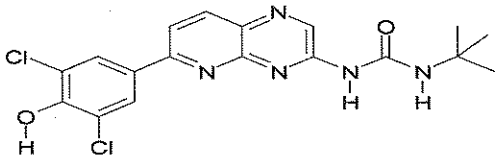
"alkyl" is an acyclic saturated branched or straight-chain hydrocarbon radical having 1 to 8 carbon atoms;

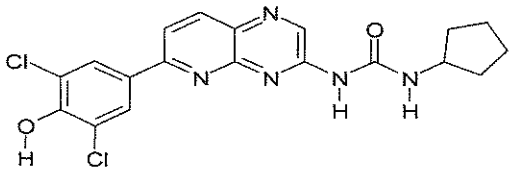
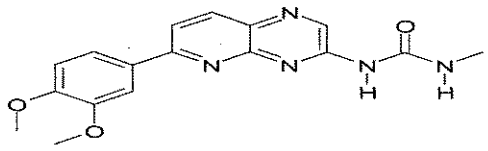
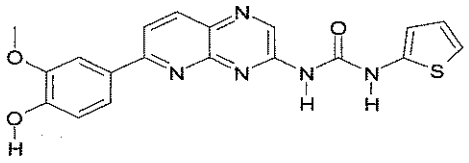
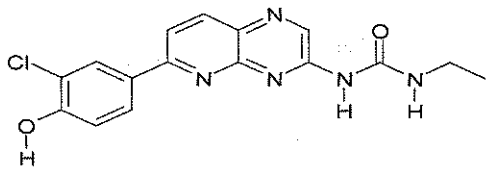
"cycloalkyl" is a saturated non-aromatic cyclic hydrocarbon radical having 3 to 8 carbon atoms; and

"heteroaryl" is a 5-, 6- or 7-membered cyclic aromatic hydrocarbon radical comprising at least one heteroatom selected from nitrogen, oxygen and/or sulphur, where the heteroatoms are identical or different, and the number of nitrogen atoms is 0, 1, 2 or 3, and the number of oxygen or sulphur atoms is independently 0 or 1.

2. Pyrido[2,3-b]pyrazine derivative as claimed in claim 1, in which the compound is selected from;

Compound	Structure	Name
5		1-Ethyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

20		1-Cyclopentyl-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
173		1-(2-Chloro-ethyl)-3-[6-(4-hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
176		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-pyridin-4-yl-urea
181		1-Ethyl-3-[6-(4-hydroxy-3,5-dimethyl-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
526		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-methyl-thiourea
553		1-tert-Butyl-3-[6-(3,5-dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea

563		1-Cyclopentyl-3-[6-(3,5-dichloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-urea
566		1-[6-(3,4-Dimethoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-methyl-urea
614		1-[6-(4-Hydroxy-3-methoxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-thiophen-2-yl-urea
637		1-[6-(3-Chloro-4-hydroxy-phenyl)-pyrido[2,3-b]pyrazin-3-yl]-3-ethyl-urea

3. Pharmaceutical composition comprising a pharmaceutically active amount of at least one compound as claimed in any of claims 1 to 2.

4. Pharmaceutical composition as claimed in claim 3, where the at least one compound is present in a unit dose of from 0.001 mg to 100 mg per kg of body weight of the patient.

5. Pharmaceutical composition as claimed claim 3 or claim 4, where the composition additionally comprises at least one pharmaceutically acceptable carrier and/or auxiliary.

6. Pharmaceutical composition as claimed in any of claims 3 to 5, where the composition additionally comprises at least one further pharmacologically active substance,

selected from the group consisting of: "DNA topoisomerase I and/or II inhibitors, DNA intercalators, alkylating agents, microtubuli destabilizers, hormone and/or growth factor receptor agonists and/or antagonists, antibodies against growth factors and their receptors, kinase inhibitors, antimetabolites",

