

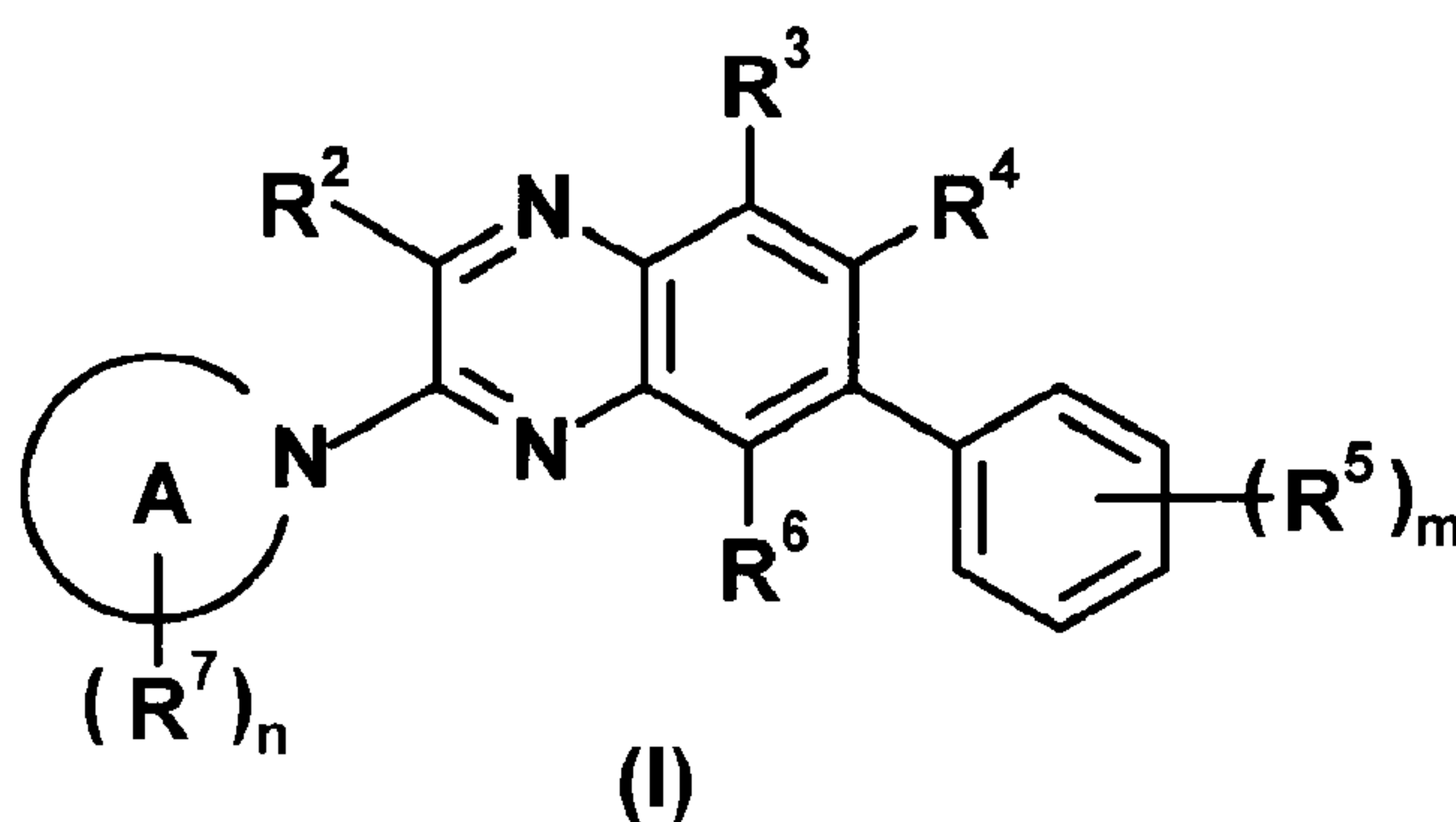


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(54) Titre : AMINOQUINOXALINES SUBSTITUEES SERVANT D'INHIBITEURS DE TYROSINE-THREONINE KINASES
(54) Title: SUBSTITUTED AMINOQUINOXALINES AS TYROSINE THREONINE KINASE INHIBITORS



(57) Abrégé/Abstract:

The present invention relates to substituted aminoquinoxaline compounds of general formula (I) in which (II), R², R³, R⁴, R⁶, R⁷, n and m are as given in the description and in the claims, to methods of preparing said compounds, to pharmaceutical compositions



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and combinations comprising said compounds, to the use of said compounds for manufacturing a pharmaceutical composition for the treatment or prophylaxis of a disease, as well as to intermediate compounds useful in the preparation of said compounds.

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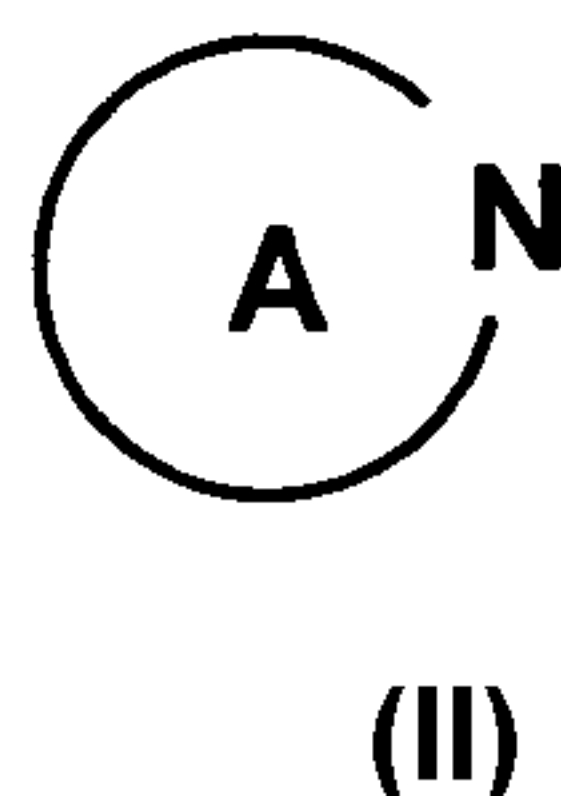
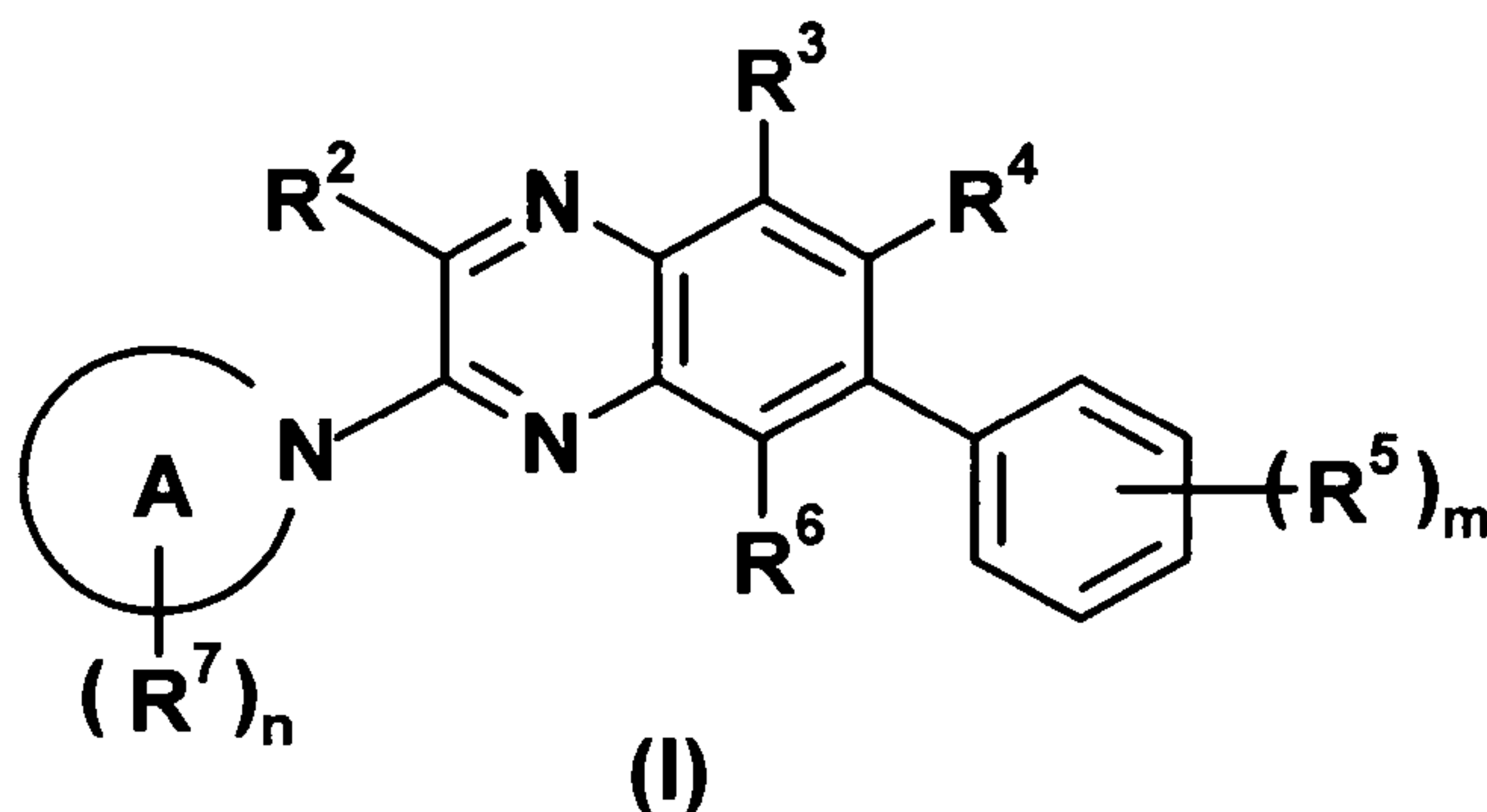
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(54) Title: SUBSTITUTED AMINOQUINOXALINES AS TYROSINE THREONINE KINASE INHIBITORS



(57) Abstract: The present invention relates to substituted aminoquinoxaline compounds of general formula (I) in which (II), R², R³, R⁴, R⁶, R⁷, n and m are as given in the description and in the claims, to methods of preparing said compounds, to pharmaceutical compositions and combinations comprising said compounds, to the use of said compounds for manufacturing a pharmaceutical composition for the treatment or prophylaxis of a disease, as well as to intermediate compounds useful in the preparation of said compounds.

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SUBSTITUTED AMINOQUINOXALINES AS TYROSINE THREONINE KINASE INHIBITORS

The present invention relates to substituted aminoquinoxaline compounds of general formula (I) as described and defined herein, to methods of preparing said
5 compounds, to pharmaceutical compositions and combinations comprising said compounds, to the use of said compounds for manufacturing a pharmaceutical composition for the treatment or prophylaxis of a disease, as well as to intermediate compounds useful in the preparation of said compounds.

10 BACKGROUND OF THE INVENTION

The present invention relates to chemical compounds that inhibit Mps-1 (Monopolar Spindle 1) kinase (also known as Tyrosine Threonine Kinase, TTK). Mps-1 is a dual specificity Ser/Thr kinase which plays a key role in the activation of
15 the mitotic checkpoint (also known as spindle checkpoint, spindle assembly checkpoint) thereby ensuring proper chromosome segregation during mitosis [Abrieu A *et al.*, Cell, 2001, 106, 83-93]. Every dividing cell has to ensure equal separation of the replicated chromosomes into the two daughter cells. Upon entry into mitosis, chromosomes are attached at their kinetochores to the
20 microtubules of the spindle apparatus. The mitotic checkpoint is a surveillance mechanism that is active as long as unattached kinetochores are present and prevents mitotic cells from entering anaphase and thereby completing cell division with unattached chromosomes [Suijkerbuijk SJ and Kops GJ, Biochemica et Biophysica Acta, 2008, 1786, 24-31; Musacchio A and Salmon ED, Nat Rev Mol Cell
25 Biol., 2007, 8, 379-93]. Once all kinetochores are attached in a correct amphitelic, *i.e.* bipolar, fashion with the mitotic spindle, the checkpoint is satisfied and the cell enters anaphase and proceeds through mitosis. The mitotic checkpoint consists of complex network of a number of essential proteins, including members of the

MAD (mitotic arrest deficient, MAD 1-3) and Bub (Budding uninhibited by benzimidazole, Bub 1-3) families, the motor protein CENP-E, Mps-1 kinase as well as other components, many of these being over-expressed in proliferating cells (*e.g.* cancer cells) and tissues [Yuan B *et al.*, Clinical Cancer Research, 5 2006, 12, 405-10]. The essential role of Mps-1 kinase activity in mitotic checkpoint signalling has been shown by shRNA-silencing, chemical genetics as well as chemical inhibitors of Mps-1 kinase [Jelluma N *et al.*, PLoS ONE, 2008, 3, e2415; Jones MH *et al.*, Current Biology, 2005, 15, 160-65; Dorer RK *et al.*, Current Biology, 2005, 15, 1070-76; Schmidt M *et al.*, EMBO Reports, 2005, 6, 10 866-72].

There is ample evidence linking reduced but incomplete mitotic checkpoint function with aneuploidy and tumorigenesis [Weaver BA and Cleveland DW, Cancer Research, 2007, 67, 10103-5; King RW, Biochimica et Biophysica Acta, 2008, 1786, 4-14]. In contrast, complete inhibition of the mitotic checkpoint 15 has been recognised to result in severe chromosome missegregation and induction of apoptosis in tumour cells [Kops GJ *et al.*, Nature Reviews Cancer, 2005, 5, 773-85; Schmidt M and Medema RH, Cell Cycle, 2006, 5, 159-63; Schmidt M and Bastians H, Drug Resistance Updates, 2007, 10, 162-81]. Therefore, mitotic checkpoint abrogation through pharmacological inhibition 20 of Mps-1 kinase or other components of the mitotic checkpoint represents a new approach for the treatment of proliferative disorders including solid tumours such as carcinomas and sarcomas and leukaemias and lymphoid malignancies or other disorders associated with uncontrolled cellular proliferation.

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WO98/054158 (Phone-Poulenc Rorer Pharmaceuticals Inc.) relates to quinoline and quinoxalines which inhibit PDGF and/or P56^{LCK} tyrosine kinases. Said quinolines and quinoxalines do not possess cyclic amine substituents in position 2.

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WO 2008/141065 (SmithKline Beecham) relates to quinoxaline derivatives as PI3K kinase inhibitors. Said quinoxalines possess only heteroaryl substituents in position 7.

- 5 WO 2008/021389 (Exelixis) relates to quinoxalines which inhibit kinases, more specifically MEK and PI3K. Said quinoxalines possess only sulphonamide substituents in position 2.

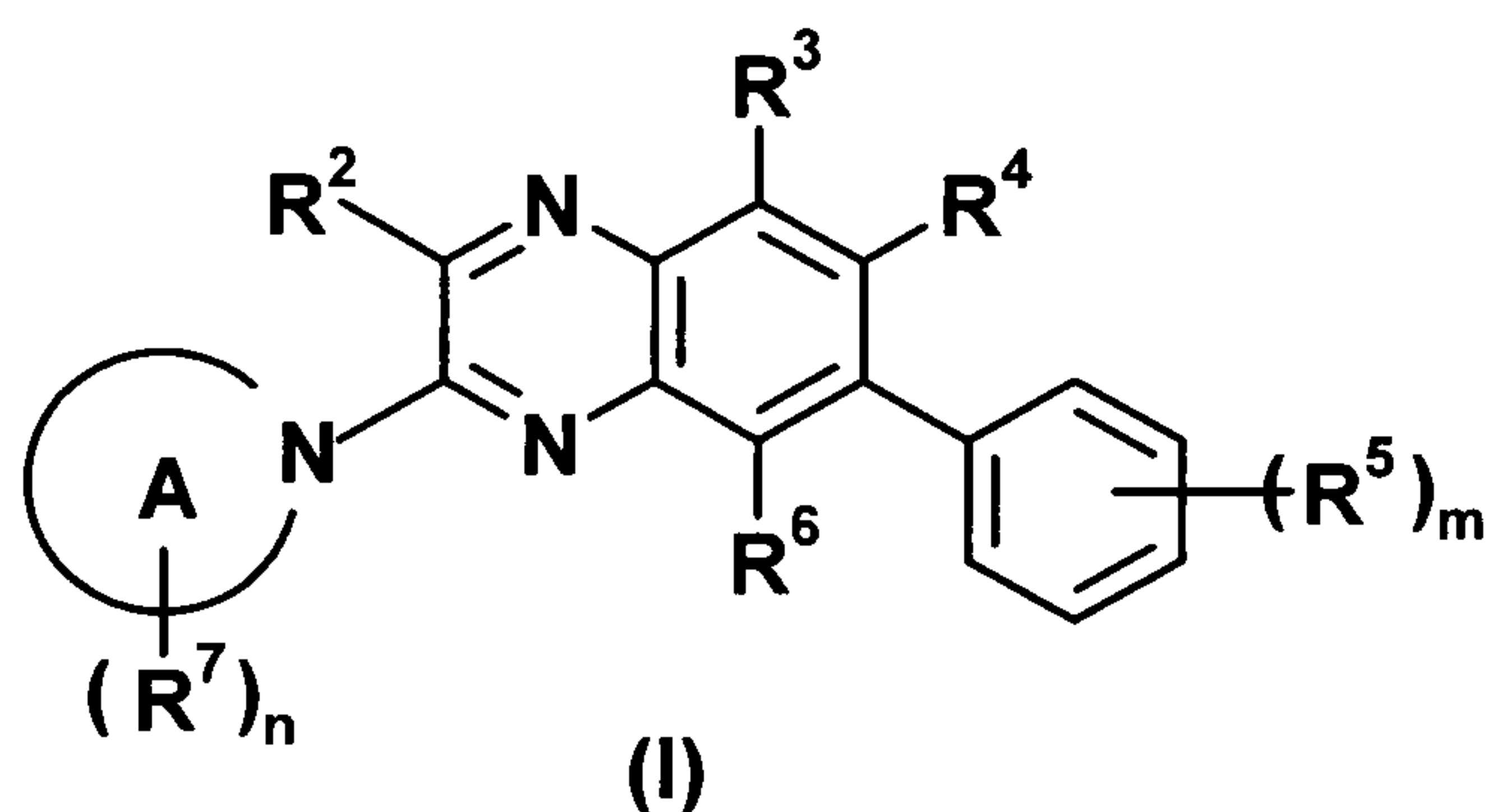
However, none of the state of the art described above describes the
10 substituted aminoquinoxaline compounds of general formula (I) of the present invention, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same, as described and defined herein, and as hereinafter referred to as "compounds of the present invention", or their pharmacological activity. It has now been found, and this constitutes the basis
15 of the present invention, that said compounds of the present invention have surprising and advantageous properties.

In particular, said compounds of the present invention have surprisingly been found to effectively inhibit Mps-1 kinase and may therefore be used for the
20 treatment or prophylaxis of diseases of uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses or diseases which are accompanied with uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses,
25 particularly in which the uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses is mediated by Mps-1 kinase, such as, for example, haematological tumours, solid tumours, and/or metastases thereof, e.g. leukaemias and myelodysplastic syndrome, malignant lymphomas, head and
30 neck tumours including brain tumours and brain metastases, tumours of the thorax including non-small cell and small cell lung tumours, gastrointestinal

tumours, endocrine tumours, mammary and other gynaecological tumours, urological tumours including renal, bladder and prostate tumours, skin tumours, and sarcomas, and/or metastases thereof.

5 DESCRIPTION of the INVENTION

In accordance with a first aspect, the present invention covers compounds of general formula (I) :



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(I)

in which :



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represents a 4-, 5-, 6-, 7-, 8-, 9- or 10-membered heterocyclic ring, optionally further containing 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ represents hydrogen, or a group selected from : C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, hydroxyl-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-, aryloxy- C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, a 4- or 5-(1,3-benzodioxoliny)-group, -C₁-C₆-alkylene-heteroaryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-

alkylene-(3- to 10-membered heterocycloalkyl), $-C(=O)R^8$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-C(=O)NR^9R^{10}$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-

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, hydroxyl-, cyano-, nitro-, $C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkyl}$ -, $C_1-C_6\text{-alkoxy}$ -, halo- $C_1-C_6\text{-alkoxy}$ -, hydroxy- $C_1-C_6\text{-alkyl}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, $C_2-C_{10}\text{-alkenyl}$ -, $C_2-C_{10}\text{-alkynyl}$ -, $C_3-C_{10}\text{-cycloalkyl}$ -, 3- to 10-membered heterocycloalkyl-,

10

aryl-, heteroaryl-, $C_1-C_6\text{-alkylene-aryl}$ -, $C_1-C_6\text{-alkylene-heteroaryl}$ -, $-C_1-C_6\text{-alkylene-}C_3-C_{10}\text{-cycloalkyl}$, $-C_1-C_6\text{-alkylene-(3- to 10-membered heterocycloalkyl)}$, $-OR^8$, $-C(=O)H$, $-C(=O)R^8$ -,

$C(=O)OH$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-OC(=O)-C_1-C_6\text{-alkyl}$, $-OC(=O)-C_3-C_{10}\text{-cycloalkyl}$, $-N(H)C(=O)R^8$, $-$

15

$N(C_1-C_6\text{-alkyl})C(=O)R^8$, $-N(H)S(=O)_2R^8$, $-N(C_1-C_6\text{-alkyl})S(=O)_2R^8$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^8$, $-N(C_1-C_6\text{-alkyl})C(=O)OR^8$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^8$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

R^1 and R^7 can form together a 5-7 membered ring which is

20

optionally substituted with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, $C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkyl}$ -, $C_1-C_6\text{-alkoxy}$ -, halo- $C_1-C_6\text{-alkoxy}$ -, hydroxy- $C_1-C_6\text{-alkyl}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, $C_2-C_{10}\text{-alkenyl}$ -, $C_2-C_{10}\text{-alkynyl}$ -, $C_3-C_{10}\text{-cycloalkyl}$ -, 3- to 10-membered heterocycloalkyl-,

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aryl-, heteroaryl-, $C_1-C_6\text{-alkylene-aryl}$ -, $C_1-C_6\text{-alkylene-heteroaryl}$ -, $-C_1-C_6\text{-alkylene-}C_3-C_{10}\text{-cycloalkyl}$, $-C_1-C_6\text{-alkylene-(3- to 10-membered heterocycloalkyl)}$, $-C(=O)H$, $-C(=O)R^8$ -, $C(=O)OH$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-OC(=O)-C_1-C_6\text{-alkyl}$, $-OC(=O)-C_3-C_{10}\text{-cycloalkyl}$, $-N(H)C(=O)R^8$, $-N(C_1-C_6\text{-alkyl})C(=O)R^8$, $-N(H)S(=O)_2R^8$, $-N(C_1-C_6\text{-alkyl})S(=O)_2R^8$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^8$, $-N(C_1-C_6\text{-alkyl})C(=O)OR^8$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^8$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

30

R^1 and R^7 can form together a 5-7 membered ring which is optionally substituted with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, $C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkyl}$ -, $C_1-C_6\text{-alkoxy}$ -, halo- $C_1-C_6\text{-alkoxy}$ -, hydroxy- $C_1-C_6\text{-alkyl}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, $C_2-C_{10}\text{-alkenyl}$ -, $C_2-C_{10}\text{-alkynyl}$ -, $C_3-C_{10}\text{-cycloalkyl}$ -, 3- to 10-membered heterocycloalkyl-,

alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰, =O ;

R², R³, R⁴, R⁶, represent independently from each other hydrogen, or a group
5 selected from:

halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, -C(=O)R⁸, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -C(=O)NR⁹R¹⁰, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -NR⁹R¹⁰;
10

R⁵, R⁷ represent, independently from each other, a substituent selected from hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group
15 selected from : C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;
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wherein, when m or n represent, independently from each other, an integer of 2, 3, 4, or 5, then said substituent or substituent group is, independently from each other, identical or different ;

30 wherein said substituent group is optionally substituted one or more times, in identically or differently, with a substituent selected from :

halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, a 4- or 5-(1,3-benzodioxolynyl)- group, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -N(H)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂, -C(=O)N(H)C₁-C₆-alkyl, -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl, -OC(=O)N(C₁-C₆-alkyl)₂, -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-C₁-C₆-alkyl, -N(H)C(=O)NH₂, -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-C₆-alkyl)₂, -N(C₁-C₆-alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -S(=O)₂-C₁-C₆-alkyl, -S(=O)₂NH₂, -S(=O)₂N(H)C₁-C₆-alkyl, -S(=O)₂N(C₁-C₆-alkyl)₂, -NH₂, -N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)₂ ;

R₈ represents a group selected from:

C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, hydroxy-C₁-C₆-alkyl, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-aryl, -C₁-C₆-alkylene-heteroaryl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-

C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-, $-C_1$ - C_6 -alkylene- C_3 - C_{10} -cycloalkyl-, $-C_1$ - C_6 -alkylene-(3- to 10-membered heterocycloalkyl), $-C(=O)H$, $-C(=O)R^{11}$ -, $C(=O)OH$, $-C(=O)O-C_1$ - C_6 -alkyl-, $-C(=O)O-C_3$ - C_{10} -cycloalkyl-, $-OC(=O)-C_1$ - C_6 -alkyl-, $-OC(=O)-C_3$ - C_{10} -cycloalkyl-,
 5 $N(H)C(=O)R^{11}$, $-N(C_1-C_6-alkyl)C(=O)R^{11}$, $-N(H)S(=O)_2R^{11}$, $-N(C_1-C_6-alkyl)S(=O)_2R^{11}$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^{11}$, $-N(C_1-C_6-alkyl)C(=O)OR^{11}$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6-alkyl)C(=O)NR^9R^{10}$, $-SR^{11}$, $-S(=O)R^{11}$, $-S(=O)_2R^{11}$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

10 R^9 , R^{10} represent independently from each other hydrogen, or a group selected from:

C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, C_2 - C_{10} -alkenyl-, C_2 - C_{10} -alkynyl-, C_3 - C_{10} -cycloalkyl-, 3- to 10-membered heterocycloalkyl-,
 15 aryl-, heteroaryl-, $-C_1$ - C_6 -alkylene-aryl, C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-, $-C_1$ - C_6 -alkylene- C_3 - C_{10} -cycloalkyl-, $-C_1$ - C_6 -alkylene-(3- to 10-membered heterocycloalkyl), $-C(=O)-C_1$ - C_6 -alkyl-, $-C(=O)-C_3$ - C_{10} -cycloalkyl-, $-C(=O)-(3- to 10-membered heterocycloalkyl)-$, $-C(=O)-aryl-$,
 20 $-C(=O)-heteroaryl-$, $-C(=O)O-C_1$ - C_6 -alkyl-, $-C(=O)O-C_3$ - C_{10} -cycloalkyl-, $-S(=O)_2-C_1$ - C_6 -alkyl-, $-S(=O)_2-C_3$ - C_{10} -cycloalkyl-, $-S(=O)_2-(3- to 10-membered heterocycloalkyl)-$, $-S(=O)_2-aryl-$, $-S(=O)_2-heteroaryl-$,

25 R^9 and R^{10} can form together a 5-7 saturated or partially unsaturated heterocyclic ring, which optionally further contains 1 or 2 heteroatom-containing groups selected from O, $C(=O)$, S, $S(=O)$, $S(=O)_2$, NR^1 in which R^1 is defined *infra*;

R^{11} represents a group selected from :
 C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, hydroxy- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-,
 30 C_2 - C_{10} -alkenyl-, C_2 - C_{10} -alkynyl-, C_3 - C_{10} -cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, C_1 - C_6 -alkylene-aryl-,

C_1-C_6 -alkylene-heteroaryl-, $-C_1-C_6$ -alkylene- C_3-C_{10} -cycloalkyl, $-C_1-C_6$ -alkylene-(3- to 10-membered heterocycloalkyl), $-C(=O)-C_1-C_6$ -alkyl, $-C(=O)-C_3-C_{10}$ -cycloalkyl, $-C(=O)-(3- to 10-membered heterocycloalkyl)$, $-C(=O)$ -aryl, $-C(=O)$ -heteroaryl, $-C(=O)OH$, $-C(=O)O-C_1-C_6$ -alkyl, $-C(=O)O-C_3-C_{10}$ -cycloalkyl, $-OC(=O)-C_1-C_6$ -alkyl, $-OC(=O)-C_3-C_{10}$ -cycloalkyl, $-N(H)C(=O)-C_1-C_6$ -alkyl, $-N(H)C(=O)-C_3-C_{10}$ -cycloalkyl, $-N(H)C(=O)-(3- to 10-membered heterocycloalkyl)$, $-N(H)C(=O)$ -aryl, $-N(H)C(=O)$ -heteroaryl, $-N(C_1-C_6-alkyl)C(=O)-C_1-C_6-alkyl$, $-N(C_1-C_6-alkyl)C(=O)-C_3-C_{10}$ -cycloalkyl, $-N(C_1-C_6-alkyl)C(=O)-(3- to 10-membered heterocycloalkyl)$, $-N(C_1-C_6-alkyl)C(=O)$ -aryl, $-N(C_1-C_6-alkyl)C(=O)$ -heteroaryl, $-N(H)S(=O)_2-C_1-C_6-alkyl$, $-N(H)S(=O)_2-C_3-C_{10}$ -cycloalkyl, $-N(H)S(=O)_2-(3- to 10-membered heterocycloalkyl)$, $-N(H)S(=O)_2$ -aryl, $-N(H)S(=O)_2$ -heteroaryl, $-N(C_1-C_6-alkyl)S(=O)_2-C_1-C_6-alkyl$, $-N(C_1-C_6-alkyl)S(=O)_2-C_3-C_{10}$ -cycloalkyl, $-N(C_1-C_6-alkyl)S(=O)_2-(3- to 10-membered heterocycloalkyl)$, $-N(C_1-C_6-alkyl)S(=O)_2$ -aryl, $-N(C_1-C_6-alkyl)S(=O)_2$ -heteroaryl, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)-OC_1-C_6-alkyl$, $-N(H)C(=O)-OC_3-C_{10}$ -cycloalkyl, $-N(H)C(=O)-O(3- to 10-membered heterocycloalkyl)$, $-N(H)C(=O)-O$ -aryl, $-N(H)C(=O)-O$ -heteroaryl, $-N(C_1-C_6-alkyl)C(=O)-OC_1-C_6-alkyl$, $-N(C_1-C_6-alkyl)C(=O)-OC_3-C_{10}$ -cycloalkyl, $-N(C_1-C_6-alkyl)C(=O)-O(3- to 10-membered heterocycloalkyl)$, $-N(C_1-C_6-alkyl)C(=O)-O$ -aryl, $-N(C_1-C_6-alkyl)C(=O)-O$ -heteroaryl, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6-alkyl)C(=O)NR^9R^{10}$, $-S-C_1-C_6-alkyl$, $-S-C_3-C_{10}$ -cycloalkyl, $-S-(3- to 10-membered heterocycloalkyl)$, $-S$ -aryl, $-S$ -heteroaryl, $-S(=O)-C_1-C_6-alkyl$, $-S(=O)-C_3-C_{10}$ -cycloalkyl, $-S(=O)-(3- to 10-membered heterocycloalkyl)$, $-S(=O)$ -aryl, $-S(=O)$ -heteroaryl, $-S(=O)_2-C_1-C_6-alkyl$, $-S(=O)_2-C_3-C_{10}$ -cycloalkyl, $-S(=O)_2-(3- to 10-membered heterocycloalkyl)$, $-S(=O)_2$ -aryl, $-S(=O)_2$ -heteroaryl, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

30 n, m represent, independently from each other, an integer of 0, 1, 2, 3, 4, or 5 ;

or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

- 5 The terms as mentioned in the present text have preferably the following meanings :

The term “halogen atom” or “halo” is to be understood as meaning a fluorine, chlorine, bromine or iodine atom.

10

The term “C₁-C₁₀-alkyl” is to be understood as preferably meaning a linear or branched, saturated, monovalent hydrocarbon group having 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10 carbon atoms, particularly 1, 2, 3, 4, 5 or 6 carbon atoms, e.g. a methyl, ethyl, propyl, butyl, pentyl, hexyl, iso-propyl, iso-butyl, sec-butyl, tert-butyl, iso-pentyl, 2-methylbutyl, 1-methylbutyl, 1-ethylpropyl, 1,2-dimethylpropyl, neo-pentyl, 1,1-dimethylpropyl, 4-methylpentyl, 3-methylpentyl, 2-methylpentyl, 1-methylpentyl, 2-ethylbutyl, 1-ethylbutyl, 3,3-dimethylbutyl, 2,2-dimethylbutyl, 1,1-dimethylbutyl, 2,3-dimethylbutyl, 1,3-dimethylbutyl, or 1,2-dimethylbutyl group, or an isomer thereof. Particularly,
15 said group has 1, 2 or 3 carbon atoms (“C₁-C₃-alkyl”), methyl, ethyl, n-propyl- or iso-propyl.
20

The term “halo-C₁-C₁₀-alkyl” is to be understood as preferably meaning a linear or branched, saturated, monovalent hydrocarbon group in which the
25 term “C₁-C₁₀-alkyl” is defined *supra*, and in which one or more hydrogen atoms is replaced by a halogen atom, in identically or differently, *i.e.* one halogen atom being independent from another. Particularly, said halogen atom is F. Said halo-C₁-C₁₀-alkyl group is, for example, -CF₃, -CHF₂, -CH₂F, -CF₂CF₃, or -CH₂CF₃.

30

The term "C₁-C₁₀-alkoxy" is to be understood as preferably meaning a linear or branched, saturated, monovalent, hydrocarbon group of formula -O-alkyl, in which the term "alkyl" is defined *supra*, e.g. a methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, iso-butoxy, tert-butoxy, sec-butoxy, pentoxy, iso-pentoxy, or n-hexoxy group, or an isomer thereof.

The term "halo-C₁-C₁₀-alkoxy" is to be understood as preferably meaning a linear or branched, saturated, monovalent C₁-C₁₀-alkoxy group, as defined *supra*, in which one or more of the hydrogen atoms is replaced, in identically or differently, by a halogen atom. Particularly, said halogen atom is F. Said halo-C₁-C₁₀-alkoxy group is, for example, -OCF₃, -OCHF₂, -OCH₂F, -OCF₂CF₃, or -OCH₂CF₃.

The term "C₁-C₁₀-alkoxy-C₁-C₁₀-alkyl" is to be understood as preferably meaning a linear or branched, saturated, monovalent alkyl group, as defined *supra*, in which one or more of the hydrogen atoms is replaced, in identically or differently, by a C₁-C₁₀-alkoxy group, as defined *supra*, e.g. methoxyalkyl, ethoxyalkyl, propyloxyalkyl, iso-propoxyalkyl, butoxyalkyl, iso-butoxyalkyl, tert-butoxyalkyl, sec-butoxyalkyl, pentyloxyalkyl, iso-pentyloxyalkyl, hexyloxyalkyl group, in which the term "C₁-C₁₀-alkyl" is defined *supra*, or an isomer thereof.

The term "halo-C₁-C₁₀-alkoxy-C₁-C₁₀-alkyl" is to be understood as preferably meaning a linear or branched, saturated, monovalent C₁-C₁₀-alkoxy-C₁-C₁₀-alkyl group, as defined *supra*, in which one or more of the hydrogen atoms is replaced, in identically or differently, by a halogen atom. Particularly, said halogen atom is F. Said halo-C₁-C₁₀-alkoxy-C₁-C₁₀-alkyl group is, for example, -CH₂CH₂OCF₃, -CH₂CH₂OCHF₂, -CH₂CH₂OCH₂F, -CH₂CH₂OCF₂CF₃, or -CH₂CH₂OCH₂CF₃.

The term "C₂-C₁₀-alkenyl" is to be understood as preferably meaning a linear or branched, monovalent hydrocarbon group, which contains one or more double bonds, and which has 2, 3, 4, 5, 6, 7, 8, 9 or 10 carbon atoms, particularly 2 or 3 carbon atoms ("C₂-C₃-alkenyl"), it being understood that in the case in which said alkenyl group contains more than one double bond, then said double bonds may be isolated from, or conjugated with, each other. Said alkenyl group is, for example, a vinyl, allyl, (E)-2-methylvinyl, (Z)-2-methylvinyl, homoallyl, (E)-but-2-enyl, (Z)-but-2-enyl, (E)-but-1-enyl, (Z)-but-1-enyl, pent-4-enyl, (E)-pent-3-enyl, (Z)-pent-3-enyl, (E)-pent-2-enyl, (Z)-pent-2-enyl, (E)-pent-1-enyl, (Z)-pent-1-enyl, hex-5-enyl, (E)-hex-4-enyl, (Z)-hex-4-enyl, (E)-hex-3-enyl, (Z)-hex-3-enyl, (E)-hex-2-enyl, (Z)-hex-2-enyl, (E)-hex-1-enyl, (Z)-hex-1-enyl, isopropenyl, 2-methylprop-2-enyl, 1-methylprop-2-enyl, 2-methylprop-1-enyl, (E)-1-methylprop-1-enyl, (Z)-1-methylprop-1-enyl, 3-methylbut-3-enyl, 2-methylbut-3-enyl, 1-methylbut-3-enyl, 3-methylbut-2-enyl, (E)-2-methylbut-2-enyl, (Z)-2-methylbut-2-enyl, (E)-1-methylbut-2-enyl, (Z)-1-methylbut-2-enyl, (E)-3-methylbut-1-enyl, (Z)-3-methylbut-1-enyl, (E)-2-methylbut-1-enyl, (Z)-2-methylbut-1-enyl, (E)-1-methylbut-1-enyl, (Z)-1-methylbut-1-enyl, 1,1-dimethylprop-2-enyl, 1-ethylprop-1-enyl, 1-propylvinyl, 1-isopropylvinyl, 4-methylpent-4-enyl, 3-methylpent-4-enyl, 2-methylpent-4-enyl, 1-methylpent-4-enyl, 4-methylpent-3-enyl, (E)-3-methylpent-3-enyl, (Z)-3-methylpent-3-enyl, (E)-2-methylpent-3-enyl, (Z)-2-methylpent-3-enyl, (E)-1-methylpent-3-enyl, (Z)-1-methylpent-3-enyl, (E)-4-methylpent-2-enyl, (Z)-4-methylpent-2-enyl, (E)-3-methylpent-2-enyl, (Z)-3-methylpent-2-enyl, (E)-2-methylpent-2-enyl, (Z)-2-methylpent-2-enyl, (E)-1-methylpent-2-enyl, (Z)-1-methylpent-2-enyl, (E)-4-methylpent-1-enyl, (Z)-4-methylpent-1-enyl, (E)-3-methylpent-1-enyl, (Z)-3-methylpent-1-enyl, (E)-2-methylpent-1-enyl, (Z)-2-methylpent-1-enyl, (E)-1-methylpent-1-enyl, (Z)-1-methylpent-1-enyl, 3-ethylbut-3-enyl, 2-ethylbut-3-enyl, 1-ethylbut-3-enyl, (E)-3-ethylbut-2-enyl, (Z)-3-ethylbut-2-enyl, (E)-2-ethylbut-2-enyl, (Z)-2-ethylbut-2-enyl, (E)-1-ethylbut-2-enyl, (Z)-1-ethylbut-2-enyl, (E)-3-ethylbut-1-enyl, (Z)-3-ethylbut-1-enyl, 2-ethylbut-1-enyl, (E)-1-ethylbut-1-enyl, (Z)-1-ethylbut-1-enyl, 2-

propylprop-2-enyl, 1-propylprop-2-enyl, 2-isopropylprop-2-enyl, 1-isopropylprop-2-enyl, (E)-2-propylprop-1-enyl, (Z)-2-propylprop-1-enyl, (E)-1-propylprop-1-enyl, (Z)-1-propylprop-1-enyl, (E)-2-isopropylprop-1-enyl, (Z)-2-isopropylprop-1-enyl, (E)-1-isopropylprop-1-enyl, (Z)-1-isopropylprop-1-enyl,
 5 (E)-3,3-dimethylprop-1-enyl, (Z)-3,3-dimethylprop-1-enyl, 1-(1,1-dimethylethyl)ethenyl, buta-1,3-dienyl, penta-1,4-dienyl, hexa-1,5-dienyl, or methylhexadienyl group. Particularly, said group is vinyl or allyl.

The term "C₂-C₁₀-alkynyl" is to be understood as preferably meaning a linear
 10 or branched, monovalent hydrocarbon group which contains one or more triple bonds, and which contains 2, 3, 4, 5, 6, 7, 8, 9 or 10 carbon atoms, particularly 2 or 3 carbon atoms ("C₂-C₃-alkynyl"). Said C₂-C₁₀-alkynyl group is, for example, ethynyl, prop-1-ynyl, prop-2-ynyl, but-1-ynyl, but-2-ynyl, but-3-ynyl, pent-1-ynyl, pent-2-ynyl, pent-3-ynyl, pent-4-ynyl, hex-1-ynyl, hex-2-ynyl,
 15 inyl, hex-3-inyl, hex-4-ynyl, hex-5-ynyl, 1-methylprop-2-ynyl, 2-methylbut-3-ynyl, 1-methylbut-3-ynyl, 1-methylbut-2-ynyl, 3-methylbut-1-ynyl, 1-ethylprop-2-ynyl, 3-methylpent-4-ynyl, 2-methylpent-4-ynyl, 1-methylpent-4-ynyl, 2-methylpent-3-ynyl, 1-methylpent-3-ynyl, 4-methylpent-2-ynyl, 1-methylpent-2-ynyl, 4-methylpent-1-ynyl, 3-methylpent-1-ynyl, 2-ethylbut-3-ynyl,
 20 ynyl, 1-ethylbut-3-ynyl, 1-ethylbut-2-ynyl, 1-propylprop-2-ynyl, 1-isopropylprop-2-ynyl, 2,2-dimethylbut-3-inyl, 1,1-dimethylbut-3-ynyl, 1,1-dimethylbut-2-ynyl, or 3,3-dimethylbut-1-ynyl group. Particularly, said alkynyl group is ethynyl, prop-1-ynyl, or prop-2-inyl.

25 The term "C₃-C₁₀-cycloalkyl" is to be understood as preferably meaning a saturated, monovalent, mono-, or bicyclic hydrocarbon ring which contains 3, 4, 5, 6, 7, 8, 9, or 10 carbon atoms, particularly 3, 4, 5, or 6 carbon atoms ("C₃-C₆-cycloalkyl"). Said C₃-C₁₀-cycloalkyl group is for example, a monocyclic hydrocarbon ring, e.g. a cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl,
 30 cycloheptyl, cyclooctyl, cyclononyl or cyclodecyl group, or a bicyclic hydrocarbon ring, e.g. a perhydropentalenylene or decalin ring. Said

cycloalkyl ring can optionally contain one or more double bonds e.g. cycloalkenyl, such as a cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, cyclononenyl, or cyclodecenyl group, wherein the bond between said ring with the rest of the molecule may
5 be to any carbon atom of said ring, be it saturated or unsaturated.

The term “heterocyclic ring”, as used in the term “4-, 5-, 6-, 7-, 8-, 9- or 10-membered heterocyclic ring”, or “4- to 6-membered heterocyclic ring” or “5- to 6-membered heterocyclic ring”, for example, as used in the definition of
10 ring “A” of the compounds of general formula (I) as defined herein, is to be understood as meaning a saturated or partially unsaturated, mono-, bi- or poly-cyclic nitrogen atom-containing ring, said nitrogen atom being the point of attachment of said heterocyclic ring with the rest of the molecule. Said nitrogen atom-containing ring optionally further contains 1 or 2 heteroatom-
15 containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ is as defined *supra*. Particularly, without being limited thereto, said nitrogen atom-containing ring can be a 4-membered ring, such as an azetidiny ring, for example, or a 5-membered ring, such as a pyrrolidinyl ring, for example, or a 6-membered ring, such as a piperidinyl, piperazinyl, morpholinyl, or
20 thiomorpholinyl ring, for example, or a 7-membered ring, such as a diazepanyl ring, for example, or an 8-, 9-, or 10-membered ring, such as a cycloheptylaminy, cyclooctylaminy, or cyclononylaminy ring, respectively, for example ; it being reiterated that any of the above-mentioned nitrogen atom-containing rings can further contain 1 or 2 heteroatom-containing groups
25 selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ is as defined *supra*. As mentioned *supra*, said nitrogen atom-containing ring can be bicyclic, such as, without being limited thereto, a 5,5-membered ring, e.g. a hexahydrocyclopenta[c]pyrrol-2(1H)-yl ring, or a 5,6-membered bicyclic ring, e.g. a hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl ring, or for example. As
30 mentioned *supra*, said nitrogen atom-containing ring can be partially unsaturated, *i.e.* it can contain one or more double bonds, such as, without

being limited thereto, a 2,5-dihydro-1H-pyrrolyl, 4H-[1,3,4]thiadiazinyl, 4,5-dihydrooxazolyl, or 4H-[1,4]thiazinyl ring, for example, or, it may be benzo-fused, such as, without being limited thereto, a dihydroisoquinolinyl ring, for example.

5

The term “3- to 10-membered heterocycloalkyl” is to be understood as preferably meaning a saturated or partially unsaturated, monovalent, mono- or bicyclic hydrocarbon ring which contains 2, 3, 4, 5, 6, 7, 8, or 9 carbon atoms, and one or more heteroatom-containing groups selected from C(=O),
 10 O, S, S(=O), S(=O)₂, NH, NR', wherein R' represents a C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₃-C₆ heterocycloalkyl, C(=O)R⁹, C(=O)NR¹⁰R¹¹, -S(=O)₂R⁹, -S(=O)₂NR¹⁰R¹¹ group as defined *supra*, it being understood that when said R' represents a C₃-C₆ heterocycloalkyl group, then said C₃-C₆ heterocycloalkyl group is present only once. Particularly, said ring can contain 2, 3, 4, or 5
 15 carbon atoms, and one or more of the above-mentioned heteroatom-containing groups (a “3- to 6-membered heterocycloalkyl”), more particularly said ring can contain 4 or 5 carbon atoms, and one or more of the above-mentioned heteroatom-containing groups (a “5- to 6-membered heterocycloalkyl”). Said heterocycloalkyl ring is for example, a monocyclic
 20 heterocycloalkyl ring such as an oxyranyl, oxetanyl, aziridinyl, azetidiny, tetrahydrofuranyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, pyrrolinyl, tetrahydropyranyl, piperidinyl, morpholinyl, dithianyl, thiomorpholinyl, piperazinyl, trithianyl, or chinuclidinyl group. Optionally, said heterocycloalkyl ring can contain one or more double bonds, e.g. 4H-pyranyl,
 25 2H-pyranyl, 3H-diazirinyl, 2,5-dihydro-1H-pyrrolyl, [1,3]dioxolyl, 4H-[1,3,4]thiadiazinyl, 2,5-dihydrofuranyl, 2,3-dihydrofuranyl, 2,5-dihydrothiophenyl, 2,3-dihydrothiophenyl, 4,5-dihydrooxazolyl, or 4H-[1,4]thiazinyl group, or, it may be benzo fused.

The term “aryl” is to be understood as preferably meaning a monovalent, aromatic or partially aromatic, mono-, or bi- or tricyclic hydrocarbon ring having 6, 7, 8, 9, 10, 11, 12, 13 or 14 carbon atoms (a “C₆-C₁₄-aryl” group), particularly a ring having 6 carbon atoms (a “C₆-aryl” group), e.g. a phenyl group, or a biphenyl group, or a ring having 9 carbon atoms (a “C₉-aryl” group), e.g. an indanyl or indenyl group, or a ring having 10 carbon atoms (a “C₁₀-aryl” group), e.g. a tetralinyl, dihydronaphthyl, or naphthyl group, or a ring having 13 carbon atoms, (a “C₁₃-aryl” group), e.g. a fluorenyl group, or a ring having 14 carbon atoms, (a “C₁₄-aryl” group), e.g. an anthranyl group.

10

The term “heteroaryl” is understood as preferably meaning a monovalent, aromatic, mono- or bicyclic aromatic ring system having 5, 6, 7, 8, 9, 10, 11, 12, 13 or 14 ring atoms (a “5- to 14-membered heteroaryl” group), particularly 5 or 6 or 9 or 10 atoms, and which contains at least one heteroatom which may be identical or different, said heteroatom being such as oxygen, nitrogen or sulfur, and can be monocyclic, bicyclic, or tricyclic, and in addition in each case can be benzocondensed. Particularly, heteroaryl is selected from thienyl, furanyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, triazolyl, thiadiazolyl, thia-4H-pyrazolyl *etc.*, and benzo derivatives thereof, such as, for example, benzofuranyl, benzothienyl, benzoxazolyl, benzisoxazolyl, benzimidazolyl, benzotriazolyl, indazolyl, indolyl, isoindolyl, *etc.*; or pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, *etc.*, and benzo derivatives thereof, such as, for example, quinolinyl, quinazolinyl, isoquinolinyl, *etc.*; or azocinyl, indolizinyl, purinyl, *etc.*, and benzo derivatives thereof; or cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthpyridinyl, pteridinyl, carbazolyl, acridinyl, phenazinyl, phenothiazinyl, phenoxazinyl, xanthenyl, or oxepinyl, *etc.* More particularly, heteroaryl is selected from pyridyl, benzofuranyl, benzisoxazolyl, indazolyl, quinazolinyl, thienyl, quinolinyl, benzothienyl, pyrazolyl, or furanyl.

30

The term “alkylene” is understood as preferably meaning an optionally substituted hydrocarbon chain (or “tether”) having 1, 2, 3, 4, 5, or 6 carbon atoms, *i.e.* an optionally substituted -CH₂- (“methylene” or “single membered tether” or, for example -C(Me)₂-), -CH₂-CH₂- (“ethylene”, “dimethylene”, or “two-membered tether”), -CH₂-CH₂-CH₂- (“propylene”, “trimethylene”, or “three-membered tether”), -CH₂-CH₂-CH₂-CH₂- (“butylene”, “tetramethylene”, or “four-membered tether”), -CH₂-CH₂-CH₂-CH₂-CH₂- (“pentylene”, “pentamethylene” or “five-membered ether”), or -CH₂-CH₂-CH₂-CH₂-CH₂-CH₂- (“hexylene”, “hexamethylene”, or six-membered tether”) group. Particularly, said alkylene tether has 1, 2, 3, 4, or 5 carbon atoms, more particularly 1 or 2 carbon atoms.

The term “C₁-C₆”, as used throughout this text, *e.g.* in the context of the definition of “C₁-C₆-alkyl”, “C₁-C₆-haloalkyl”, “C₁-C₆-alkoxy”, or “C₁-C₆-haloalkoxy” is to be understood as meaning an alkyl group having a finite number of carbon atoms of 1 to 6, *i.e.* 1, 2, 3, 4, 5, or 6 carbon atoms. It is to be understood further that said term “C₁-C₆” is to be interpreted as any sub-range comprised therein, *e.g.* C₁-C₆, C₂-C₅, C₃-C₄, C₁-C₂, C₁-C₃, C₁-C₄, C₁-C₅, C₁-C₆; particularly C₁-C₂, C₁-C₃, C₁-C₄, C₁-C₅, C₁-C₆; more particularly C₁-C₄; in the case of “C₁-C₆-haloalkyl” or “C₁-C₆-haloalkoxy” even more particularly C₁-C₂.

Similarly, as used herein, the term “C₂-C₆”, as used throughout this text, *e.g.* in the context of the definitions of “C₂-C₆-alkenyl” and “C₂-C₆-alkynyl”, is to be understood as meaning an alkenyl group or an alkynyl group having a finite number of carbon atoms of 2 to 6, *i.e.* 2, 3, 4, 5, or 6 carbon atoms. It is to be understood further that said term “C₂-C₆” is to be interpreted as any sub-range comprised therein, *e.g.* C₂-C₆, C₃-C₅, C₃-C₄, C₂-C₃, C₂-C₄, C₂-C₅; particularly C₂-C₃.

Further, as used herein, the term “C₃-C₁₀”, as used throughout this text, *e.g.* in the context of the definition of “C₃-C₁₀-cycloalkyl”, is to be understood as meaning a cycloalkyl group having a finite number of carbon atoms of 3 to 10, *i.e.* 3, 4, 5, 6, 7, 8, 9 or 10 carbon atoms, particularly 3, 4, 5 or 6 carbon atoms. It is to be understood further that said term “C₃-C₁₀” is to be interpreted as any sub-range comprised therein, *e.g.* C₃-C₁₀, C₄-C₉, C₅-C₈, C₆-C₇; particularly C₃-C₆.

As used herein, the term “one or more times”, *e.g.* in the definition of the substituents of the compounds of the general formulae of the present invention, is understood as meaning “one, two, three, four or five times, particularly one, two, three or four times, more particularly one, two or three times, even more particularly one or two times”.

Where the plural form of the word compounds, salts, polymorphs, hydrates, solvates and the like, is used herein, this is taken to mean also a single compound, salt, polymorph, isomer, hydrate, solvate or the like.

The compounds of this invention may contain one or more asymmetric centre, depending upon the location and nature of the various substituents desired. Asymmetric carbon atoms may be present in the (R) or (S) configuration, resulting in racemic mixtures in the case of a single asymmetric centre, and diastereomeric mixtures in the case of multiple asymmetric centres. In certain instances, asymmetry may also be present due to restricted rotation about a given bond, for example, the central bond adjoining two substituted aromatic rings of the specified compounds.

Substituents on a ring may also be present in either cis or trans form. It is intended that all such configurations (including enantiomers and diastereomers), are included within the scope of the present invention.

Preferred compounds are those which produce the more desirable biological activity. Separated, pure or partially purified isomers and stereoisomers or racemic or diastereomeric mixtures of the compounds of this invention are also included within the scope of the present invention. The purification and
5 the separation of such materials can be accomplished by standard techniques known in the art.

The optical isomers can be obtained by resolution of the racemic mixtures according to conventional processes, for example, by the formation of diastereoisomeric salts using an optically active acid or base or formation of
10 covalent diastereomers. Examples of appropriate acids are tartaric, diacetyltartaric, ditoluoyltartaric and camphorsulfonic acid. Mixtures of diastereoisomers can be separated into their individual diastereomers on the basis of their physical and/or chemical differences by methods known in the art, for example, by chromatography or fractional crystallisation. The
15 optically active bases or acids are then liberated from the separated diastereomeric salts. A different process for separation of optical isomers involves the use of chiral chromatography (e.g., chiral HPLC columns), with or without conventional derivatisation, optimally chosen to maximise the separation of the enantiomers. Suitable chiral HPLC columns are
20 manufactured by Diacel, e.g., Chiracel OD and Chiracel OJ among many others, all routinely selectable. Enzymatic separations, with or without derivatisation, are also useful. The optically active compounds of this invention can likewise be obtained by chiral syntheses utilizing optically active
starting materials.

25

In order to limit different types of isomers from each other reference is made to IUPAC Rules Section E (Pure Appl Chem 45, 11-30, 1976).

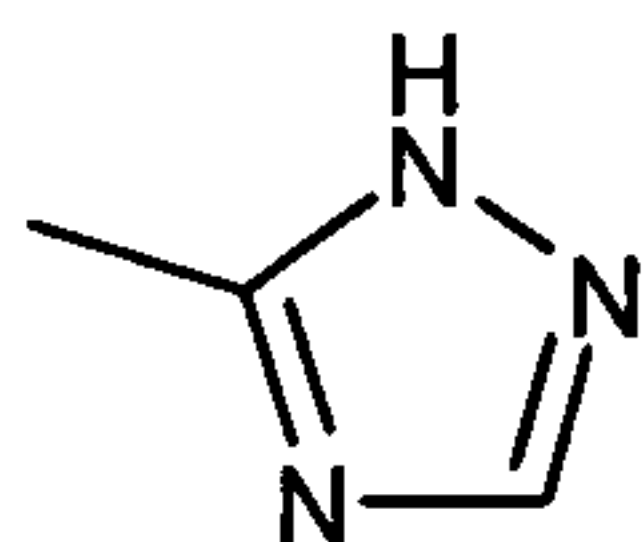
The present invention includes all possible stereoisomers of the compounds of
30 the present invention as single stereoisomers, or as any mixture of said

stereoisomers, in any ratio. Isolation of a single stereoisomer, *e.g.* a single enantiomer or a single diastereomer, of a compound of the present invention may be achieved by any suitable state of the art method, such as chromatography, especially chiral chromatography, for example.

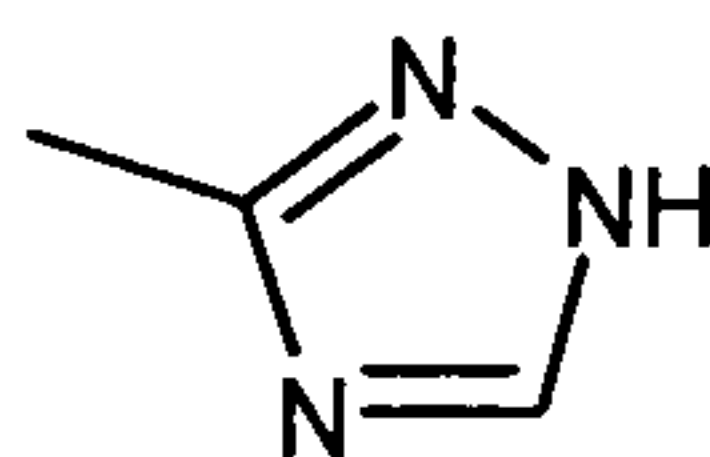
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Further, the compounds of the present invention may exist as tautomers. For example, any compound of the present invention which contains a pyrazole moiety as a heteroaryl group for example can exist as a 1H tautomer, or a 2H tautomer, or even a mixture in any amount of the two tautomers, or a triazole moiety for example can exist as a 1H tautomer, a 2H tautomer, or a 4H tautomer, or even a mixture in any amount of said 1H, 2H and 4H tautomers, *viz.* :

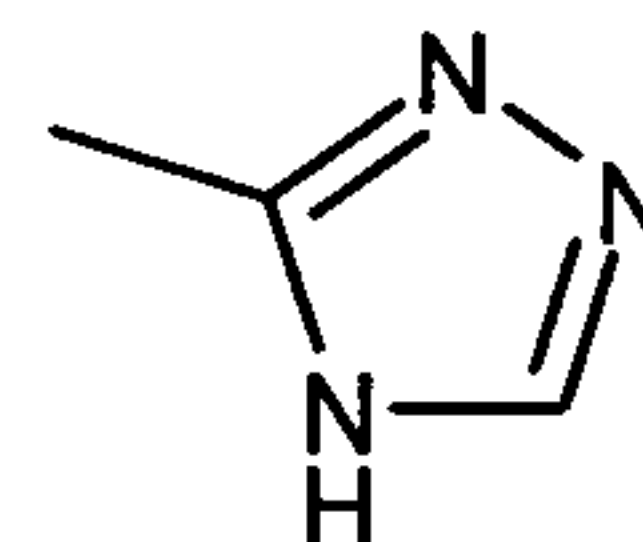
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1H-tautomer



2H-tautomer



4H-tautomer.

The present invention includes all possible tautomers of the compounds of the present invention as single tautomers, or as any mixture of said tautomers, in any ratio.

20 Further, the compounds of the present invention can exist as N-oxides, which are defined in that at least one nitrogen of the compounds of the present invention is oxidised. The present invention includes all such possible N-oxides.

25 The present invention also relates to useful forms of the compounds as disclosed herein, such as metabolites, hydrates, solvates, prodrugs, salts, in particular pharmaceutically acceptable salts, and co-precipitates.

The compounds of the present invention can exist as a hydrate, or as a solvate, wherein the compounds of the present invention contain polar solvents, in particular water, methanol or ethanol for example as structural element of the crystal lattice of the compounds. The amount of polar
5 solvents, in particular water, may exist in a stoichiometric or non-stoichiometric ratio. In the case of stoichiometric solvates, e.g. a hydrate, hemi-, (semi-), mono-, sesqui-, di-, tri-, tetra-, penta- etc. solvates or hydrates, respectively, are possible. The present invention includes all such hydrates or solvates.

10

Further, the compounds of the present invention can exist in free form, e.g. as a free base, or as a free acid, or as a zwitterion, or can exist in the form of a salt. Said salt may be any salt, either an organic or inorganic addition salt, particularly any pharmaceutically acceptable organic or inorganic addition
15 salt, customarily used in pharmacy.

The term "pharmaceutically acceptable salt" refers to a relatively non-toxic, inorganic or organic acid addition salt of a compound of the present invention. For example, see S. M. Berge, *et al.* "Pharmaceutical Salts," J. Pharm. Sci.
20 1977, 66, 1-19.

A suitable pharmaceutically acceptable salt of the compounds of the present invention may be, for example, an acid-addition salt of a compound of the present invention bearing a nitrogen atom, in a chain or in a ring, for
25 example, which is sufficiently basic, such as an acid-addition salt with an inorganic acid, such as hydrochloric, hydrobromic, hydroiodic, sulfuric, bisulfuric, phosphoric, or nitric acid, for example, or with an organic acid, such as formic, acetic, acetoacetic, pyruvic, trifluoroacetic, propionic, butyric, hexanoic, heptanoic, undecanoic, lauric, benzoic, salicylic, 2-(4-
30 hydroxybenzoyl)-benzoic, camphoric, cinnamic, cyclopentanepropionic,

digluconic, 3-hydroxy-2-naphthoic, nicotinic, pamoic, pectinic, persulfuric, 3-phenylpropionic, picric, pivalic, 2-hydroxyethanesulfonate, itaconic, sulfamic, trifluoromethanesulfonic, dodecylsulfuric, ethansulfonic, benzenesulfonic, para-toluenesulfonic, methansulfonic, 2-naphthalenesulfonic, naphthalenedisulfonic, camphorsulfonic acid, citric, tartaric, stearic, lactic, 5 oxalic, malonic, succinic, malic, adipic, alginic, maleic, fumaric, D-gluconic, mandelic, ascorbic, glucoheptanoic, glycerophosphoric, aspartic, sulfosalicylic, hemisulfuric, or thiocyanic acid, for example.

Further, another suitably pharmaceutically acceptable salt of a compound of the present invention which is sufficiently acidic, is an alkali metal salt, for 10 example a sodium or potassium salt, an alkaline earth metal salt, for example a calcium or magnesium salt, an ammonium salt or a salt with an organic base which affords a physiologically acceptable cation, for example a salt with N-methyl-glucamine, dimethyl-glucamine, ethyl-glucamine, lysine, 15 dicyclohexylamine, 1,6-hexadiazine, ethanolamine, glucosamine, sarcosine, serinol, tris-hydroxy-methyl-aminomethane, aminopropandiol, sovak-base, 1-amino-2,3,4-butanetriol. Additionally, basic nitrogen containing groups may be quaternised with such agents as lower alkyl halides such as methyl, ethyl, propyl, and butyl chlorides, bromides and iodides; dialkyl sulfates like 20 dimethyl, diethyl, and dibutyl sulfate; and diamyl sulfates, long chain halides such as decyl, lauryl, myristyl and stearyl chlorides, bromides and iodides, aralkyl halides like benzyl and phenethyl bromides and others.

Those skilled in the art will further recognise that acid addition salts of the claimed compounds may be prepared by reaction of the compounds with the 25 appropriate inorganic or organic acid via any of a number of known methods. Alternatively, alkali and alkaline earth metal salts of acidic compounds of the invention are prepared by reacting the compounds of the invention with the appropriate base via a variety of known methods.

The present invention includes all possible salts of the compounds of the present invention as single salts, or as any mixture of said salts, in any ratio.

As used herein, the term "*in vivo* hydrolysable ester" is understood as meaning an *in vivo* hydrolysable ester of a compound of the present invention containing a carboxy or hydroxy group, for example, a pharmaceutically acceptable ester which is hydrolysed in the human or animal body to produce the parent acid or alcohol. Suitable pharmaceutically acceptable esters for carboxy include for example alkyl, cycloalkyl and optionally substituted phenylalkyl, in particular benzyl esters, C₁-C₆ alkoxymethyl esters, e.g. methoxymethyl, C₁-C₆ alkanoyloxymethyl esters, e.g. pivaloyloxymethyl, phthalidyl esters, C₃-C₈ cycloalkoxy-carbonyloxy-C₁-C₆ alkyl esters, e.g. 1-cyclohexylcarbonyloxyethyl ; 1,3-dioxolen-2-onylmethyl esters, e.g. 5-methyl-1,3-dioxolen-2-onylmethyl ; and C₁-C₆-alkoxycarbonyloxyethyl esters, e.g. 1-methoxycarbonyloxyethyl, and may be formed at any carboxy group in the compounds of this invention.

An *in vivo* hydrolysable ester of a compound of the present invention containing a hydroxy group includes inorganic esters such as phosphate esters and [alpha]-acyloxyalkyl ethers and related compounds which as a result of the *in vivo* hydrolysis of the ester breakdown to give the parent hydroxy group. Examples of [alpha]-acyloxyalkyl ethers include acetoxymethoxy and 2,2-dimethylpropionyloxymethoxy. A selection of *in vivo* hydrolysable ester forming groups for hydroxy include alkanoyl, benzoyl, phenylacetyl and substituted benzoyl and phenylacetyl, alkoxycarbonyl (to give alkyl carbonate esters), dialkylcarbamoyl and N-(dialkylaminoethyl)-N-alkylcarbamoyl (to give carbamates), dialkylaminoacetyl and carboxyacetyl. The present invention covers all such esters.

Furthermore, the present invention includes all possible crystalline forms, or polymorphs, of the compounds of the present invention, either as single polymorphs, or as a mixture of more than one polymorphs, in any ratio.

In accordance with a second aspect, the present invention covers compounds of general formula (I), *supra*, in which :



- 5 represents a 4- to 6-membered heterocyclic ring, optionally further containing 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ represents hydrogen, or a group selected from : C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, hydroxyl-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-, aryloxy- C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, a 4- or 5-(1,3-benzodioxolinyl)- group, -C₁-C₆-alkylene-heteroaryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)R⁸, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -C(=O)NR⁹R¹⁰, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰;
- 10 wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -
- 15
- 20
- 25

$N(H)C(=O)OR^8$, $-N(C_1-C_6\text{-alkyl})C(=O)OR^8$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^8$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

R^1 and R^7 can form together a 5-6 membered ring which is optionally substituted with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, $C_1-C_6\text{-alkyl-}$, halo- $C_1-C_6\text{-alkyl-}$, $C_1-C_6\text{-alkoxy-}$, halo- $C_1-C_6\text{-alkoxy-}$, hydroxy- $C_1-C_6\text{-alkyl}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, halo- $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, $C_3-C_7\text{-cycloalkyl-}$, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, $C_1-C_6\text{-alkylene-aryl-}$, $C_1-C_6\text{-alkylene-heteroaryl-}$, $-C_1-C_6\text{-alkylene-}C_3-C_7\text{-cycloalkyl}$, $-C_1-C_6\text{-alkylene-(3- to 7-membered heterocycloalkyl)}$, $-C(=O)H$, $-C(=O)R^8$ -, $C(=O)OH$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-OC(=O)-C_1-C_6\text{-alkyl}$, $-OC(=O)-C_3-C_7\text{-cycloalkyl}$, $-N(H)C(=O)R^8$, $-N(C_1-C_6\text{-alkyl})C(=O)R^8$, $-N(H)S(=O)_2R^8$, $-N(C_1-C_6\text{-alkyl})S(=O)_2R^8$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^8$, $-N(C_1-C_6\text{-alkyl})C(=O)OR^8$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^8$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$, $=O$;

R^2 , R^3 , R^4 , R^6 , represent independently from each other hydrogen, or a group selected from:

halo-, hydroxyl-, cyano-, nitro-, $C_1-C_6\text{-alkyl-}$, halo- $C_1-C_6\text{-alkyl-}$, $C_1-C_6\text{-alkoxy-}$, halo- $C_1-C_6\text{-alkoxy-}$, hydroxy- $C_1-C_6\text{-alkyl}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, halo- $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, $-C(=O)R^8$ -, $C(=O)OH$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_7\text{-cycloalkyl}$, $-OC(=O)-C_1-C_6\text{-alkyl}$, $-OC(=O)-C_3-C_7\text{-cycloalkyl}$, $-N(H)C(=O)R^8$, $-N(C_1-C_6\text{-alkyl})C(=O)R^8$, $-C(=O)NR^9R^{10}$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-NR^9R^{10}$;

R^5 , R^7 represent, independently from each other, a substituent selected from hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group selected from : $C_1-C_6\text{-alkyl-}$, halo- $C_1-C_6\text{-alkyl-}$, $C_1-C_6\text{-alkoxy-}$, halo- $C_1-C_6\text{-alkoxy-}$, hydroxy- $C_1-C_6\text{-alkyl}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, halo- $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, $C_3-C_7\text{-cycloalkyl-}$, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, $C_1-C_6\text{-alkylene-aryl-}$, $C_1-C_6\text{-alkylene-heteroaryl-}$, $-C_1-C_6\text{-alkylene-}C_3-C_7\text{-cycloalkyl}$, $-C_1-C_6\text{-alkylene-(3- to 7-membered heterocycloalkyl)}$, $-C(=O)H$, $-C(=O)R^8$ -, $C(=O)OH$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-OC(=O)-C_1-C_6\text{-alkyl}$, $-OC(=O)-C_3-C_7\text{-cycloalkyl}$, $-N(H)C(=O)R^8$, $-N(C_1-C_6\text{-alkyl})C(=O)R^8$, $-N(H)S(=O)_2R^8$, $-N(C_1-C_6\text{-alkyl})S(=O)_2R^8$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^8$, $-N(C_1-C_6\text{-alkyl})C(=O)OR^8$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^8$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$, $=O$;

alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(-C₁-C₆-alkylene-aryl,=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

wherein, when m or n represent, independently from each other, an integer of 2, 3, 4, or 5, then said substituent or substituent group is, independently from each other, identical or different ;

wherein said substituent group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, a 4- or 5-(1,3-benzodioxoliny)- group, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -N(H)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂, -C(=O)N(H)C₁-C₆-alkyl, -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl, -OC(=O)N(C₁-C₆-alkyl)₂, -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-C₁-C₆-alkyl, -N(H)C(=O)NH₂, -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-C₆-alkyl)₂, -N(C₁-C₆-alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -

$S(=O)_2-C_1-C_6$ -alkyl, $-S(=O)_2NH_2$, $-S(=O)_2N(H)C_1-C_6$ -alkyl, $-S(=O)_2N(C_1-C_6$ -alkyl) $_2$, $-NH_2$, $-N(H)C_1-C_6$ -alkyl, $-N(C_1-C_6$ -alkyl) $_2$;

R_8 represents a group selected from:

C_1-C_6 -alkyl-, halo- C_1-C_6 -alkyl-, C_1-C_6 -alkoxy-, halo- C_1-C_6 -alkoxy-, C_1-C_6 -alkoxy- C_1-C_6 -alkyl-, halo- C_1-C_6 -alkoxy- C_1-C_6 -alkyl-, hydroxy- C_1-C_6 -alkyl, C_3-C_7 -cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C_1-C_6 -alkylene-aryl-, C_1-C_6 -alkylene-heteroaryl-, $-C_1-C_6$ -alkylene- C_3-C_7 -cycloalkyl, $-C_1-C_6$ -alkylene-aryl, $-C_1-C_6$ -alkylene-heteroaryl, $-C_1-C_6$ -alkylene-(3- to 7-membered heterocycloalkyl), $-NR^9R^{10}$;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from: halo-, hydroxyl-, cyano-, nitro-, C_1-C_6 -alkyl-, halo- C_1-C_6 -alkyl-, C_1-C_6 -alkoxy-, halo- C_1-C_6 -alkoxy-, hydroxy- C_1-C_6 -alkyl, C_1-C_6 -alkoxy- C_1-C_6 -alkyl-, halo- C_1-C_6 -alkoxy- C_1-C_6 -alkyl-, C_3-C_7 -cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C_1-C_6 -alkylene-aryl-, C_1-C_6 -alkylene-heteroaryl-, $-C_1-C_6$ -alkylene- C_3-C_7 -cycloalkyl, $-C_1-C_6$ -alkylene-(3- to 7-membered heterocycloalkyl), $-C(=O)H$, $-C(=O)R^{11}$ -, $C(=O)OH$, $-C(=O)O-C_1-C_6$ -alkyl, $-C(=O)O-C_3-C_7$ -cycloalkyl, $-OC(=O)-C_1-C_6$ -alkyl, $-OC(=O)-C_3-C_7$ -cycloalkyl, $-N(H)C(=O)R^{11}$, $-N(C_1-C_6$ -alkyl) $C(=O)R^{11}$, $-N(H)S(=O)_2R^{11}$, $-N(C_1-C_6$ -alkyl) $S(=O)_2R^{11}$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^{11}$, $-N(C_1-C_6$ -alkyl) $C(=O)OR^{11}$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6$ -alkyl) $C(=O)NR^9R^{10}$, $-SR^{11}$, $-S(=O)R^{11}$, $-S(=O)_2R^{11}$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

R^9 , R^{10} represent independently from each other hydrogen, or a group selected from:

C_1-C_6 -alkyl-, halo- C_1-C_6 -alkyl-, C_1-C_6 -alkoxy-, halo- C_1-C_6 -alkoxy-, C_1-C_6 -alkoxy- C_1-C_6 -alkyl-, halo- C_1-C_6 -alkoxy- C_1-C_6 -alkyl-, C_3-C_7 -cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, $-C_1-C_6$ -alkylene-aryl, C_1-C_6 -alkylene-aryl-, C_1-C_6 -alkylene-heteroaryl-, $-C_1-C_6$ -alkylene- C_3-C_7 -cycloalkyl, $-C_1-C_6$ -alkylene-(3- to 7-membered heterocycloalkyl),

-C(=O)-C₁-C₆-alkyl-, -C(=O)-C₃-C₇-cycloalkyl-, -C(=O)-(3- to 7-membered heterocycloalkyl)-, -C(=O)-aryl-, -C(=O)-heteroaryl-, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -S(=O)₂-C₁-C₆-alkyl-, -S(=O)₂-C₃-C₇-cycloalkyl-, -S(=O)₂-(3- to 7-membered heterocycloalkyl)-, -S(=O)₂-aryl-,
 5 -S(=O)₂-heteroaryl-,

R⁹ and R¹⁰ can form together a 5-7 saturated or partially unsaturated heterocyclic ring, which optionally further contains 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ is defined *infra* ;

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R¹¹ represents a group selected from :

C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-,
 15 heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)-C₁-C₆-alkyl, -C(=O)-C₃-C₇-cycloalkyl, -C(=O)-(3- to 7-membered heterocycloalkyl), -C(=O)-aryl, -C(=O)-heteroaryl, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(H)C(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)-(3- to 7-membered heterocycloalkyl), -N(H)C(=O)-aryl, -N(H)C(=O)-heteroaryl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₃-C₇-cycloalkyl, -N(C₁-C₆-alkyl)C(=O)-(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)C(=O)-aryl, -N(C₁-C₆-alkyl)C(=O)-heteroaryl, -N(H)S(=O)₂-C₁-C₆-alkyl, -N(H)S(=O)₂-C₃-C₇-cycloalkyl, -N(H)S(=O)₂-(3- to 7-membered heterocycloalkyl), -N(H)S(=O)₂-aryl, -N(H)S(=O)₂-heteroaryl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₃-C₇-cycloalkyl, -N(C₁-C₆-alkyl)S(=O)₂-(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)S(=O)₂-aryl, -N(C₁-C₆-alkyl)S(=O)₂-heteroaryl, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)-OC₁-C₆-alkyl, -N(H)C(=O)-OC₃-C₇-cycloalkyl, -N(H)C(=O)-O(3- to 7-membered

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heterocycloalkyl), -N(H)C(=O)-O-aryl, -N(H)C(=O)-O-heteroaryl, -N(C₁-C₆-alkyl)C(=O)-OC₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-OC₃-C₇-cycloalkyl, -N(C₁-C₆-alkyl)C(=O)-O(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)C(=O)-O-aryl, -N(C₁-C₆-alkyl)C(=O)-O-heteroaryl, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -S-C₁-C₆-alkyl, -S-C₃-C₇-cycloalkyl, -S-(3- to 7-membered heterocycloalkyl), -S-aryl, -S-heteroaryl, -S(=O)-C₁-C₆-alkyl, -S(=O)-C₃-C₇-cycloalkyl, -S(=O)-(3- to 7-membered heterocycloalkyl), -S(=O)-aryl, -S(=O)-heteroaryl, -S(=O)₂-C₁-C₆-alkyl, -S(=O)₂-C₃-C₇-cycloalkyl, -S(=O)₂-(3- to 7-membered heterocycloalkyl), -S(=O)₂-aryl, -S(=O)₂-heteroaryl, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

n, m represent, independently from each other, an integer of 0, 1, 2, 3, 4, or 5.

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In accordance with a third aspect, the present invention covers compounds of general formula (I), *supra*, in which :



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represents a 4- to 6-membered heterocyclic ring, optionally further containing 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ represents hydrogen, or a group selected from : C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, hydroxyl-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-, aryloxy- C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, a 4- or 5-(1,3-benzodioxolinyl)- group, -C₁-C₆-alkylene-heteroaryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-

cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl),
 -C(=O)R⁸, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -
 C(=O)NR⁹R¹⁰, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in

5 identically or differently, with a substituent selected from : halo-
 , hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-
 alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-
 C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to
 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-
 10 aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-
 cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl),
 -OR⁸, -C(=O)H, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -
 C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-
 cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -
 15 N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -
 N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-
 C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -
 NR⁹R¹⁰;

R¹ and R⁷ can form together a 5-6 membered ring which is

20 optionally substituted with a substituent selected from : halo-,
 hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-
 alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-
 C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to
 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-
 25 aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-
 cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl),
 -C(=O)H, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-
 C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -
 N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-
 30 alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -
 N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-

alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰, =O ;

R², R³, R⁴, R⁶, represent independently from each other hydrogen, or a group
5 selected from:

halo-, hydroxyl-, cyano-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -C(=O)NR⁹R¹⁰, -NR⁹R¹⁰;

10 R⁵, R⁷ represent, independently from each other, a substituent selected from hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group selected from : C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(-C₁-C₆-alkylene-aryl,=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

wherein, when m or n represent, independently from each other, an integer of 2, 3, 4, or 5, then said substituent or substituent group is,
25 independently from each other, identical or different ;

wherein said substituent group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, a 4- or 5-(1,3-

benzodioxolynyl)- group, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-,
 , -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered
 heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH, -C(=O)O-C₁-C₆-
 alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-
 cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -
 N(H)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂, -
 C(=O)N(H)C₁-C₆-alkyl, -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl, -
 OC(=O)N(C₁-C₆-alkyl)₂, -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-
 C₁-C₆-alkyl, -N(H)C(=O)NH₂, -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-
 C₆-alkyl)₂, -N(C₁-C₆-alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl,
 -N(C₁-C₆-alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -
 S(=O)₂-C₁-C₆-alkyl, -S(=O)₂NH₂, -S(=O)₂N(H)C₁-C₆-alkyl, -S(=O)₂N(C₁-C₆-
 alkyl)₂, -NH₂, -N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)₂ ;

R₈ represents a group selected from:
 C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-
 alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, hydroxy-C₁-C₆-alkyl,
 C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-,
 heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-
 alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-aryl, -C₁-C₆-alkylene-
 heteroaryl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -
 NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in
 identically or differently, with a substituent selected from : halo-,
 hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-,
 halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-
 C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered
 heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-
 alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-
 (3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)R¹¹-, C(=O)OH, -
 C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -
 OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R¹¹, -N(C₁-C₆-alkyl)C(=O)R¹¹, -

$\text{N(H)S(=O)}_2\text{R}^{11}$, $-\text{N}(\text{C}_1\text{-C}_6\text{-alkyl})\text{S(=O)}_2\text{R}^{11}$, $-\text{C(=O)NR}^9\text{R}^{10}$, $-\text{OC(=O)NR}^9\text{R}^{10}$, $-\text{N(H)C(=O)OR}^{11}$, $-\text{N}(\text{C}_1\text{-C}_6\text{-alkyl})\text{C(=O)OR}^{11}$, $-\text{N(H)C(=O)NR}^9\text{R}^{10}$, $-\text{N}(\text{C}_1\text{-C}_6\text{-alkyl})\text{C(=O)NR}^9\text{R}^{10}$, $-\text{SR}^{11}$, $-\text{S(=O)R}^{11}$, $-\text{S(=O)}_2\text{R}^{11}$, $-\text{S(=O)}_2\text{NR}^9\text{R}^{10}$, $-\text{NR}^9\text{R}^{10}$;

5 R^9 , R^{10} represent independently from each other hydrogen, or a group selected from:

$\text{C}_1\text{-C}_6\text{-alkyl-}$, $\text{halo-C}_1\text{-C}_6\text{-alkyl-}$, $\text{C}_1\text{-C}_6\text{-alkoxy-}$, $\text{halo-C}_1\text{-C}_6\text{-alkoxy-}$, $\text{C}_1\text{-C}_6\text{-alkoxy-C}_1\text{-C}_6\text{-alkyl-}$, $\text{halo-C}_1\text{-C}_6\text{-alkoxy-C}_1\text{-C}_6\text{-alkyl-}$, $\text{C}_3\text{-C}_7\text{-cycloalkyl-}$, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, $-\text{C}_1\text{-C}_6\text{-alkylene-aryl}$, $-\text{C}_1\text{-C}_6\text{-alkylene-aryl-}$, $-\text{C}_1\text{-C}_6\text{-alkylene-heteroaryl-}$, $-\text{C}_1\text{-C}_6\text{-alkylene-C}_3\text{-C}_7\text{-cycloalkyl-}$, $-\text{C}_1\text{-C}_6\text{-alkylene-(3- to 7-membered heterocycloalkyl)-}$, $-\text{C(=O)-C}_1\text{-C}_6\text{-alkyl-}$, $-\text{C(=O)-C}_3\text{-C}_7\text{-cycloalkyl-}$, $-\text{C(=O)-(3- to 7-membered heterocycloalkyl)-}$, $-\text{C(=O)-aryl-}$, $-\text{C(=O)-heteroaryl-}$, $-\text{C(=O)O-C}_1\text{-C}_6\text{-alkyl}$, $-\text{C(=O)O-C}_3\text{-C}_7\text{-cycloalkyl}$, $-\text{S(=O)}_2\text{-C}_1\text{-C}_6\text{-alkyl-}$, $-\text{S(=O)}_2\text{-C}_3\text{-C}_7\text{-cycloalkyl-}$, $-\text{S(=O)}_2\text{-(3- to 7-membered heterocycloalkyl)-}$, $-\text{S(=O)}_2\text{-aryl-}$, $-\text{S(=O)}_2\text{-heteroaryl-}$,

20 R^9 and R^{10} can form together a 5-7 saturated or partially unsaturated heterocyclic ring, which optionally further contains 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ is defined *infra* ;

R^{11} represents a group selected from :
 $\text{C}_1\text{-C}_6\text{-alkyl-}$, $\text{halo-C}_1\text{-C}_6\text{-alkyl-}$, $\text{C}_1\text{-C}_6\text{-alkoxy-}$, $\text{halo-C}_1\text{-C}_6\text{-alkoxy-}$, $\text{hydroxy-C}_1\text{-C}_6\text{-alkyl}$, $\text{C}_1\text{-C}_6\text{-alkoxy-C}_1\text{-C}_6\text{-alkyl-}$, $\text{halo-C}_1\text{-C}_6\text{-alkoxy-C}_1\text{-C}_6\text{-alkyl-}$, $\text{C}_3\text{-C}_7\text{-cycloalkyl-}$, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, $\text{C}_1\text{-C}_6\text{-alkylene-aryl-}$, $\text{C}_1\text{-C}_6\text{-alkylene-heteroaryl-}$, $-\text{C}_1\text{-C}_6\text{-alkylene-C}_3\text{-C}_7\text{-cycloalkyl}$, $-\text{C}_1\text{-C}_6\text{-alkylene-(3- to 7-membered heterocycloalkyl)-}$, $-\text{C(=O)-C}_1\text{-C}_6\text{-alkyl}$, $-\text{C(=O)-C}_3\text{-C}_7\text{-cycloalkyl}$, $-\text{C(=O)-(3- to 7-membered heterocycloalkyl)-}$, $-\text{C(=O)-aryl}$, $-\text{C(=O)-heteroaryl}$, $-\text{C(=O)OH}$, $-\text{C(=O)O-C}_1\text{-C}_6\text{-alkyl}$, $-\text{C(=O)O-C}_3\text{-C}_7\text{-cycloalkyl}$, $-\text{OC(=O)-C}_1\text{-C}_6\text{-alkyl}$, $-\text{OC(=O)-C}_3\text{-C}_7\text{-cycloalkyl}$, $-\text{N(H)C(=O)-C}_1\text{-C}_6\text{-alkyl}$, $-\text{N(H)C(=O)-C}_3\text{-}$

C₇-cycloalkyl, -N(H)C(=O)-(3- to 7-membered heterocycloalkyl), -
 N(H)C(=O)-aryl, -N(H)C(=O)-heteroaryl, - N(C₁-C₆-alkyl)C(=O)-C₁-C₆-
 alkyl, - N(C₁-C₆-alkyl)C(=O)-C₃-C₇-cycloalkyl, - N(C₁-C₆-alkyl)C(=O)-(3- to
 7-membered heterocycloalkyl), - N(C₁-C₆-alkyl)C(=O)-aryl, - N(C₁-C₆-
 5 alkyl)C(=O)-heteroaryl, - N(H)S(=O)₂-C₁-C₆-alkyl, - N(H)S(=O)₂-C₃-C₇-
 cycloalkyl, - N(H)S(=O)₂-(3- to 7-membered heterocycloalkyl), -
 N(H)S(=O)₂-aryl, - N(H)S(=O)₂-heteroaryl, - N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-
 alkyl, - N(C₁-C₆-alkyl)S(=O)₂-C₃-C₇-cycloalkyl, - N(C₁-C₆-alkyl)S(=O)₂-(3-
 to 7-membered heterocycloalkyl), - N(C₁-C₆-alkyl)S(=O)₂-aryl, - N(C₁-C₆-
 10 alkyl)S(=O)₂-heteroaryl, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)-OC₁-
 C₆-alkyl, -N(H)C(=O)-OC₃-C₇-cycloalkyl, -N(H)C(=O)-O(3- to 7-membered
 heterocycloalkyl), -N(H)C(=O)-O-aryl, -N(H)C(=O)-O-heteroaryl, -N(C₁-
 C₆-alkyl)C(=O)-OC₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-OC₃-C₇-cycloalkyl, -
 N(C₁-C₆-alkyl)C(=O)-O(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-
 15 alkyl)C(=O)-O-aryl, -N(C₁-C₆-alkyl)C(=O)-O-heteroaryl, -
 N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -S-C₁-C₆-alkyl, -S-C₃-C₇-
 cycloalkyl, -S-(3- to 7-membered heterocycloalkyl), -S-aryl, -S-
 heteroaryl, - S(=O)-C₁-C₆-alkyl, - S(=O)-C₃-C₇-cycloalkyl, - S(=O)-(3- to 7-
 membered heterocycloalkyl), - S(=O)-aryl, - S(=O)-heteroaryl, - S(=O)₂-
 20 C₁-C₆-alkyl, - S(=O)₂-C₃-C₇-cycloalkyl, - S(=O)₂-(3- to 7-membered
 heterocycloalkyl), - S(=O)₂-aryl, - S(=O)₂-heteroaryl, -S(=O)₂NR⁹R¹⁰, -
 NR⁹R¹⁰;

n, m represent, independently from each other, an integer of 0, 1, 2, 3, 4, or
 25 5.

In an embodiment of the above-mentioned aspects, the invention relates to
 compounds of formula (I), wherein :



represents a 4- to 6-membered heterocyclic ring.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

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represents a 5- to 6-membered heterocyclic ring.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

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R^1 represents hydrogen, or a group selected from : C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, hydroxyl- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy-, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -, aryloxy- C_1 - C_6 -alkyl-, C_3 - C_{10} -cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, - C_1 - C_6 -alkylene-aryl, a 4- or 5-(1,3-benzodioxoliny)- group, - C_1 - C_6 -alkylene-heteroaryl, C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-, - C_1 - C_6 -alkylene- C_3 - C_{10} -cycloalkyl, - C_1 - C_6 -alkylene-(3- to 10-membered heterocycloalkyl), - $C(=O)R^8$, - $C(=O)O$ - C_1 - C_6 -alkyl, - $C(=O)O$ - C_3 - C_{10} -cycloalkyl, - $C(=O)NR^9R^{10}$, - $S(=O)R^8$, - $S(=O)_2R^8$, - $S(=O)_2NR^9R^{10}$;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, hydroxy- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, C_3 - C_{10} -cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-, - C_1 - C_6 -alkylene- C_3 - C_{10} -cycloalkyl, - C_1 - C_6 -alkylene-(3- to 10-membered heterocycloalkyl), - OR^8 , - $C(=O)H$, - $C(=O)R^8$ -,

$C(=O)OH$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-OC(=O)-C_1-C_6\text{-alkyl}$, $-OC(=O)-C_3-C_{10}\text{-cycloalkyl}$, $-N(H)C(=O)R^8$, $-N(C_1-C_6\text{-alkyl})C(=O)R^8$, $-N(H)S(=O)_2R^8$, $-N(C_1-C_6\text{-alkyl})S(=O)_2R^8$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^8$, $-N(C_1-C_6\text{-alkyl})C(=O)OR^8$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^8$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

R^1 and R^7 can form together a 5-7 membered ring which is optionally substituted with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, $C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkyl}$ -, $C_1-C_6\text{-alkoxy}$ -, halo- $C_1-C_6\text{-alkoxy}$ -, hydroxy- $C_1-C_6\text{-alkyl}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, $C_3-C_{10}\text{-cycloalkyl}$ -, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, $C_1-C_6\text{-alkylene-aryl}$ -, $C_1-C_6\text{-alkylene-heteroaryl}$ -, $-C_1-C_6\text{-alkylene-}C_3-C_{10}\text{-cycloalkyl}$, $-C_1-C_6\text{-alkylene-(3- to 10-membered heterocycloalkyl)}$, $-C(=O)H$, $-C(=O)R^8$ -, $C(=O)OH$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-OC(=O)-C_1-C_6\text{-alkyl}$, $-OC(=O)-C_3-C_{10}\text{-cycloalkyl}$, $-N(H)C(=O)R^8$, $-N(C_1-C_6\text{-alkyl})C(=O)R^8$, $-N(H)S(=O)_2R^8$, $-N(C_1-C_6\text{-alkyl})S(=O)_2R^8$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^8$, $-N(C_1-C_6\text{-alkyl})C(=O)OR^8$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^8$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$, $=O$.

20 In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R^1 represents hydrogen, or a group selected from : $C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkyl}$ -, $C_1-C_6\text{-alkoxy}$ -, hydroxyl- $C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkoxy}$ -, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl}$ -, halo- $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-}$, aryloxy- $C_1-C_6\text{-alkyl}$ -, $C_3-C_7\text{-cycloalkyl}$ -, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, $-C_1-C_6\text{-alkylene-aryl}$, a 4- or 5-(1,3-benzodioxoliny)- group, $-C_1-C_6\text{-alkylene-heteroaryl}$, $C_1-C_6\text{-alkylene-aryl}$ -, $C_1-C_6\text{-alkylene-heteroaryl}$ -, $-C_1-C_6\text{-alkylene-}C_3-C_7\text{-cycloalkyl}$, $-C_1-C_6\text{-alkylene-(3- to 7-membered heterocycloalkyl)}$, $-C(=O)R^8$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-C(=O)NR^9R^{10}$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

R¹ and R⁷ can form together a 5-7 membered ring which is optionally substituted with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰, =O.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R¹ represents C₃-C₇-heterocycloalkyl-.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

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R^2 represents hydrogen, or a group selected from:

-C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸,
-N(C₁-C₆-alkyl)C(=O)R⁸, -C(=O)NR⁹R¹⁰, -NR⁹R¹⁰;

10 In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R^3 represents hydrogen, or a group selected from:

15 -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸,
-N(C₁-C₆-alkyl)C(=O)R⁸, -C(=O)NR⁹R¹⁰, -NR⁹R¹⁰;

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

20 R^4 represents hydrogen, or a group selected from:

-C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸,
-N(C₁-C₆-alkyl)C(=O)R⁸, -C(=O)NR⁹R¹⁰, -NR⁹R¹⁰;

25 In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R^6 represents hydrogen, or a group selected from:

-C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸,
-N(C₁-C₆-alkyl)C(=O)R⁸, -C(=O)NR⁹R¹⁰, -NR⁹R¹⁰.

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In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R^2 represents hydrogen.

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In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R^3 represents hydrogen.

10 In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R^4 represents hydrogen.

In an embodiment of the above-mentioned aspects, the invention relates to
15 compounds of formula (I), wherein :

R^6 represents hydrogen.

In an embodiment of the above-mentioned aspects, the invention relates to
20 compounds of formula (I), wherein :

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R^5 represents a substituent selected from : hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group selected from : C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, C_2 - C_{10} -alkenyl-, C_2 - C_{10} -alkynyl-, C_3 - C_{10} -cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, - C_1 - C_6 -alkylene-aryl, C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-, - C_1 - C_6 -alkylene- C_3 - C_{10} -cycloalkyl, - C_1 - C_6 -alkylene-(3- to 10-membered heterocycloalkyl), - OR^8 , - $C(=O)H$, - $C(=O)R^8$, - $C(=O)OH$, - $C(=O)O$ - C_1 - C_6 -alkyl, - $C(=O)O$ - C_3 - C_{10} -cycloalkyl, - $OC(=O)$ - C_1 - C_6 -alkyl, - $OC(=O)$ - C_3 - C_{10} -cycloalkyl, - $N(H)C(=O)R^8$, - $N(C_1$ - C_6 -alkyl) $C(=O)R^8$, - $N(H)S(=O)_2R^8$, - $N(C_1$ - C_6 -alkyl) $S(=O)_2R^8$, - $C(=O)NR^9R^{10}$, - $OC(=O)NR^9R^{10}$, - $N(H)C(=O)OR^8$, - $N(C_1$ -

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C_6 -alkyl) $C(=O)OR^8$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^8$, $-S(=O)R^8$, $-S(=O)_2R^8$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

wherein, when m or n represent, independently from each other, an integer of 2, 3, 4, or 5, then said substituent or substituent group is, independently from each other, identical or different ;

wherein said substituent group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, hydroxy- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, C_2 - C_{10} -alkenyl-, C_2 - C_{10} -alkynyl-, C_3 - C_{10} -cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, a 4- or 5-(1,3-benzodioxolyl)- group, C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-, $-C_1$ - C_6 -alkylene- C_3 - C_{10} -cycloalkyl, $-C_1$ - C_6 -alkylene-(3- to 10-membered heterocycloalkyl), $-C(=O)H$, $-C(=O)-C_1$ - C_6 -alkyl, $C(=O)OH$, $-C(=O)O-C_1$ - C_6 -alkyl, $-C(=O)O-C_3$ - C_{10} -cycloalkyl, $-OC(=O)-C_1$ - C_6 -alkyl, $-OC(=O)-C_3$ - C_{10} -cycloalkyl, $-N(H)C(=O)-C_1$ - C_6 -alkyl, $-N(C_1-C_6\text{-alkyl})C(=O)-C_1$ - C_6 -alkyl, $-N(H)S(=O)_2-C_1$ - C_6 -alkyl, $-N(C_1-C_6\text{-alkyl})S(=O)_2-C_1$ - C_6 -alkyl, $-C(=O)NH_2$, $-C(=O)N(H)C_1$ - C_6 -alkyl, $-C(=O)N(C_1-C_6\text{-alkyl})_2$, $-OC(=O)N(H)C_1$ - C_6 -alkyl, $-OC(=O)N(C_1-C_6\text{-alkyl})_2$, $-N(H)C(=O)O-C_1$ - C_6 -alkyl, $-N(C_1-C_6\text{-alkyl})C(=O)O-C_1$ - C_6 -alkyl, $-N(H)C(=O)NH_2$, $-N(H)C(=O)N(H)C_1$ - C_6 -alkyl, $-N(H)C(=O)N(C_1-C_6\text{-alkyl})_2$, $-N(C_1-C_6\text{-alkyl})C(=O)NH_2$, $-N(C_1-C_6\text{-alkyl})C(=O)N(H)C_1$ - C_6 -alkyl, $-N(C_1-C_6\text{-alkyl})C(=O)N(C_1-C_6\text{-alkyl})_2$, $-S-C_1$ - C_6 -alkyl, $-S(=O)-C_1$ - C_6 -alkyl, $-S(=O)_2-C_1$ - C_6 -alkyl, $-S(=O)_2NH_2$, $-S(=O)_2N(H)C_1$ - C_6 -alkyl, $-S(=O)_2N(C_1-C_6\text{-alkyl})_2$, $-NH_2$, $-N(H)C_1$ - C_6 -alkyl, $-N(C_1-C_6\text{-alkyl})_2$;

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R^5 represents a substituent selected from : hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group selected from : C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-,

halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(-C₁-C₆-alkylene-aryl,=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

15 R⁷ represents a substituent selected from : hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group selected from : C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

wherein, when m or n represent, independently from each other, an integer of 2, 3, 4, or 5, then said substituent or substituent group is, independently from each other, identical or different ;

wherein said substituent group is optionally substituted one or more times, in identically or differently, with a substituent selected from :

halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, a 4- or 5-(1,3-benzodioxolynyl)- group, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -N(H)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂, -C(=O)N(H)C₁-C₆-alkyl, -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl, -OC(=O)N(C₁-C₆-alkyl)₂, -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-C₁-C₆-alkyl, -N(H)C(=O)NH₂, -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-C₆-alkyl)₂, -N(C₁-C₆-alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -S(=O)₂-C₁-C₆-alkyl, -S(=O)₂NH₂, -S(=O)₂N(H)C₁-C₆-alkyl, -S(=O)₂N(C₁-C₆-alkyl)₂, -NH₂, -N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)₂.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R⁷ represents a substituent selected from : hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group selected from : C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-

cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸,
 -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -
 C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -
 N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(-C₁-C₆-
 5 alkylene-aryl,=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

10 R₈ represents a group selected from:

C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-
 alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, hydroxy-C₁-C₆-alkyl,
 C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-,
 heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-
 15 alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-aryl, -C₁-C₆-alkylene-
 heteroaryl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -
 NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in
 identically or differently, with a substituent selected from : halo-,
 20 hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-,
 halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-
 C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered
 heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-
 alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-
 25 (3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)R¹¹-, C(=O)OH, -
 C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -
 OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R¹¹, -N(C₁-C₆-alkyl)C(=O)R¹¹, -
 N(H)S(=O)₂R¹¹, -N(C₁-C₆-alkyl)S(=O)₂R¹¹, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -
 N(H)C(=O)OR¹¹, -N(C₁-C₆-alkyl)C(=O)OR¹¹, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-
 30 alkyl)C(=O)NR⁹R¹⁰, -SR¹¹, -S(=O)R¹¹, -S(=O)₂R¹¹, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

5 R_8 represents a group selected from:

C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-,
 wherein said group is optionally substituted one or more times, in
 identically or differently, with a substituent selected from : halo-,
 hydroxyl-, cyano-, nitro-, C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-,
 10 halo- C_1 - C_6 -alkoxy-, hydroxy- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo-
 C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, C_3 - C_7 -cycloalkyl-, 3- to 7-membered
 heterocycloalkyl-, aryl-, heteroaryl-, C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -
 alkylene-heteroaryl-, - C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, - C_1 - C_6 -alkylene-
 (3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O) R^{11} -, C(=O)OH, -
 15 C(=O)O- C_1 - C_6 -alkyl, -C(=O)O- C_3 - C_7 -cycloalkyl, -OC(=O)- C_1 - C_6 -alkyl, -
 OC(=O)- C_3 - C_7 -cycloalkyl, -N(H)C(=O) R^{11} , -N(C_1 - C_6 -alkyl)C(=O) R^{11} , -
 N(H)S(=O) $_2R^{11}$, -N(C_1 - C_6 -alkyl)S(=O) $_2R^{11}$, -C(=O)NR $^9R^{10}$, -OC(=O)NR $^9R^{10}$, -
 N(H)C(=O)OR ^{11} , -N(C_1 - C_6 -alkyl)C(=O)OR ^{11} , -N(H)C(=O)NR $^9R^{10}$, -N(C_1 - C_6 -
 alkyl)C(=O)NR $^9R^{10}$, -SR ^{11} , -S(=O) R^{11} , -S(=O) $_2R^{11}$, -S(=O) $_2NR^9R^{10}$, -NR $^9R^{10}$.

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In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

R_8 represents C_1 - C_6 -alkylene-aryl-, which is optionally substituted one or
 25 more times, in identically or differently, with a substituent selected
 from : halo-, hydroxyl-, cyano-, nitro-, C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-,
 C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, hydroxy- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 -
 C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, C_3 - C_7 -cycloalkyl-, 3- to 7-
 membered heterocycloalkyl-, aryl-, heteroaryl-, C_1 - C_6 -alkylene-aryl-,
 30 C_1 - C_6 -alkylene-heteroaryl-, - C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, - C_1 - C_6 -
 alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O) R^{11} -,

C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-
 alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R¹¹, -N(C₁-C₆-alkyl)C(=O)R¹¹, -
 N(H)S(=O)₂R¹¹, -N(C₁-C₆-alkyl)S(=O)₂R¹¹, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -
 N(H)C(=O)OR¹¹, -N(C₁-C₆-alkyl)C(=O)OR¹¹, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-
 5 alkyl)C(=O)NR⁹R¹⁰, -SR¹¹, -S(=O)R¹¹, -S(=O)₂R¹¹, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

10 R₈ represents C₁-C₆-alkylene-heteroaryl-, which is optionally substituted
 one or more times, in identically or differently, with a substituent
 selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-
 alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-
 alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3-
 15 to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-
 aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-
 C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)R¹¹-,
 C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-
 alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R¹¹, -N(C₁-C₆-alkyl)C(=O)R¹¹, -
 20 N(H)S(=O)₂R¹¹, -N(C₁-C₆-alkyl)S(=O)₂R¹¹, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -
 N(H)C(=O)OR¹¹, -N(C₁-C₆-alkyl)C(=O)OR¹¹, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-
 alkyl)C(=O)NR⁹R¹⁰, -SR¹¹, -S(=O)R¹¹, -S(=O)₂R¹¹, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰.

In an embodiment of the above-mentioned aspects, the invention relates to
 25 compounds of formula (I), wherein :

R⁹, R¹⁰ represent independently from each other hydrogen, or a group selected from:

C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-
 30 alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₁₀-cycloalkyl-, 3-
 to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-

aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -C(=O)-C₁-C₆-alkyl-, -C(=O)-C₃-C₁₀-cycloalkyl-, -C(=O)-(3- to 10-membered heterocycloalkyl)-, -C(=O)-aryl-, -C(=O)-heteroaryl-,
 5 , -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -S(=O)₂-C₁-C₆-alkyl-, -S(=O)₂-C₃-C₁₀-cycloalkyl-, -S(=O)₂-(3- to 10-membered heterocycloalkyl)-, -S(=O)₂-aryl-, -S(=O)₂-heteroaryl-,

R⁹ and R¹⁰ can form together a 5-7 saturated or partially unsaturated heterocyclic ring, which optionally further contains 1 or 2 heteroatom-
 10 containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ is defined *infra*.