where the further pharmacologically active substance is selected from the group consisting of: "asparaginase, bleomycin, carboplatin, carmustine, chlorambucil, cisplatin, colaspase, cyclophosphamide, cytarabine, dacarbazine, dactinomycin, daunorubicin, doxorubicin (adriamycin), epirubicin, etoposide, 5-fluorouracil, hexamethylmelamine, hydroxyurea, ifosfamide, irinotecan, leucovorin, lomustine mechlorethamine, 6-mercaptopurine, mesna, methotrexate, mitomycin C, mitoxantrone, prednisolone, prednisone, procarbazine, raloxifen, streptozocin, tamoxifen, thioguanine, topotecan, vinblastine, vincristine, vindesine, aminoglutethimide, L-asparaginase, azathioprine, 5-azacytidine cladribine, busulfan, diethylstilbestrol, 2',2'-difluorodeoxycytidine, docetaxel, erythrohydroxynonyladenine, ethynylestradiol, 5-fluorodeoxyuridine, 5-fluorodeoxyuridine monophosphate, fludarabine phosphate, fluoxymesterone, flutamide, hydroxyprogesterone caproate, idarubicin, interferon, medroxyprogesterone acetate, megestrol acetate, melphalan, mitotane, paclitaxel, oxaliplatin, pentostatin, N-phosphonoacetyl-L-aspartate (PALA), plicamycin, semustine, teniposide, testosterone propionate, thiotepa, trimethylmelamine, uridine, vinorelbine, epothilone, gemcitabine, taxotere, BCNU, CCNU, DTIC, 5-fluorouarcil, herceptin, avastin, erbitux, sorafenib, gleevec, iressa, tarceva, rapamycin, actinomycin D, sunitinib (sutent)".

7. Pyrido[2,3-b]pyrazine derivative as claimed in any of claims 1 to 2 or pharmaceutical composition as claimed in any of claims 3 to 6 for use as a medicament.

8. Use of a pyrido[2,3-b]pyrazine derivative as claimed in any of claims 1 to 2 or a pharmaceutical composition as claimed in any of claims 3 to 6 for the manufacture of a medicament for the treatment or prophylaxis of physiological and/or pathological conditions in mammals that are mediated by one or more signal transduction pathways selected from the group consisting of: "ras-Raf-Mek-Erk signal transduction pathway, PI3K-Akt signal transduction pathway and/or SAPK signal transduction pathway" ,

where the physiological and/or pathophysiological conditions are selected from the group consisting of: "malignant tumors, benign tumors, inflammatory disorders, inflammations, pain, rheumatic disorders, arthritic disorders, HIV infections, neurological or neurodegenerative disorders, rheumatism, arthritis, AIDS, ARC (AIDS related complex), Kaposi's sarcoma, tumors originating in the brain and/or nervous system and/or meninges, dementia, Alzheimer's disease, hyperproliferative disorders, psoriasis, endometriosis, scar formation, benign prostate hyperplasia (BPH), disorders of the immune system, autoimmune disorders, immune deficiency disorders, colon tumor, stomach tumor, intestine tumor, lung tumor, pancreas tumor, ovarian tumor, prostate tumor, leukemia, melanoma, liver tumor, kidney tumor, head tumor, throat tumor, glioma, breast tumor, uterine cancer, endometrial cancer, cervical cancer, brain tumor, adenocanthoma, bladder cancer, colorectal tumor, esophageal cancer, gynecological tumor, ovarian tumor, thyroid cancer, lymphoma, chronic leukemia, acute leukemia, restenosis, diabetes, diabetic nephropathy, fibrotic disorders, cystic fibrosis, malignant nephrosclerosis, thrombotic microangiopathy syndrome, organ transplant rejection, glomerulopathies, disorders of the metabolism, solid tumors, rheumatic arthritis, diabetic retinopathy, asthma, allergies, allergic disorders, chronic obstructive pulmonary disorders, inflammatory bowel disorder, fibrosis, atherosclerosis, cardiac disorders, cardiovascular disorders, disorders of the heart muscle, vascular disorders, angiogenetic disorders, kidney disorders, rhinitis, Grave's disease, focal ischemia, heart failure, ischemia, cardiac hypertrophy, kidney failure, cardiac myocyte dysfunction, high blood pressure, vascular constriction, stroke, anaphylactic shock, blood platelet agglutina-

tion, skeletal muscular atrophy, obesity, excess weight, glucose homeostasis, congestive heart failure, angina, heart attack, myocardial infarction, hyperglycemia, hypoglycemia, hypertension".

9. Kit comprising a pharmacologically active amount of at least one compound as claimed in any of claims 1 to 2 and/or at least one pharmaceutical composition as claimed in any of claims 3 to 6 .