In an embodiment of the above-mentioned aspects, the invention relates to compounds of formula (I), wherein :

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R⁹, R¹⁰ represent independently from each other hydrogen, or a group selected from:

C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3-
 20 to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)-C₁-C₆-alkyl-, -C(=O)-C₃-C₇-cycloalkyl-, -C(=O)-(3- to 7-membered heterocycloalkyl)-, -C(=O)-aryl-, -C(=O)-heteroaryl-, -C(=O)O-C₁-C₆-
 25 alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -S(=O)₂-C₁-C₆-alkyl-, -S(=O)₂-C₃-C₇-cycloalkyl-, -S(=O)₂-(3- to 7-membered heterocycloalkyl)-, -S(=O)₂-aryl-, -S(=O)₂-heteroaryl-,

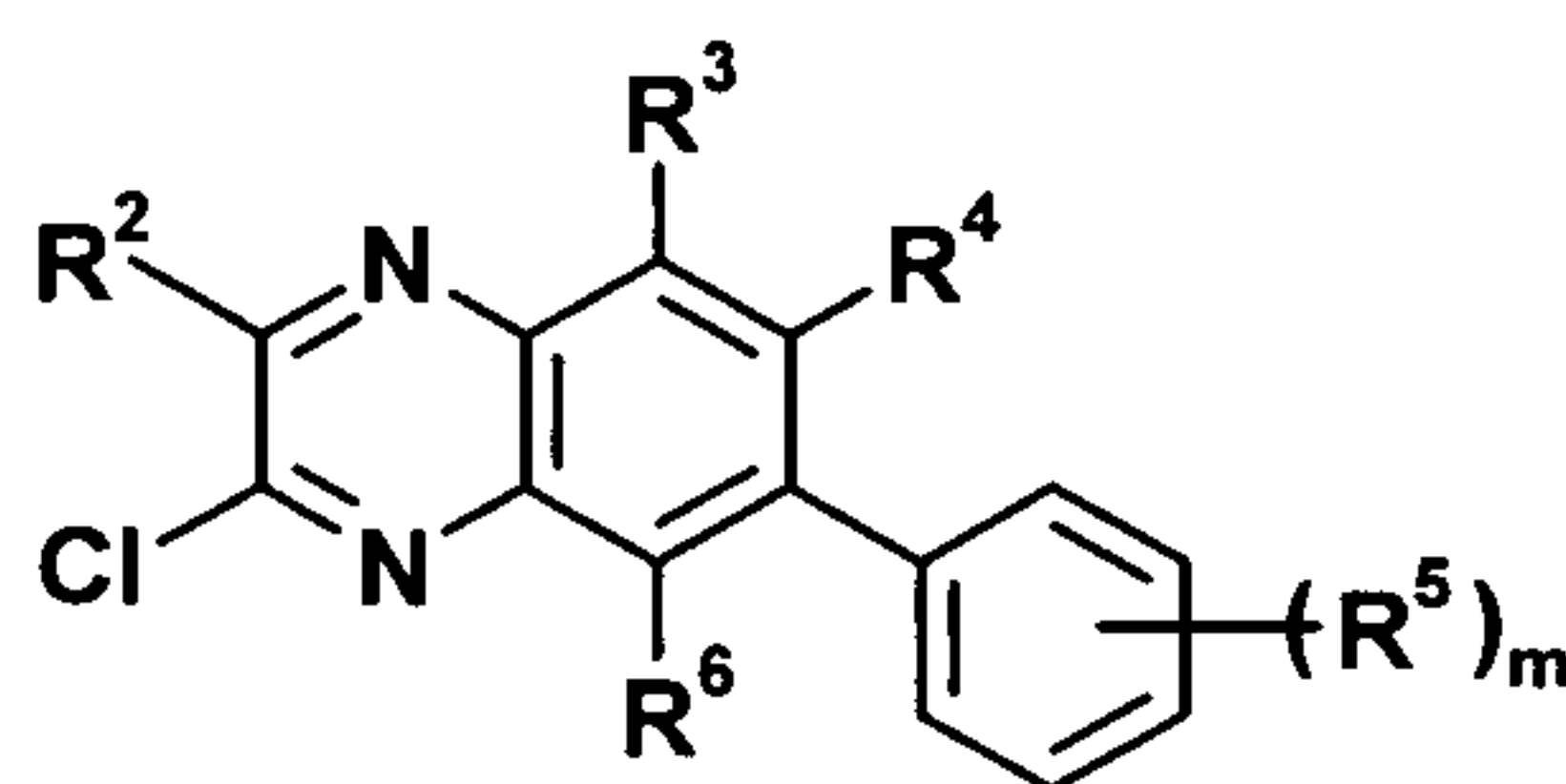
R⁹ and R¹⁰ can form together a 5-7 saturated or partially unsaturated heterocyclic ring, which optionally further contains 1 or 2 heteroatom-
 30 containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ is defined *infra* ;

It is to be understood that the present invention relates to any sub-
5 combination within any embodiment of the present invention of compounds of
general formula (I), *supra*.

More particularly still, the present invention covers compounds of general
formula (I) which are disclosed in the Example section of this text, *infra*.
10

In accordance with another aspect, the present invention covers a method of
preparing compounds of the present invention, the method comprising the
steps as described herein.

15 In accordance with a further aspect, the present invention covers
intermediate compounds which are useful in the preparation of compounds of
the present invention of general formula (I), particularly in the method
described herein. In particular, the present invention covers compounds of
general formula (6) :



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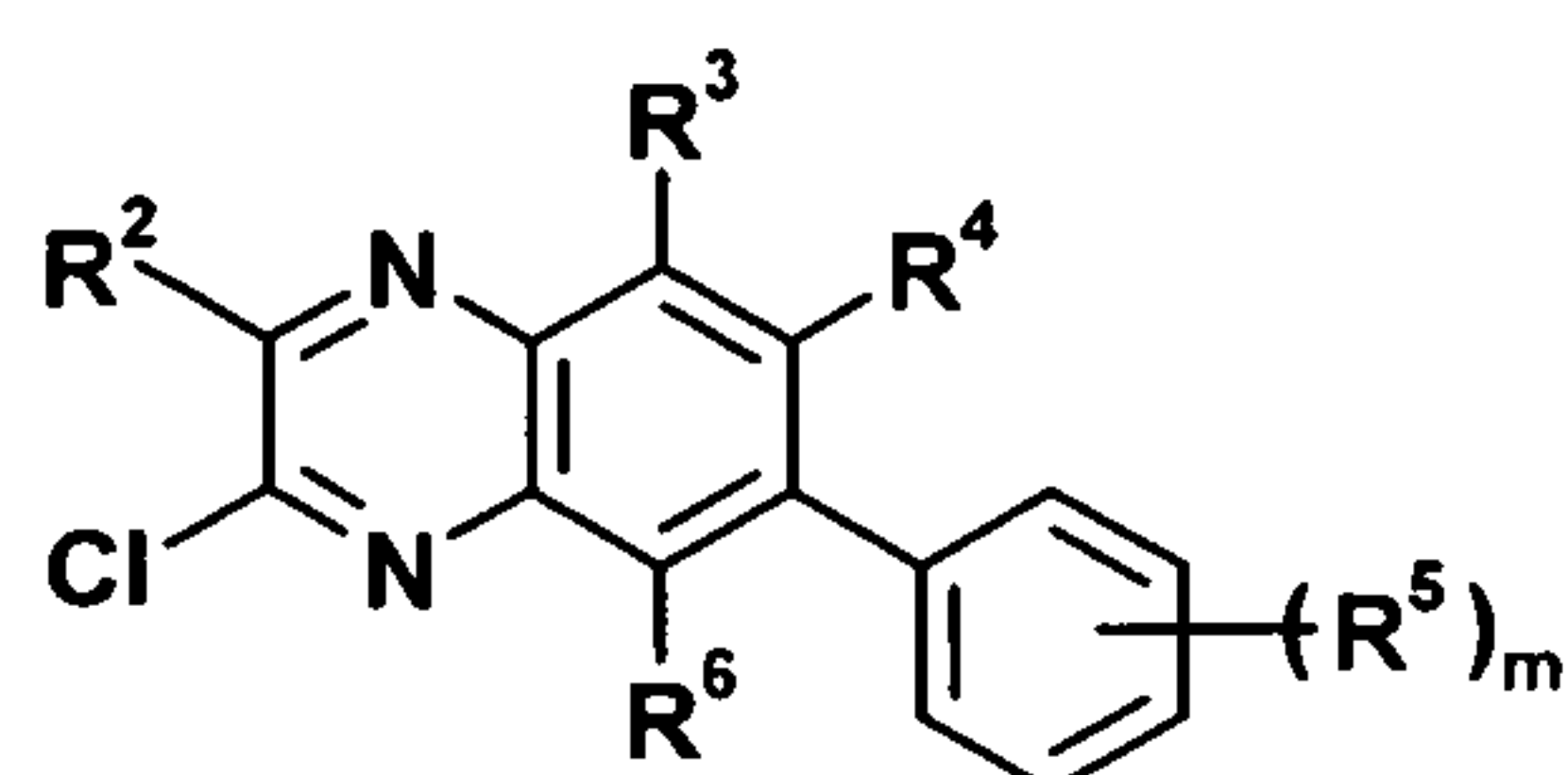
(6)

in which R², R³, R⁴, R⁵, R⁶ and m are as defined for the compound of general
formula (I) herein.

In accordance with yet another aspect, the present invention covers the use
25 of the intermediate compounds :

- of general formula (6) :

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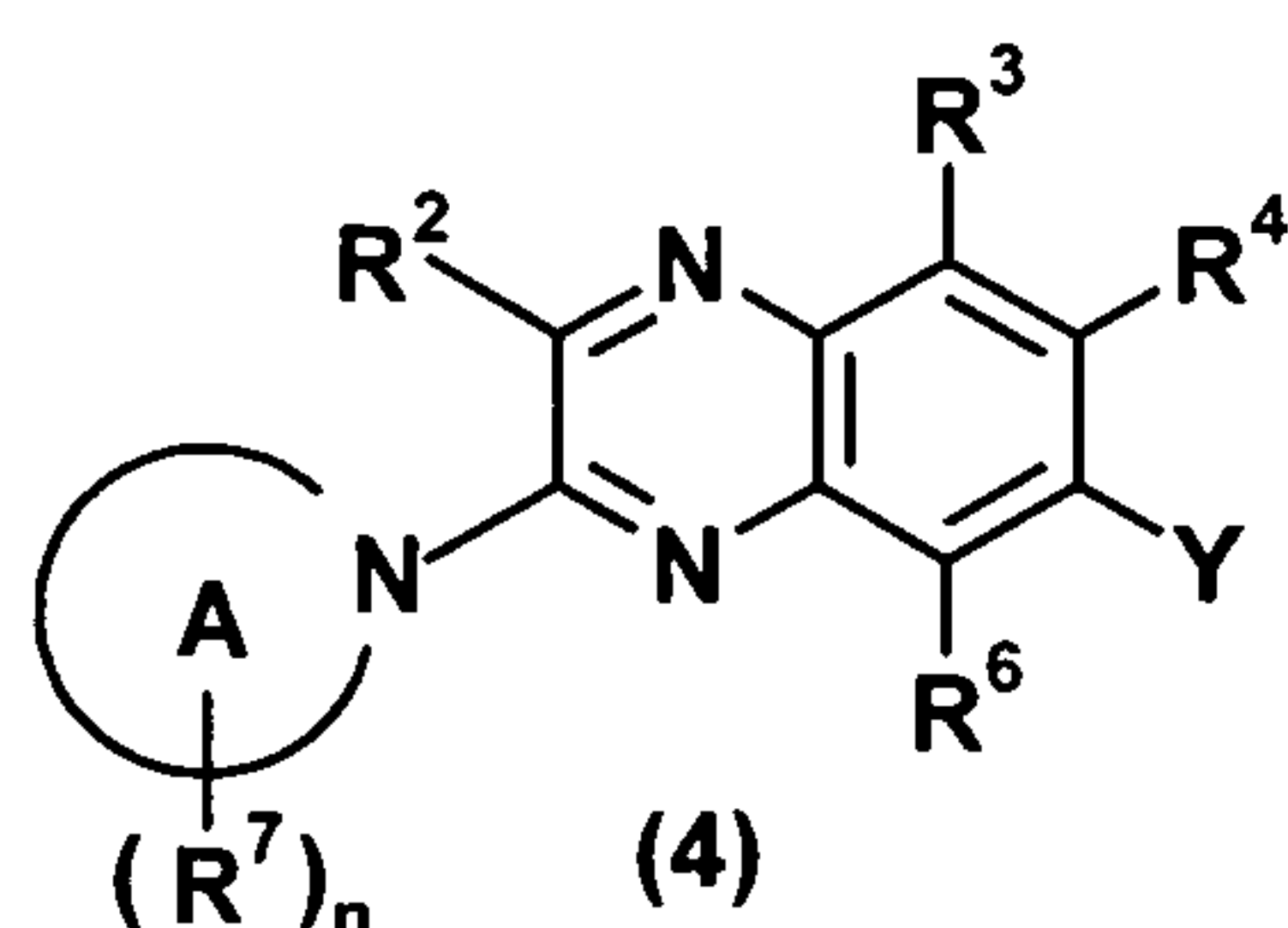


(6)

in which R², R³, R⁴, R⁵, R⁶ and m are as defined for the compound of general formula (I) herein ;

5 and

- of general formula (4) :



(4)

in which

10 , R², R³, R⁴, R⁶, R⁷ and n are as defined for the compound of general formula (I) in any one of claims 1 to 4, and Y represents a halogen atom ;
for the preparation of a compound of general formula (I) as defined herein.

EXPERIMENTAL SECTION

As mentioned *supra*, another aspect of the present invention is a method which may be used for preparing the compounds according to the present
5 invention.

The following Table lists the abbreviations used in this paragraph, and in the Examples section. NMR peak forms are stated as they appear in the spectra, possible higher order effects have not been considered.

10

Abbreviation	Meaning
Ac	Acetyl
Br	Broad
c-	cyclo-
D	Doublet
Dd	doublet of doublets
DCM	Dichloromethane
DME	1,2-dimethoxyethane
DIPEA	N,N-diisopropylethylamine
DMAP	N,N-dimethylpyridin-4-amine
DMF	N,N-dimethylformamide
DMSO	dimethyl sulfoxide
dppf	1,1'-bis(di-phenylphosphino)ferrocene
Eq	Equivalent
ESI	electrospray ionisation
EtOAc	ethyl acetate
HATU	N-[(dimethylamino)(3H-[1,2,3]triazolo[4,5-b]pyridin-3- yloxy)methylidene]-N-methylmethanaminium hexafluorophosphate
H ₂ O	Water

M	Multiplet
Mp.	melting point in °C
MS	mass spectrometry
MW	molecular weight
NMM	4-methylmorpholine
NMP	<i>N</i> -methylpyrrolidinone
NMR	nuclear magnetic resonance spectroscopy : chemical shifts (δ) are given in ppm.
Pd ₂ (dba) ₃	tris-(dibenzylideneacetone)-dipalladium(0)-chloroform complex
Pd(OAc) ₂	palladium (II) acetate
POCl ₃	phosphoric trichloride
P(oTol) ₃	tri- <i>o</i> -tolylphosphine
Q	Quartet
Rt	room temperature
RT	retention time in minutes
S	Singlet
sept	Septet
T	Triplet
TEA	Triethylamine
THF	Tetrahydrofuran
Ts	para toluenesulfonyl (tosyl)
UPLC	ultra performance liquid chromatography

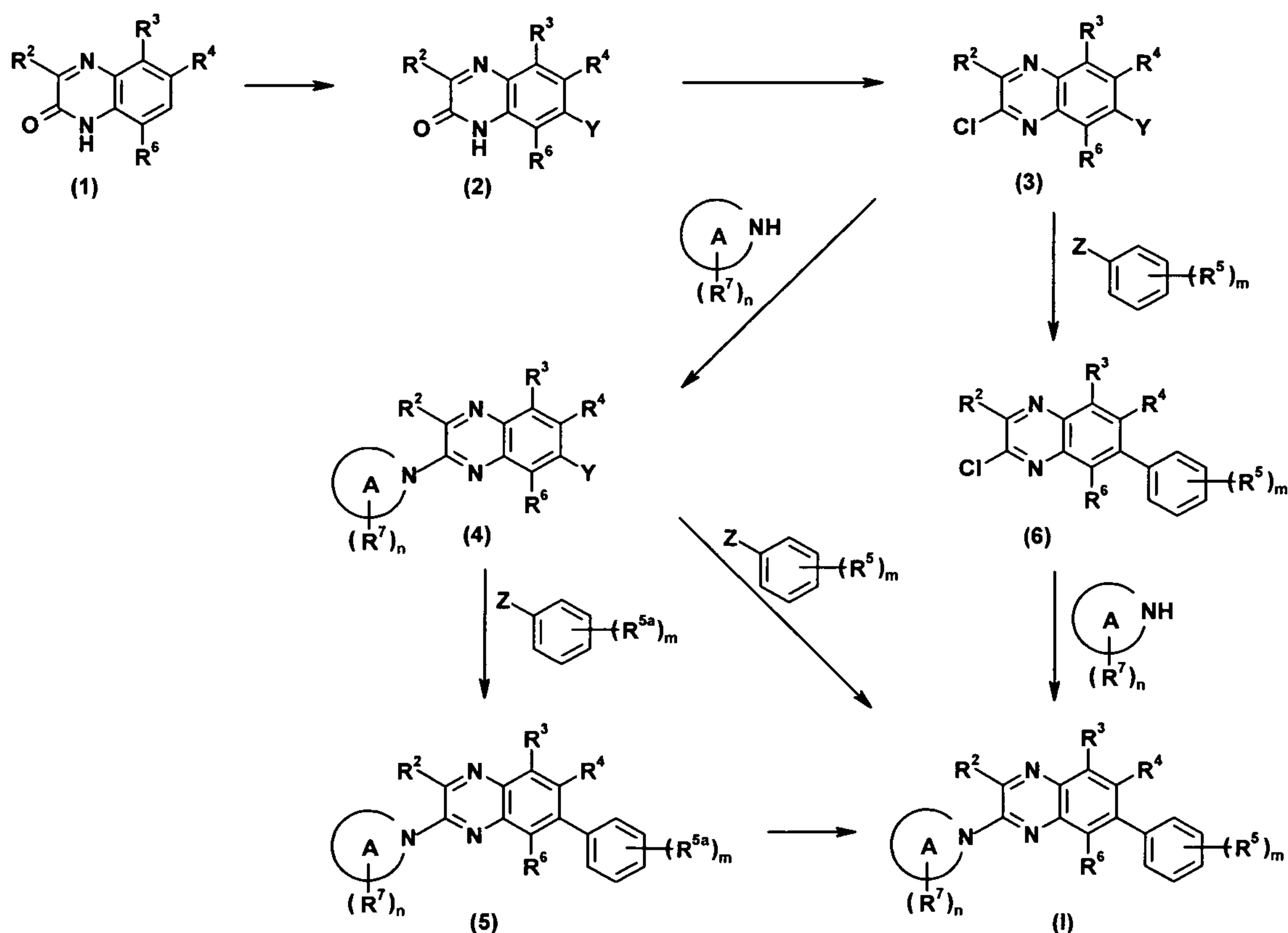
The schemes and procedures described below illustrate general synthetic routes to the compounds of general formula (I) of the invention and are not intended to be limiting. It is obvious to the person skilled in the art that the order of transformations as exemplified in the Schemes can be modified in various ways. The order of transformations exemplified in the Schemes is therefore not intended to be limiting. In addition, interconversion of any of the substituents, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰ or R¹¹ can be achieved

before and/or after the exemplified transformations. These modifications can be such as the introduction of protecting groups, cleavage of protecting groups, reduction or oxidation of functional groups, halogenation, metallation, substitution or other reactions known to the person skilled in the art. These transformations include those which introduce a functionality which allows for further interconversion of substituents. Appropriate protecting groups and their introduction and cleavage are well-known to the person skilled in the art (see for example T.W. Greene and P.G.M. Wuts in *Protective Groups in Organic Synthesis*, 3rd edition, Wiley 1999). Specific examples are described in the subsequent paragraphs.

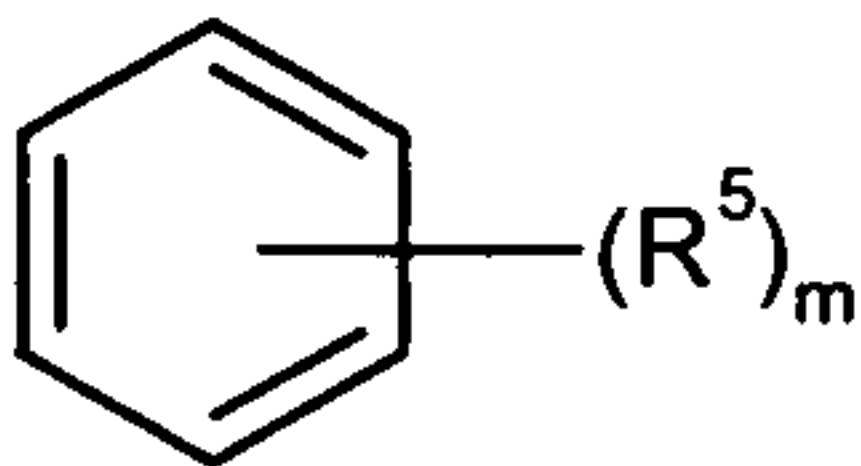
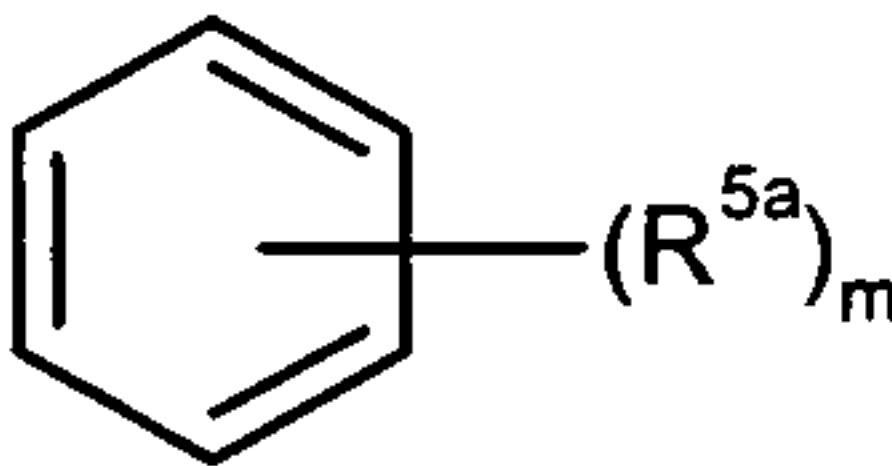
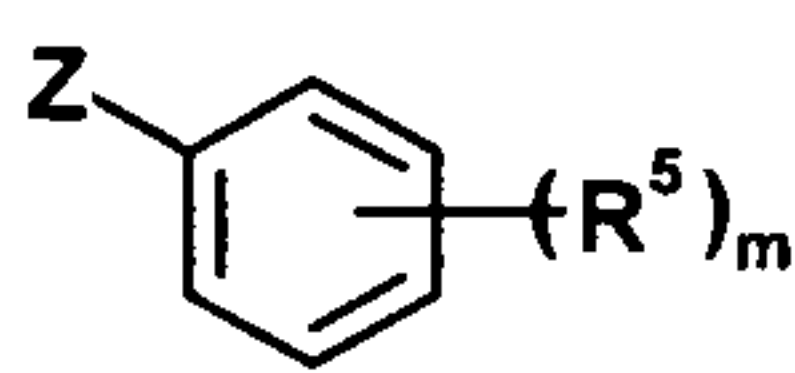
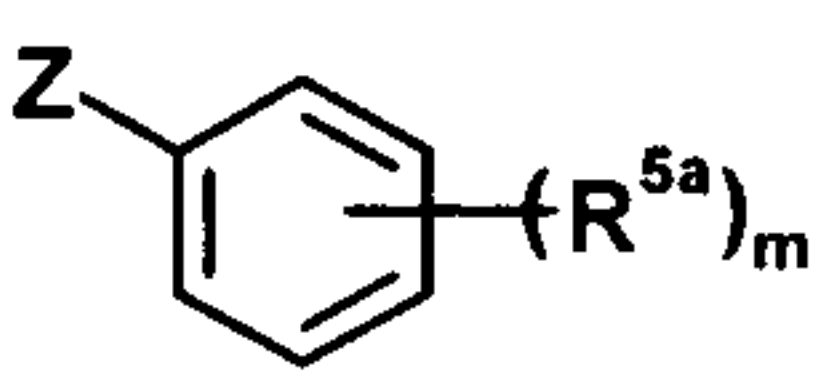
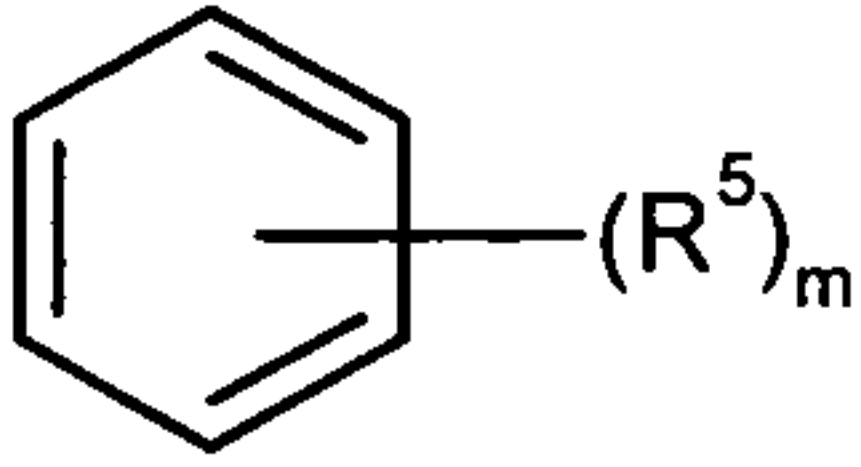
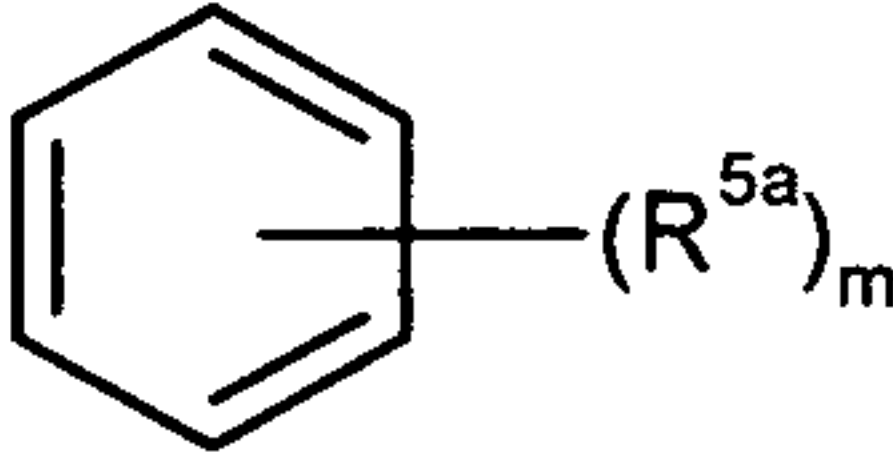
A first reaction scheme is outlined *infra* :

Synthesis of compounds of general formula (I)

15 Scheme 1



wherein R^2 , R^3 , R^4 , R^5 , R^{5a} , R^6 , R^7 , n and m have the meaning as given for general formula (I), *supra*, Y is a halogen atom as defined *supra*, and Z represents a functional group, such as a boronic acid moiety,

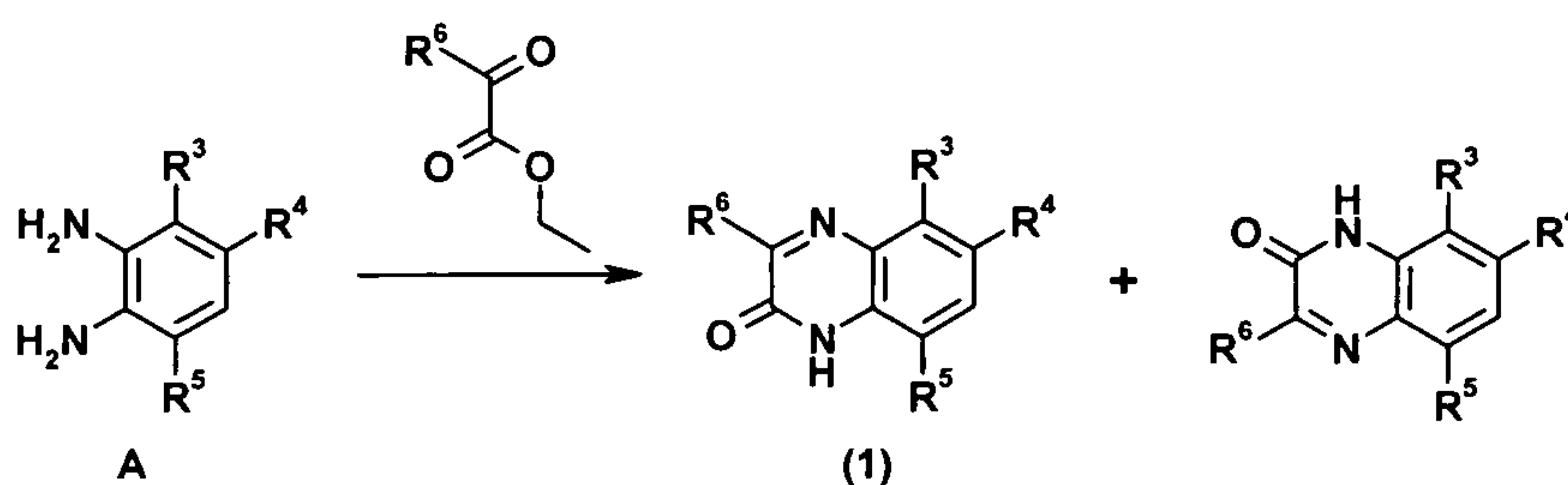
for example, via which the  or  moiety of the  or  compound can be coupled, by a coupling reaction, for example, such as a Suzuki reaction for example, onto the Y -bearing carbon atom of a compound (4), thereby replacing said Y with said  or  moiety.

R^{5a} has the same definition as R^5 .

Compounds of general formula (I) can be synthesised according to the procedure depicted in Scheme 1.

3,5,7,8-substituted 1H-quinoxalin-2-one intermediates of general formula (1) may be commercially available or can be synthesized according to scheme 2,

Scheme 2



wherein R^3 , R^4 and R^6 have the meaning as given for general formula (I).

Briefly, a suitably substituted ortho-amino-aniline intermediate of general formula A is converted to the corresponding 1H-quinoxalin-2-one intermediate of general formula (1) by reaction with an alpha-keto-acid derivative, for example ethyl glyoxalate in a suitable solvent, such as, for example, ethanol, at temperatures ranging from room temperature to the boiling point of the solvent [see for example Wislicenus, Schultz, Justus Liebigs Annalen der Chemie 1924, 436, 55,57; Wellman, Tishler, Journal of the American Chemical Society 1947, 69, 714]. The person skilled in the art will recognise that depending on the substitution pattern of the starting materials, regioisomers may be generated, which have to be separated by known methods, like flash chromatography. The person skilled in the art will also recognise that there are many precedented methods for synthesising suitable ortho-amino-anilines of general formula A; some ortho-amino-anilines may be obtained commercially.

With regard to Scheme 1 :

A suitably substituted 1H-quinoxalin-2-one intermediate of general formula (1) is converted to the corresponding 7-bromo-1H-quinoxalin-2-one intermediate of general formula (2) by reaction with a suitable halogenation agent, such as bromine in the presence of a suitable acid, such as acetic acid at temperatures ranging from room temperature to the boiling point of the solvent, preferably room temperature [see for example W. C. Lumma, R. D. Hartman, W. S. Saari, E. L. Engelhardt, V. J. Lotti, C. A. Stone, Journal of Medicinal Chemistry 1981, 24, 1, 93 - 101].

Intermediates of general formula (2) may be converted to 6-halo-3-chloro-quinoxaline intermediates of general formula (3) by reaction with a suitable chlorination reagent, for example $POCl_3$, in a suitable solvent system, such as, for example, DMF, at elevated temperatures, such as reflux conditions. [see

for example Wolf et al., Journal of the American Chemical Society 1949, 71, 6,7; Eli Lilly and Company, WO2004/50659 A1 (2004/06/17)].

Intermediates of general formula (3) are either reacted with suitable amines in the presence of a suitable base, such as, for example DIPEA in a suitable solvent such as DMF, or NMP, at temperatures ranging from room temperature to 200 °C, to furnish 3-amine-substituted 6-bromo-quinoxaline intermediates (4).

Intermediates (4) are then directly converted to compounds of general formula (I) by reaction with a suitable alkylating agent, like a boronic acid derivative in the presence of a suitable catalyst system, like palladium(II)acetate and P(oTol)₃, and a suitable base, like potassium carbonate in a suitable solvent, like THF at temperatures ranging from room temperature to 200 °C.

Also as depicted in Scheme 1, *supra*, substituted intermediates (4) can be converted to intermediates (5) by a coupling reaction as described *supra*, thereby replacing said Y with said R^{5a} moiety.

Intermediates (5) can then be converted to compounds of general formula (I) by one or more further transformations. These modifications can be such as cleavage of protecting groups, reduction or oxidation of functional groups, halogenation, metallation, substitution or other reactions known to the person skilled in the art, for example an amide bond formation.

Alternatively, 6-halo-3-chloro-quinoxaline intermediates of general formula (3) are reacted with a suitable alkylating agent, like a boronic acid derivative in the presence of a suitable catalyst system, like palladium(II)acetate and P(oTol)₃, and a suitable base, like potassium carbonate in a suitable solvent, like THF at temperatures ranging from room temperature to 200 °C to furnish intermediates (6). The person skilled in the art will recognise that the appropriate choice of reaction conditions, such as temperature, choice of solvent and catalyst system is critical for preferred alkylation at the bromine site.

Intermediates (6) are converted to compounds of general formula (I) by reaction with suitable amines in the presence of a suitable base, such as, for example DIPEA in a suitable solvent such as DMF, or NMP, at temperatures ranging from room temperature to 200 °C.

5

The compounds and intermediates produced according to the methods of the invention may require purification. Purification of organic compounds is well known to the person skilled in the art and there may be several ways of purifying the same compound. In some cases, no purification may be necessary. In some cases, the compounds may be purified by crystallisation. In some cases, impurities may be stirred out using a suitable solvent. In some cases, the compounds may be purified by chromatography, particularly flash chromatography, using for example pre-packed silica gel cartridges, e.g. from Separtis such as Isolute® Flash silica gel or Isolute® Flash NH₂ silica gel in combination with a suitable chromatographic system such as a Flashmaster II (Separtis) or an Isolera system (Biotage) and eluents such as, for example, gradients of hexane/ethyl acetate or DCM/methanol. In some cases, the compounds may be purified by preparative HPLC using, for example, a Waters autopurifier equipped with a diode array detector and/or on-line electrospray ionisation mass spectrometer in combination with a suitable pre-packed reverse phase column and eluants such as, for example, gradients of water and acetonitrile which may contain additives such as trifluoroacetic acid, formic acid or aqueous ammonia.

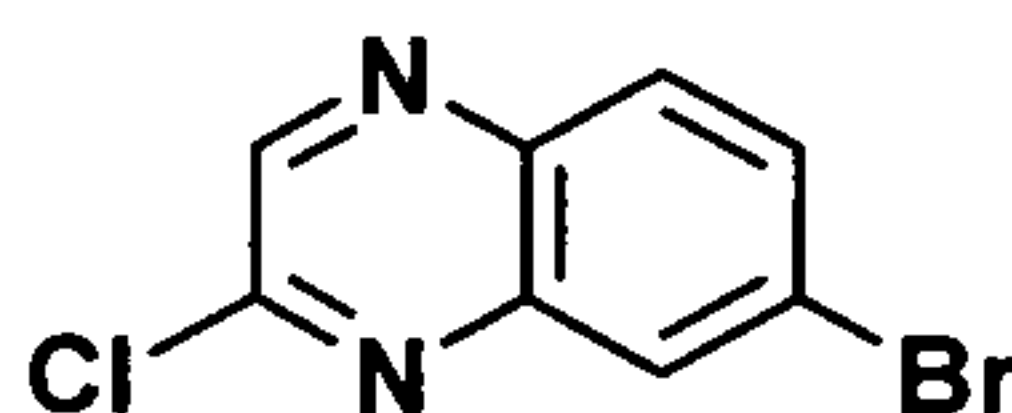
25 Analytical UPLC-MS was performed as follows:

Method A: System: UPLC Acquity (Waters) with PDA Detector und Waters ZQ mass spectrometer; Column: Acquity BEH C18 1.7µm 2.1x50mm; Temperature: 60°C; Solvent A: Water + 0.1% formic acid; Solvent B: acetonitrile; Gradient: 99 % A → 1 % A (1.6 min) → 1 % A (0.4 min) ; Flow: 0.8 mL/min; Injection Volume: 1.0 µl (0.1mg-1mg/mL sample concentration); Detection: PDA scan range 210-400 nm - Fixed and ESI (+), scan range 170-800 m/z

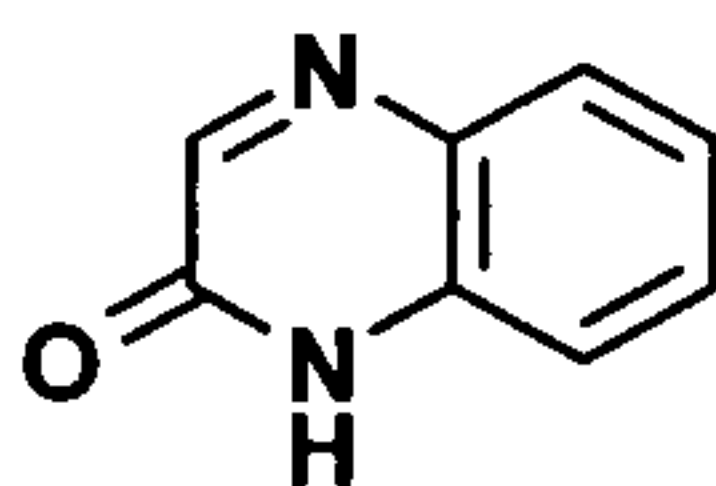
Names of compounds were generated using the Autonom 2000 add-in of ISIS/Draw [MDL Information Systems Inc. (Elsevier MDL)]. Names of compounds in table format were generated using ACD/Name Batch ver. 12.00

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Intermediate Example 1.1: Preparation of 7-bromo-2-chloro-quinoxaline



Step A: Preparation of 1H-quinoxalin-2-one

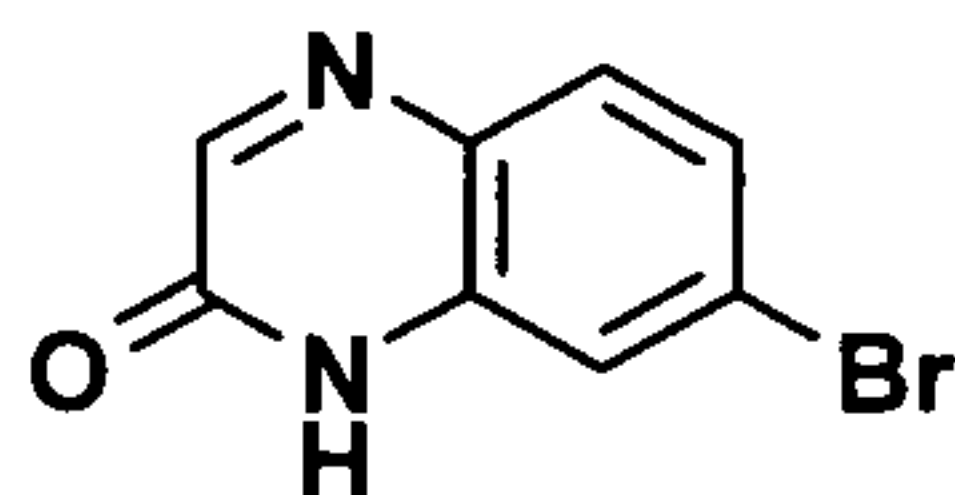


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To a stirred suspension of o-phenylenediamine (50 g, 462.9 mmol) in ethanol (200 mL), at rt was added a solution of ethyl glyoxalate in toluene (50 %; 113 mL, 555.48 mmol) over a period of 45 min. After heating to 45 °C for 10 h, the mixture was left at rt under stirring. The precipitate was filtered and the residue was washed with water and dried to give 1H-quinoxalin-2-one as an off-white powder (63 g, 93%): ¹H-NMR (300 MHz, d₆-DMSO): δ = 12.44 (1H, s), 8.19 (1H, s), 7.78 (1H, d), 7.55 (1H, dd), 7.32 (2H, m) ppm.

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Step B: Preparation of 7-bromo-1H-quinoxalin-2-one



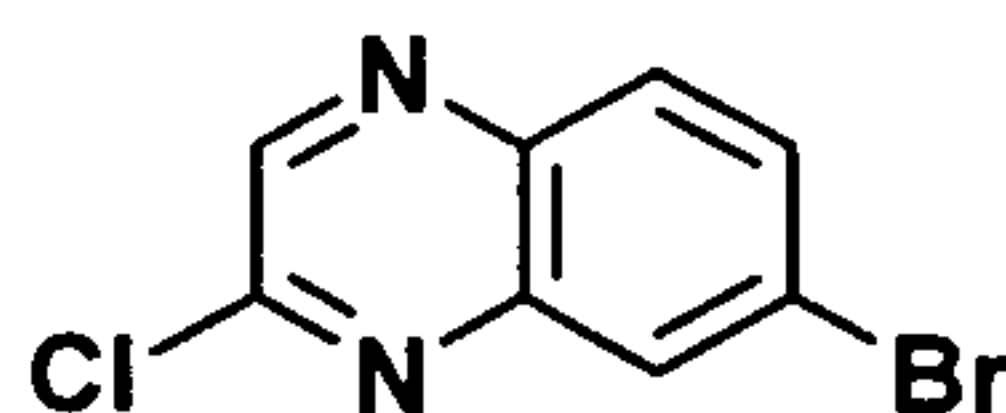
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To a stirred solution of 1H-quinoxalin-2-one (50 g, 342.5 mmol) in glacial acetic acid (2500 mL) was added bromine (54.7 g, 342.5 mmol in 120 mL acetic acid) over a period of 1.5 h at rt. After 18 h stirring, the mixture was slowly poured into 2000 mL water and stirred for 1 h at rt. The precipitate was filtered and the residue was washed with water and dried to give 7-bromo-1H-

25

quinoxalin-2-one as an off-white solid (51 g, 66%): $^1\text{H-NMR}$ (300 MHz, $\text{d}_6\text{-DMSO}$): δ = 12.42 (1H, s), 8.19 (1H, s), 7.75 (1H, d), 7.44 (2H, m) ppm.

Step C: Preparation of 2-chloro-7-bromo-quinoxaline

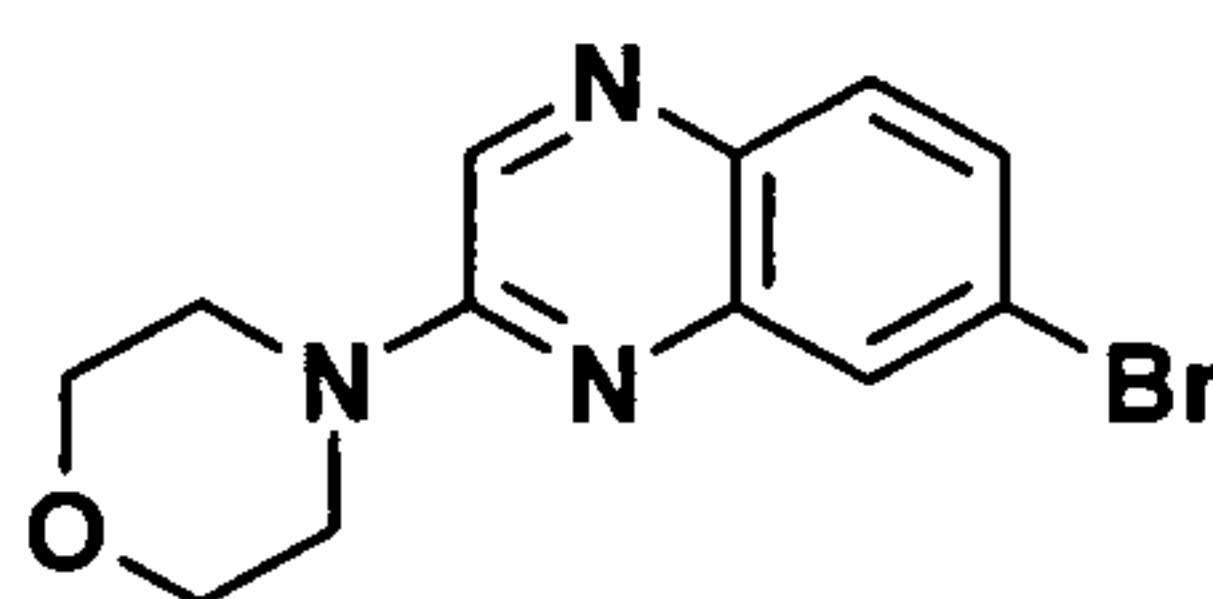


5

300 mL POCl_3 and 1 mL DMF were added to 7-bromo-1H-quinoxalin-2-one (30 g, 133.0 mmol) under stirring. The mixture was heated to reflux over a period of 1 h and refluxed for 2 h. After cooling to rt, the mixture was slowly poured onto 1 kg crushed ice. After 1 h, the solid was filtered and the precipitate was washed with water and dried. The dry product was washed with 100 mL ethyl acetate / petrol ether (1:9) to yield 27 g (83%) of a brownish solid: $^1\text{H-NMR}$ (300 MHz, CDCl_3): δ = 8.78 (1H, s), 8.21 (1H, s) 7.98 (1H, d), 7.83 (1H, d), ppm. UPLC-MS: RT = 1.28 min; m/z (ES+) 243.5 [MH^+]; required MW = 242.5. Mp. 145 °C.

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Intermediate Example 2.1: Preparation of 7-bromo-2-morpholin-4-yl-quinoxaline

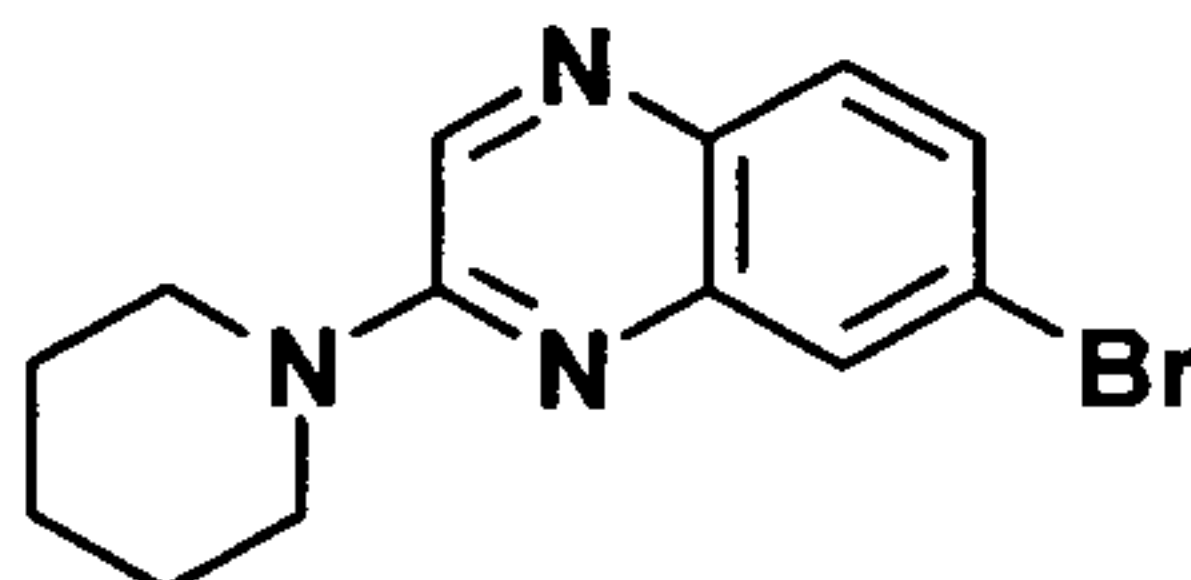


To a stirred solution of 9.74 g (40 mmol) intermediate example 1.1 in NMP (200 mL) was added 5.22 mL (5.22 g, 60 mmol, 1.5 eq) morpholine and 20.54 mL (15.5 g, 120 mmol, 3 eq) DIPEA at room temperature in a microwave reactor. The solution was heated at 130 °C for 30 min under microwave irradiation. After cooling, the solvent was removed in vacuo and the remaining solid was taken up in ethyl acetate and washed with water. Drying with Na_2SO_4 , filtration and evaporation yielded the product as a brown solid (14.61 g, 99%): $^1\text{H-NMR}$ (300 MHz, $\text{d}_6\text{-DMSO}$): δ = 8.81 (1H, s), 7.74 (1H, s), 7.73

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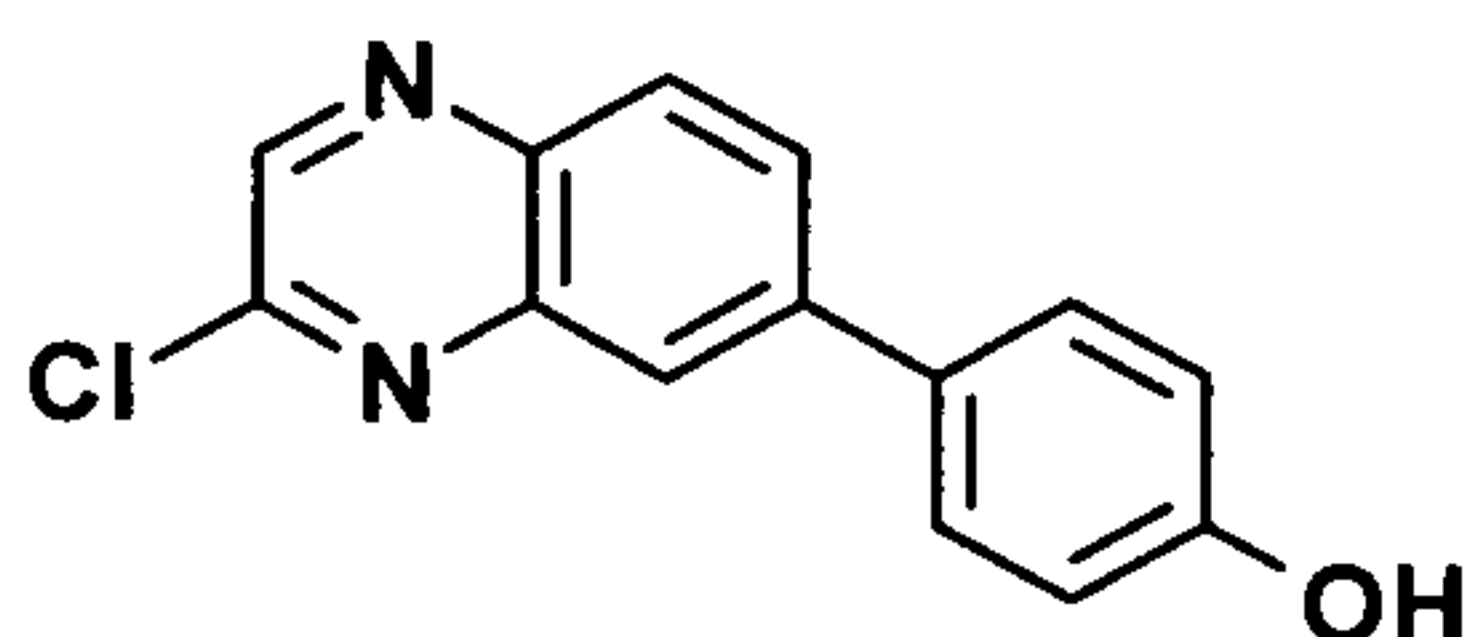
(1H, dd), 7.48 (1H, dd), 3.71 (4H, m), 2.46 (4H, m) ppm. UPLC-MS: RT = 1.19 min; m/z (ES+) 294.1 [MH⁺]; required MW = 293.1.

Intermediate Example 2.2: Preparation of 7-bromo-2-piperidin-1-yl-
5 quinoxaline



Intermediate example 2.2 was prepared analogously to intermediate example 2.1 using 4.87 g (20 mmol) intermediate example 1.1. Yield 5.31 g (90.7 %) light-brown solid: ¹H-NMR (300 MHz, d₆-DMSO): δ = 8.79 (1H, s), 7.69 (1H, s),
10 7.67 (1H, dd), 7.42 (1H, dd), 3.74 (4H, tr), 1.57 (6H, m) ppm. UPLC-MS: RT = 1.50 min; m/z (ES+) 292.3 [MH⁺]; required MW = 291.3.

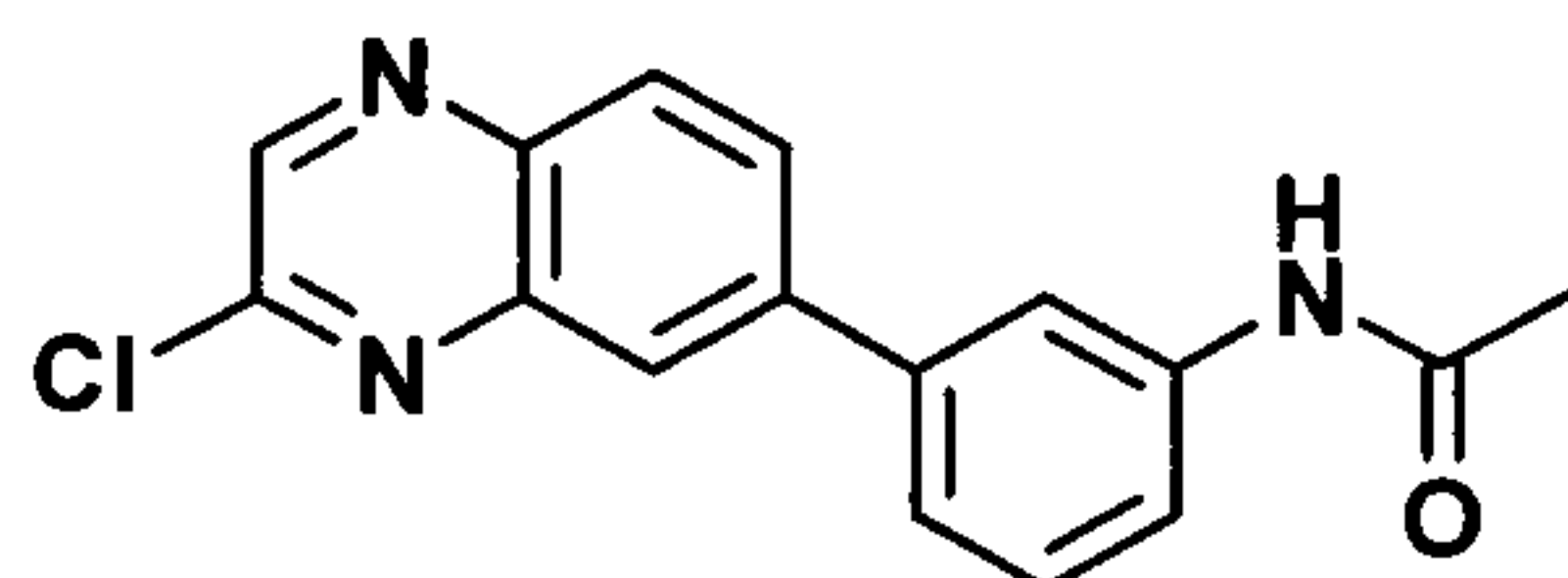
Intermediate Example 3.1: Preparation of 4-(3-chloro-quinoxalin-6-yl)-
phenol



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To a stirred solution of 4.86 g (20 mmol) intermediate example 1.1 in NMP (150 mL) was added 4.14 g (30 mmol, 1.5 eq) (4-hydroxyphenyl)-boronic acid, 0.45 g (2 mmol, 0.1 eq) Pd(OAc)₂, 1.22 g (4 mmol, 0.2 eq) P(oTol)₃ and 60 mL potassium carbonate solution (1M in H₂O, 3 eq) at room temperature in a
20 microwave reactor. The solution was heated at 130 °C for 60 min under microwave irradiation. After cooling, the solution was filtered and after addition of isolate evaporated. Subsequent purification by flash chromatography on silica gel followed by preparative reverse phase HPLC gave 0.52 mg (10.1%)(4-(3-chloro-quinoxalin-6-yl)-phenol: ¹H-NMR (300 MHz, d₆-
25 DMSO): δ = 9.79 (1H, s), 8.89 (1H, s), 7.72 (2H, d), 7.32 (1H, d), 6.89 (2H, d), 6.75 (2H, d) ppm. UPLC-MS: RT = 1.14 min; m/z (ES+) 256.7 [MH⁺]; required MW = 255.7.

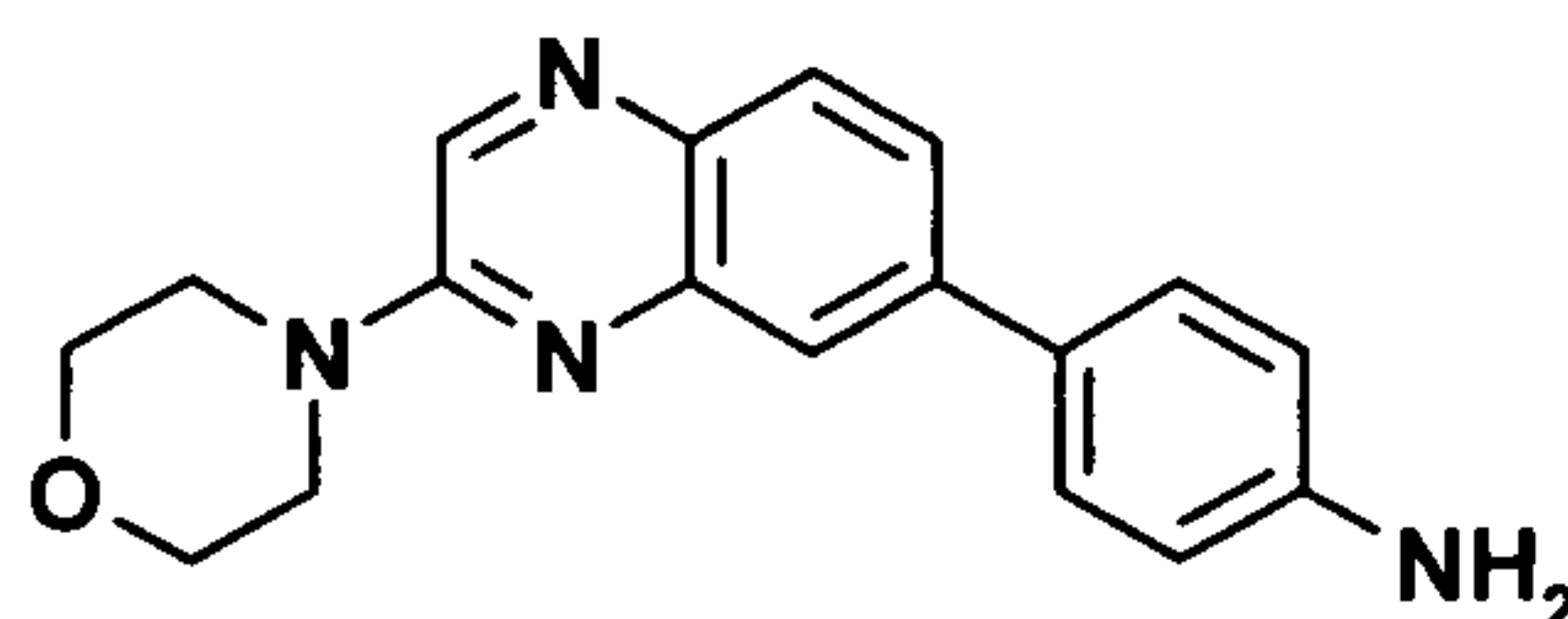
Intermediate Example 3.2: Preparation of N-[3-(3-chloro-quinoxalin-6-yl)-phenyl]-acetamide



- 5 To a stirred solution of 14.61 g (60 mmol) intermediate example 1.1 in NMP (600 mL) was added 11.81 g (66 mmol, 1.1 eq) [3-(acetylamino)phenyl]-boronic acid, 1.34 g (6 mmol, 0.1 eq) Pd(OAc)₂, 3.65 g (12 mmol, 0.2 eq) P(oTol)₃ and 150 mL potassium carbonate solution (1M in H₂O, 2.5 eq) at room temperature in a microwave reactor. The solution was heated at 130 °C for 30
- 10 min under microwave irradiation. After cooling, the solution was filtered and evaporated. The residue was dissolved in DCM, washed with water and the solvent was removed in vacuo. Subsequent purification by preparative reverse phase HPLC gave 1.82 g (10.2%) N-[3-(3-chloro-quinoxalin-6-yl)-phenyl]-acetamide: ¹H-NMR (300 MHz, d₆-DMSO): δ = 10.09 (1H, s), 8.95 (1H, s), 8.20 (1H, d), 8.16 (1H, s), 8.12 (1H, d), 8.08 (1H, s), 7.61 (1H, d), 7.51 (1H, d), 7.45 (1H, dd) ppm; UPLC-MS: RT = 1.13 min; m/z (ES+) 297.8 [MH⁺]; required MW = 296.8.
- 15

Intermediate Example 4.1: Preparation of 4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenylamine

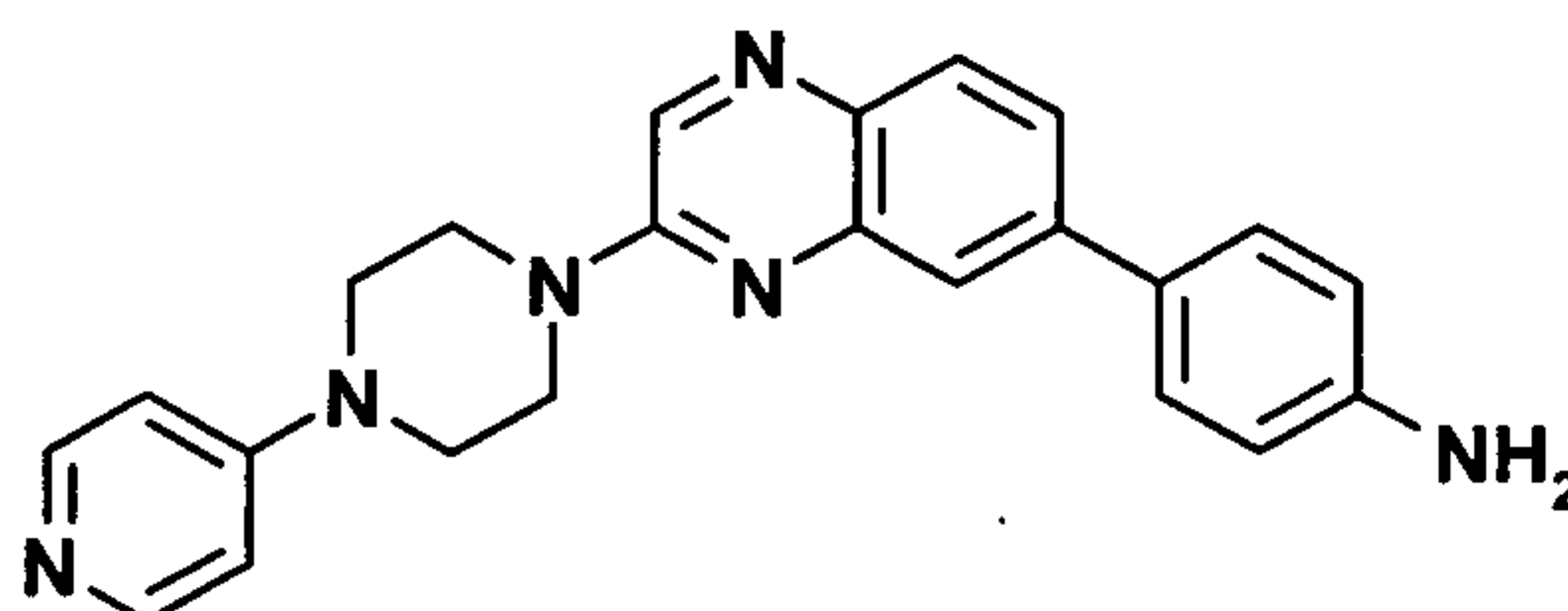
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- To a stirred solution of 4.41 g (15 mmol) intermediate example 2.1 in THF (40 mL) was added 4.93 g (23 mmol, 1.5 eq), 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-benzenamine, 0.34 g (1.5 mmol, 0.1 eq) Pd(OAc)₂, 0.91 g (3
- 25 mmol, 0.2 eq) P(oTol)₃ and 4.5 mL potassium carbonate solution (1M in H₂O, 0.3 eq) at room temperature in a microwave reactor. The solution was heated

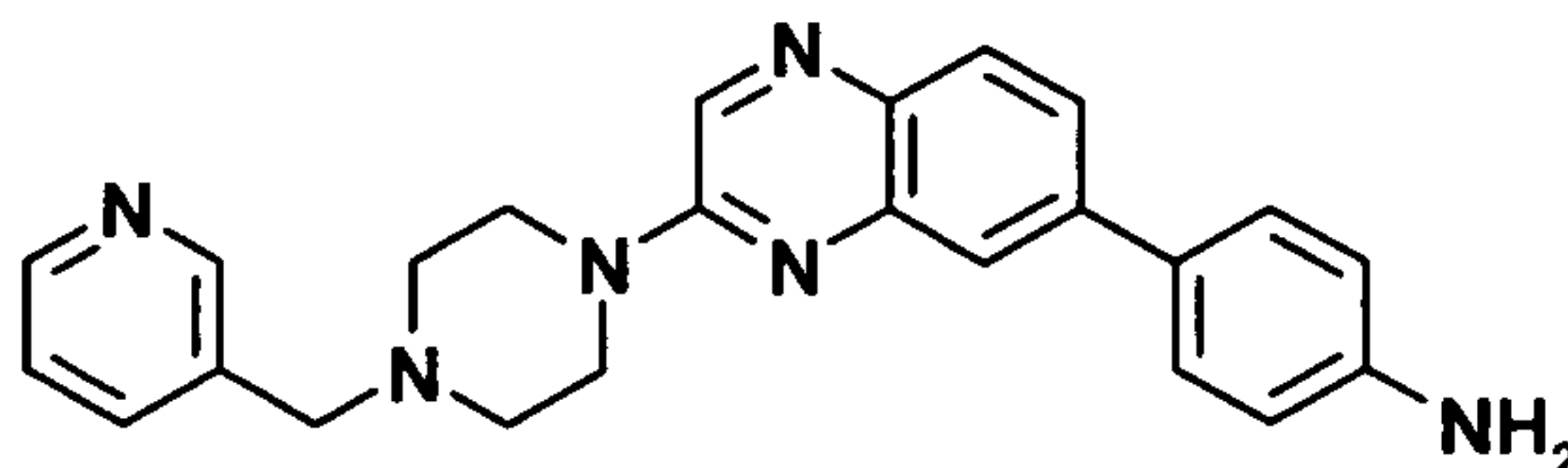
at 130 °C for 80 min under microwave irradiation. After cooling, the solution was filtered and evaporated. The residue was dissolved in DMSO and purified by preparative reverse phase HPLC to give 1.07 g (23.2%) 4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenylamine: ¹H-NMR (300 MHz, d₆-DMSO): δ = 8.68 (1H, s), 7.77 (1H, d), 7.62 (1H, d), 7.48 (2H, d), 6.63 (2H, d), 6.52 (s, 1H), 3.71 (4H, m), 2.47 (4H, m) ppm; UPLC-MS: RT = 0.89 min; m/z (ES+) 307.4 [MH⁺]; required MW = 306.4.

Intermediate Example 4.2: Preparation of 4-[3-(4-pyridin-4-yl-piperazin-1-yl)-quinoxalin-6-yl]-phenylamine



To a stirred solution of 2.43 g (10 mmol) intermediate example 1.1 in NMP (45 mL) was added 3.26 g (20 mmol, 2 eq) 1-(4-pyridinyl)-piperazine, and 5.14 mL (3.89 g, 30 mmol, 3 eq) DIPEA at room temperature in a microwave reactor. The solution was heated at 160 °C for 60 min under microwave irradiation. After cooling, 3.28 g (15 mmol, 1.5 eq) 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-benzenamine, 0.22 g (1 mmol, 0.1 eq) Pd(OAc)₂, 0.61 g (2 mmol, 0.2 eq) P(oTol)₃ and 30 mL potassium carbonate solution (1M in H₂O, 3 eq) were added. The solution was heated at 140 °C for 40 min under microwave irradiation. After cooling, the solution was filtered through Kieselgur and evaporated. The residue was dissolved in MeOH / DCM 1:1 and filtered through Kieselgur to give 4.79 g (125%, with residual NMP) 4-[3-(4-pyridin-4-yl-piperazin-1-yl)-quinoxalin-6-yl]-phenylamine: ¹H-NMR (300 MHz, d₆-DMSO): δ = 8.74 (1H, s), 8.17 (2H, d), 7.78 (1H, d), 7.69 (1H, s), 7.63 (1H, d), 7.50 (2H, d), 6.87 (2H, d), 6.64 (2H, d), 5.33 (2H, bs), 3.89 (4H, tr), 3.51 (4H, tr) ppm; UPLC-MS: RT = 0.67 min; m/z (ES+) 383.5 [MH⁺]; required MW = 382.5.

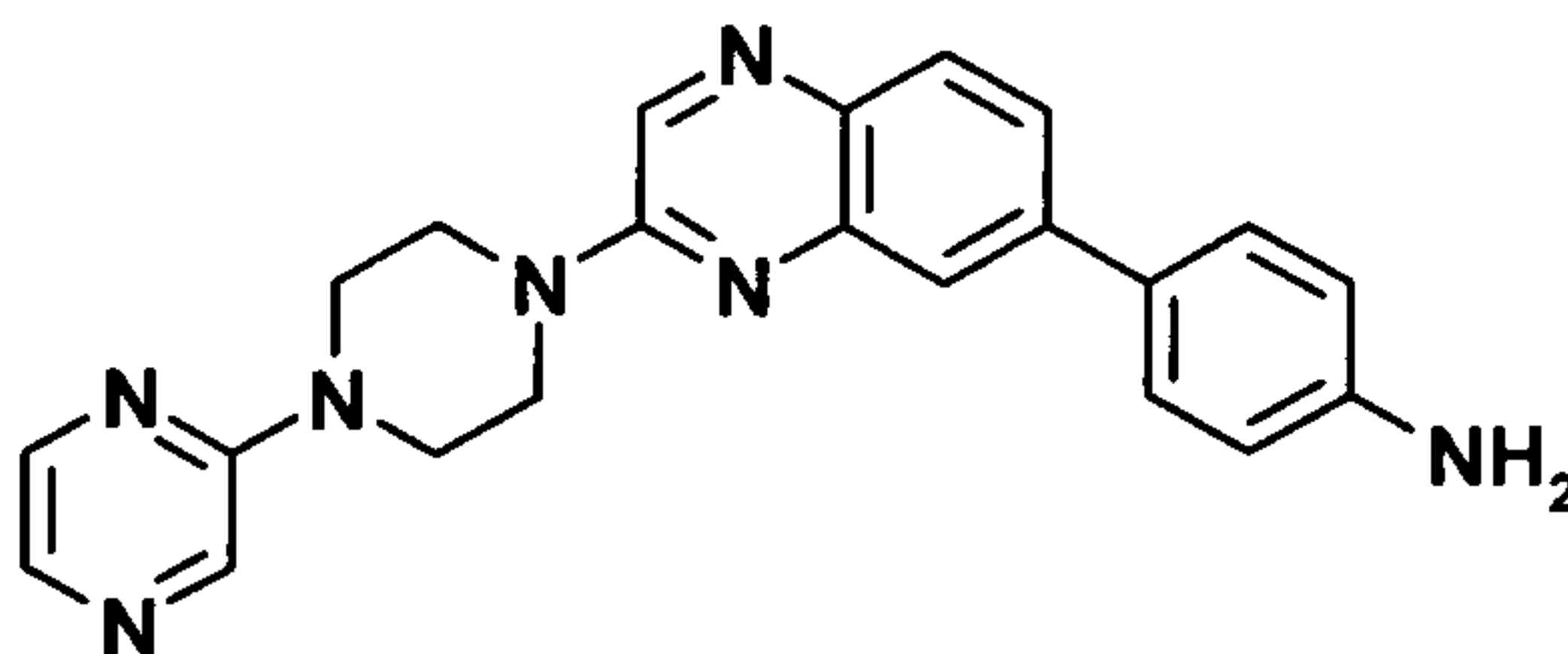
Intermediate Example 4.3: Preparation of 4-[3-(4-pyridin-3-ylmethyl-piperazin-1-yl)-quinoxalin-6-yl]-phenylamine



Intermediate example 4.3 was prepared analogously to intermediate example 5 4.2 using 3.55 g (20 mmol, 2 eq) 1-(3-pyridinylmethyl)-piperazine. Yield 5.14 g (130%, with residual NMP): ¹H-NMR (300 MHz, d₆-DMSO): δ = 8.75 (1H, s), 8.51 (1H, s), 8.46 (1H, d), 7.83 (1H, d), 7.79 - 7.27 (8H, m), 3.76 (4H, m), 3.55 (2H, s), 2.50 (4H, bs) ppm; UPLC-MS: RT = 0.63 min; m/z (ES+) 397.5 [MH⁺]; required MW = 396.5.

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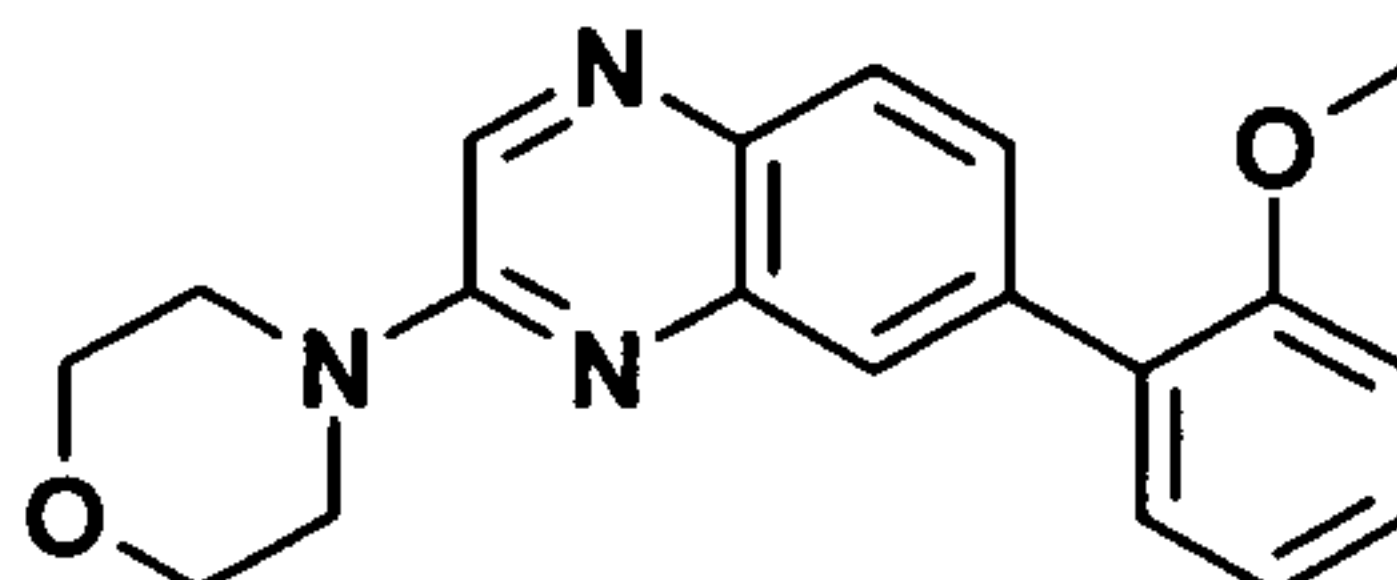
Intermediate Example 4.4: Preparation of 4-[3-(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)-quinoxalin-6-yl]-phenylamine



Intermediate example 4.3 was prepared analogously to intermediate example 15 4.2 using 3.28 g (20 mmol, 2 eq) 2-(1-piperazinyl)-pyrazine. Yield 4.98 g (130%, with residual NMP): ¹H-NMR (300 MHz, d₆-DMSO): δ = 8.75 (1H, s), 8.38 (1H, s), 8.10 (1H, s), 7.85 (1H, s), 7.78 (1H, d), 7.69 (1H, s), 7.63 (1H, d), 7.50 (2H, d), 6.64 (2H, d), 5.33 (2H, bs), 3.89 (4H, m), 3.73 (4H, m) ppm; UPLC-MS: RT = 0.63 min; m/z (ES+) 384.5 [MH⁺]; required MW = 383.5.

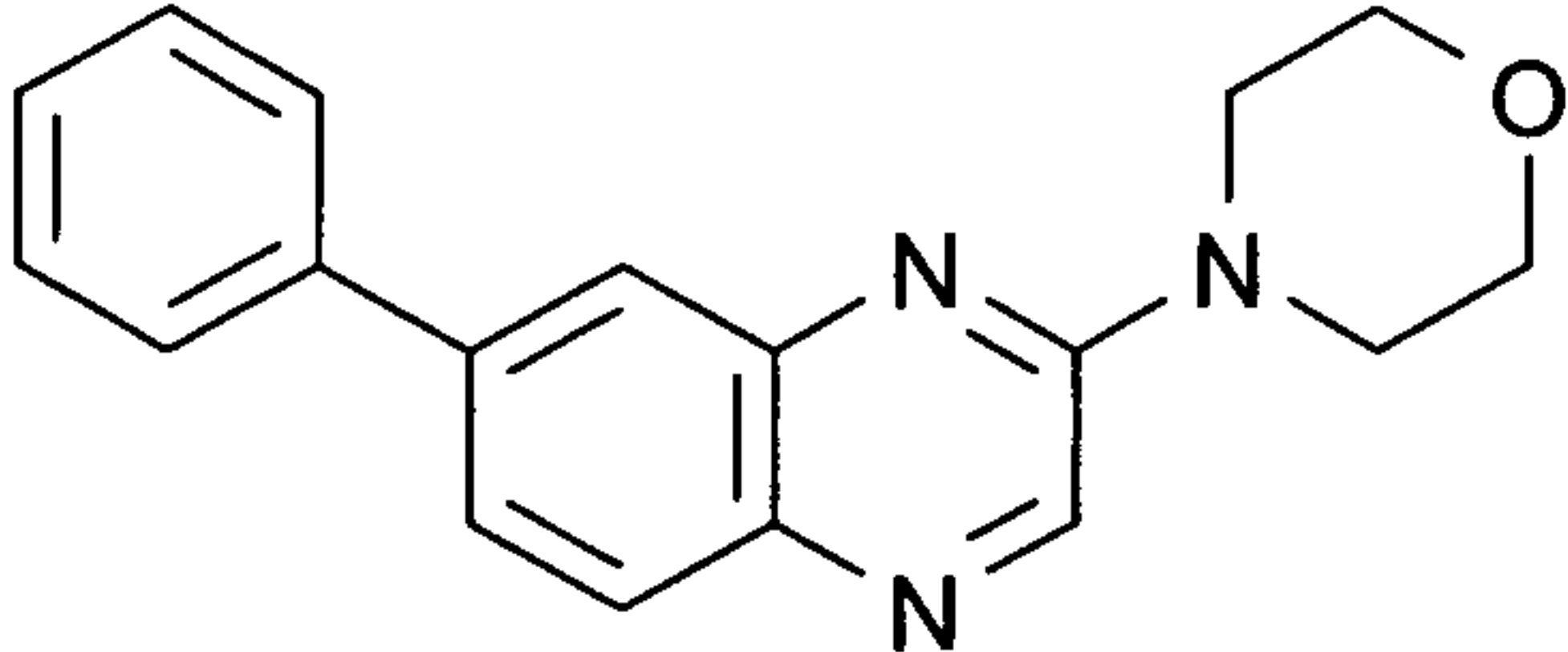
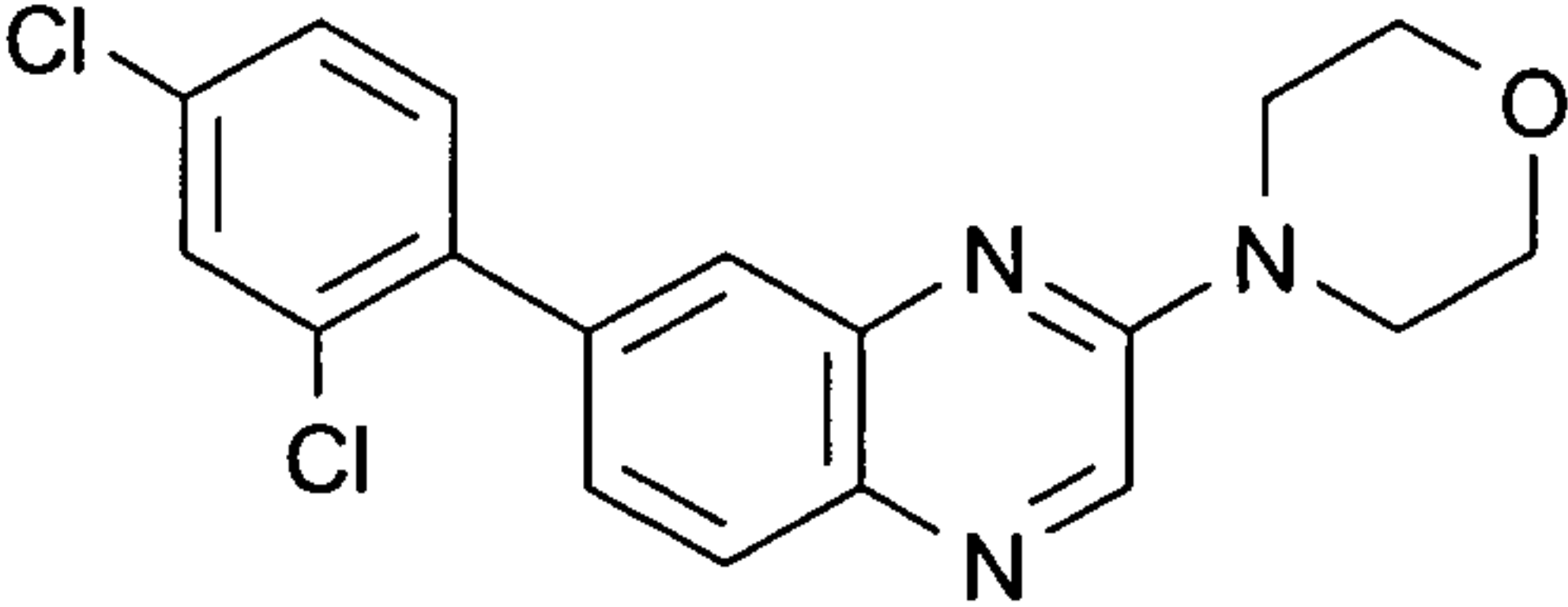
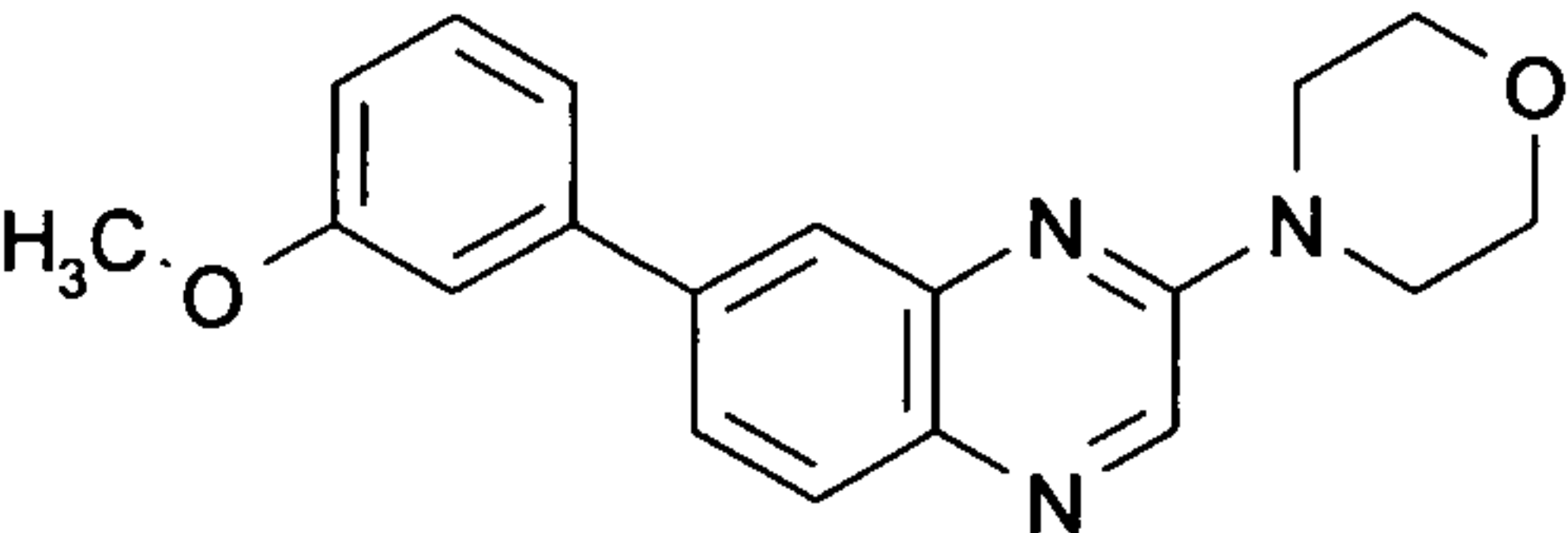
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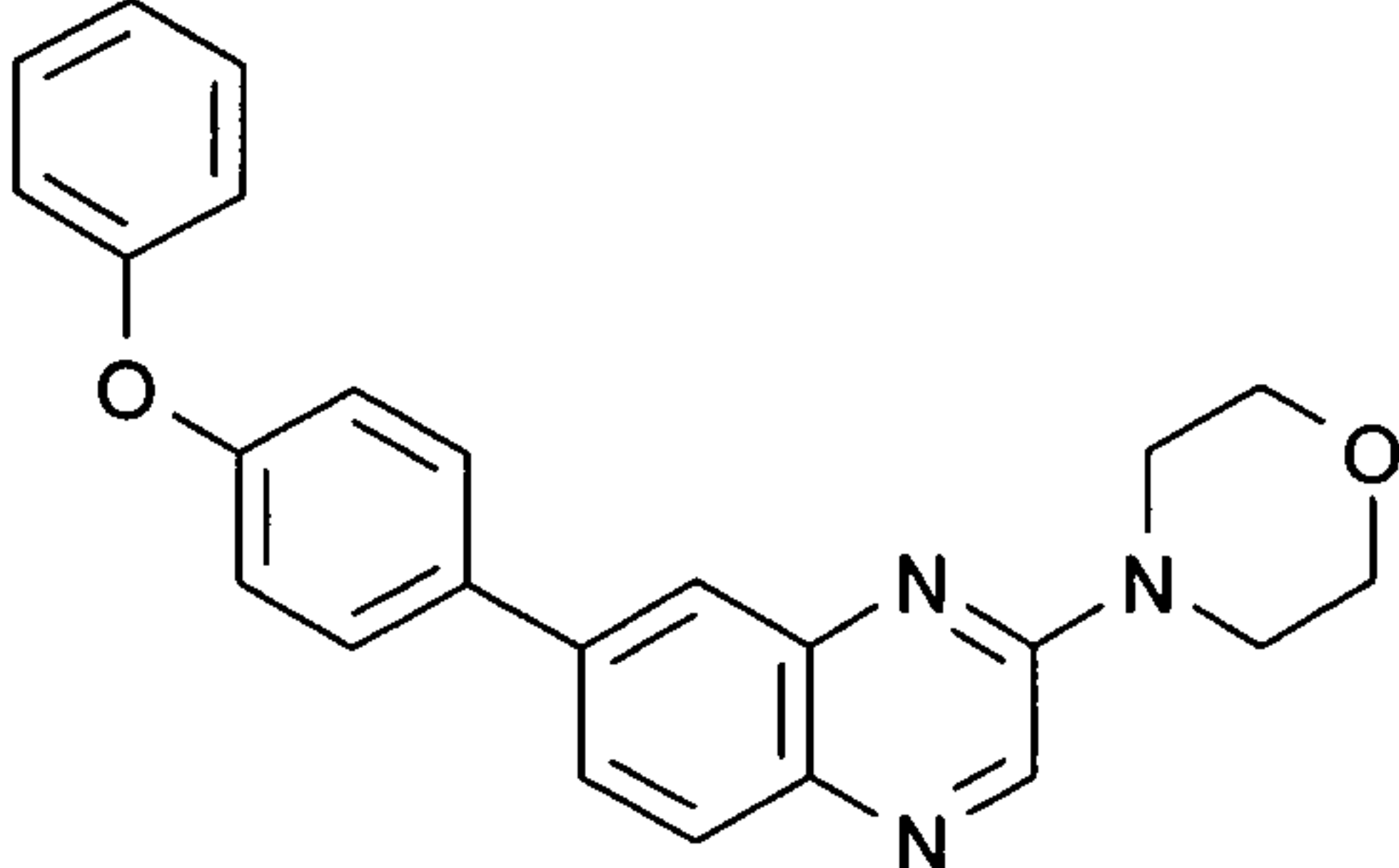
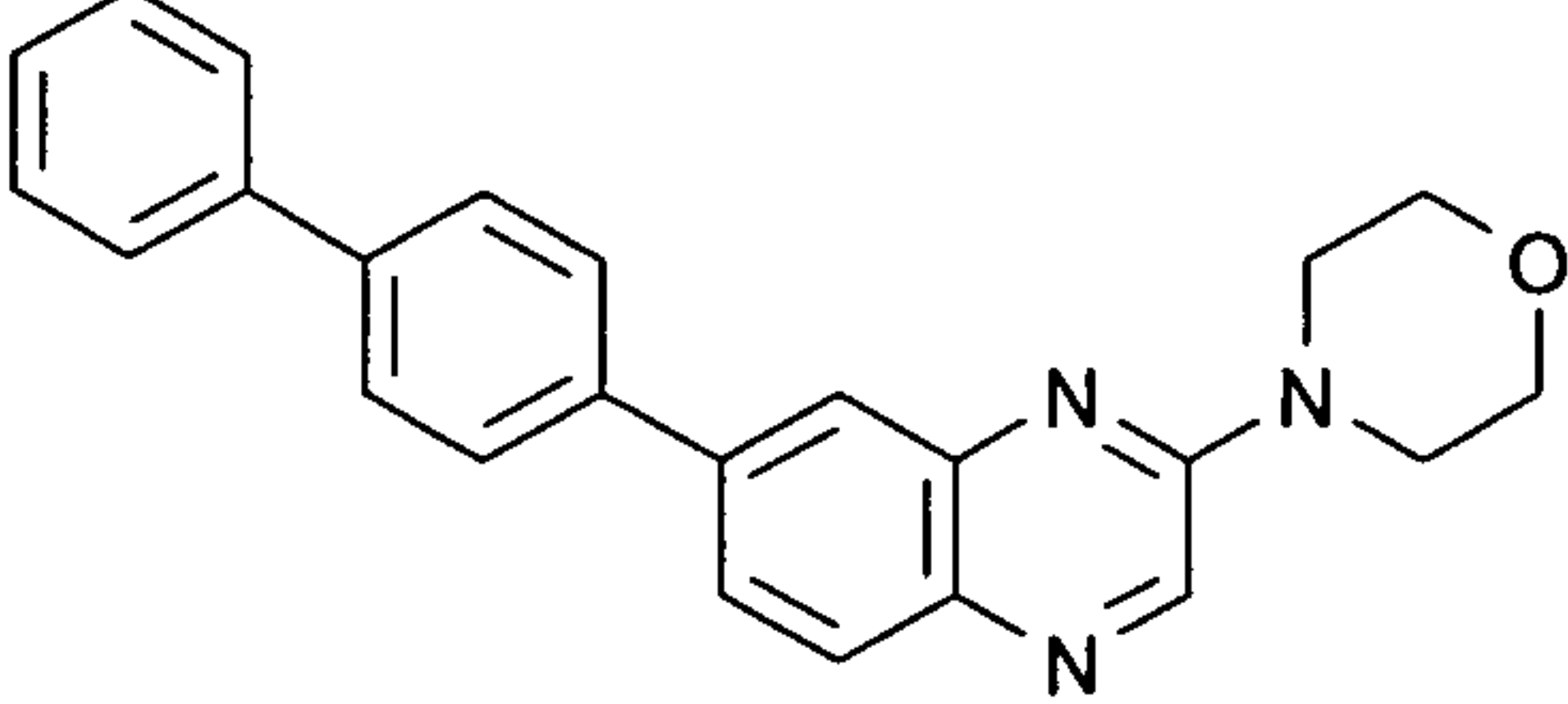
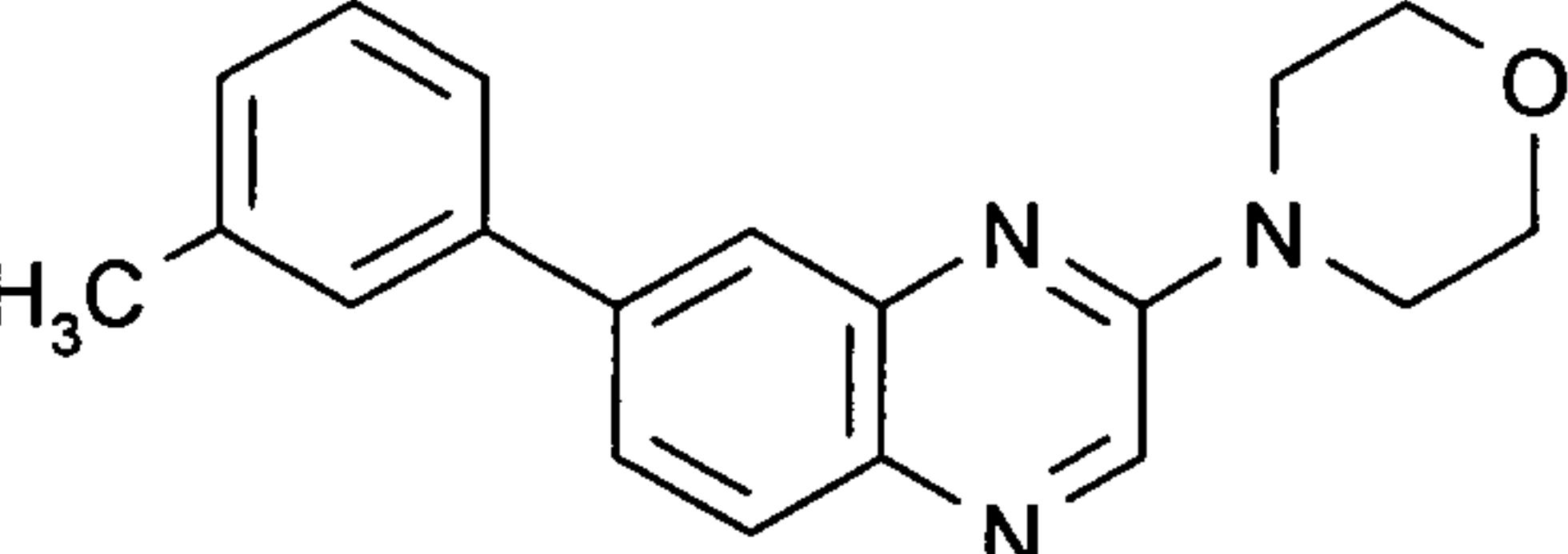
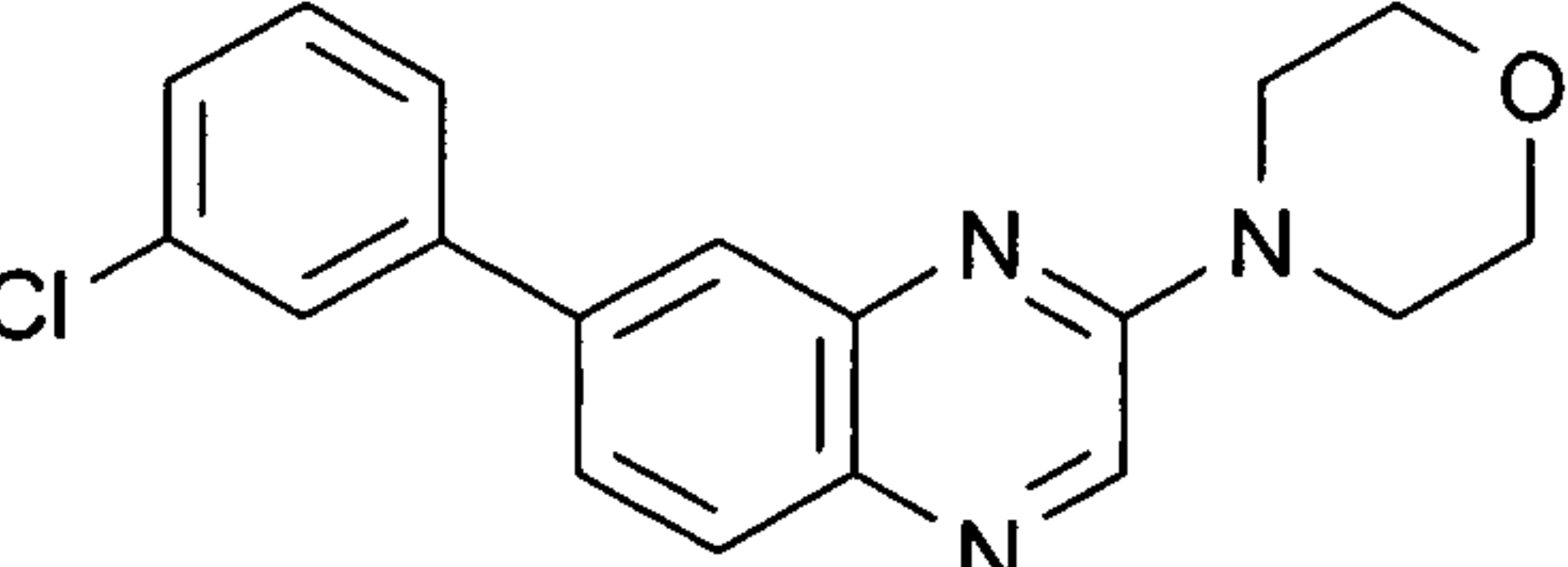
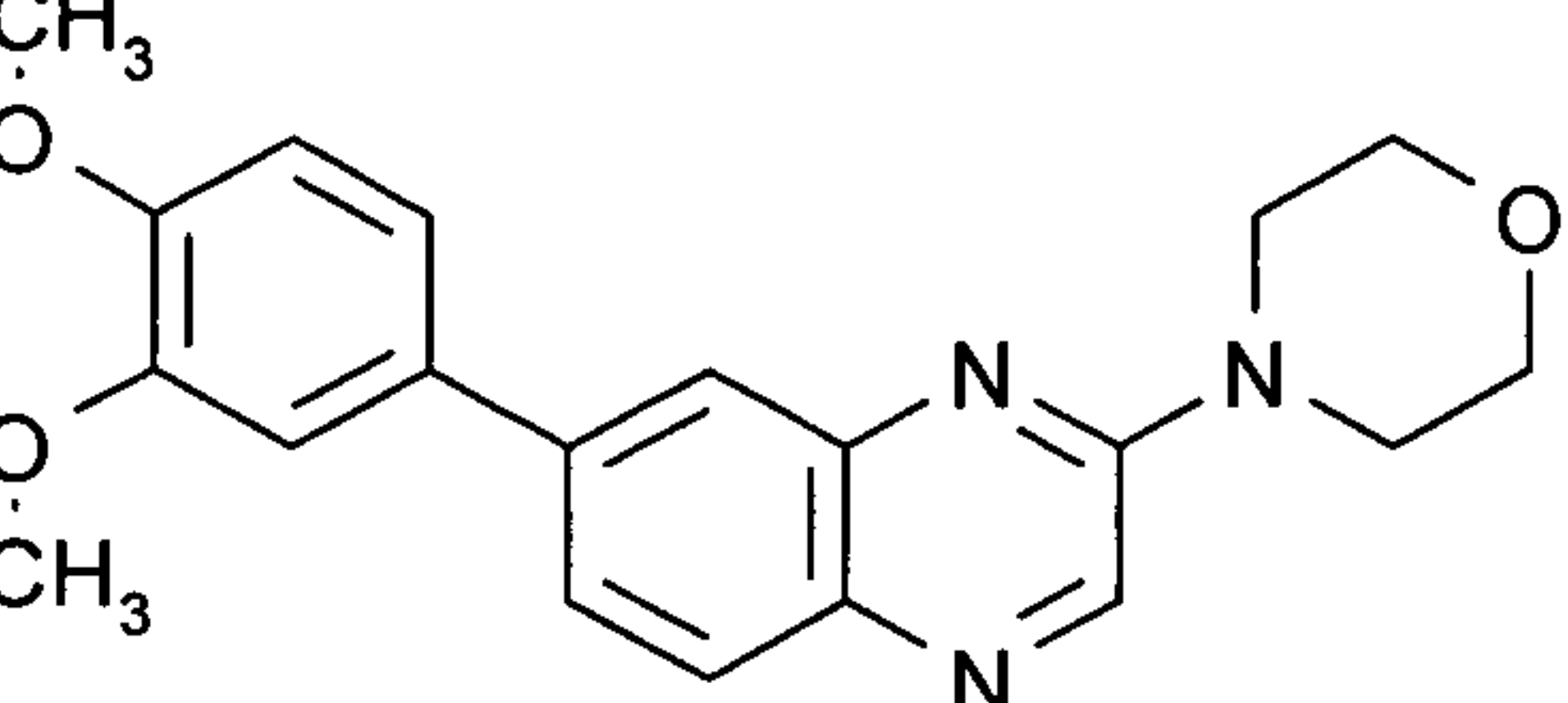
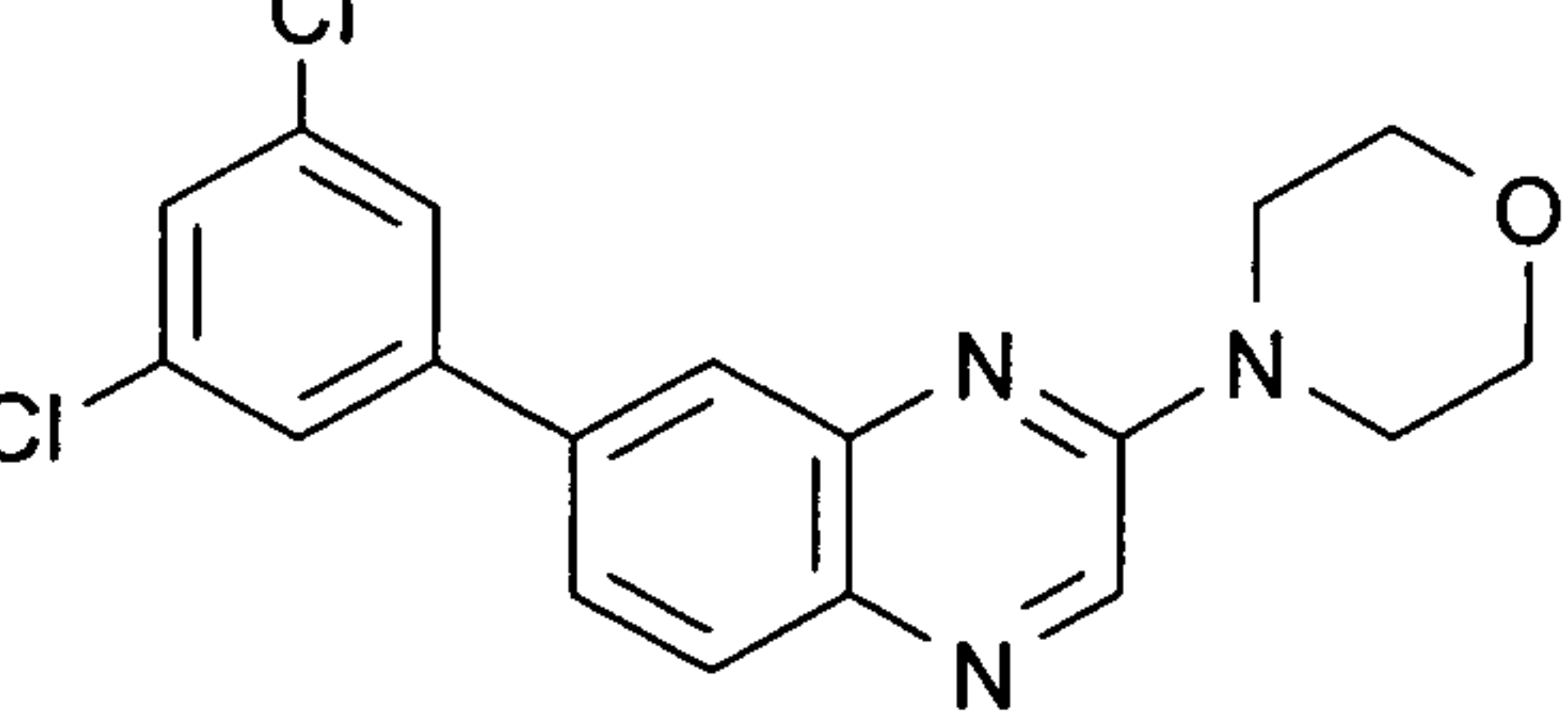
Example 1.1: Preparation of 7-(2-methoxy-phenyl)-2-morpholin-4-yl-quinoxaline

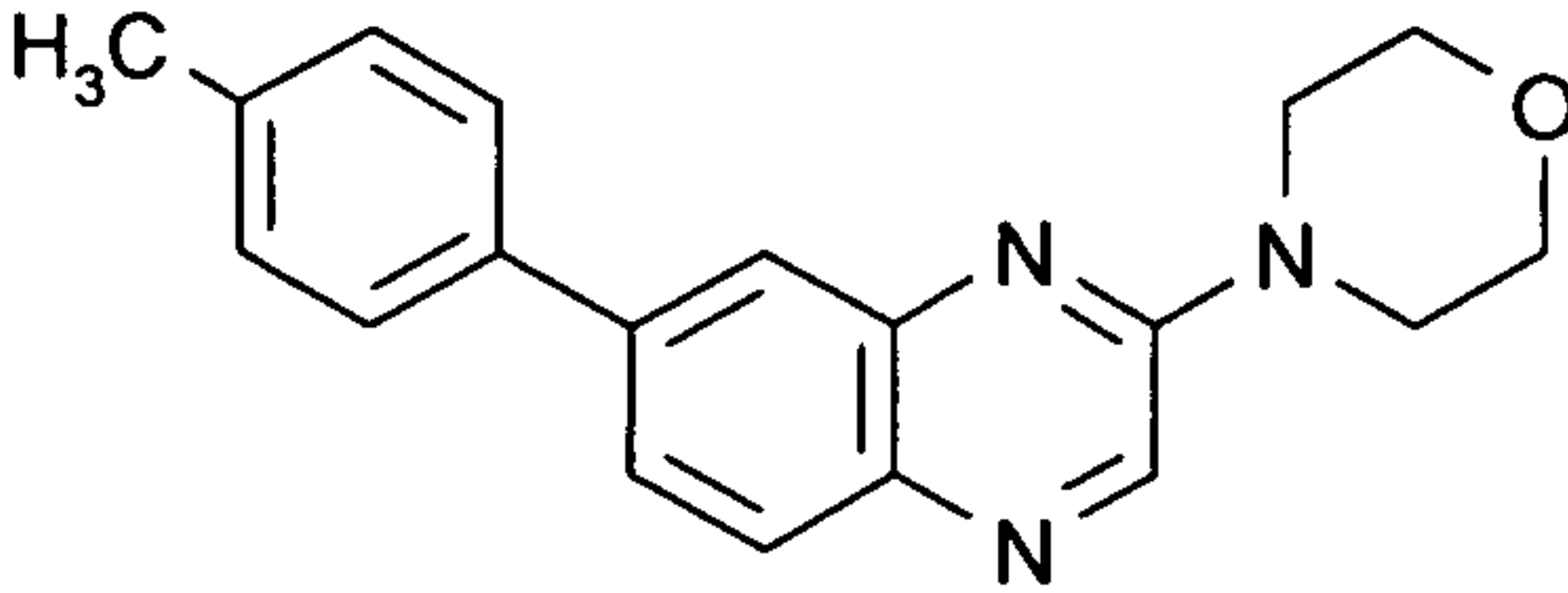
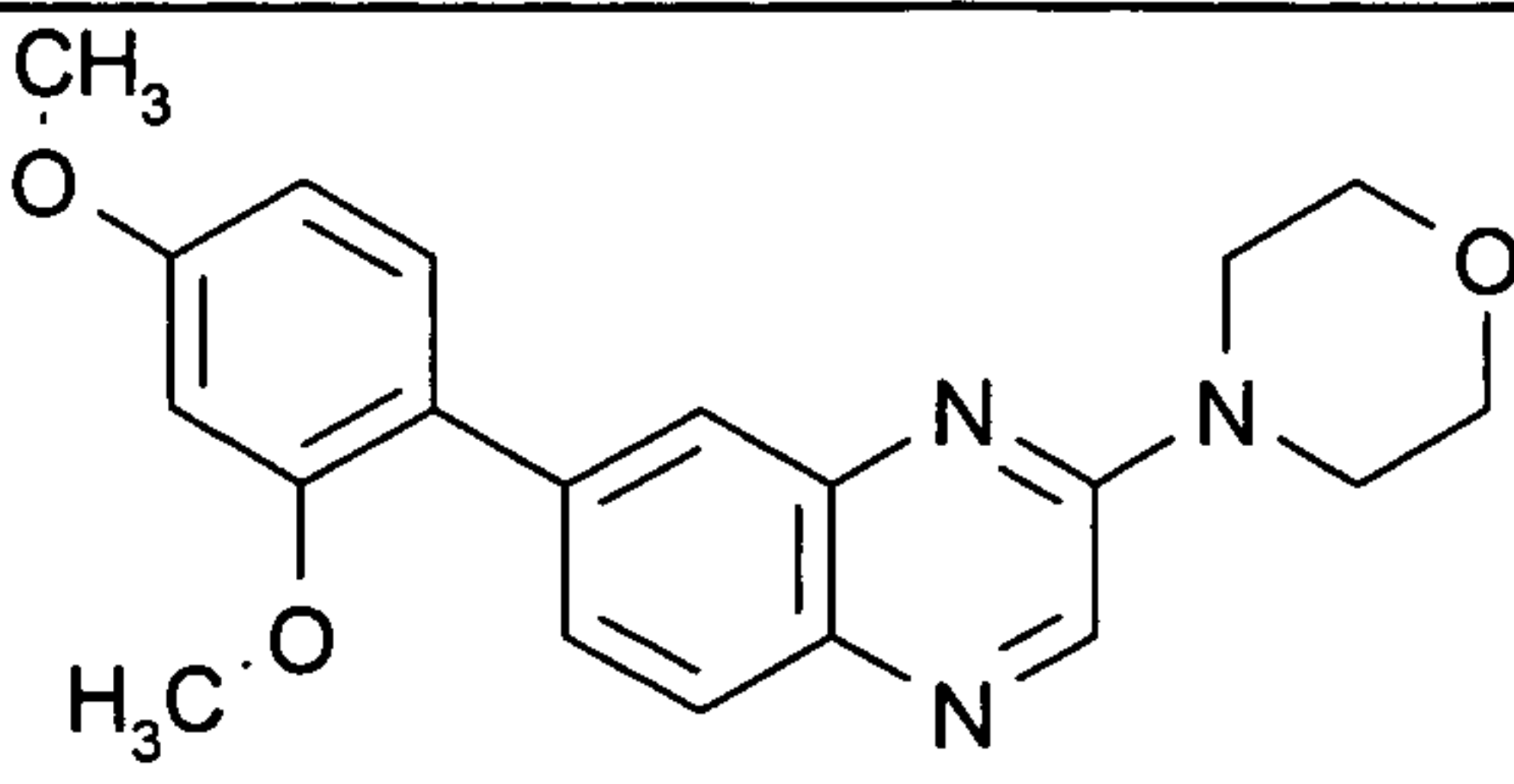
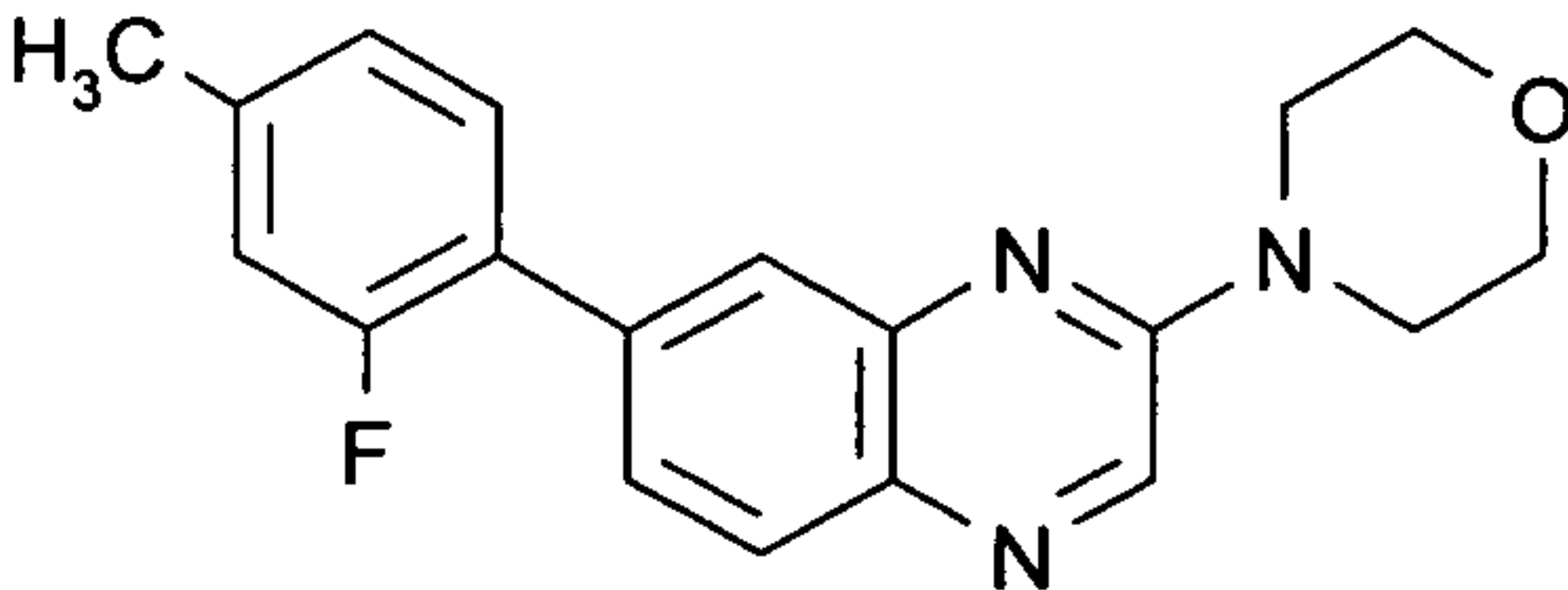
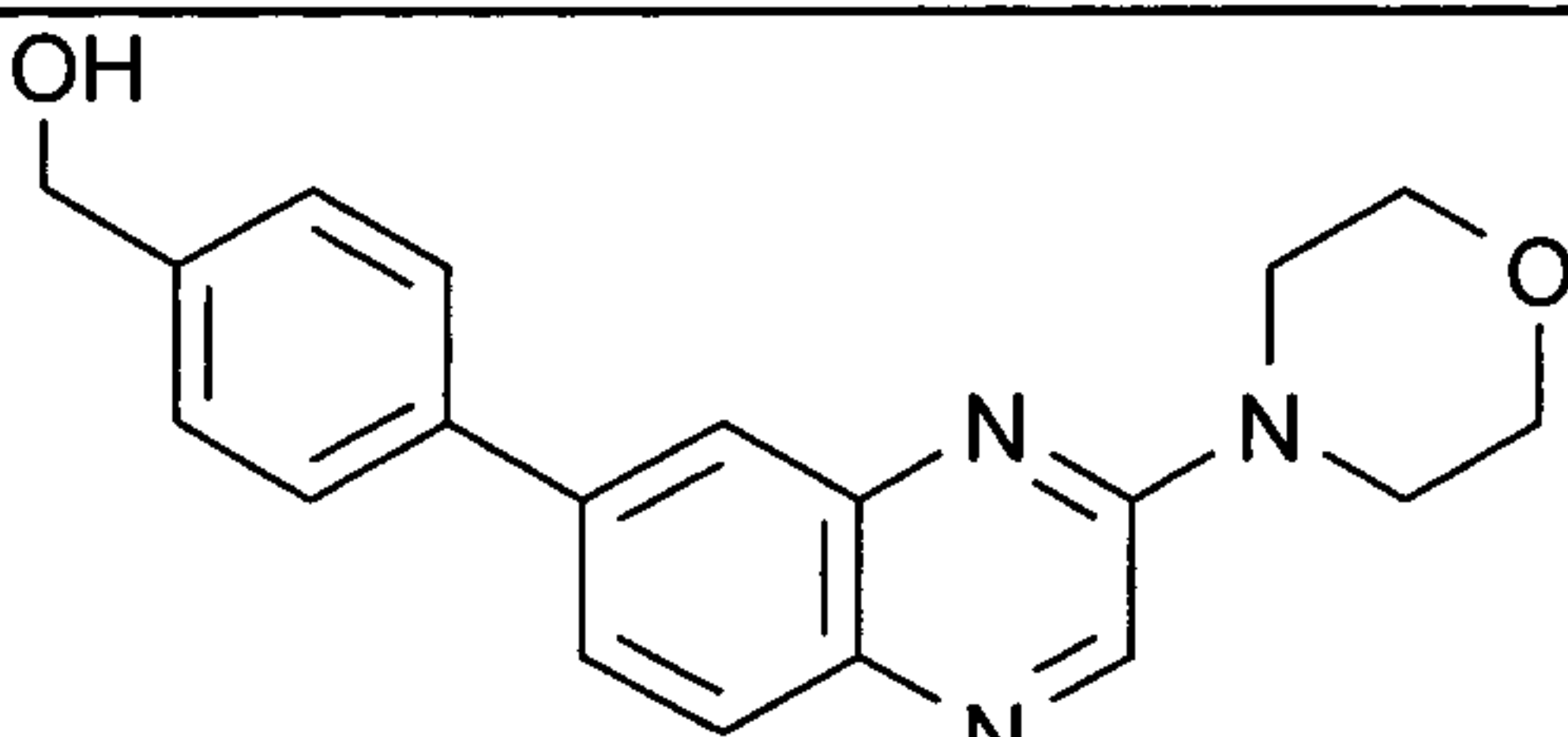
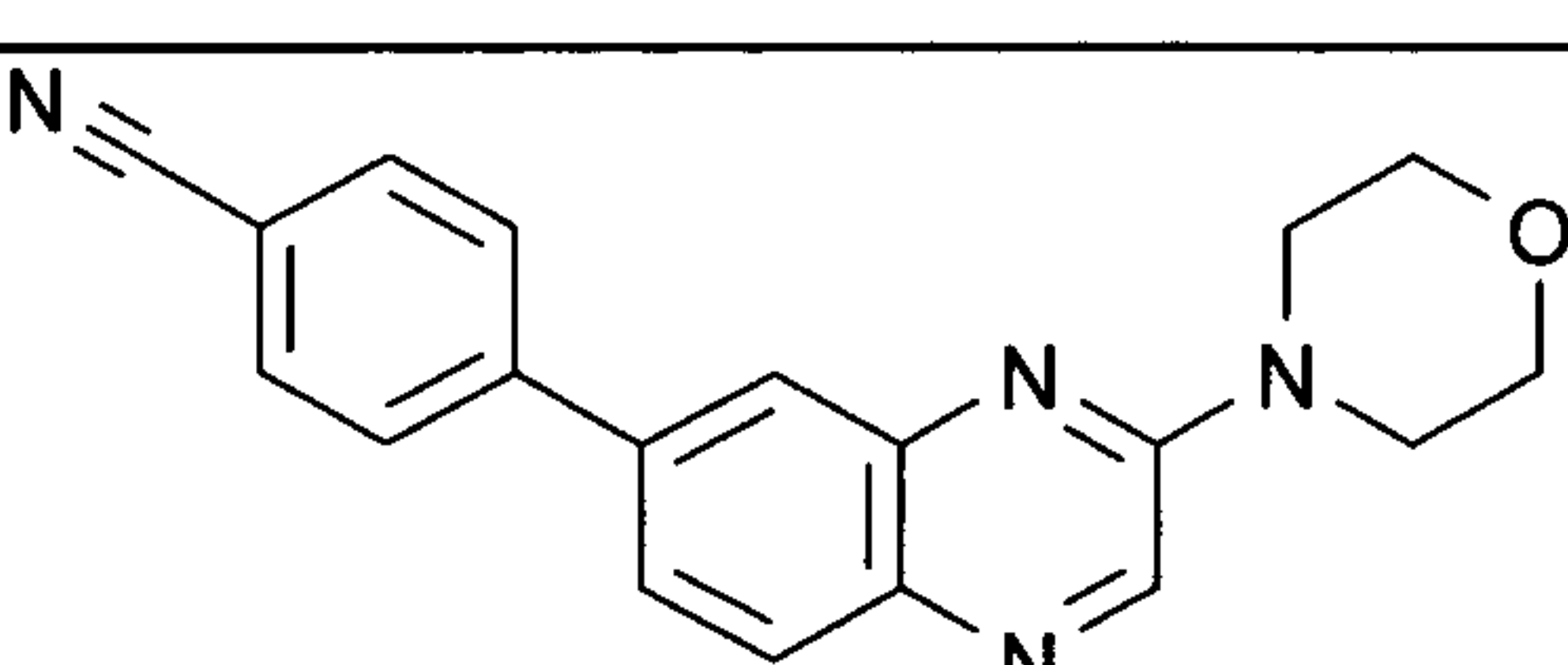
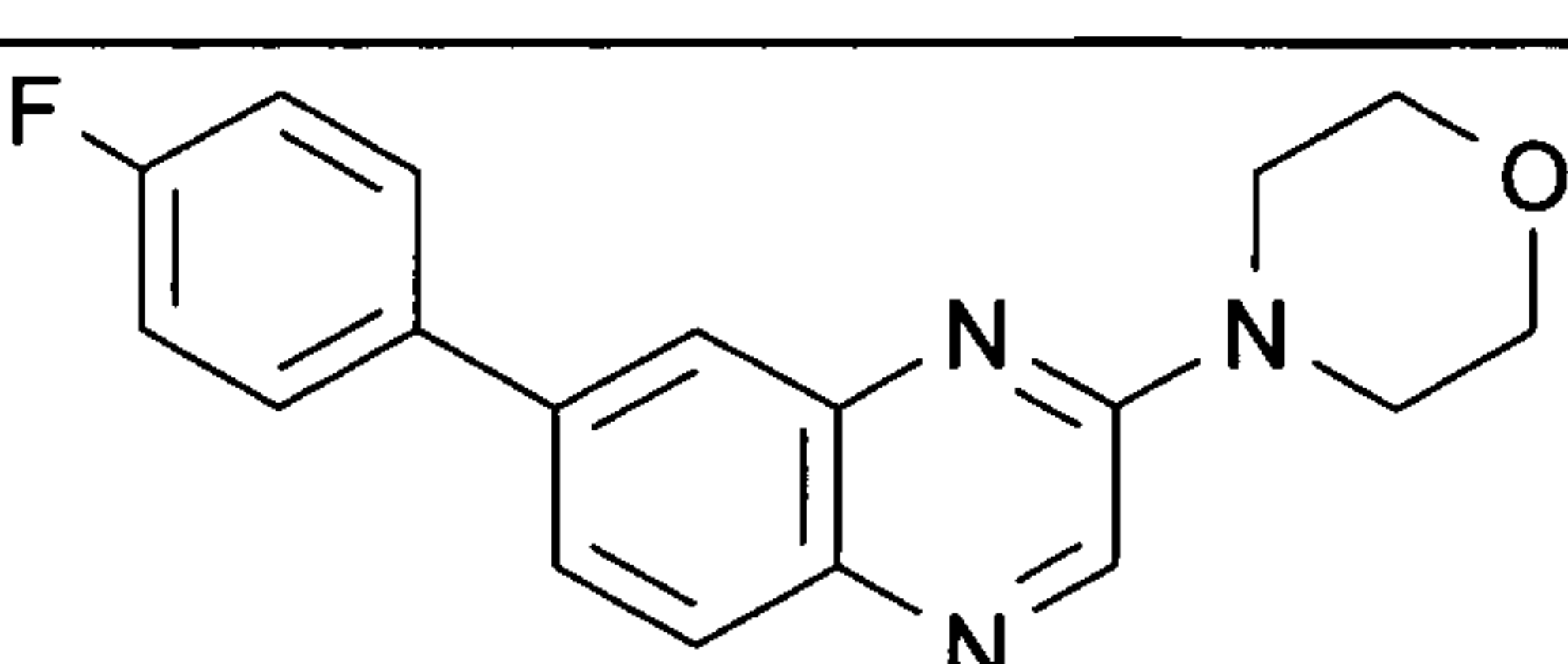
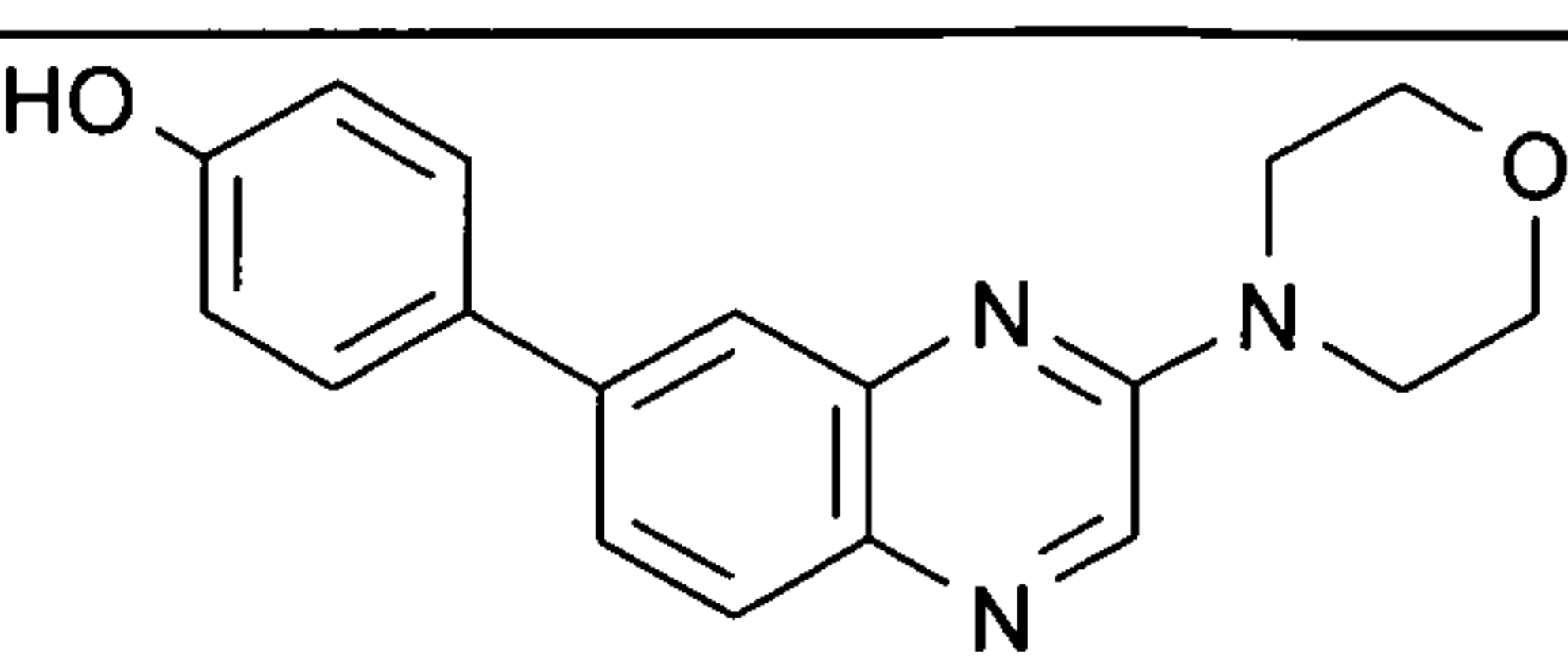


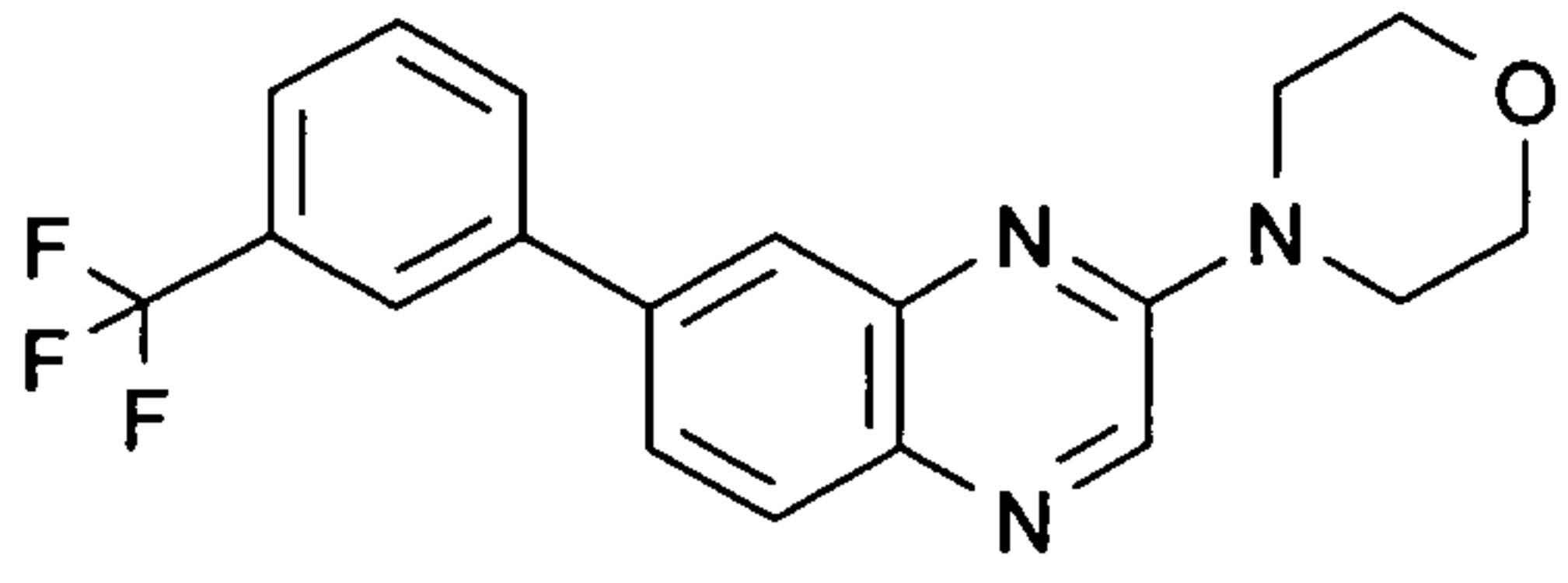
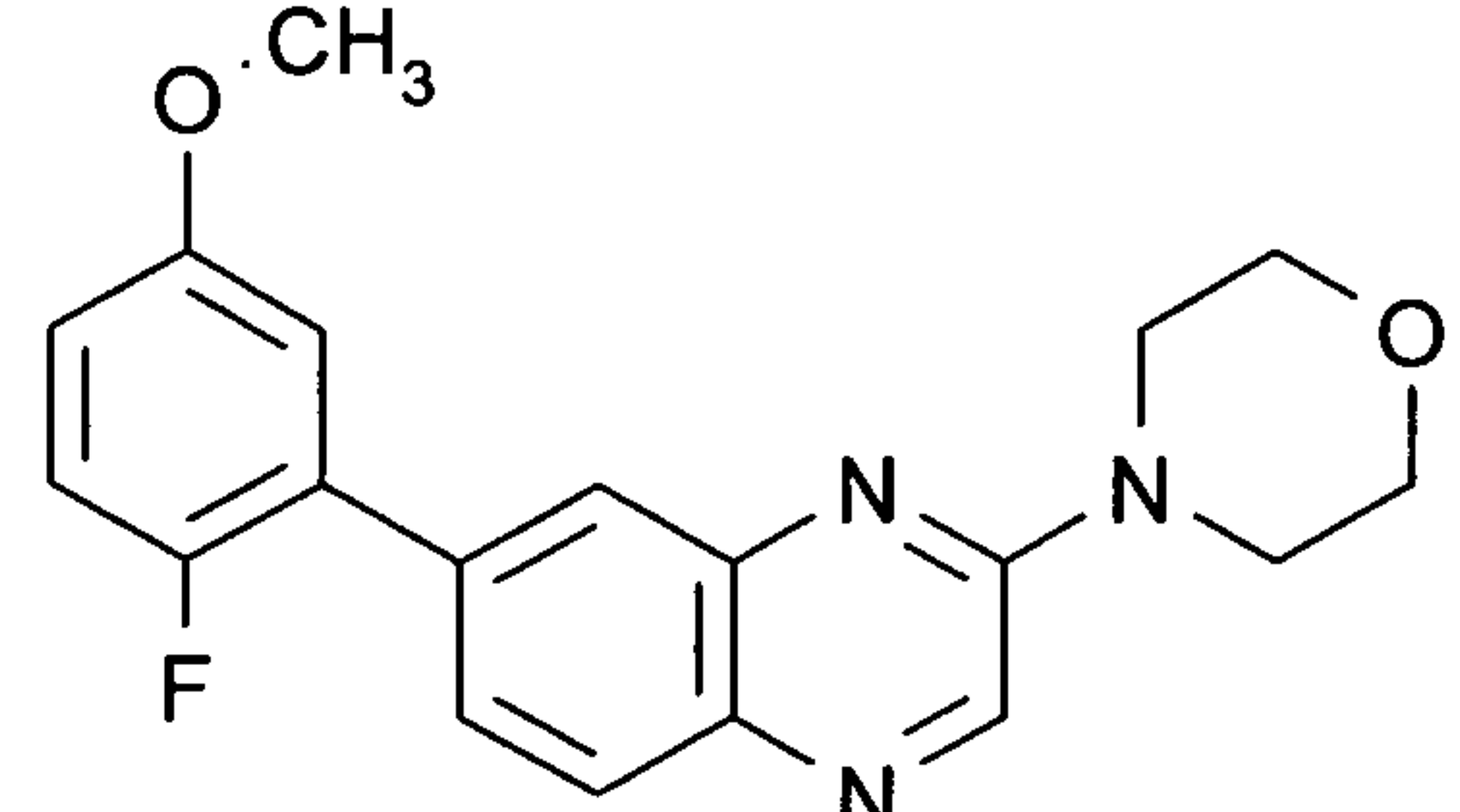
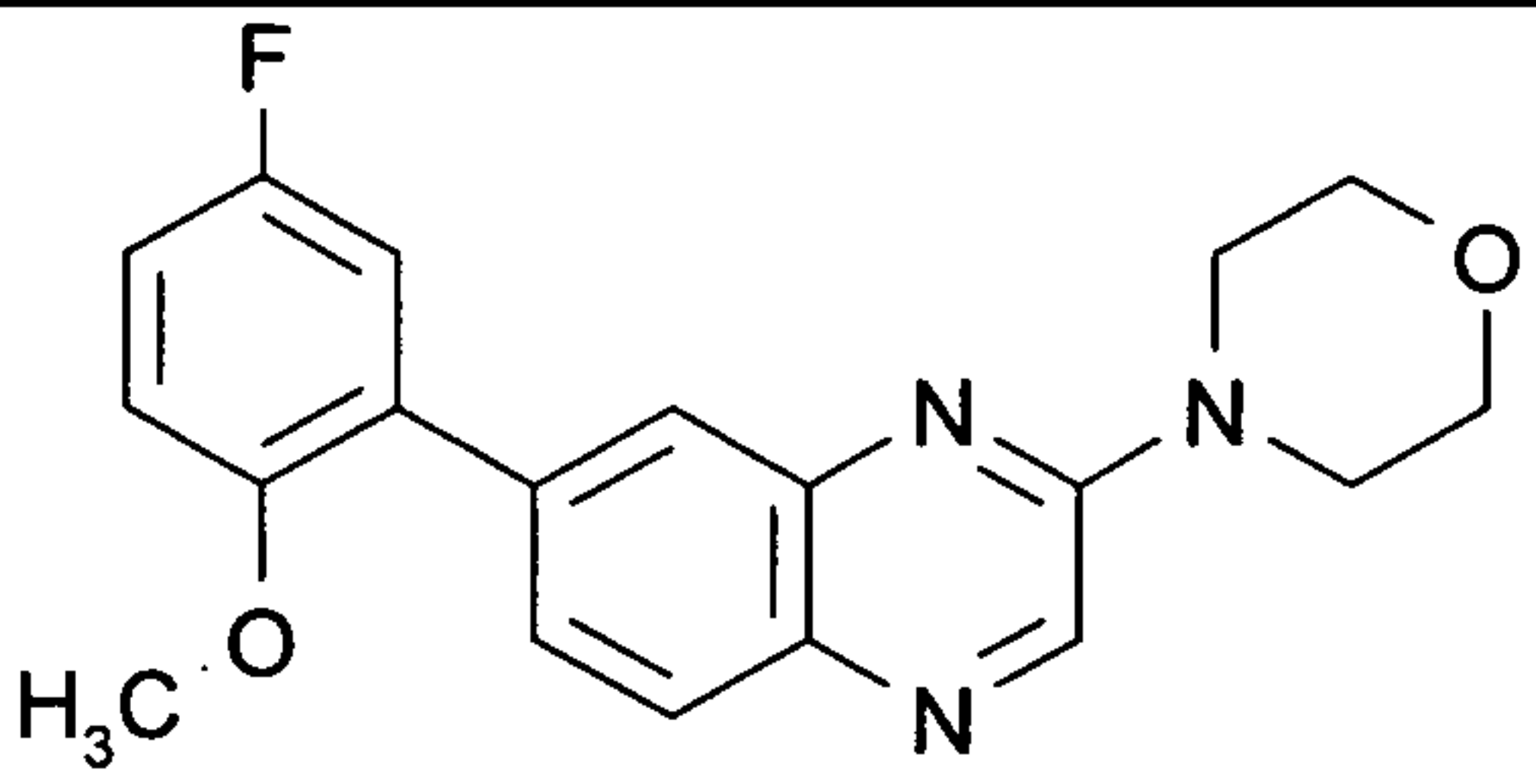
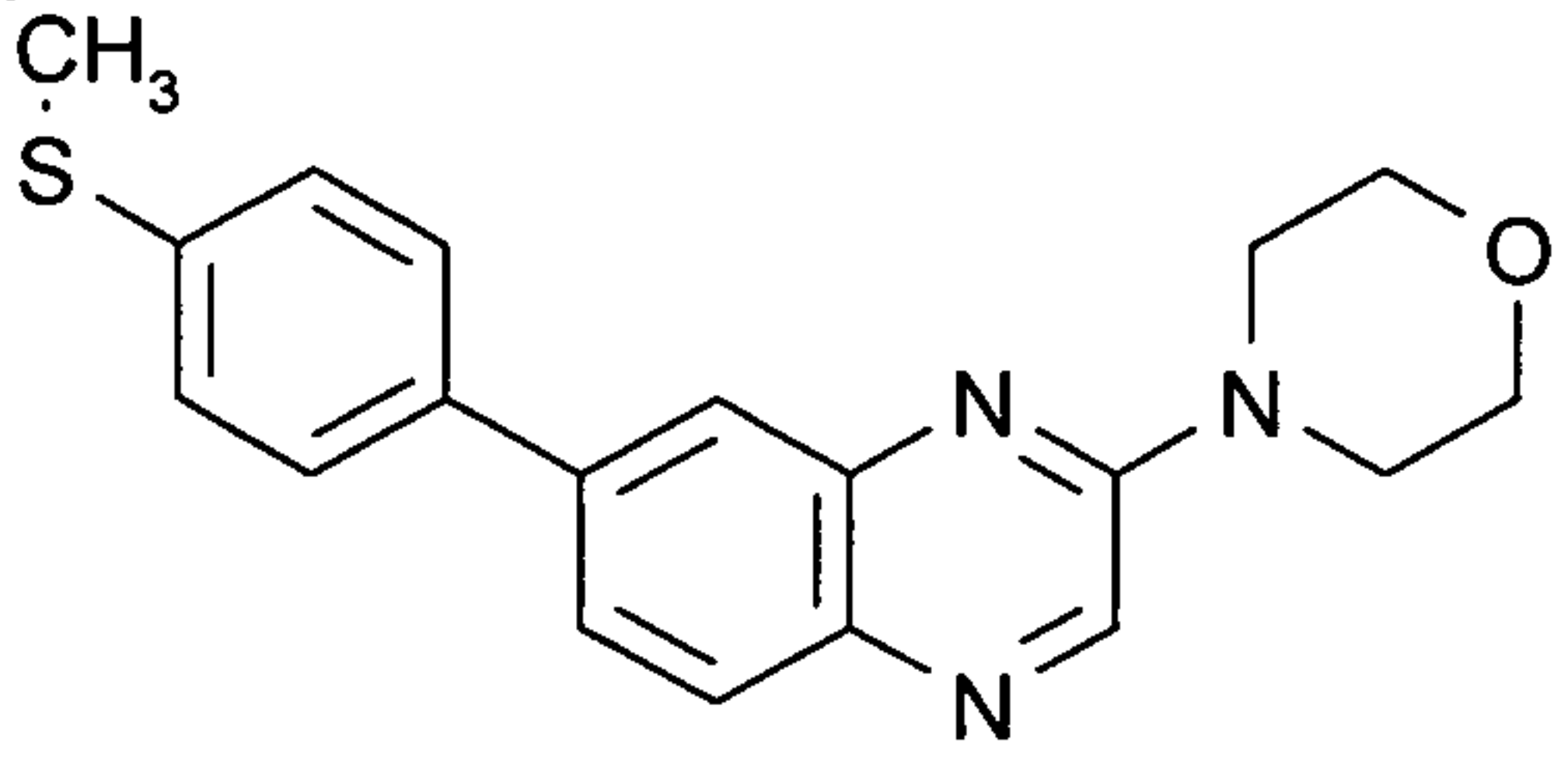
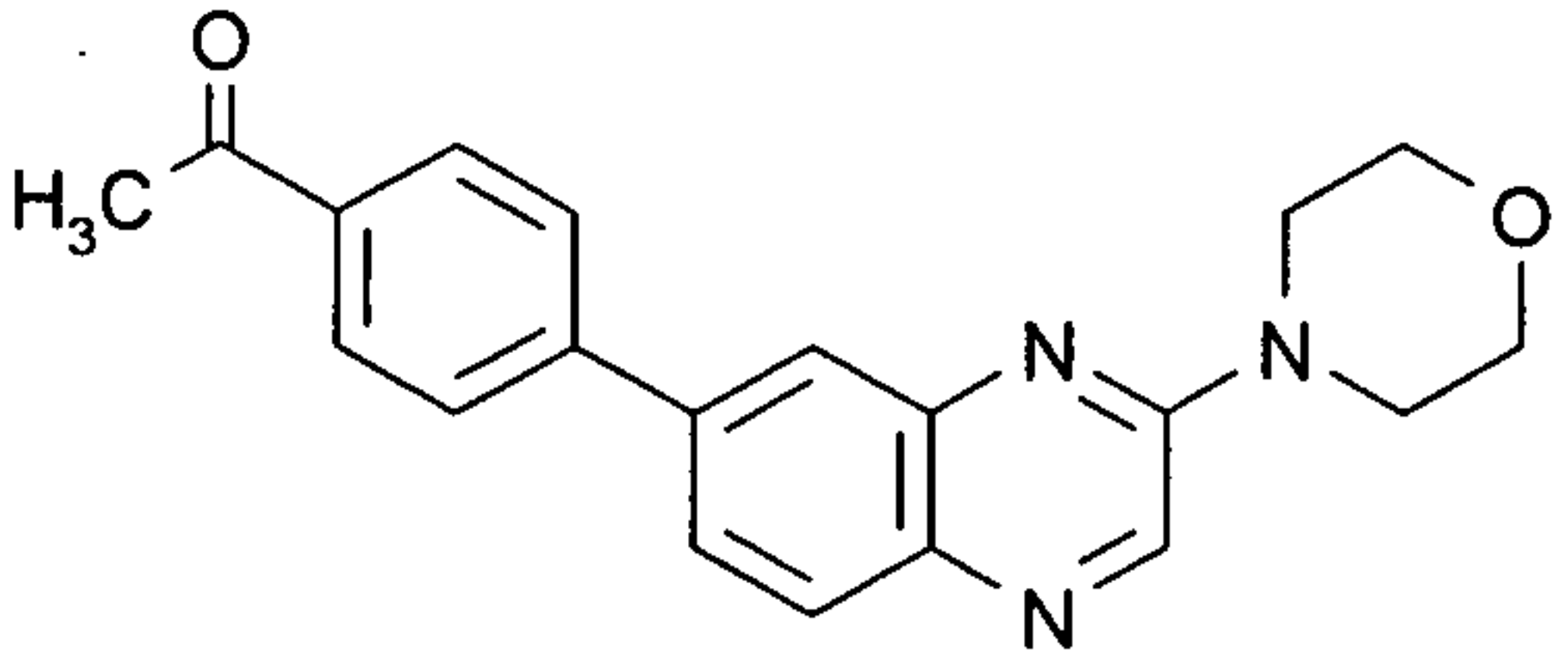
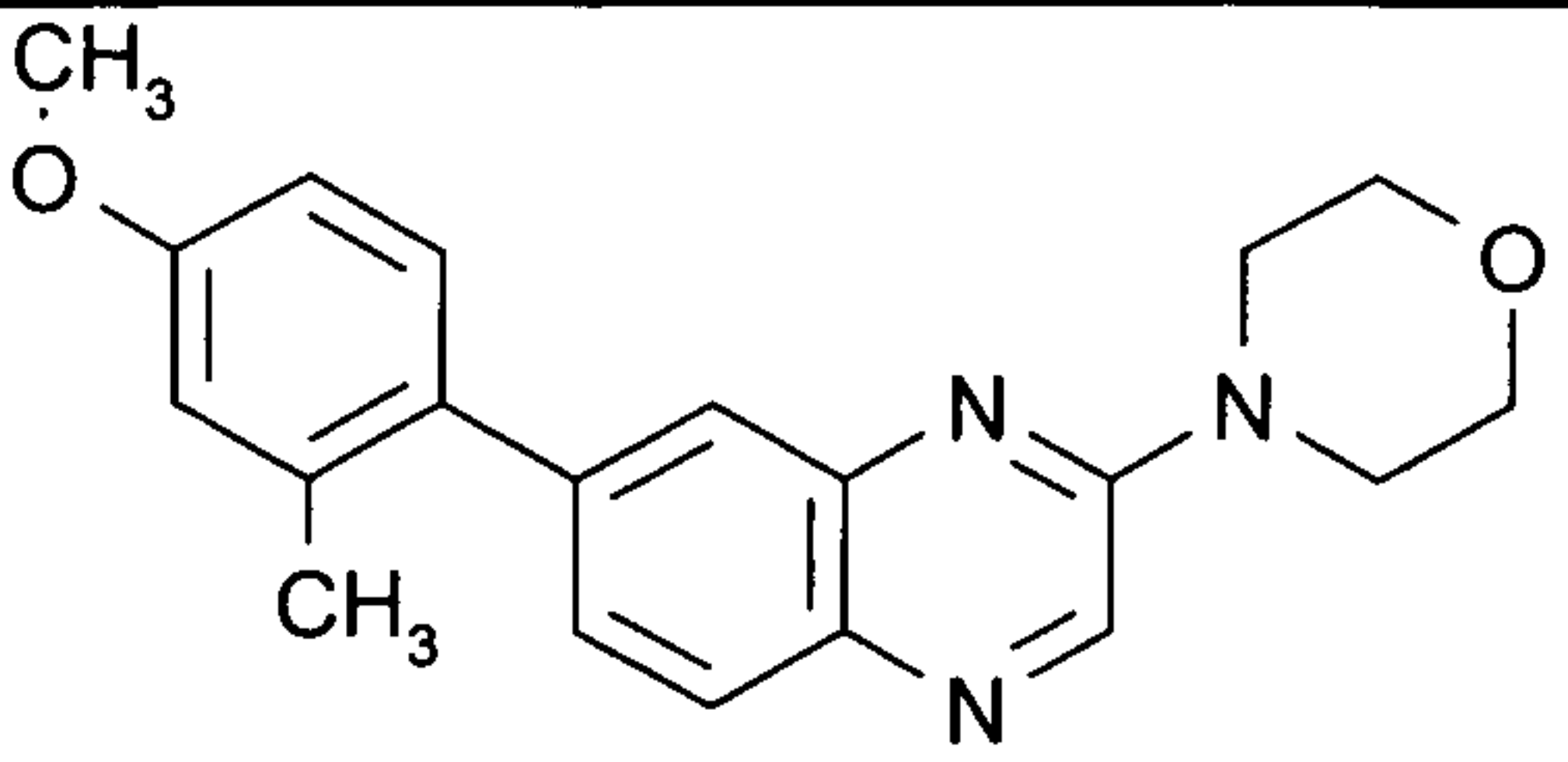
0.1 mmol intermediate example 2.1 (1 mL, 0.12 M in NMP), 0.15 mmol (2-methoxyphenyl)boronic acid (0.3 mL, 0.5 M in NMP, 1.5 eq), 0.01 mmol Pd(OAc)₂ (0.267 mL, 0.0375M in NMP, 0.1 eq), 0.02 mmol P(oTol)₃ (0.4 mL, 0.05M in NMP, 0.2 eq) and 0.3 mmol potassium carbonate (0.3 mL, 1M in water, 3 eq) were combined in a sealed vial and heated at 140 °C under microwave irradiation for 60 min. After cooling, the solution was filtered and subjected to preparative HPLC to give 6.6 mg (20 %) 7-(2-methoxy-phenyl)-2-morpholin-4-yl-quinoxaline: ¹H-NMR (300 MHz, d₆-DMSO): δ = 8.78 (1H, s), 7.81 (1H, d), 7.64 (1H, s), 7.51 (1H, d), 7.37 (1H, d), 7.36 (1H, tr), 7.13 (1H, d), 7.03 (1H, tr), 3.76 (4H, bs), 3.72 (4H, bs) ppm; UPLC-MS: RT = 1.22 min; m/z (ES+) 322.4 [MH⁺]; required MW = 321.4.

The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

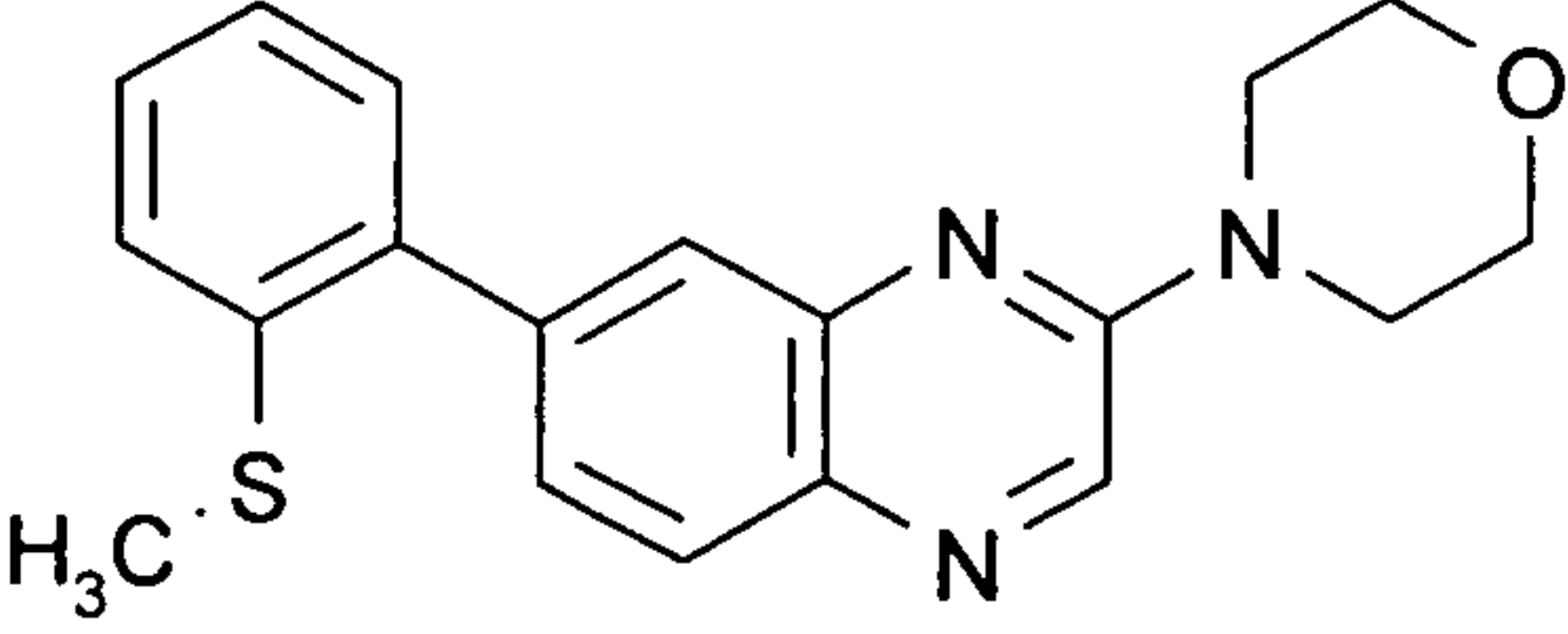
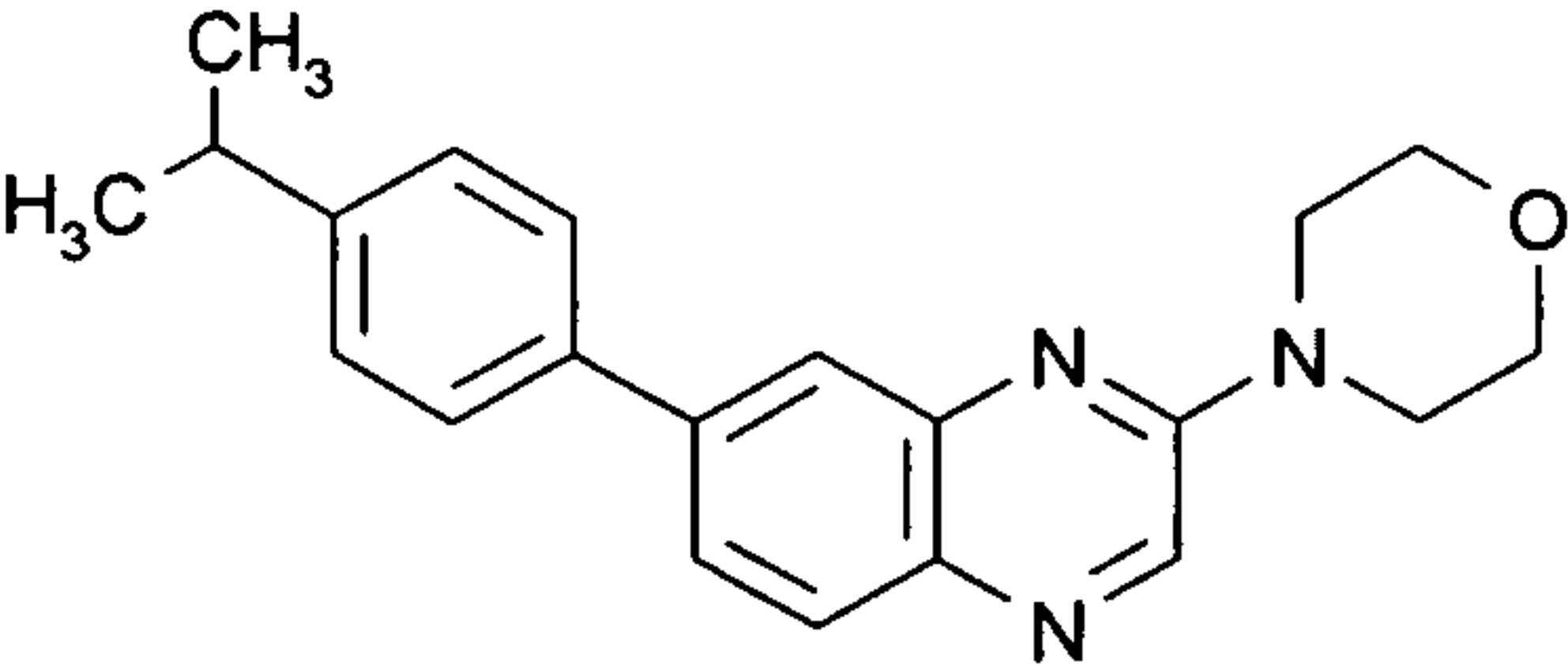
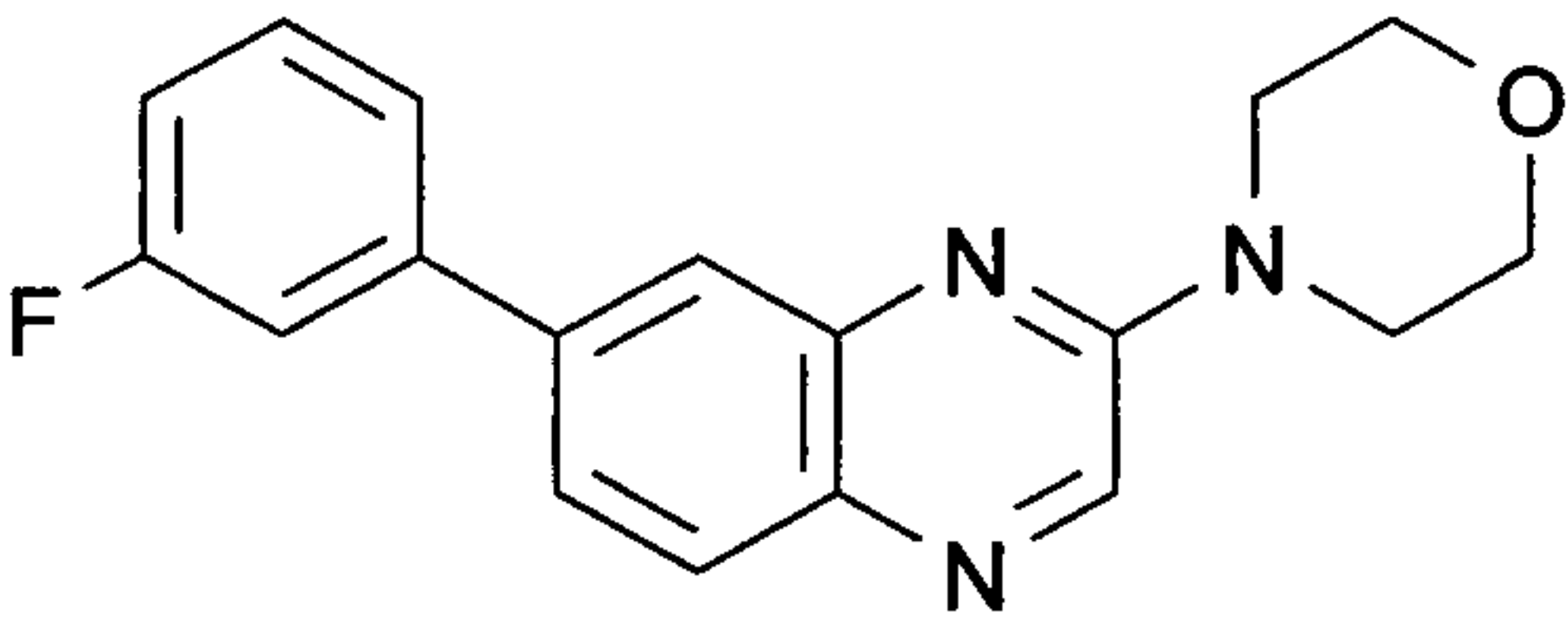
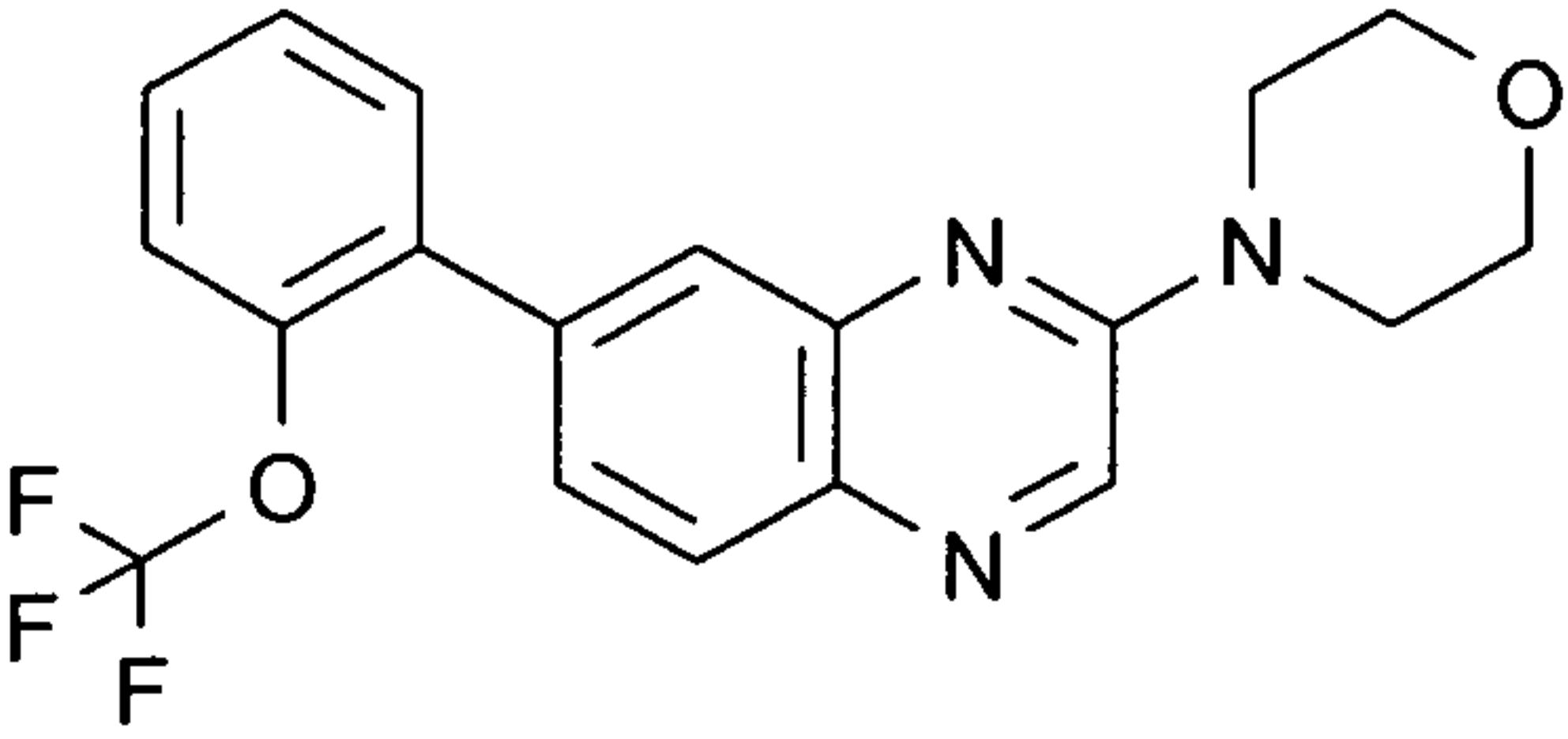
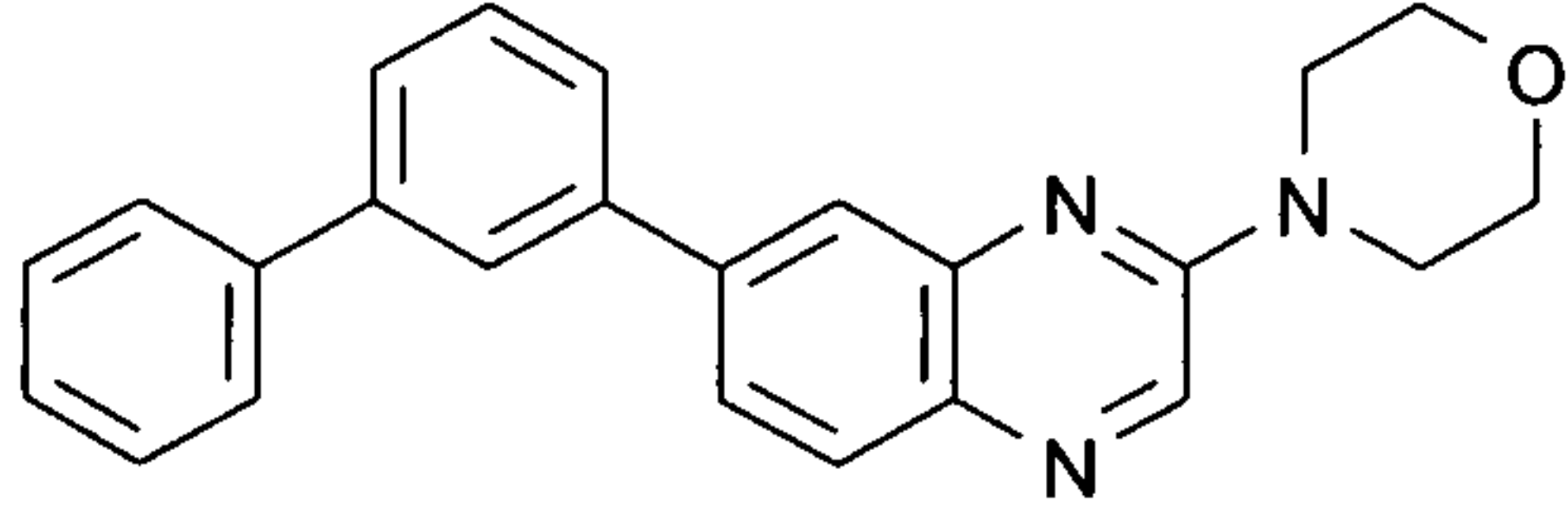
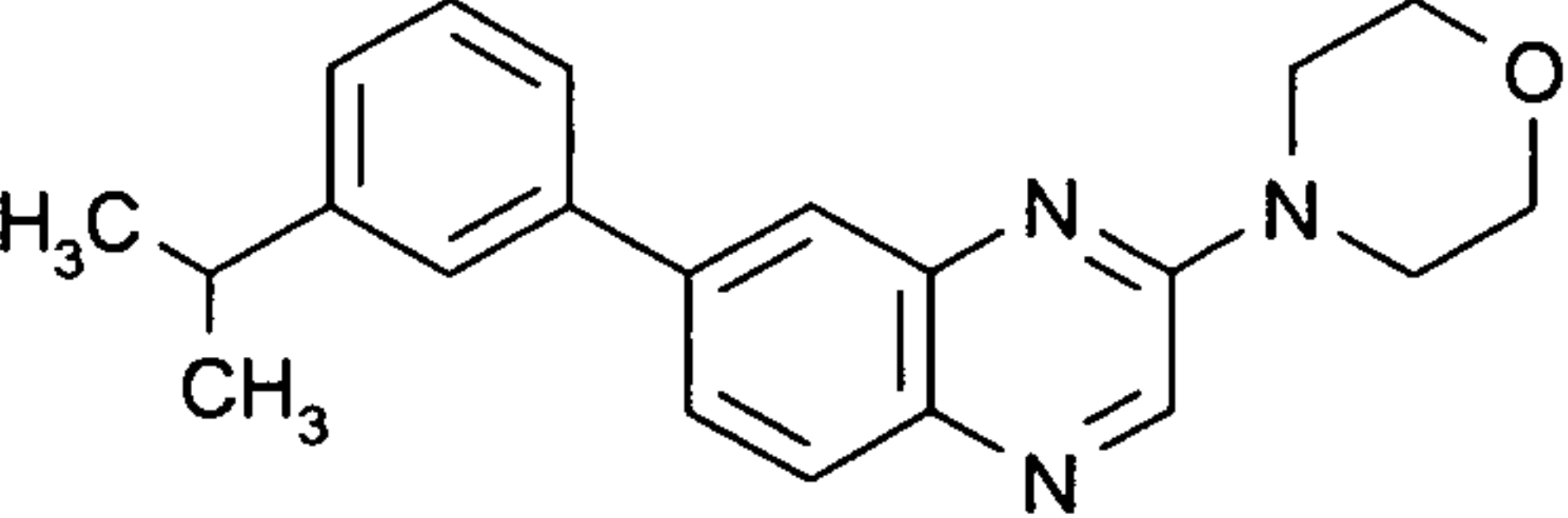
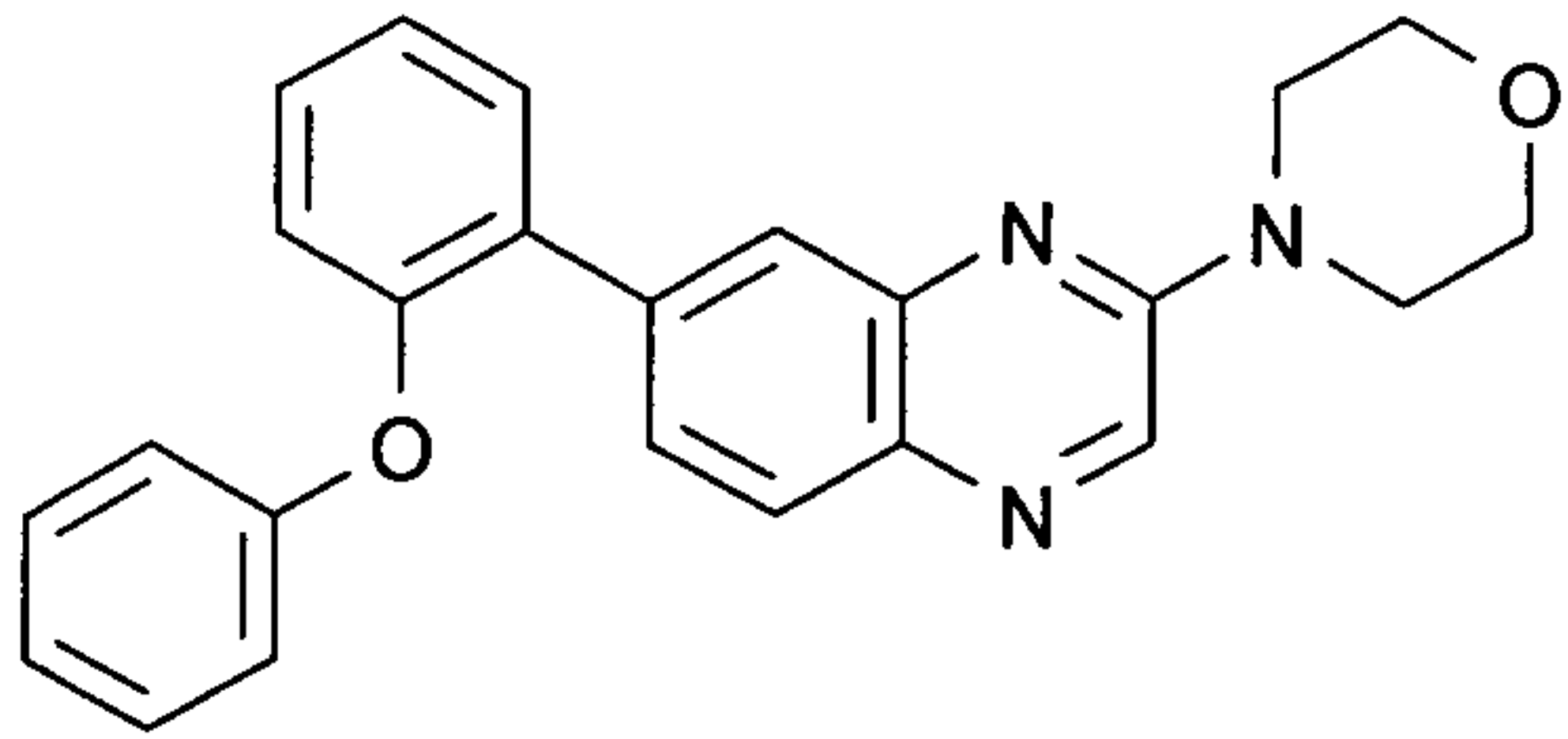
Example	Structure	Name	Analytical Data
1.2		2-(morpholin-4-yl)-7-phenylquinoxaline	RT = 1.25 MW _{found} = 292.4 MW _{calc} = 291.4
1.3		7-(2,4-dichlorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.48 MW _{found} = 361.2 MW _{calc} = 360.2
1.4		7-(3-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.24 MW _{found} = 322.4 MW _{calc} = 321.4

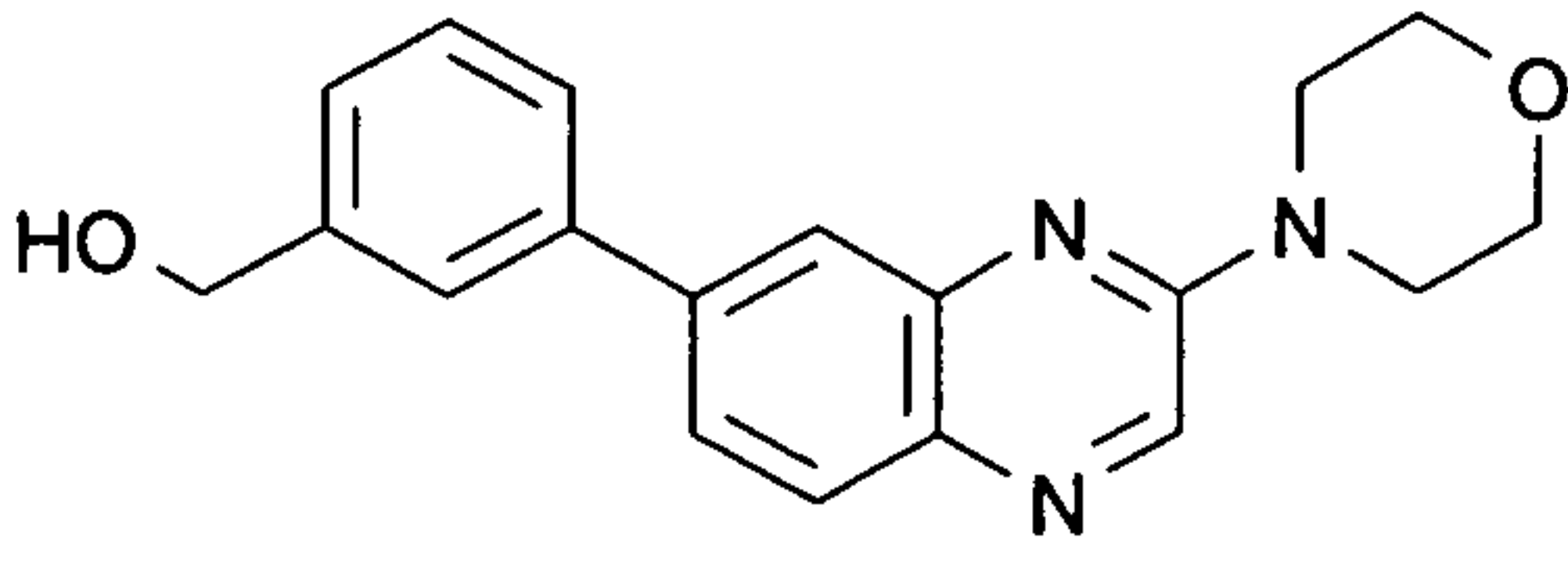
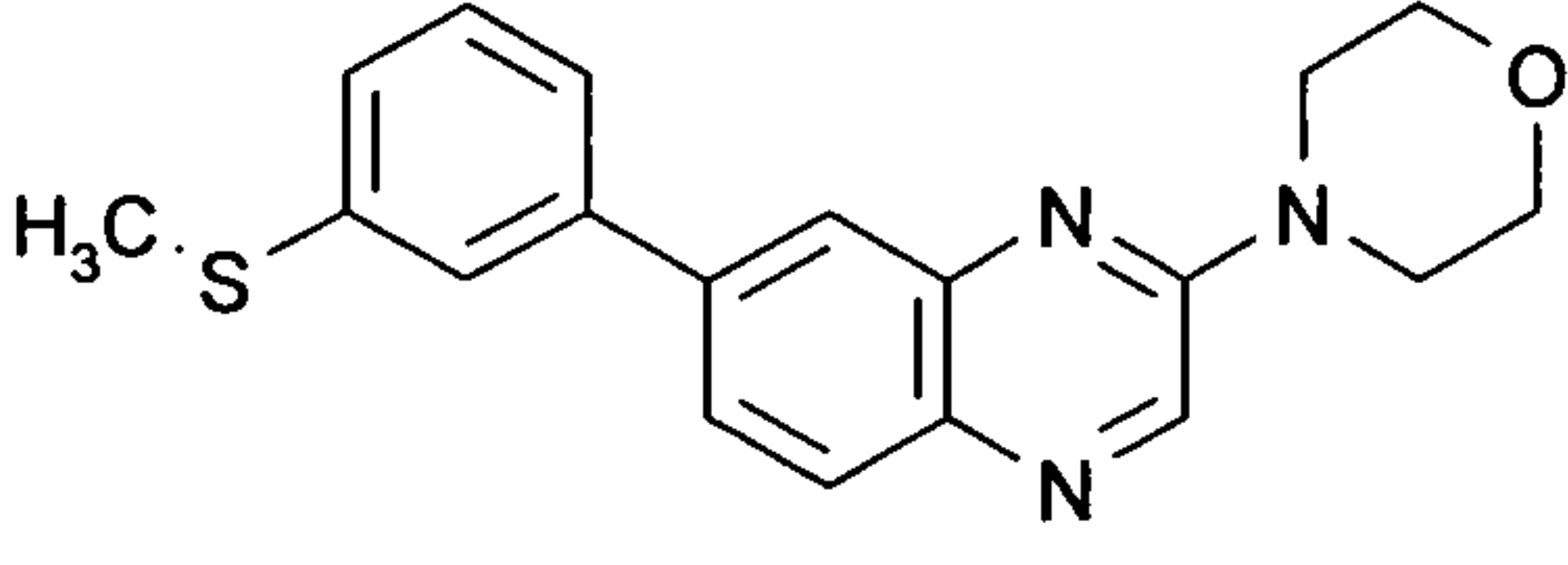
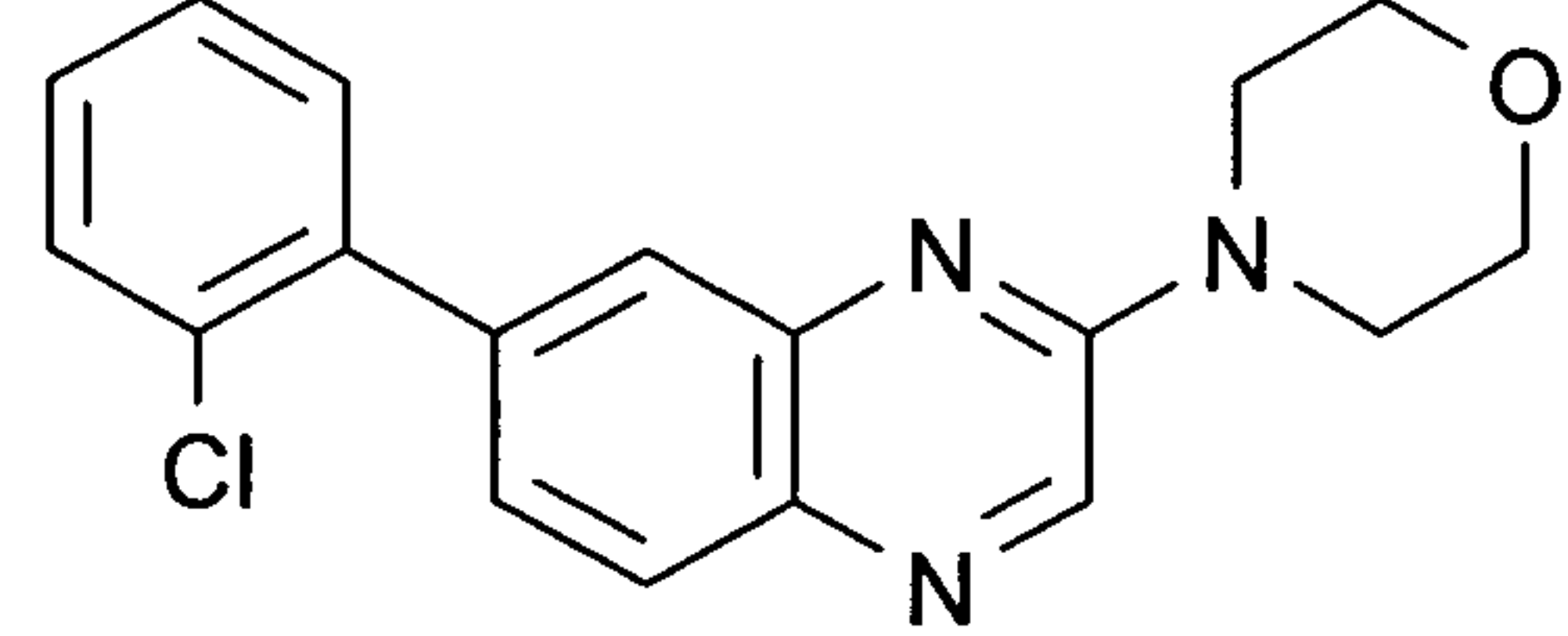
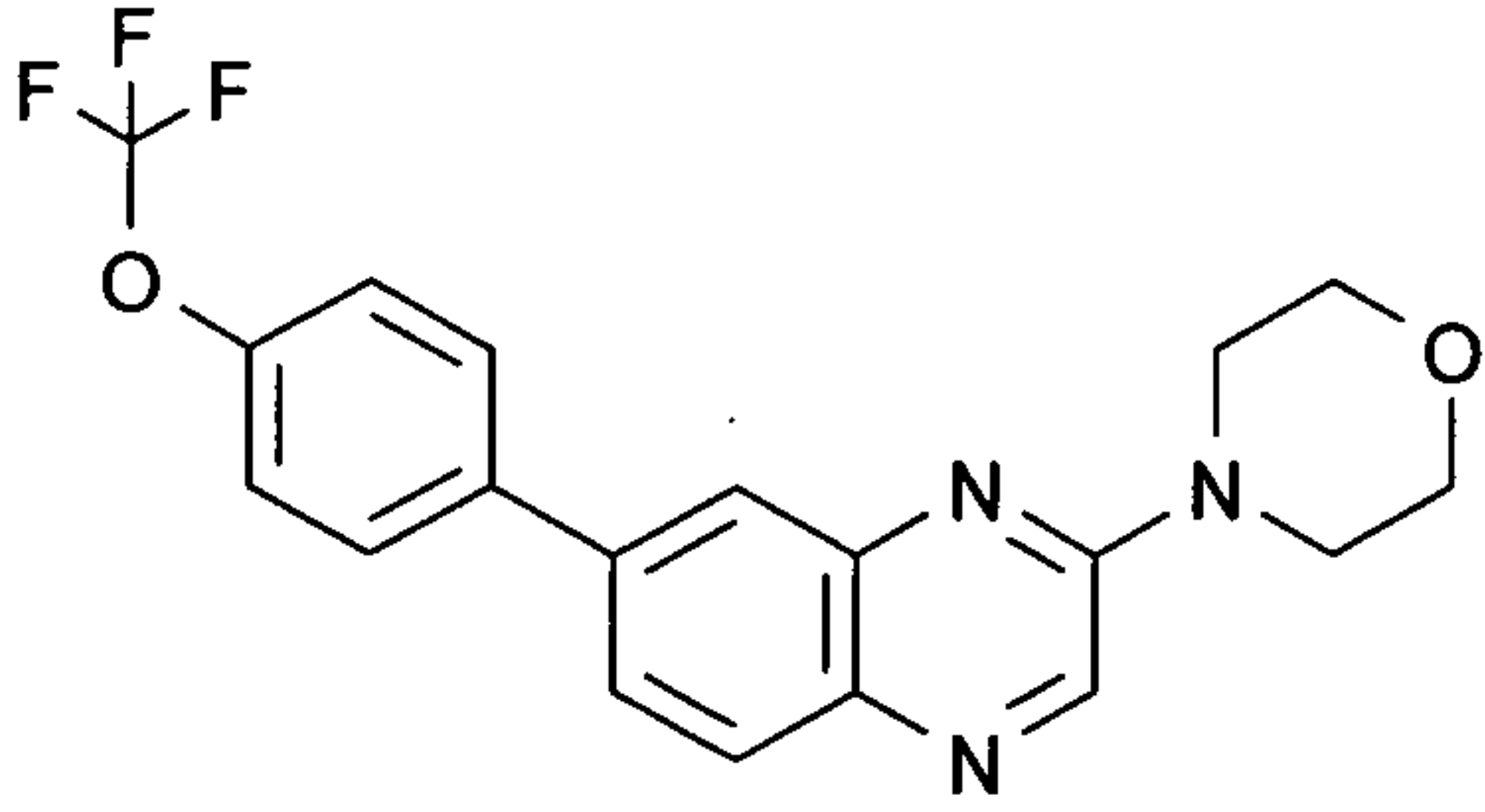
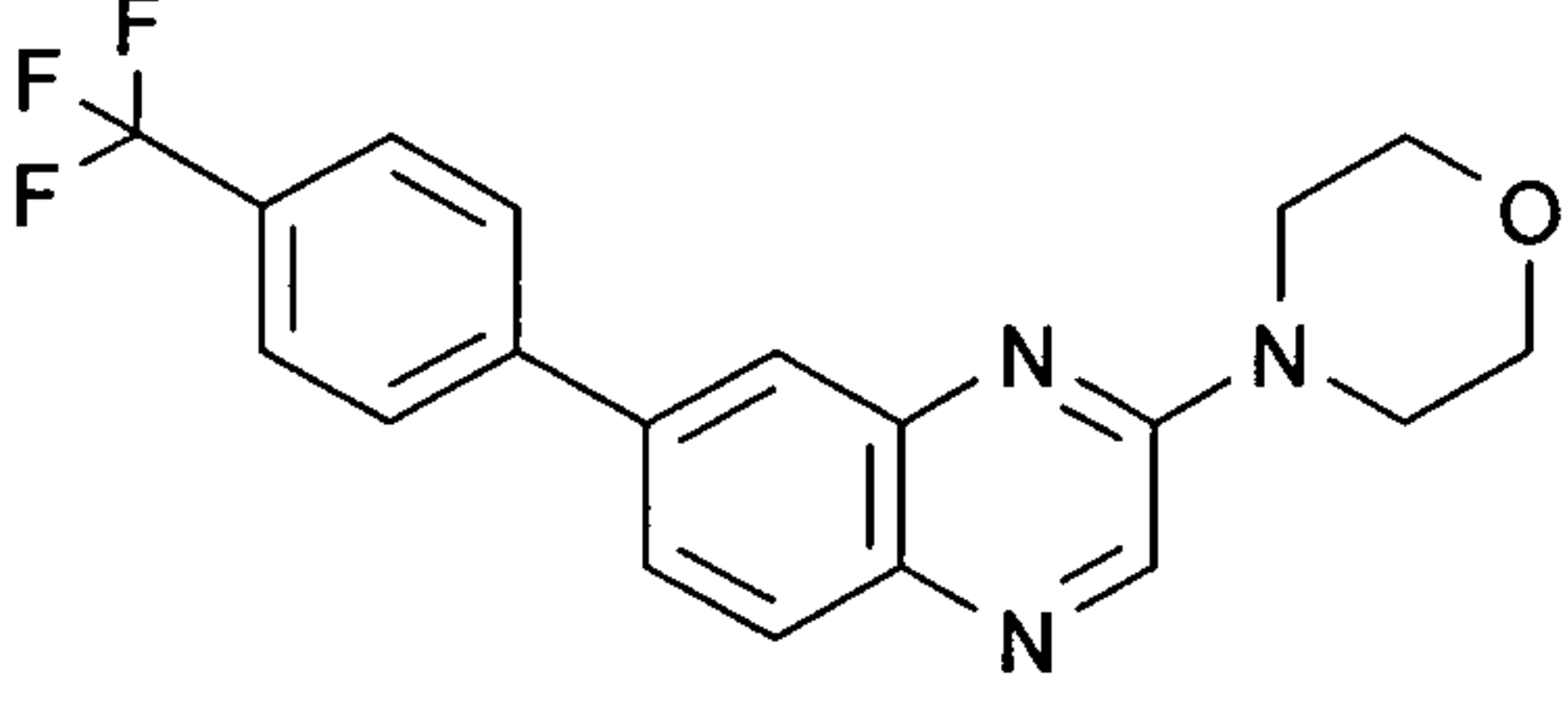
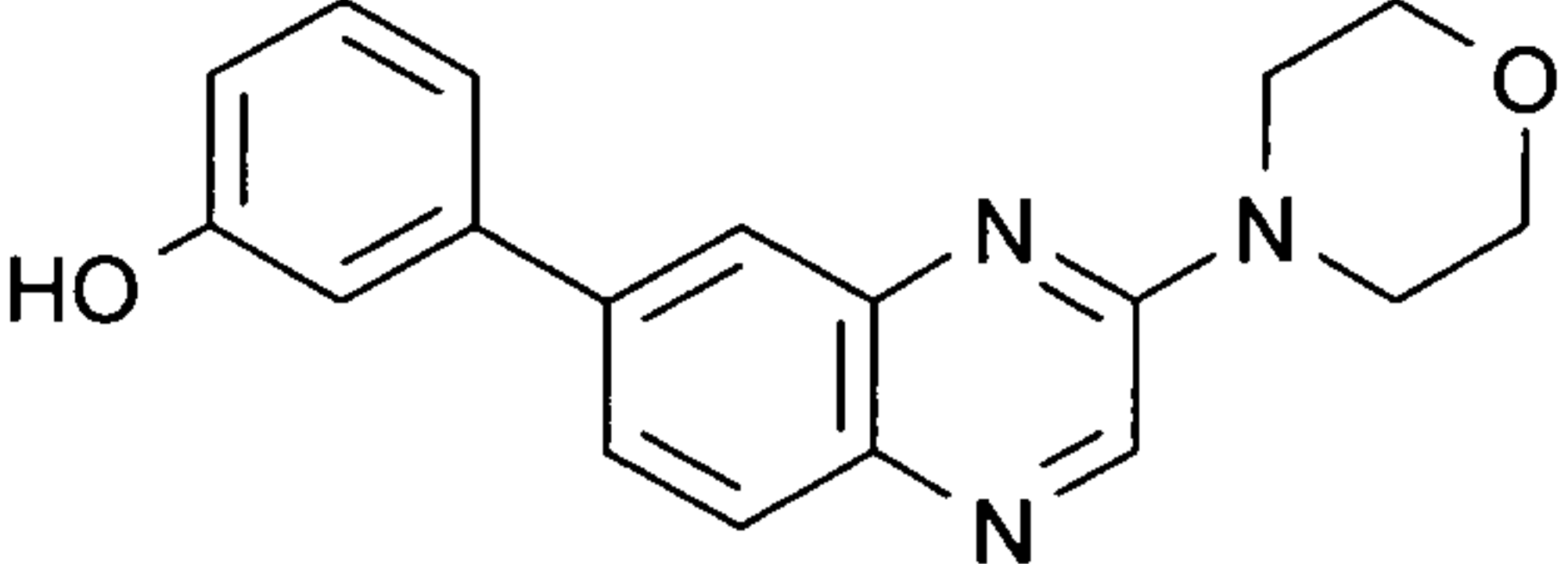
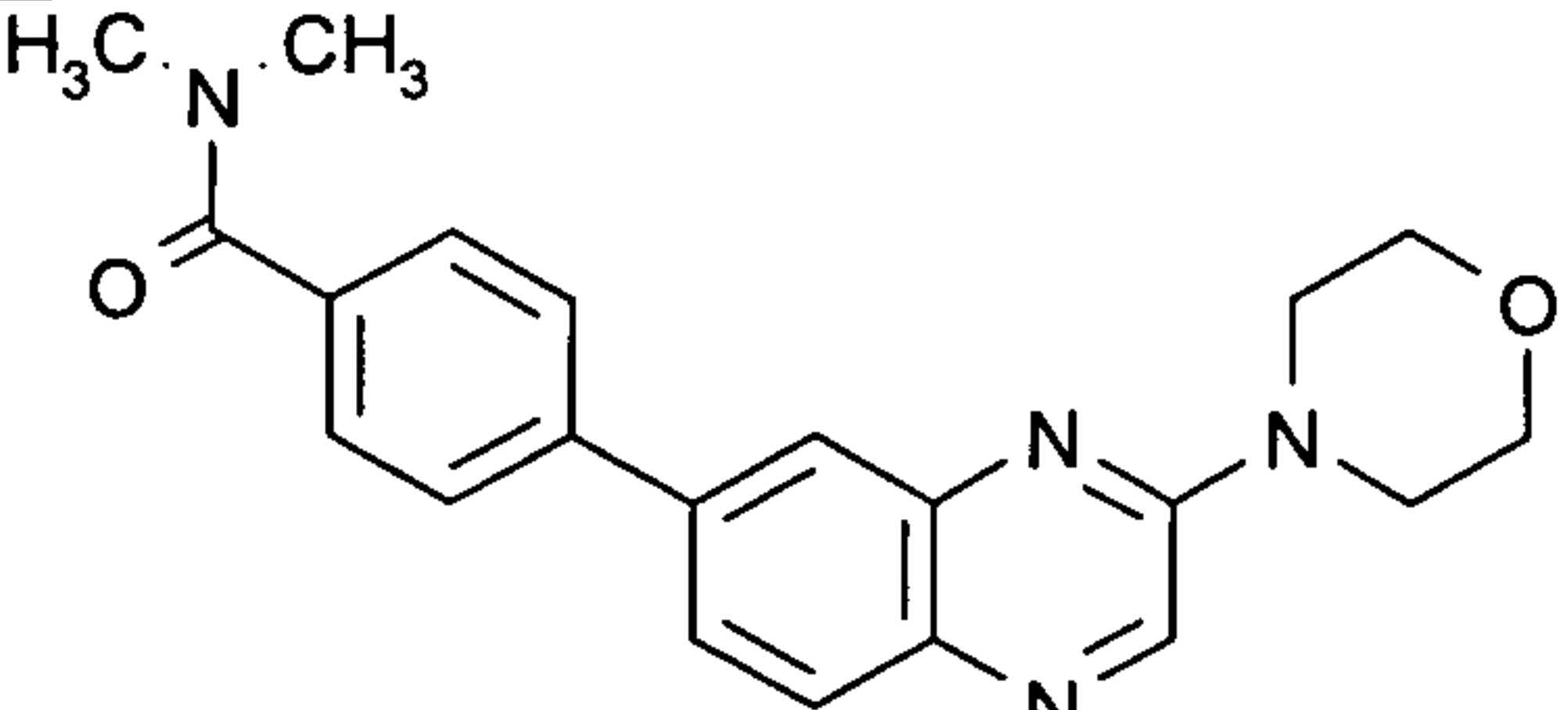
1.5		2-(morpholin-4-yl)-7-(4-phenoxyphenyl)quinoxaline	RT = 1.47 MW _{found} = 384.4 MW _{calc} = 383.4
1.6		7-(biphenyl-4-yl)-2-(morpholin-4-yl)quinoxaline	RT = 1.49 MW _{found} = 368.4 MW _{calc} = 367.4
1.7		7-(3-methylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.35 MW _{found} = 306.4 MW _{calc} = 305.4
1.8		7-(3-chlorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.38 MW _{found} = 326.8 MW _{calc} = 325.8
1.9		7-(3,4-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.12 MW _{found} = 352.4 MW _{calc} = 351.4
1.10		7-(3,5-dichlorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.55 MW _{found} = 361.2 MW _{calc} = 360.2

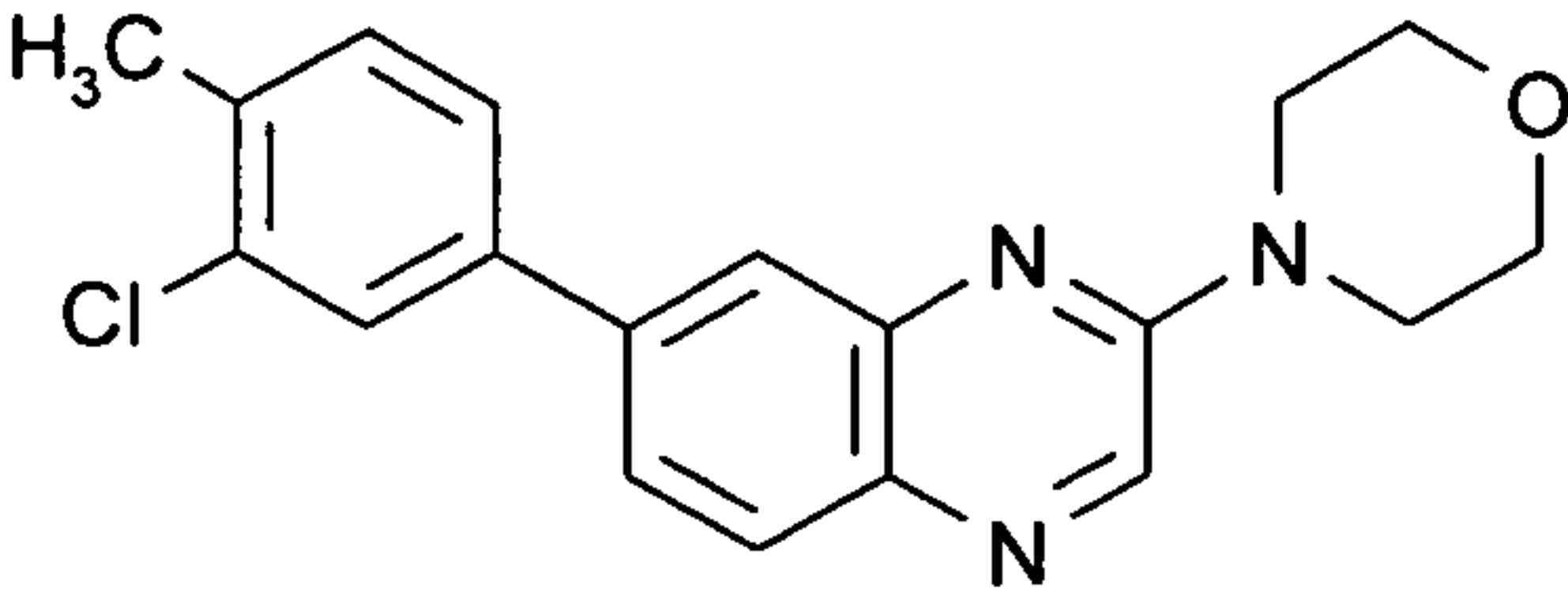
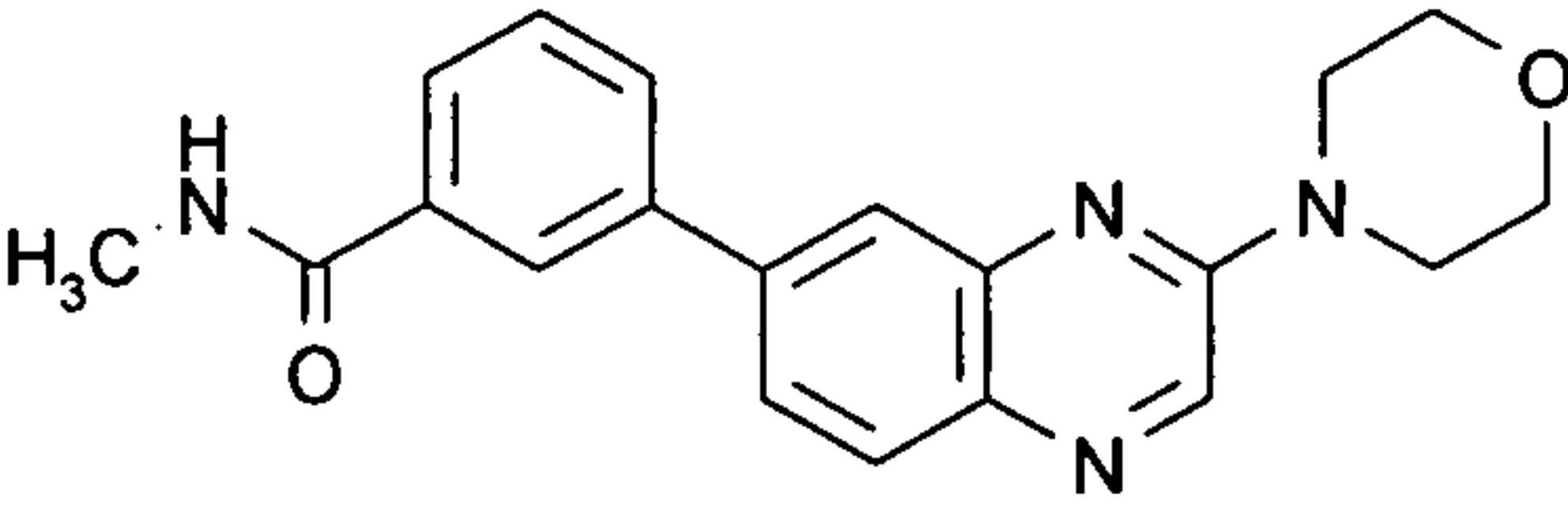
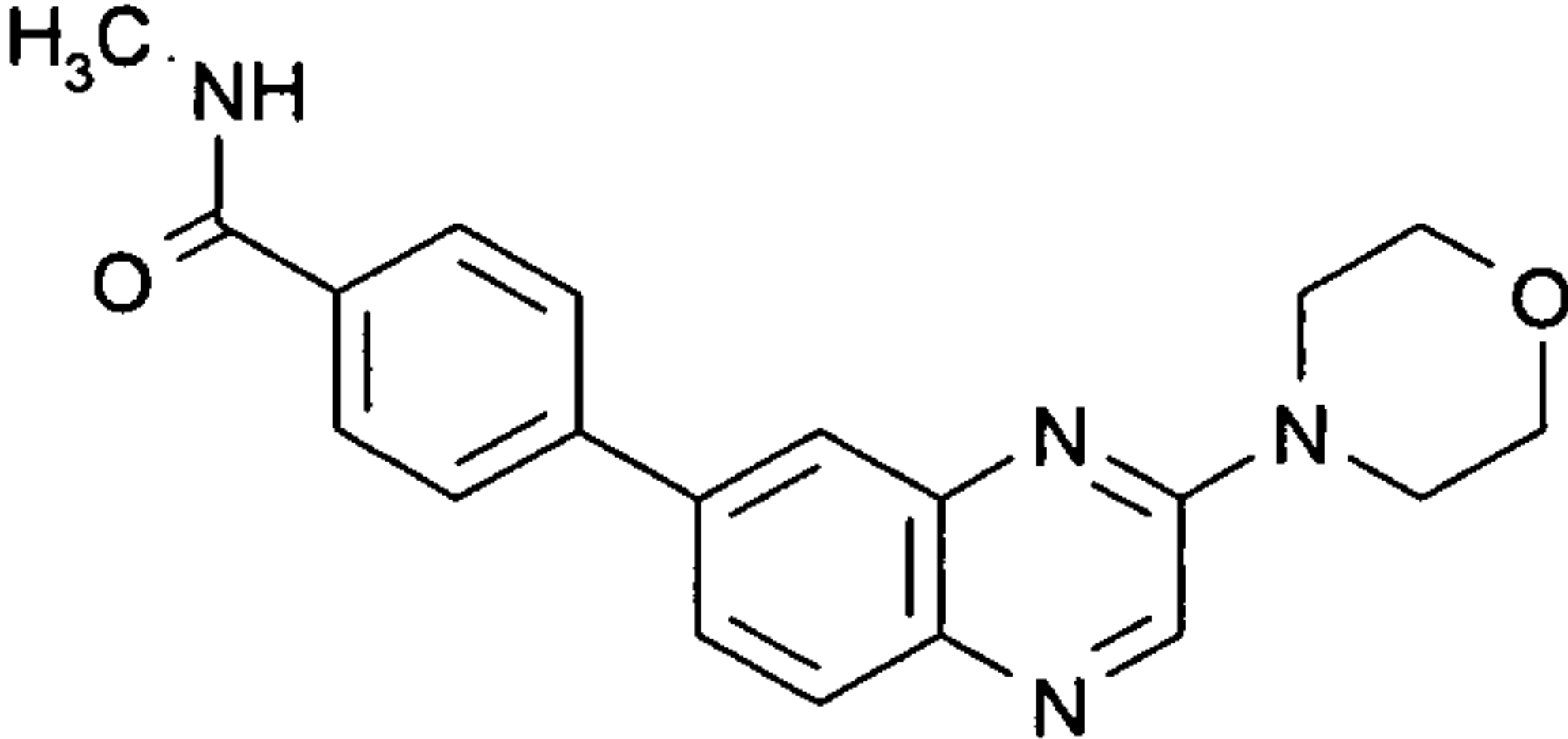
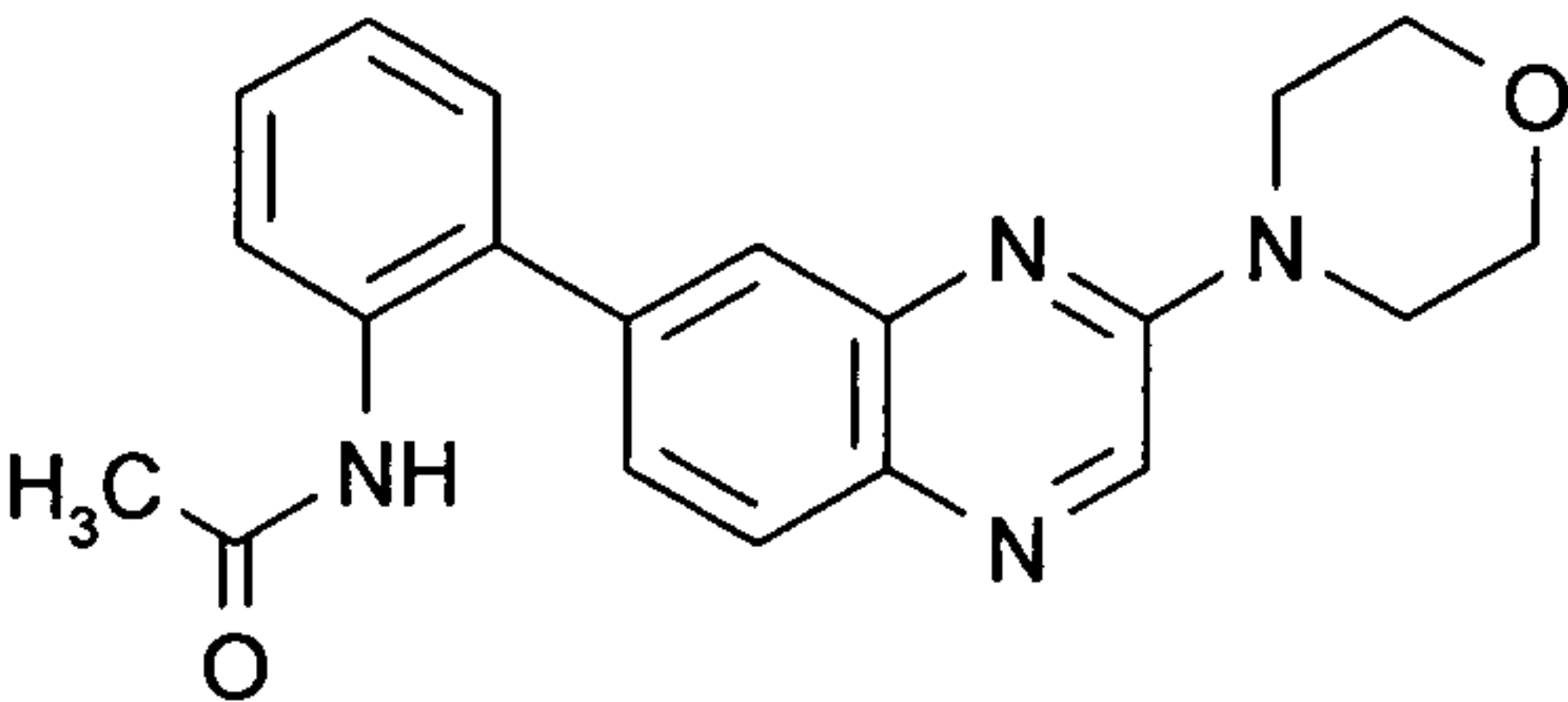
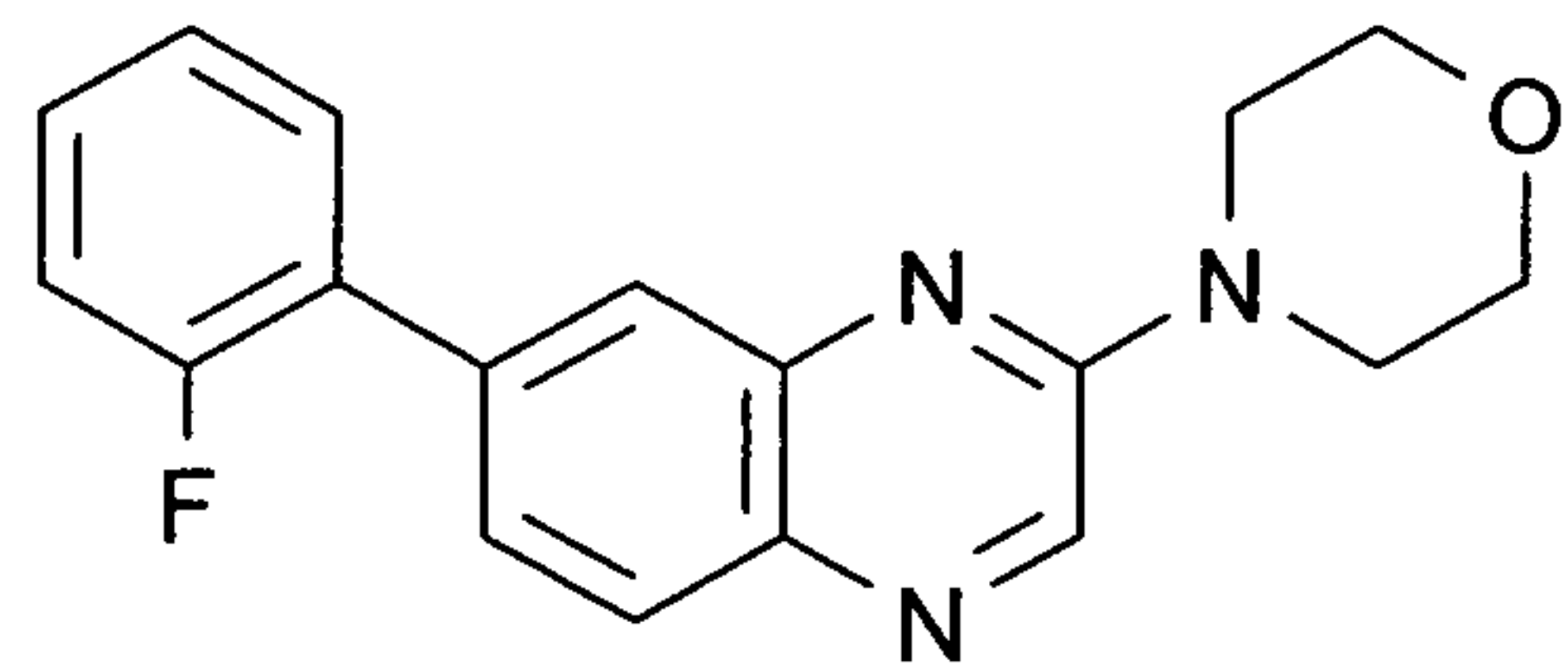
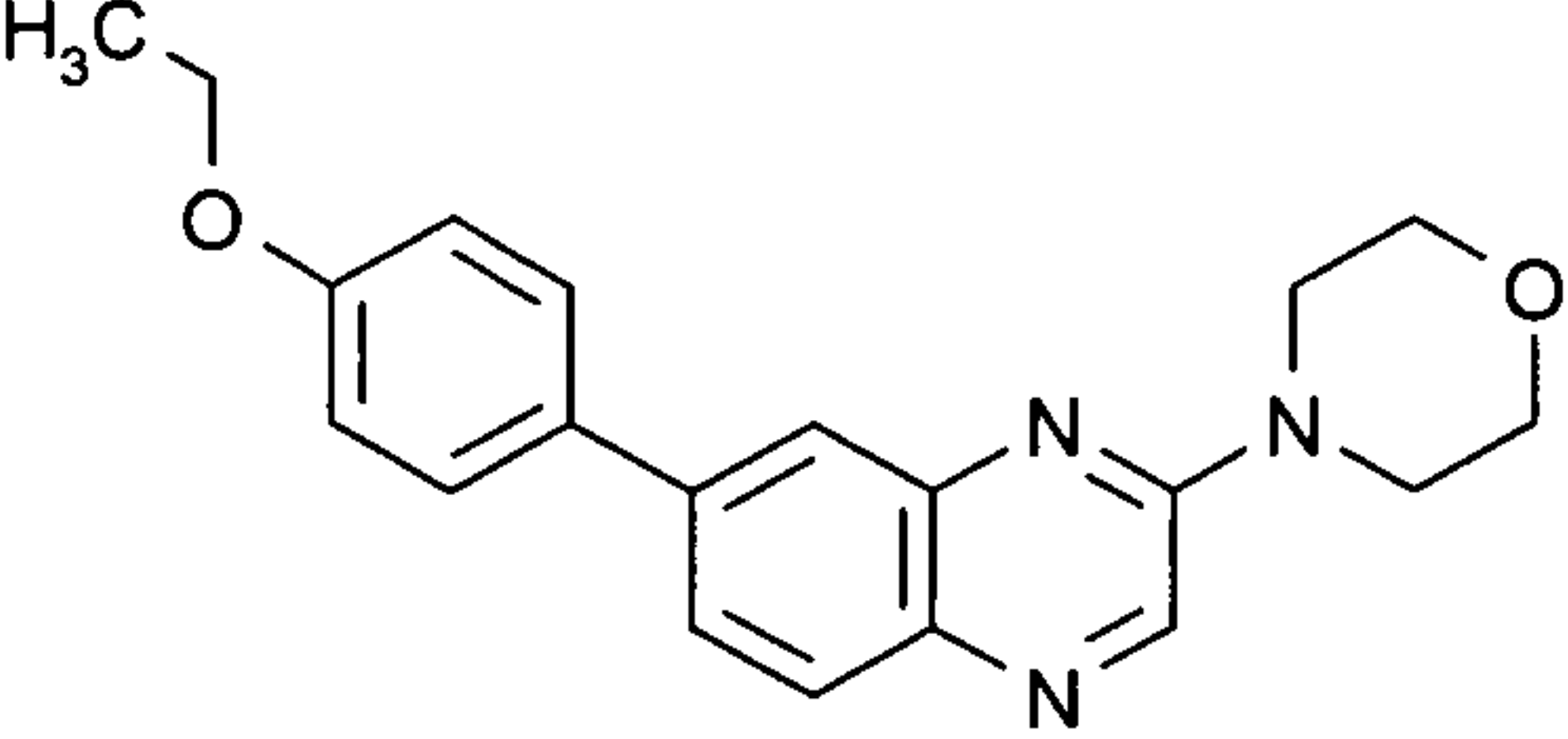
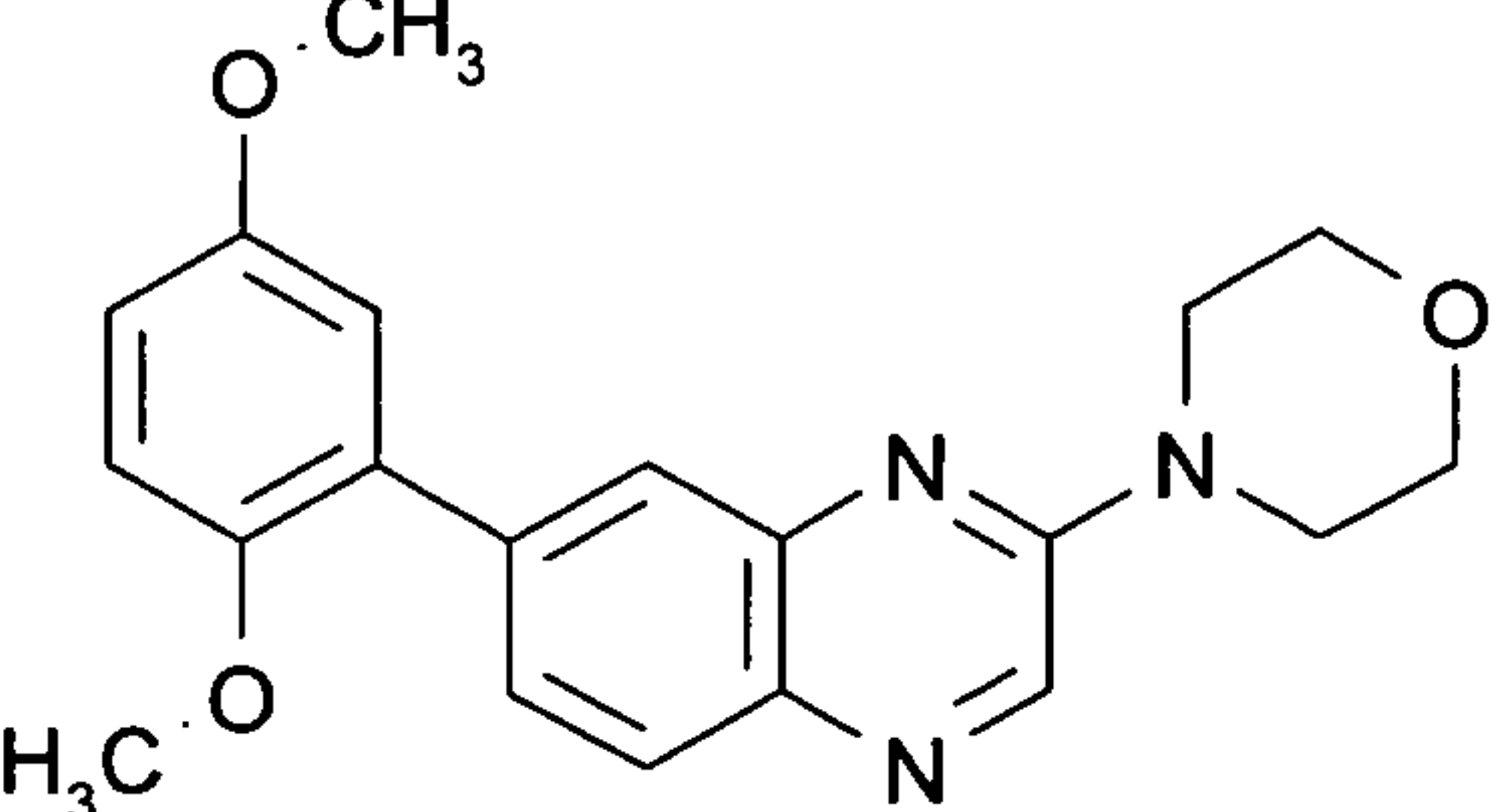
1.11		7-(4-methylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.35 MW _{found} = 306.4 MW _{calc} = 305.4
1.12		7-(2,4-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.20 MW _{found} = 352.4 MW _{calc} = 351.4
1.13		7-(2-fluoro-4-methylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.35 MW _{found} = 324.4 MW _{calc} = 323.4
1.14		{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanol	RT = 0.94 MW _{found} = 322.4 MW _{calc} = 321.4
1.15		4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzonitrile	RT = 1.14 MW _{found} = 317.4 MW _{calc} = 316.4
1.16		7-(4-fluorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.26 MW _{found} = 310.3 MW _{calc} = 309.3
1.17		4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	RT = 0.95 MW _{found} = 308.4 MW _{calc} = 307.4

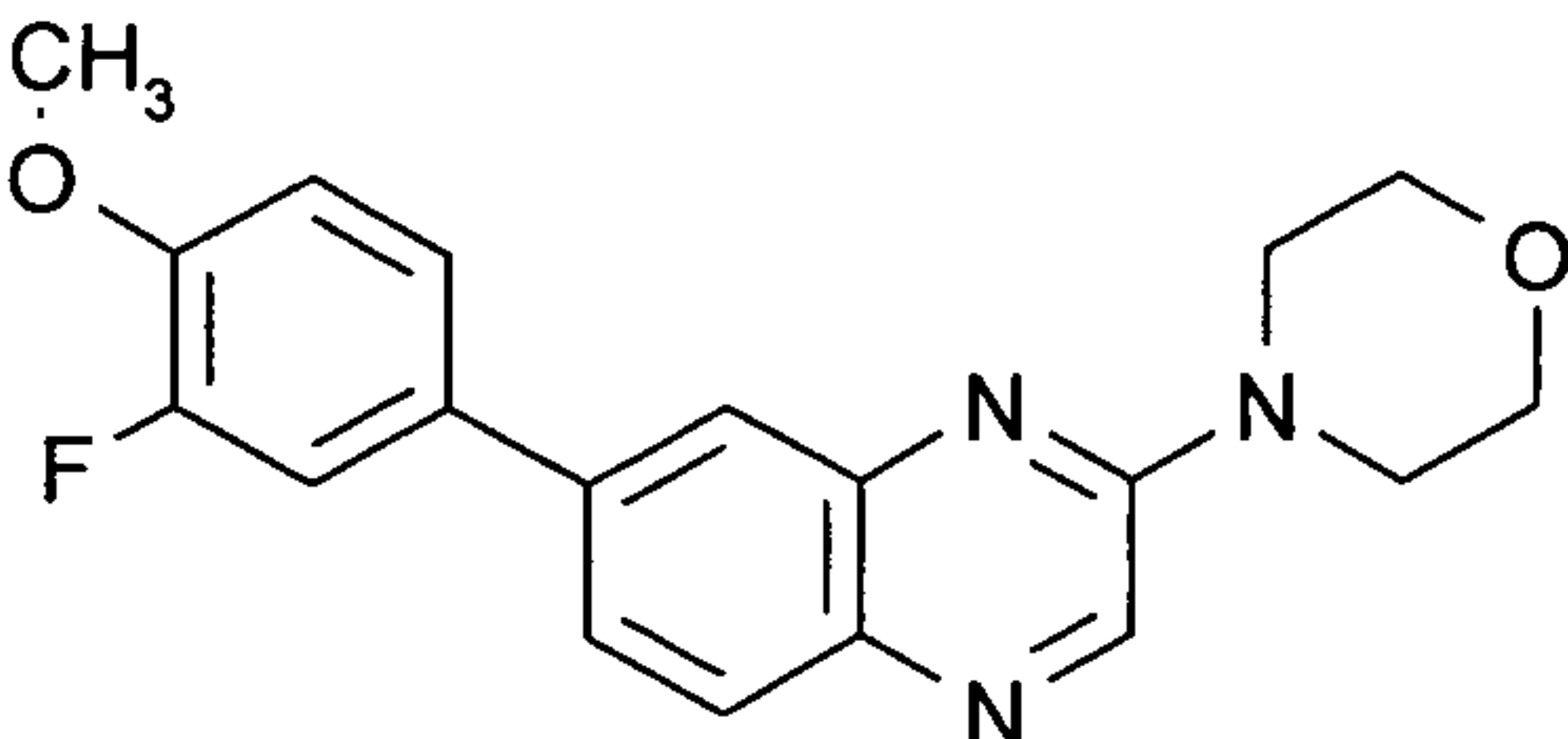
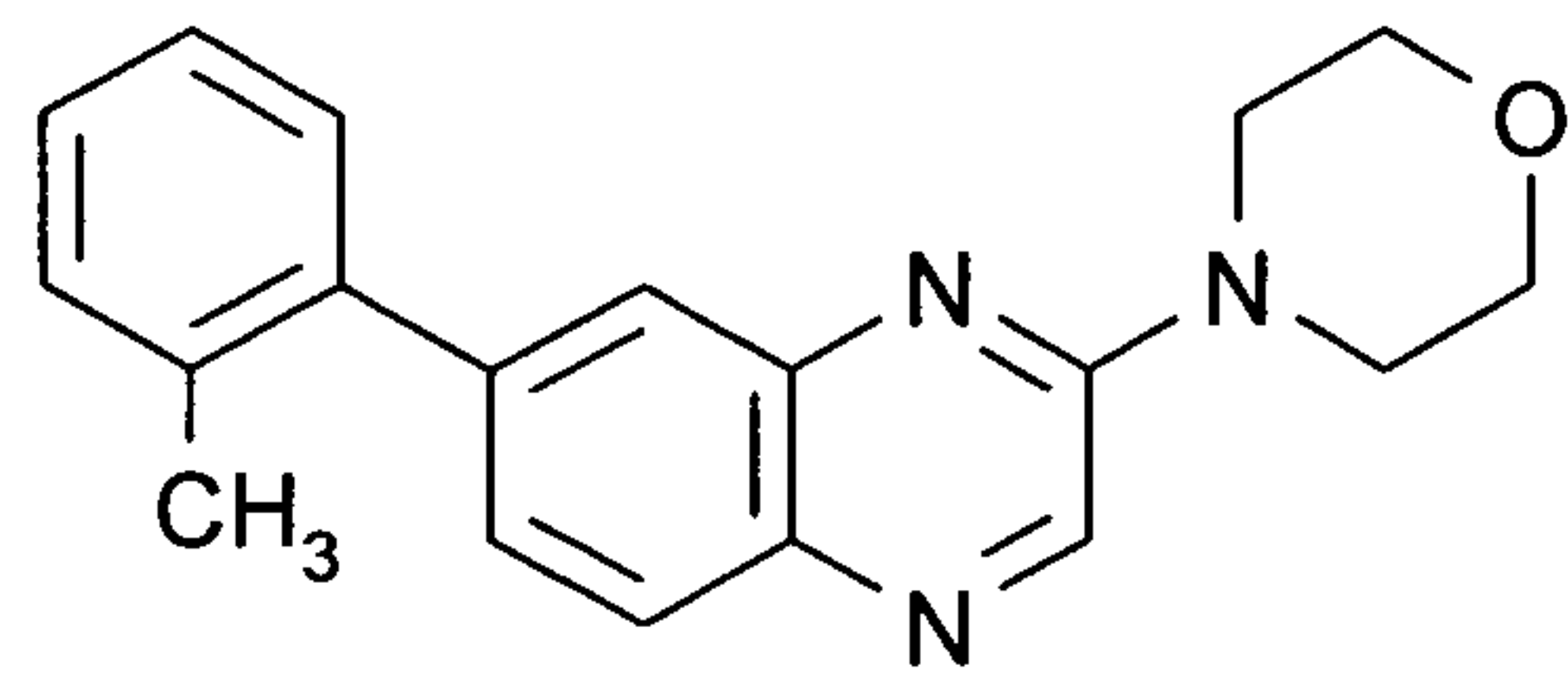
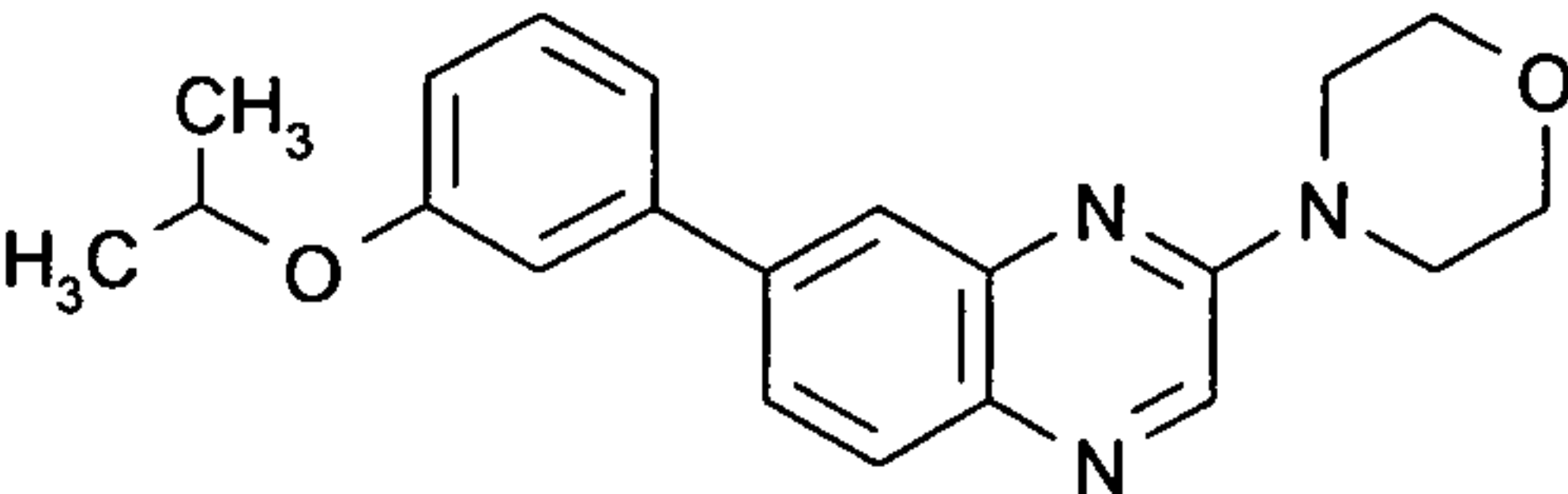
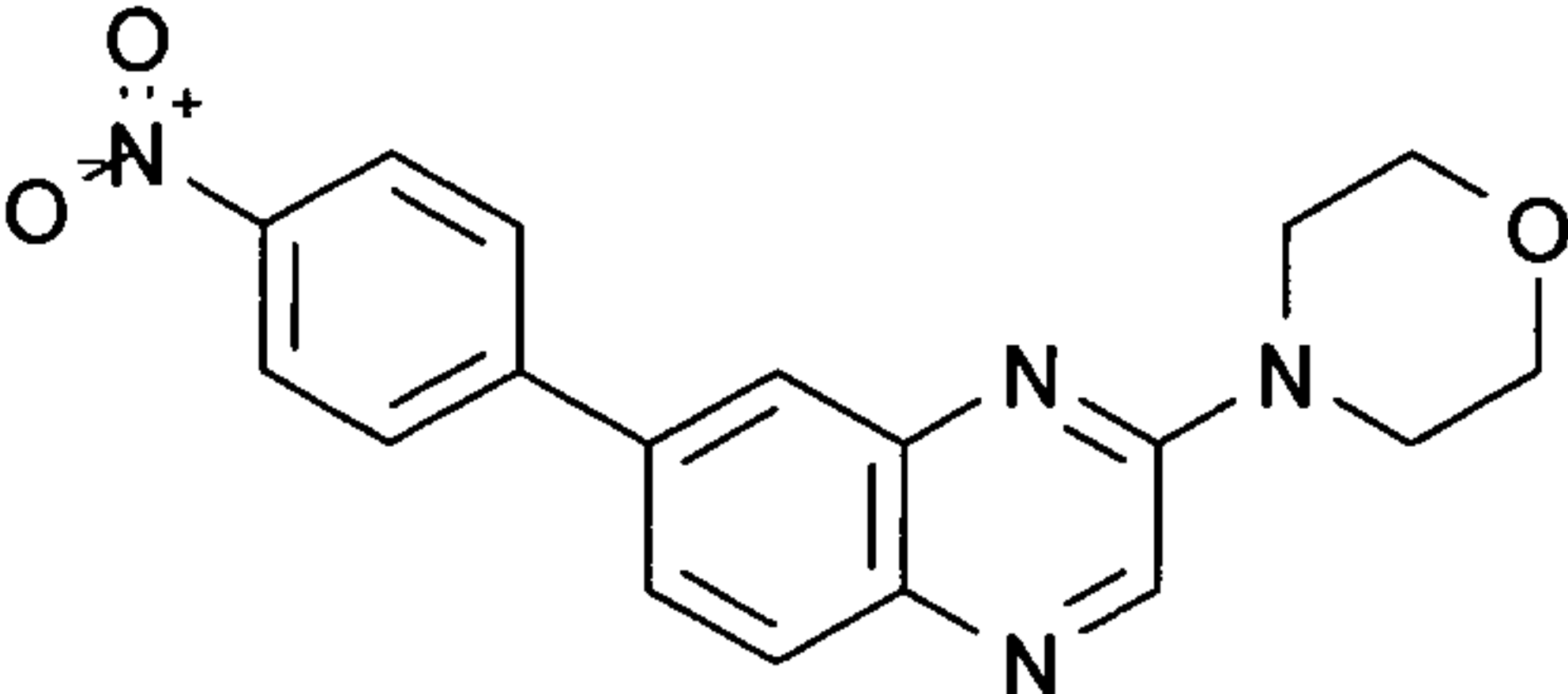
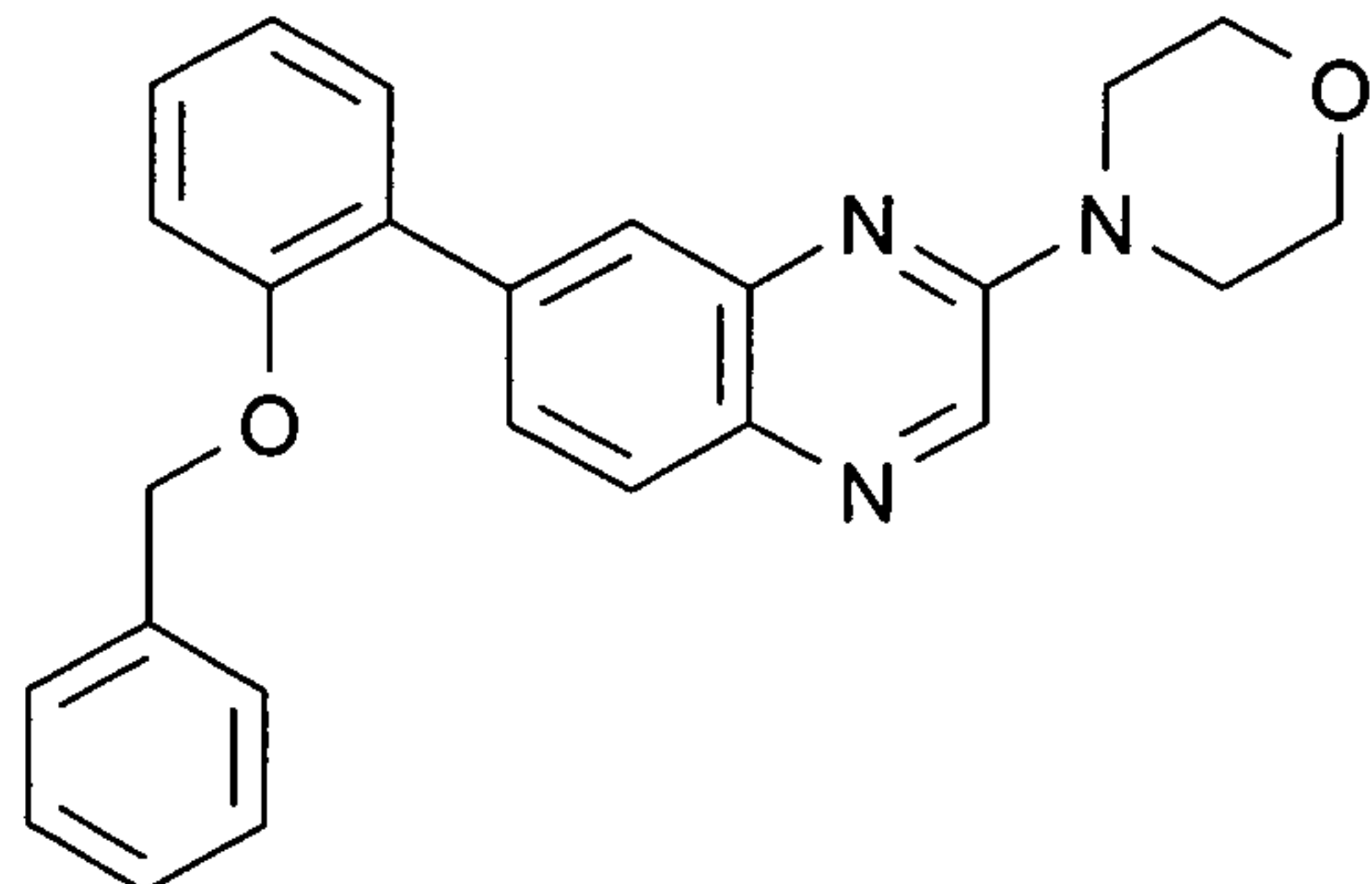
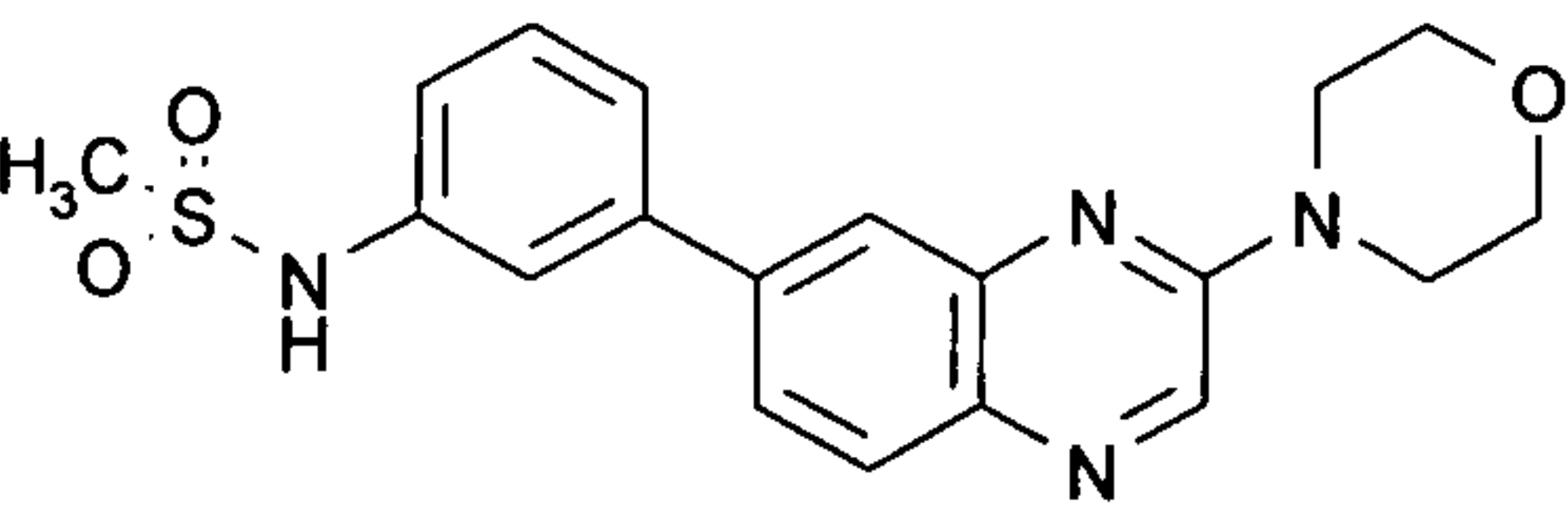
1.18		2-(morpholin-4-yl)-7-[3-(trifluoromethyl)phenyl]quinoxaline	RT = 1.39 MW _{found} = 360.3 MW _{calc} = 359.3
1.19		7-(2-fluoro-5-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.25 MW _{found} = 340.4 MW _{calc} = 339.4
1.20		7-(5-fluoro-2-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.24 MW _{found} = 340.4 MW _{calc} = 339.4
1.21		7-[4-(methylsulfanyl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.33 MW _{found} = 338.4 MW _{calc} = 337.4
1.22		1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}ethanone	RT = 1.12 MW _{found} = 334.4 MW _{calc} = 333.4
1.23		7-(4-methoxy-2-methylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.28 MW _{found} = 336.4 MW _{calc} = 335.4

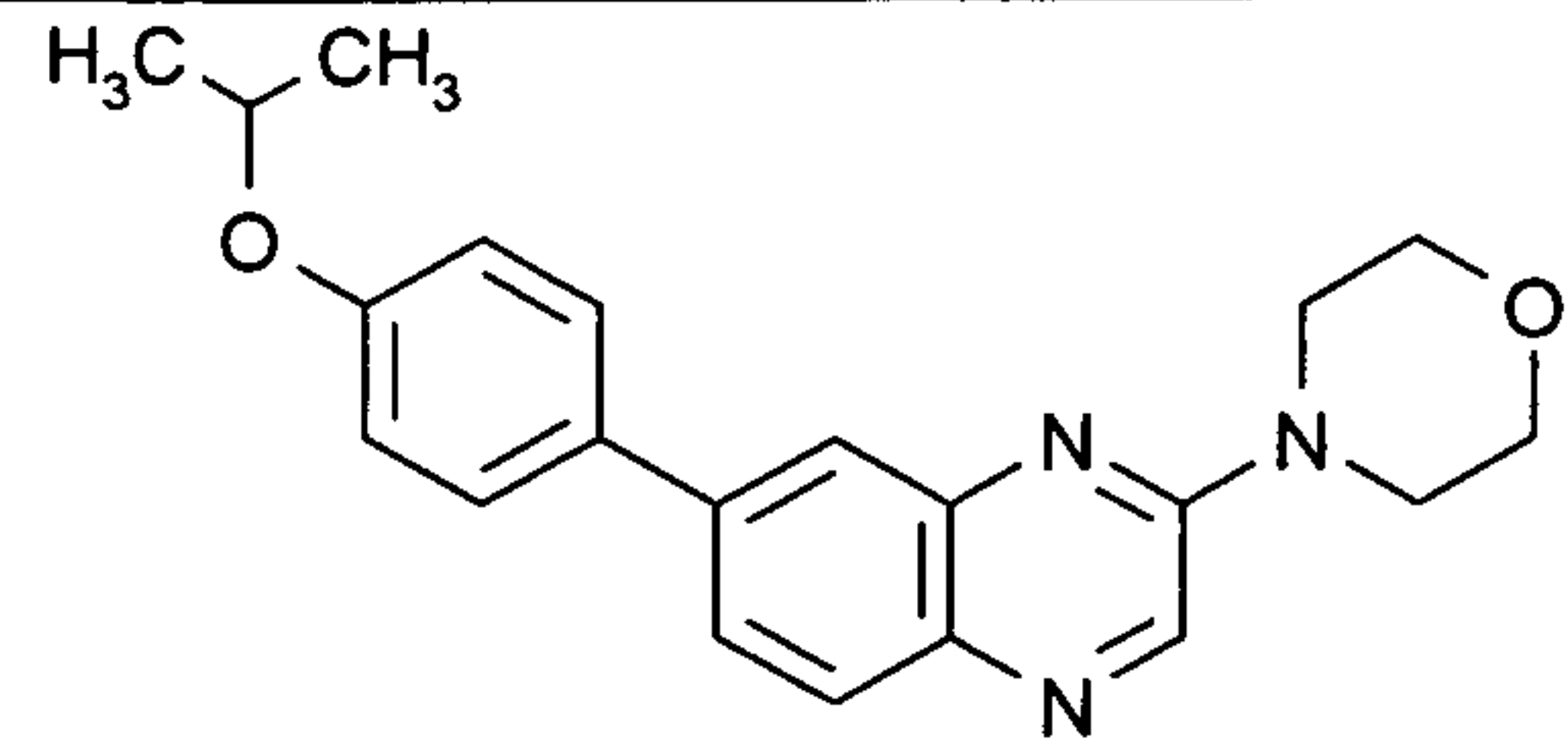
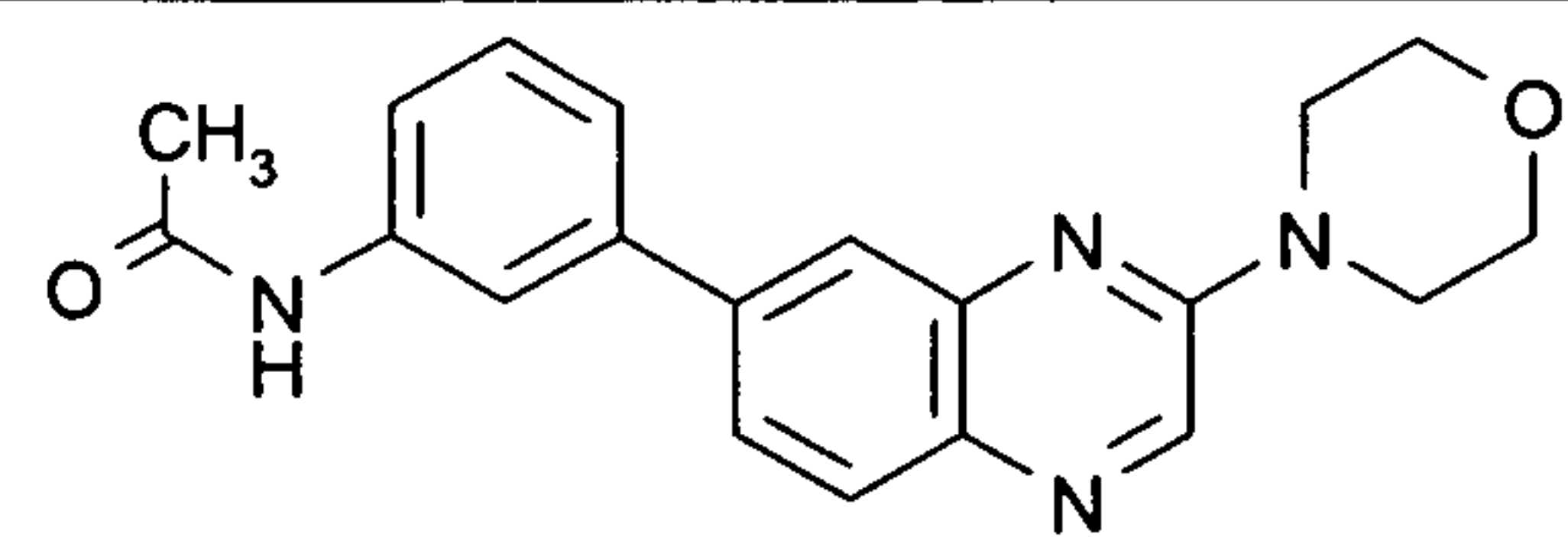
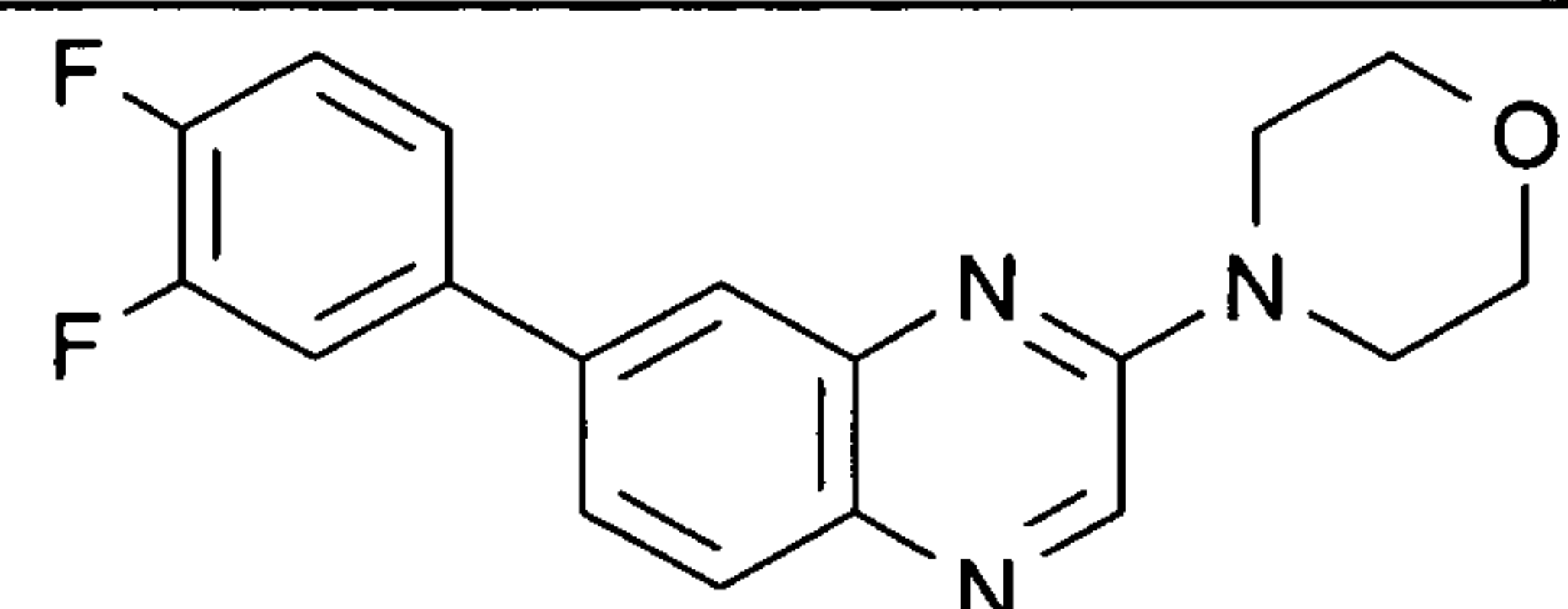
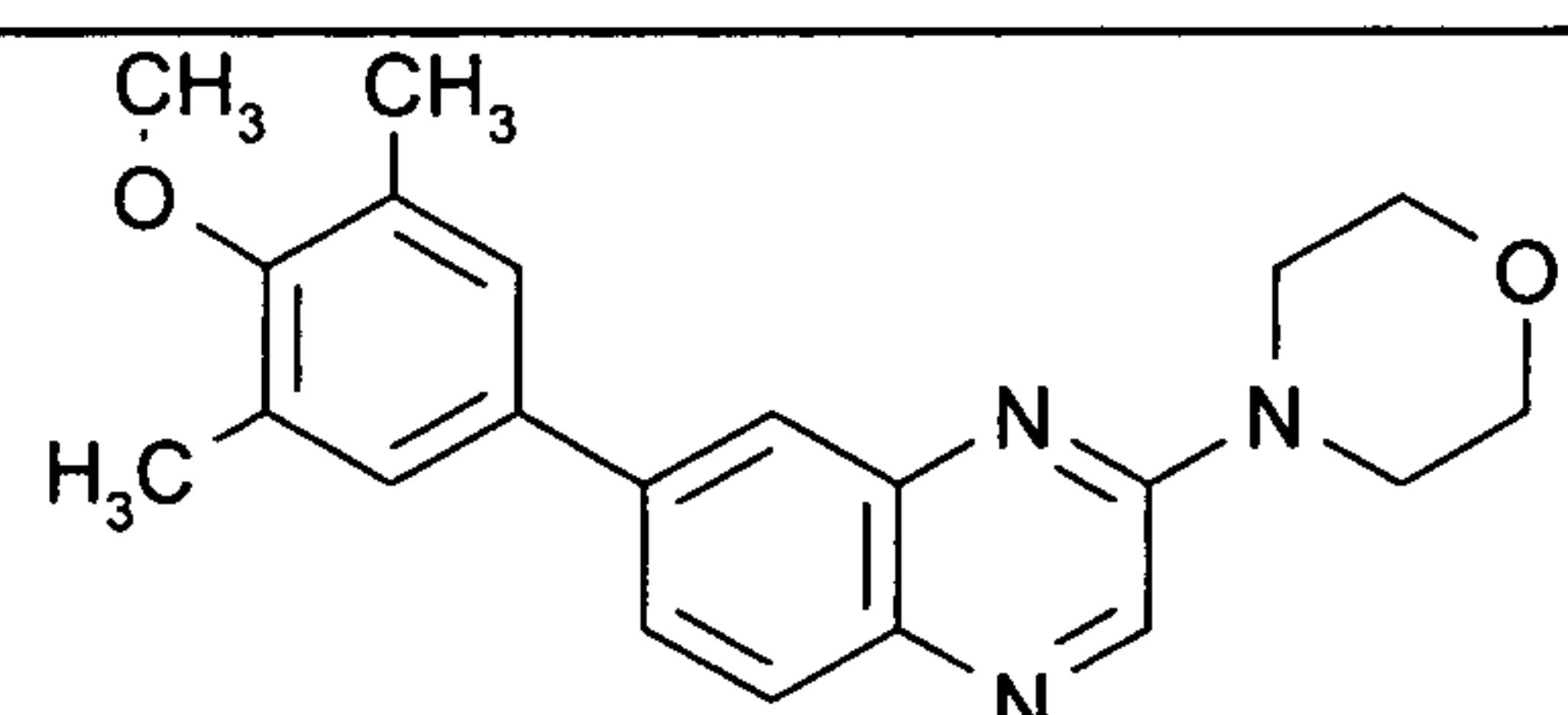
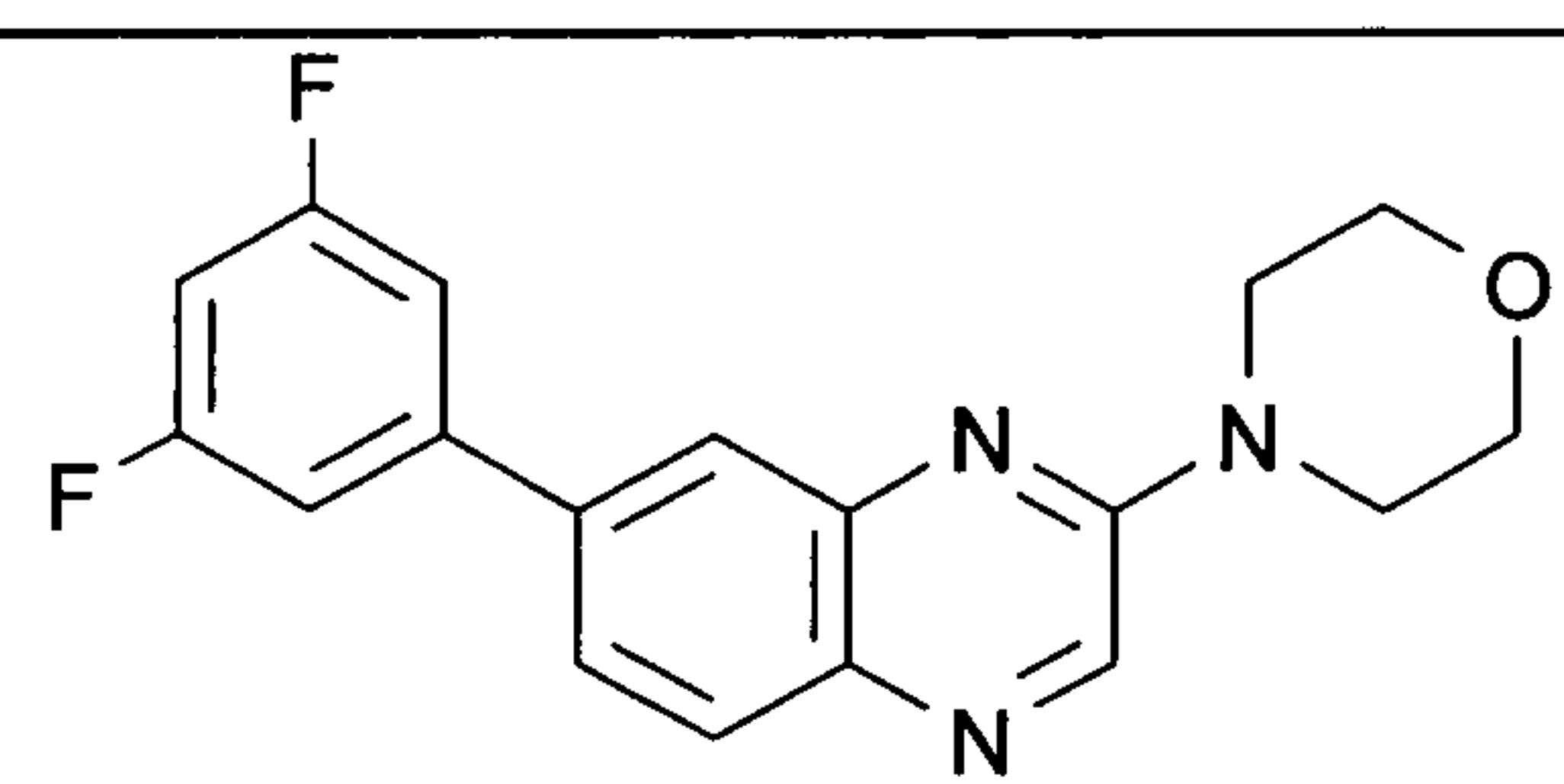
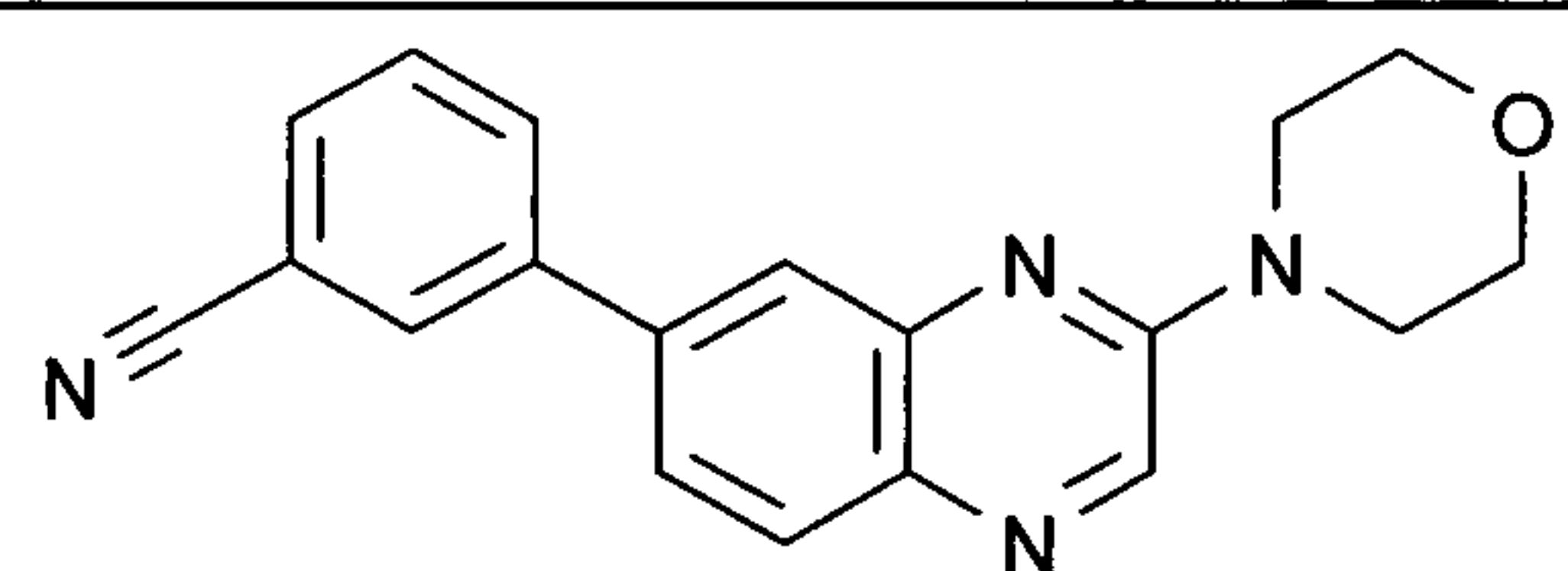
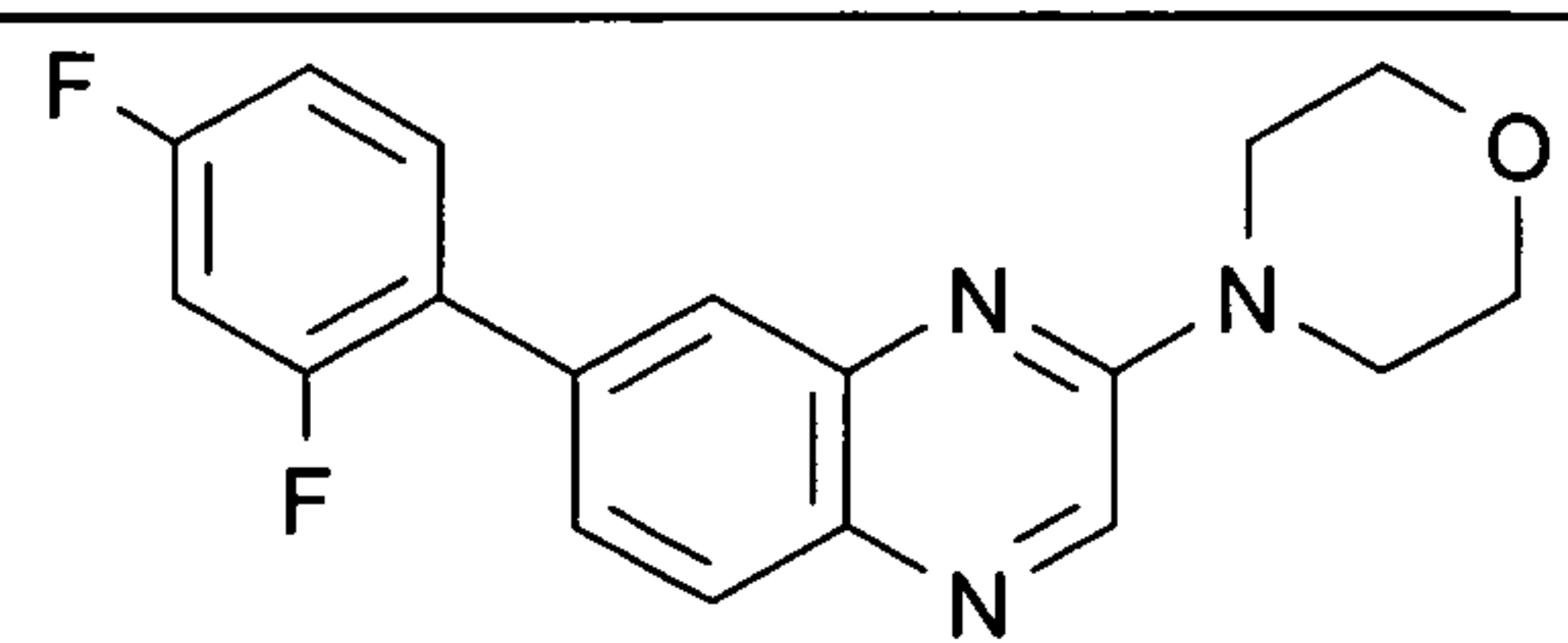
1.24		7-(4-tert-butylphenyl)- 2-(morpholin-4-yl)quinoxaline	RT = 1.55 MW _{found} = 348.5 MW _{calc} = 347.5
1.25		7-(2,6- dimethoxyphenyl)-2- (morpholin-4-yl)quinoxaline	RT = 1.17 MW _{found} = 352.4 MW _{calc} = 351.4
1.26		2-(morpholin-4-yl)-7- (3-nitrophenyl)quinoxalin e	RT = 1.22 MW _{found} = 337.3 MW _{calc} = 336.3
1.27		7-(4-chlorophenyl)-2- (morpholin-4-yl)quinoxaline	RT = 1.38 MW _{found} = 326.8 MW _{calc} = 325.8
1.28		7-(5-chloro-2- methoxyphenyl)-2- (morpholin-4-yl)quinoxaline	RT = 1.35 MW _{found} = 356.8 MW _{calc} = 355.8
1.29		7-(4-chloro-2- methylphenyl)-2- (morpholin-4-yl)quinoxaline	RT = 1.46 MW _{found} = 340.8 MW _{calc} = 339.8
1.30		1-{3-[3-(morpholin-4- yl)quinoxalin-6- yl]phenyl}ethanone	RT = 1.13 MW _{found} = 334.4 MW _{calc} = 333.4

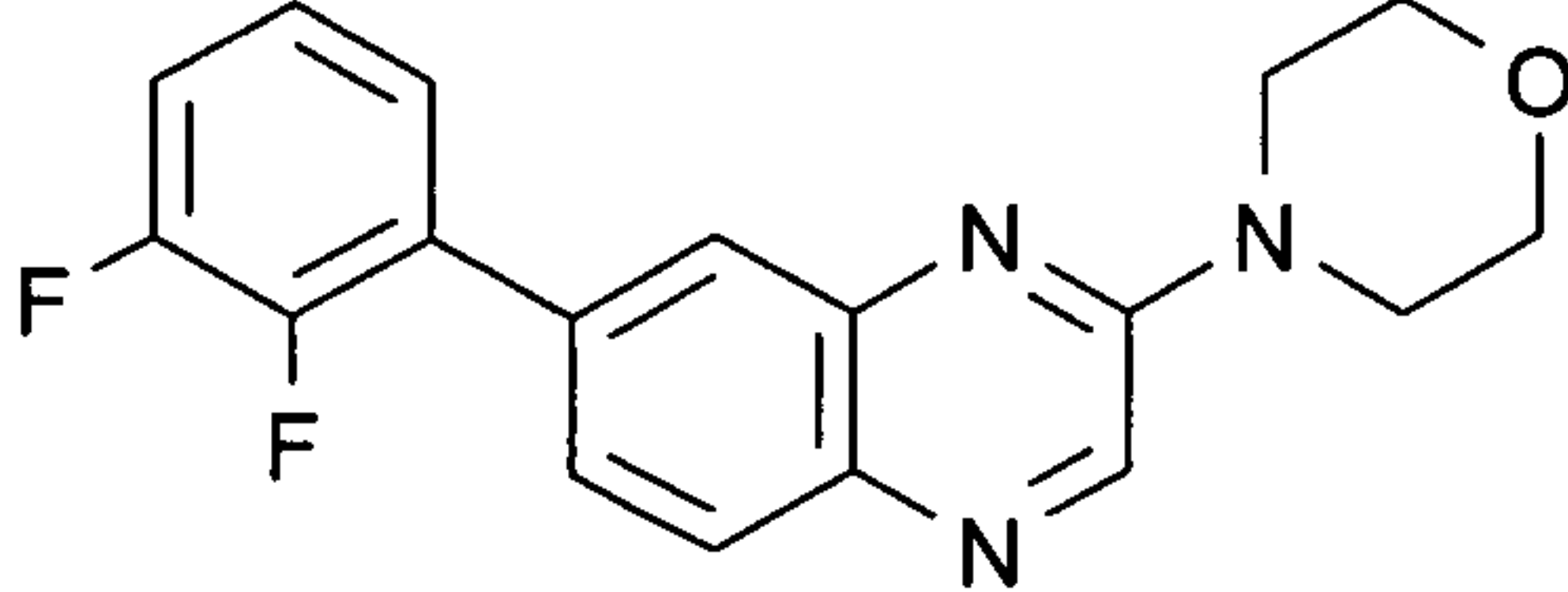
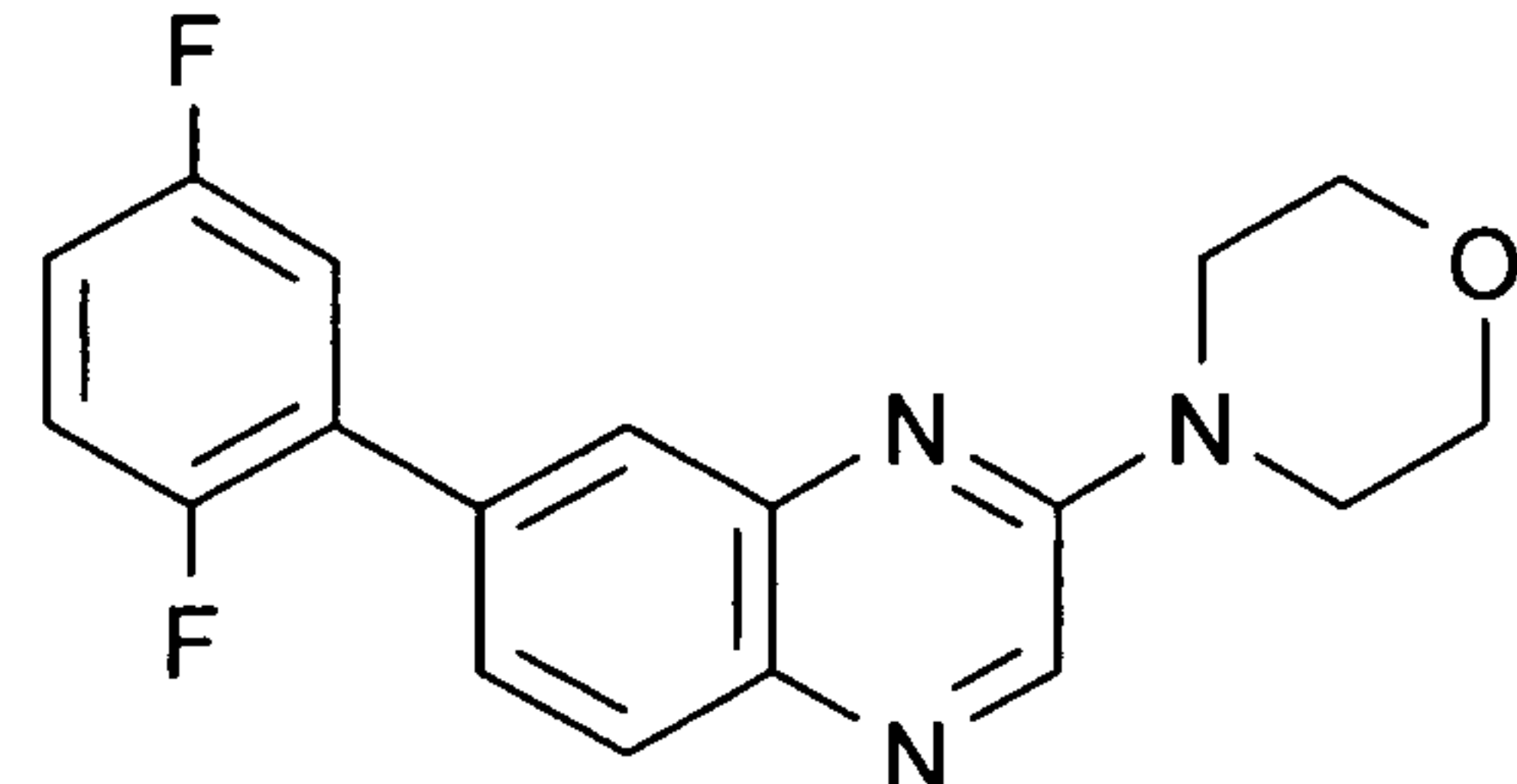
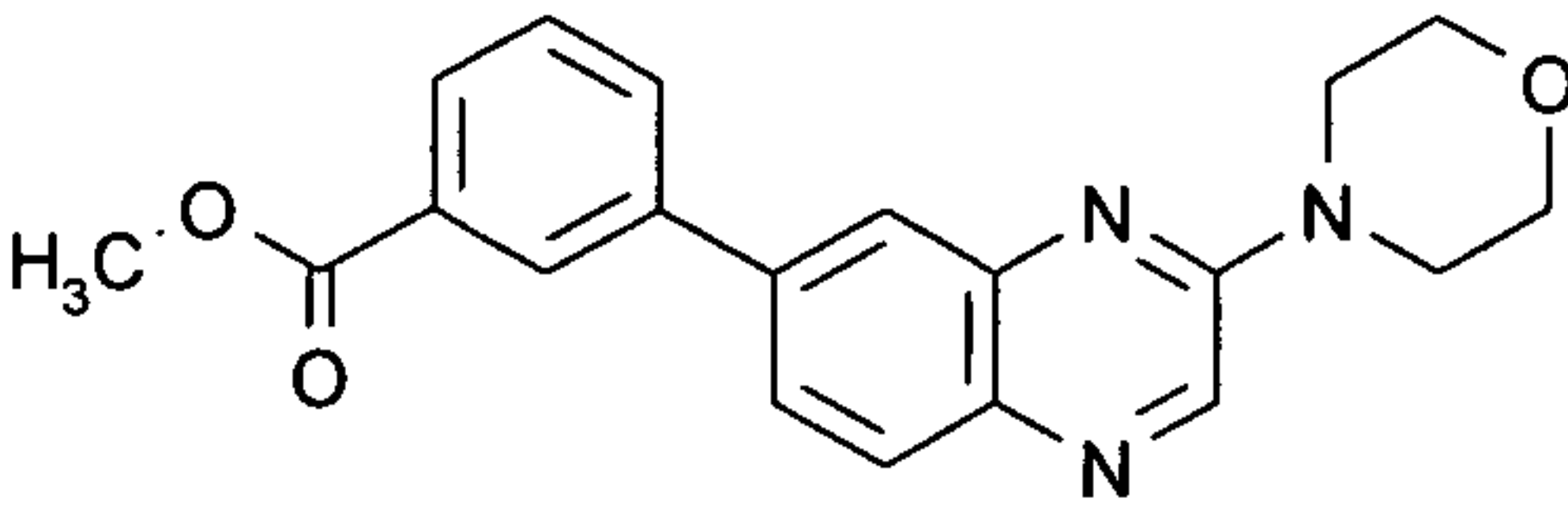
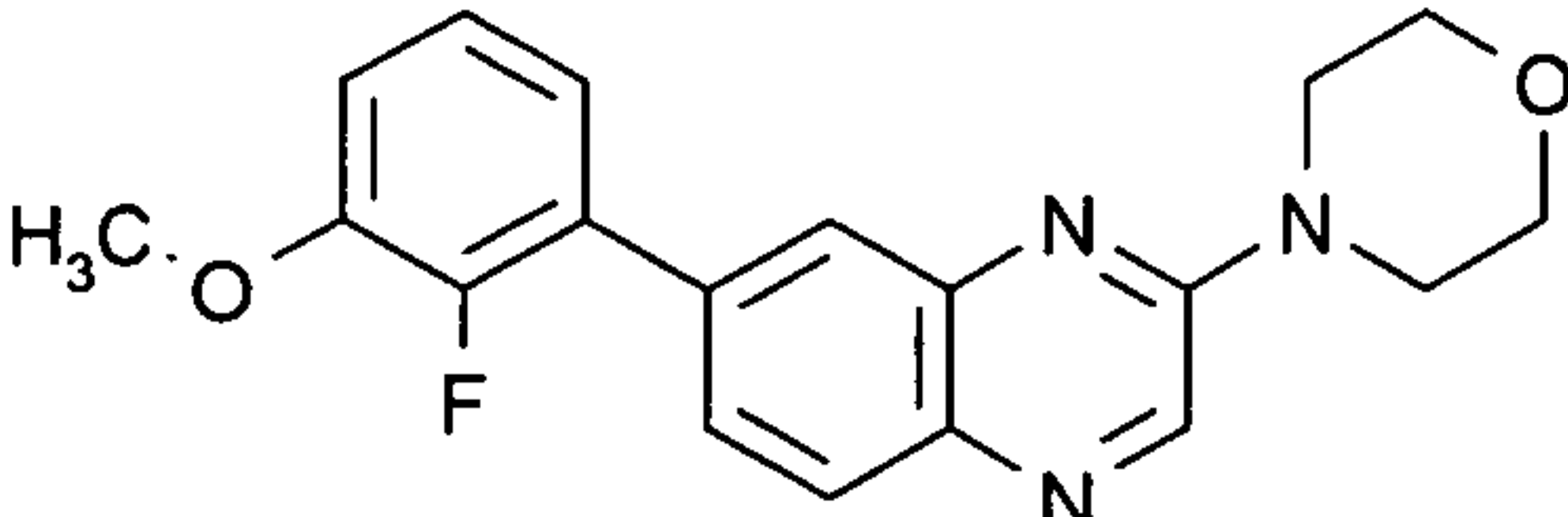
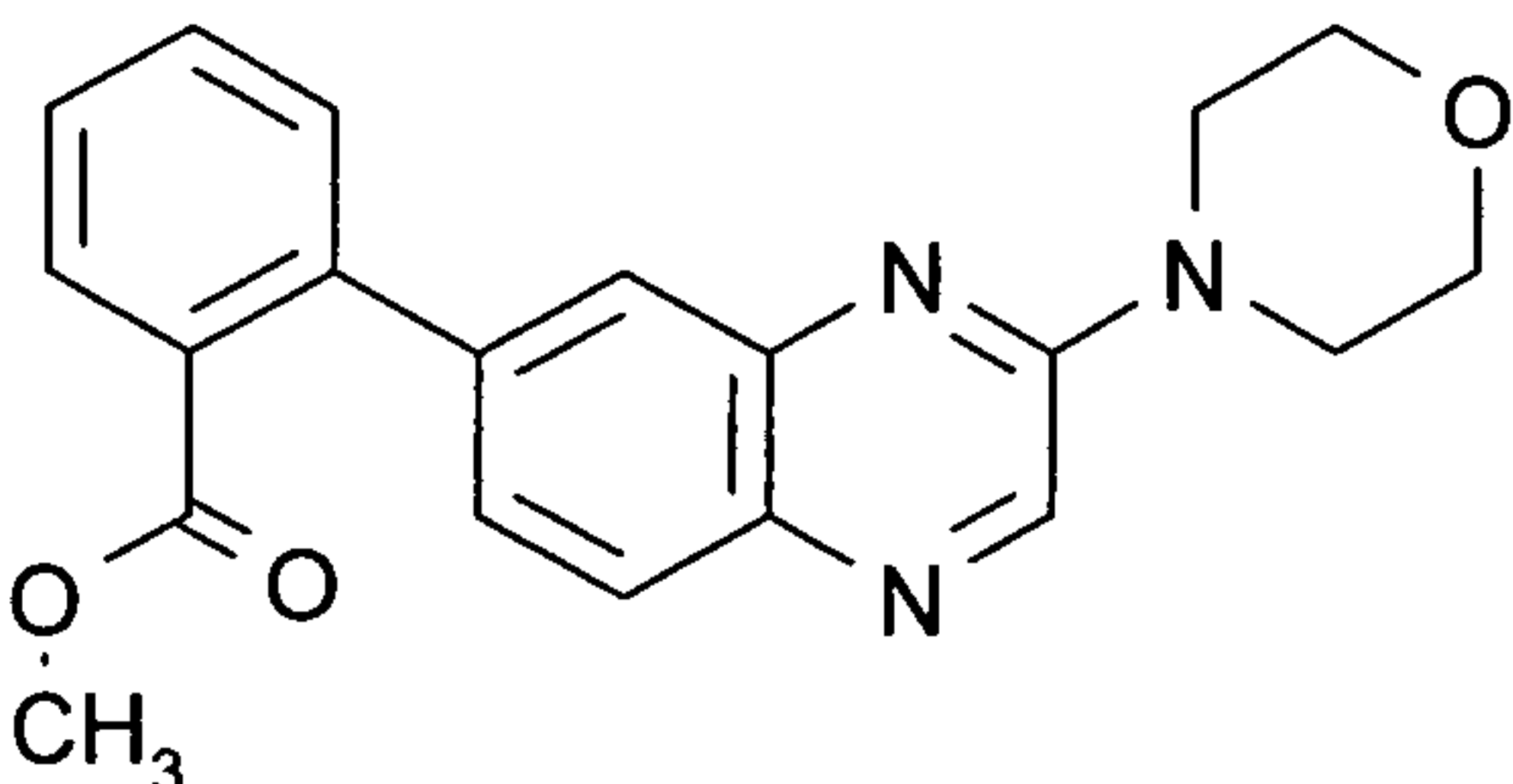
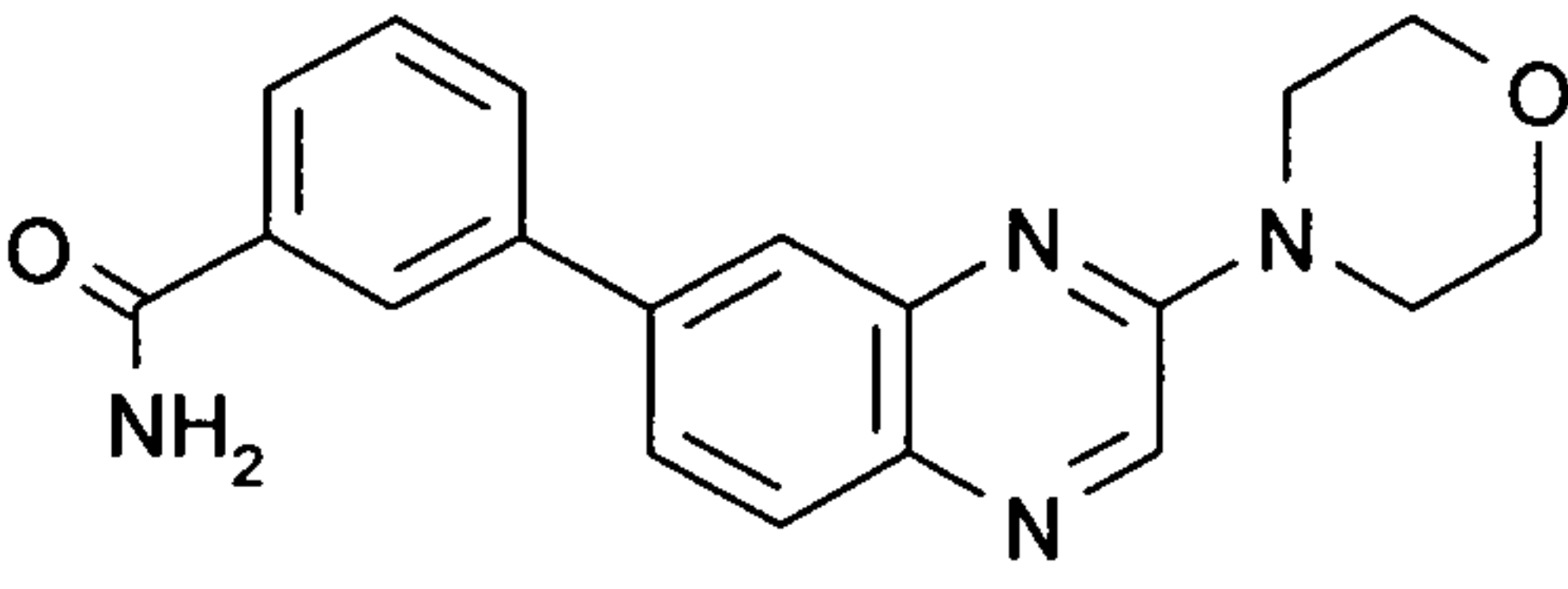
1.31		7-[2-(methylsulfanyl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.29 MW _{found} = 338.4 MW _{calc} = 337.4
1.32		2-(morpholin-4-yl)-7-[4-(propan-2-yl)phenyl]quinoxaline	RT = 1.50 MW _{found} = 334.4 MW _{calc} = 333.4
1.33		7-(3-fluorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.28 MW _{found} = 310.3 MW _{calc} = 309.3
1.34		2-(morpholin-4-yl)-7-[2-(trifluoromethoxy)phenyl]quinoxaline	RT = 1.37 MW _{found} = 376.3 MW _{calc} = 375.3
1.35		7-(biphenyl-3-yl)-2-(morpholin-4-yl)quinoxaline	RT = 1.49 MW _{found} = 368.4 MW _{calc} = 367.4
1.36		2-(morpholin-4-yl)-7-[3-(propan-2-yl)phenyl]quinoxaline	RT = 1.49 MW _{found} = 334.4 MW _{calc} = 333.4
1.37		2-(morpholin-4-yl)-7-(2-phenoxyphenyl)quinoxaline	RT = 1.43 MW _{found} = 384.4 MW _{calc} = 383.4

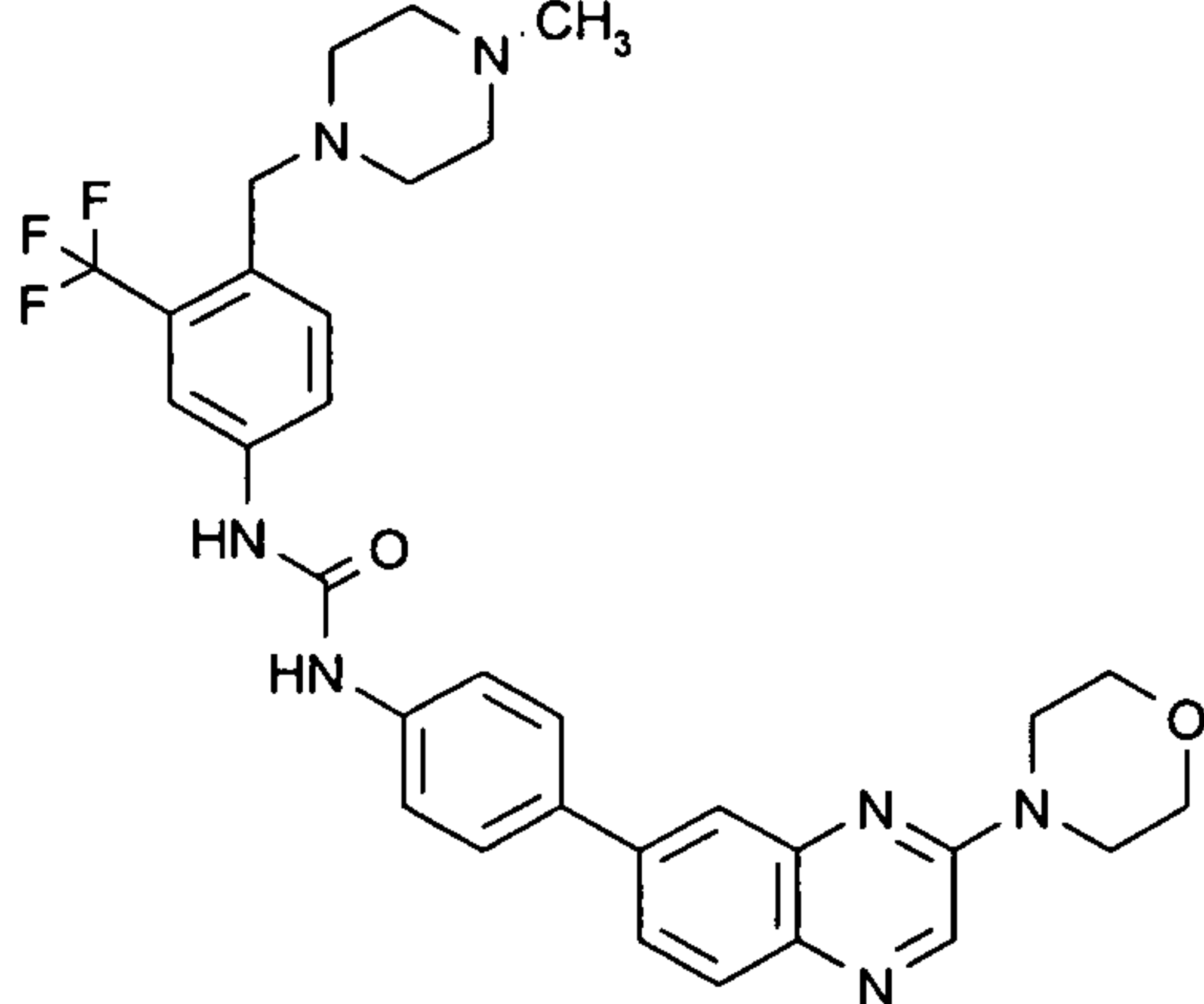
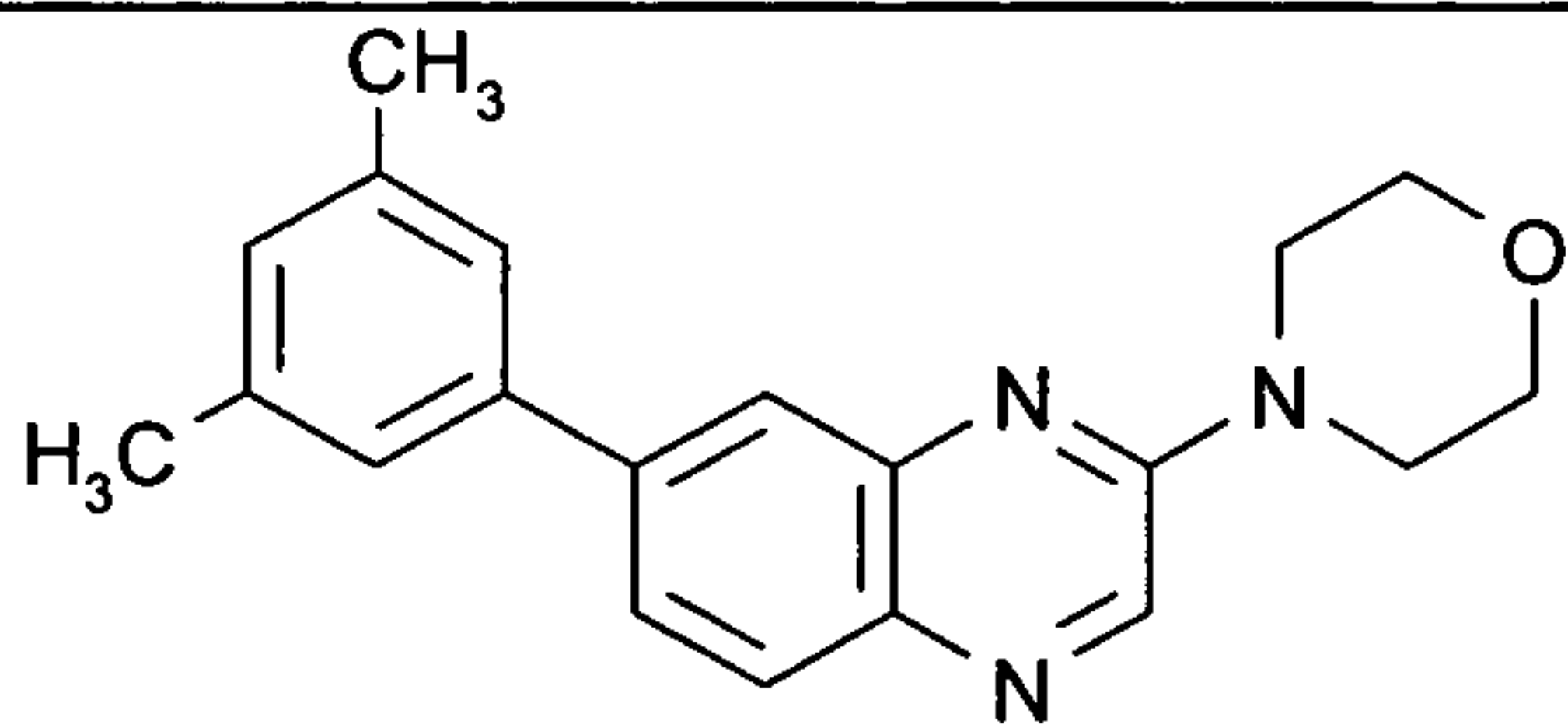
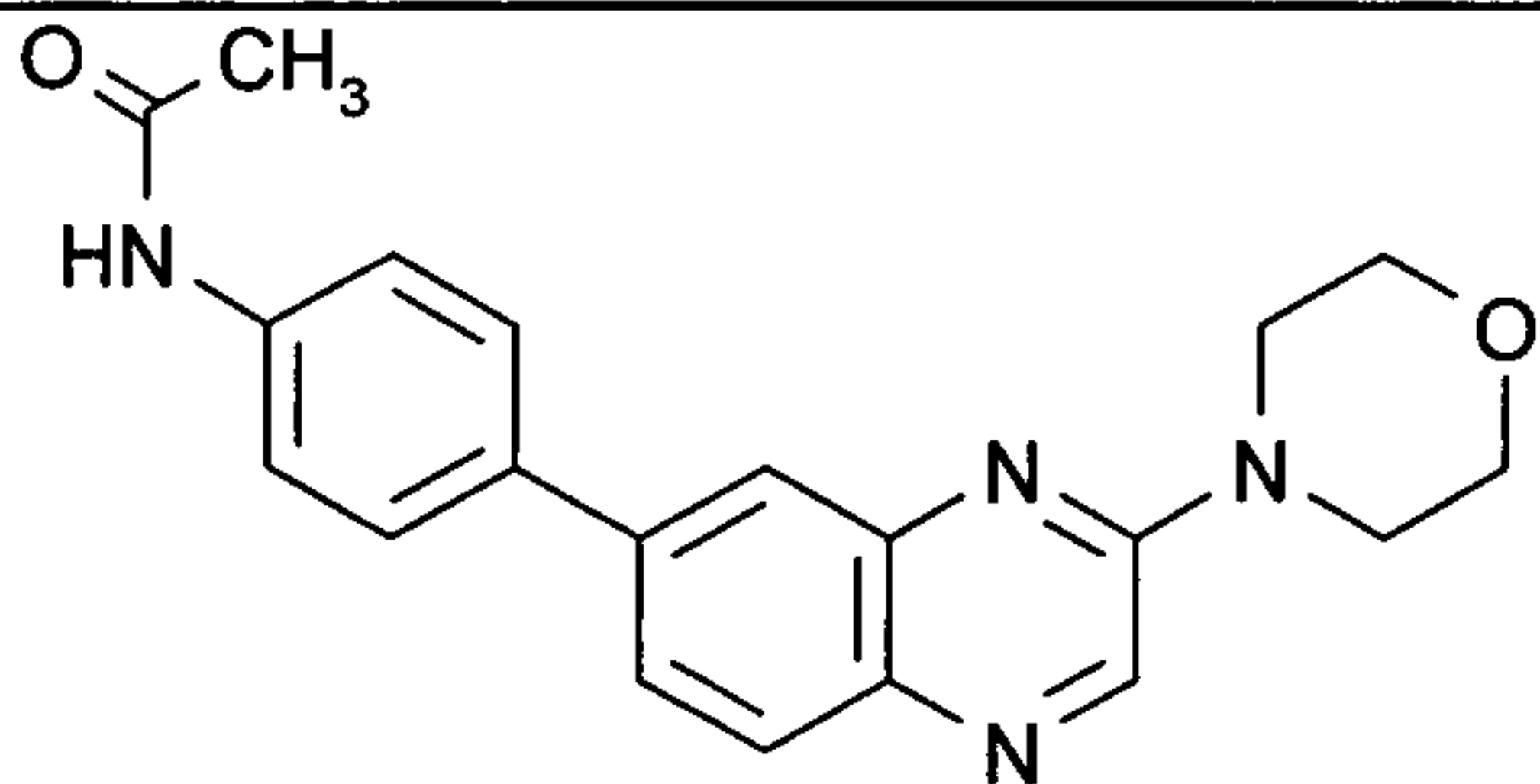
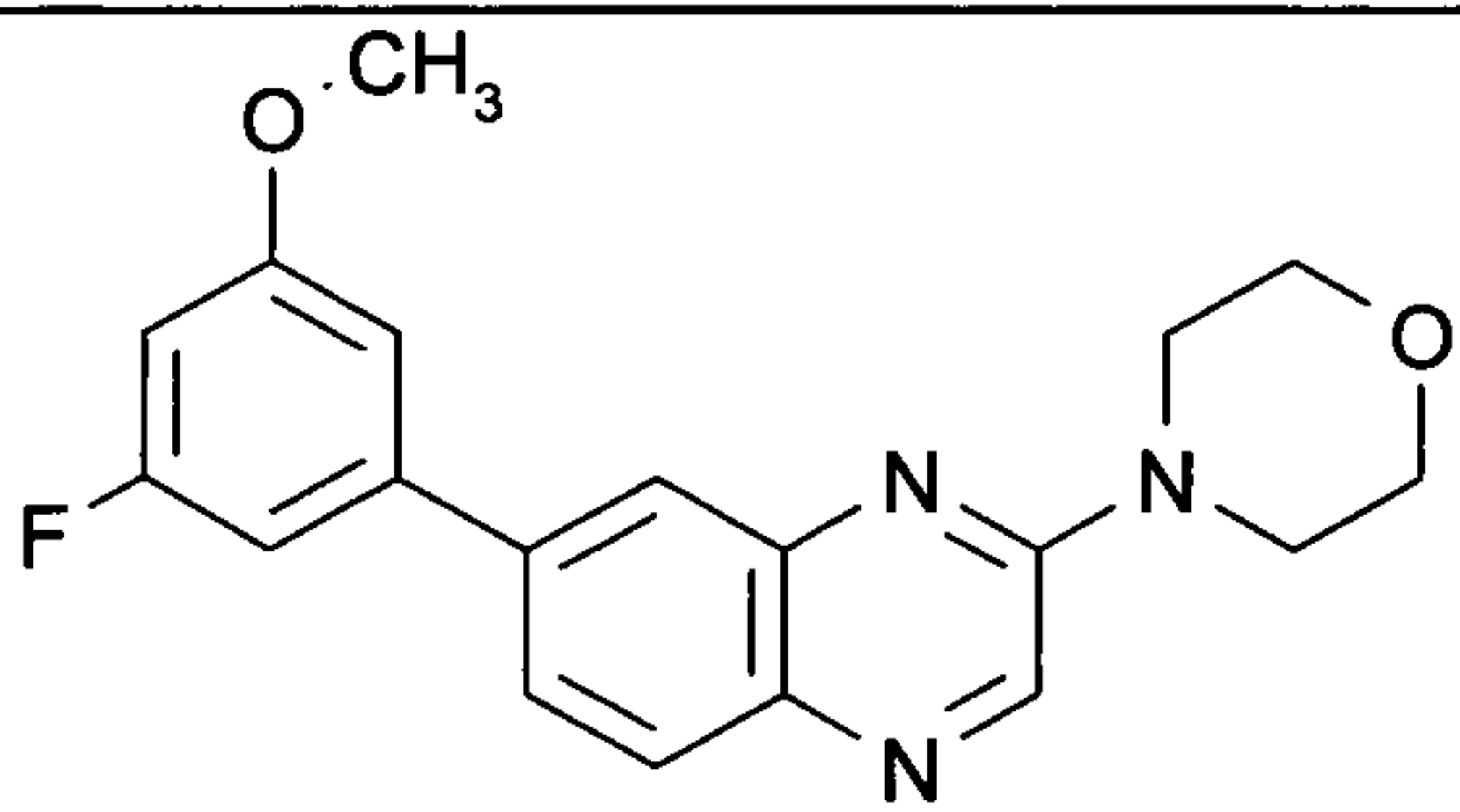
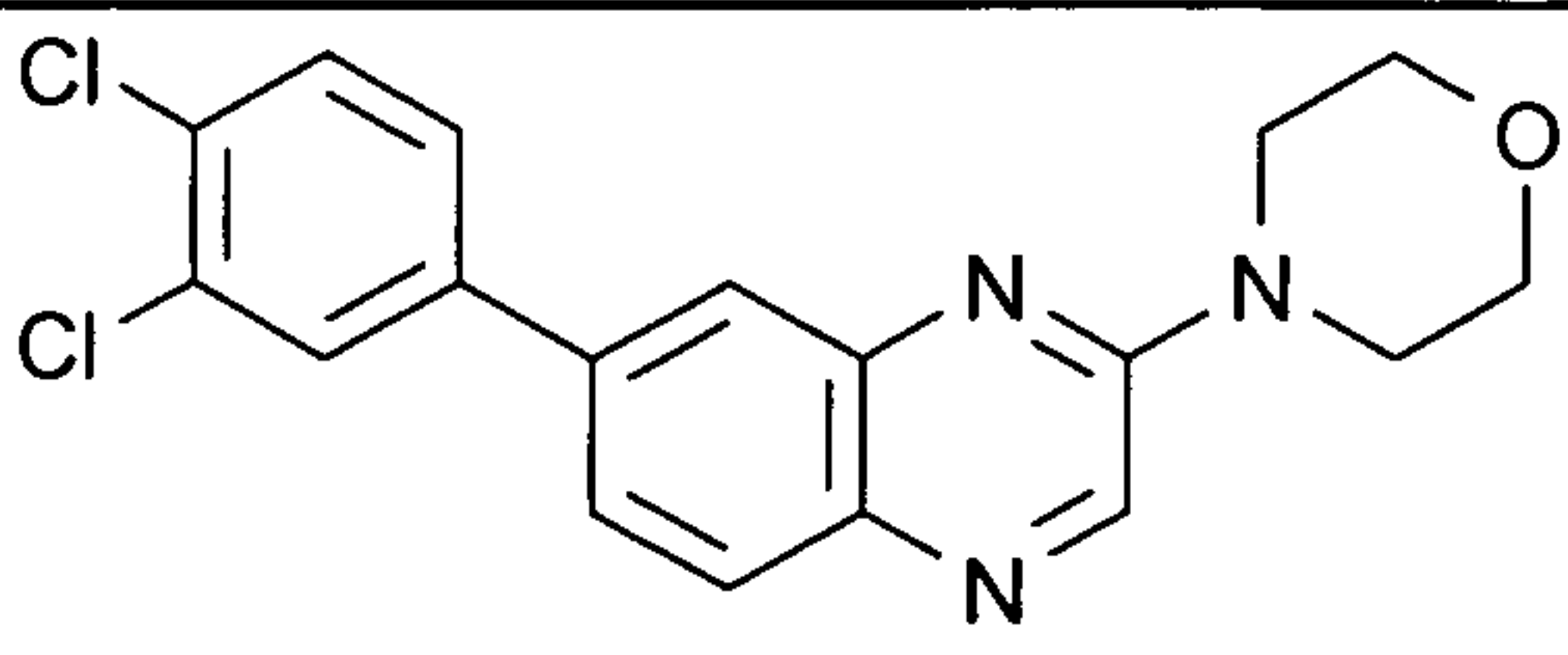
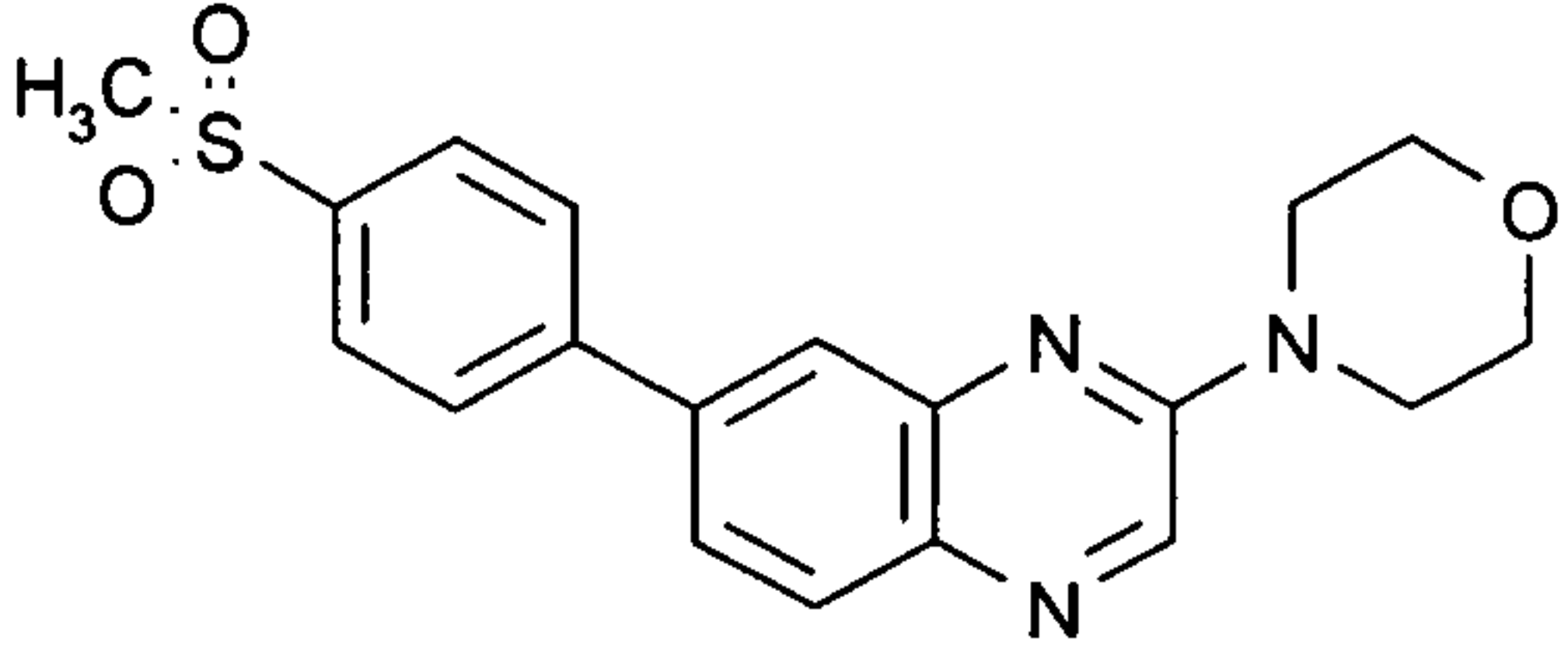
1.38		{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanol	RT = 0.96 MW _{found} = 322.4 MW _{calc} = 321.4
1.39		7-[3-(methylsulfanyl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.34 MW _{found} = 338.4 MW _{calc} = 337.4
1.40		7-(2-chlorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.32 MW _{found} = 326.8 MW _{calc} = 325.8
1.41		2-(morpholin-4-yl)-7-[4-(trifluoromethoxy)phenyl]quinoxaline	RT = 1.42 MW _{found} = 376.3 MW _{calc} = 375.3
1.42		2-(morpholin-4-yl)-7-[4-(trifluoromethyl)phenyl]quinoxaline	RT = 1.40 MW _{found} = 360.3 MW _{calc} = 359.3
1.43		3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	RT = 0.99 MW _{found} = 308.4 MW _{calc} = 307.4
1.44		N,N-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.97 MW _{found} = 363.4 MW _{calc} = 362.4

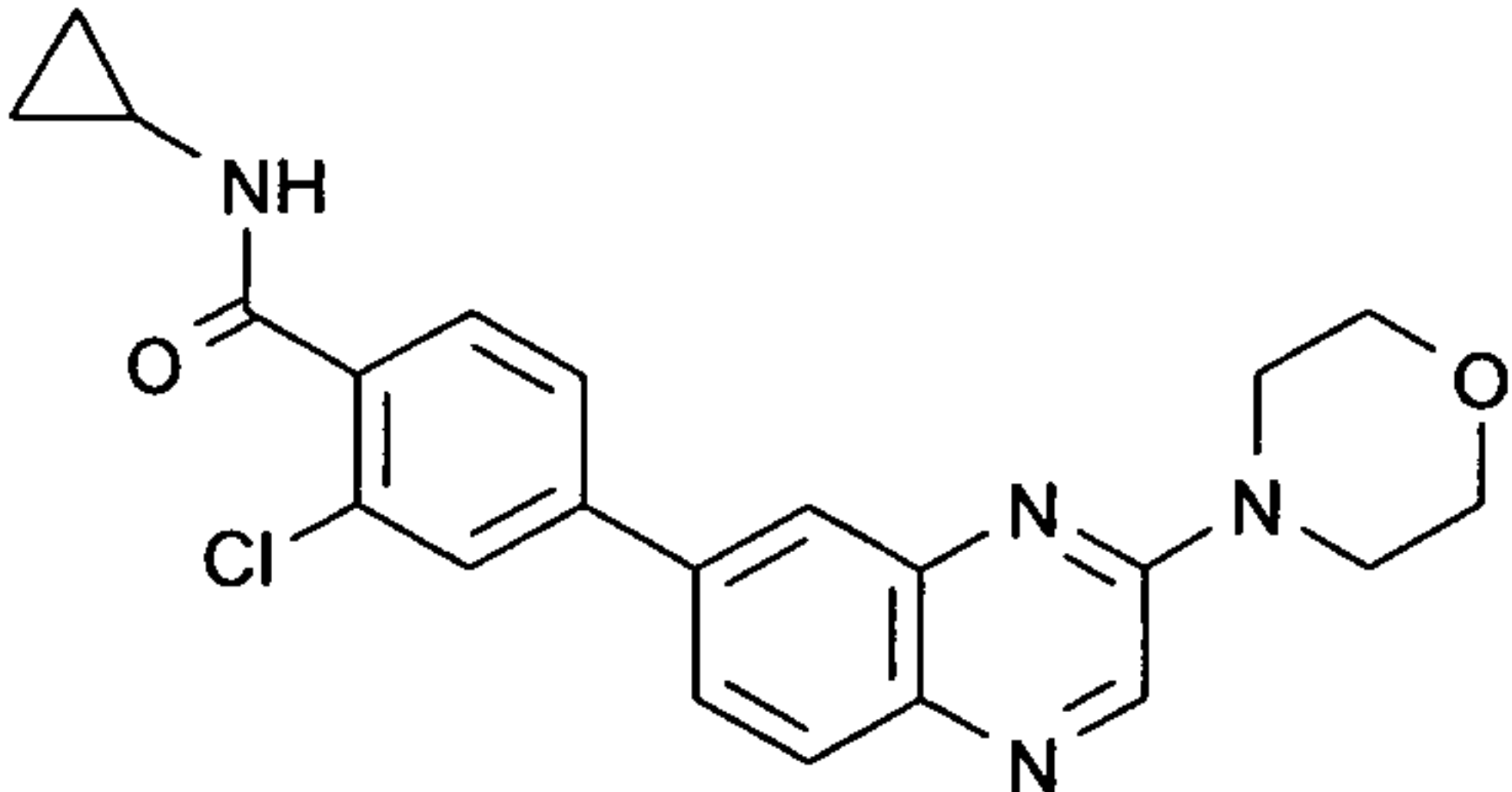
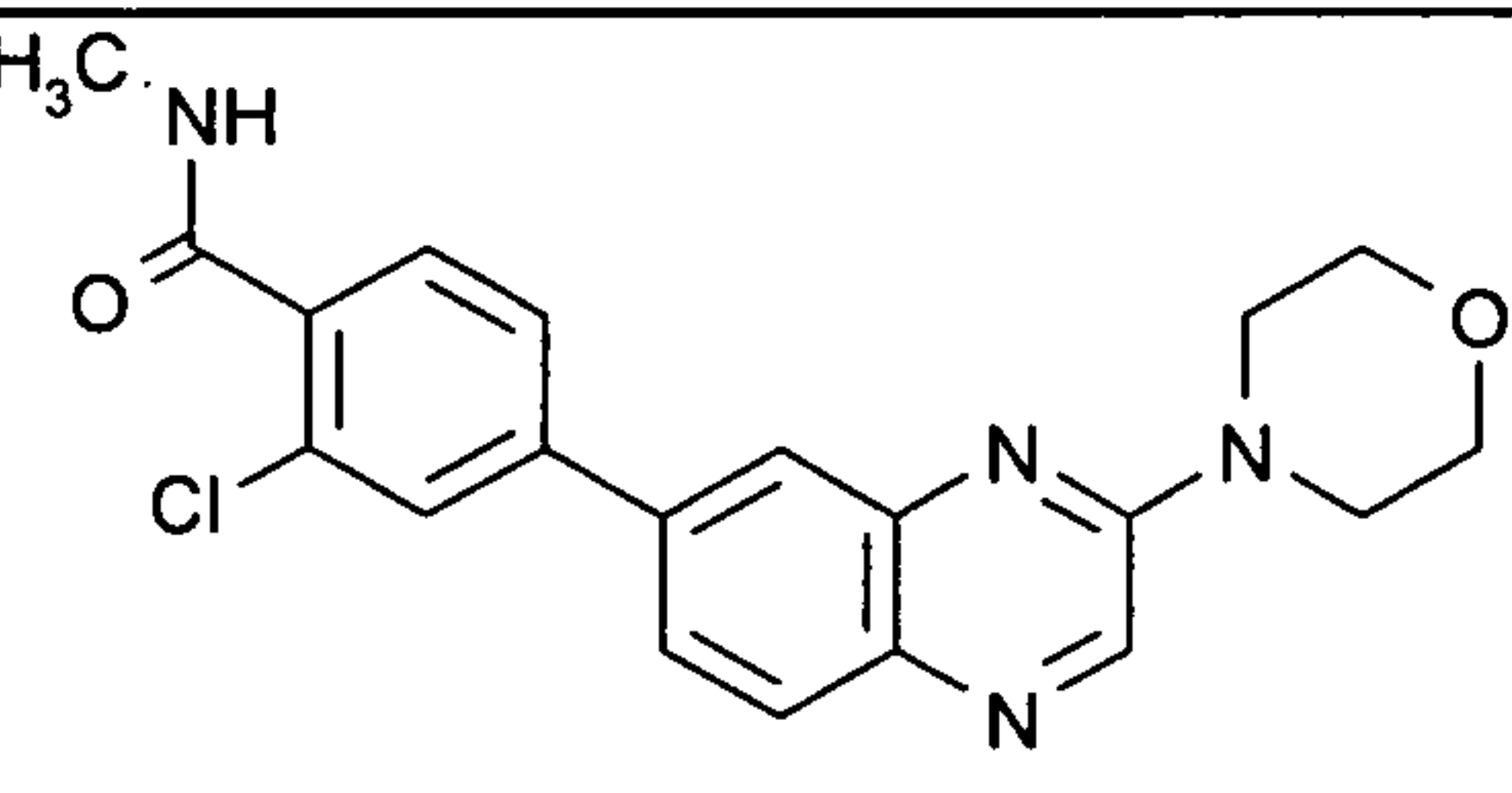
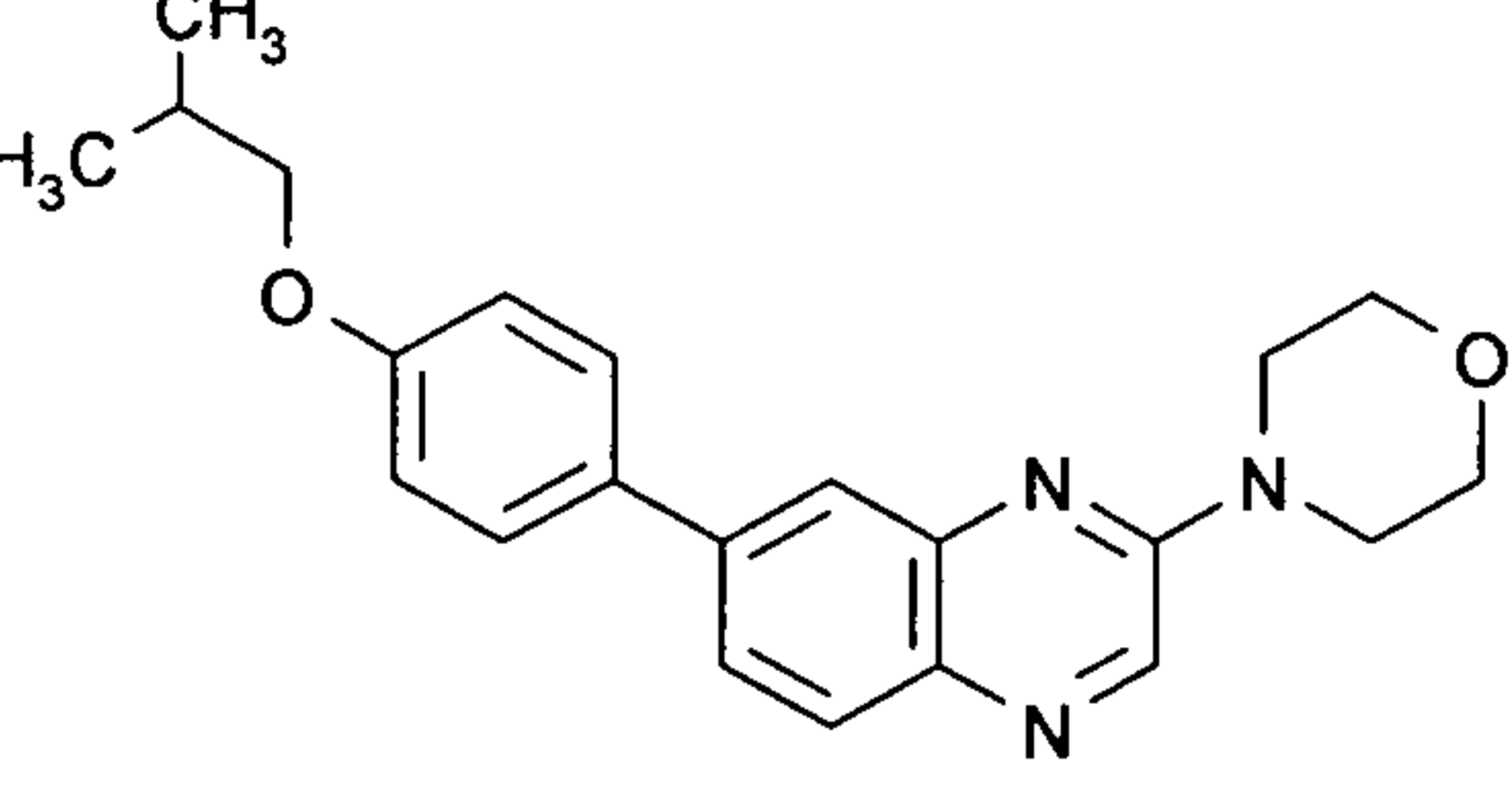
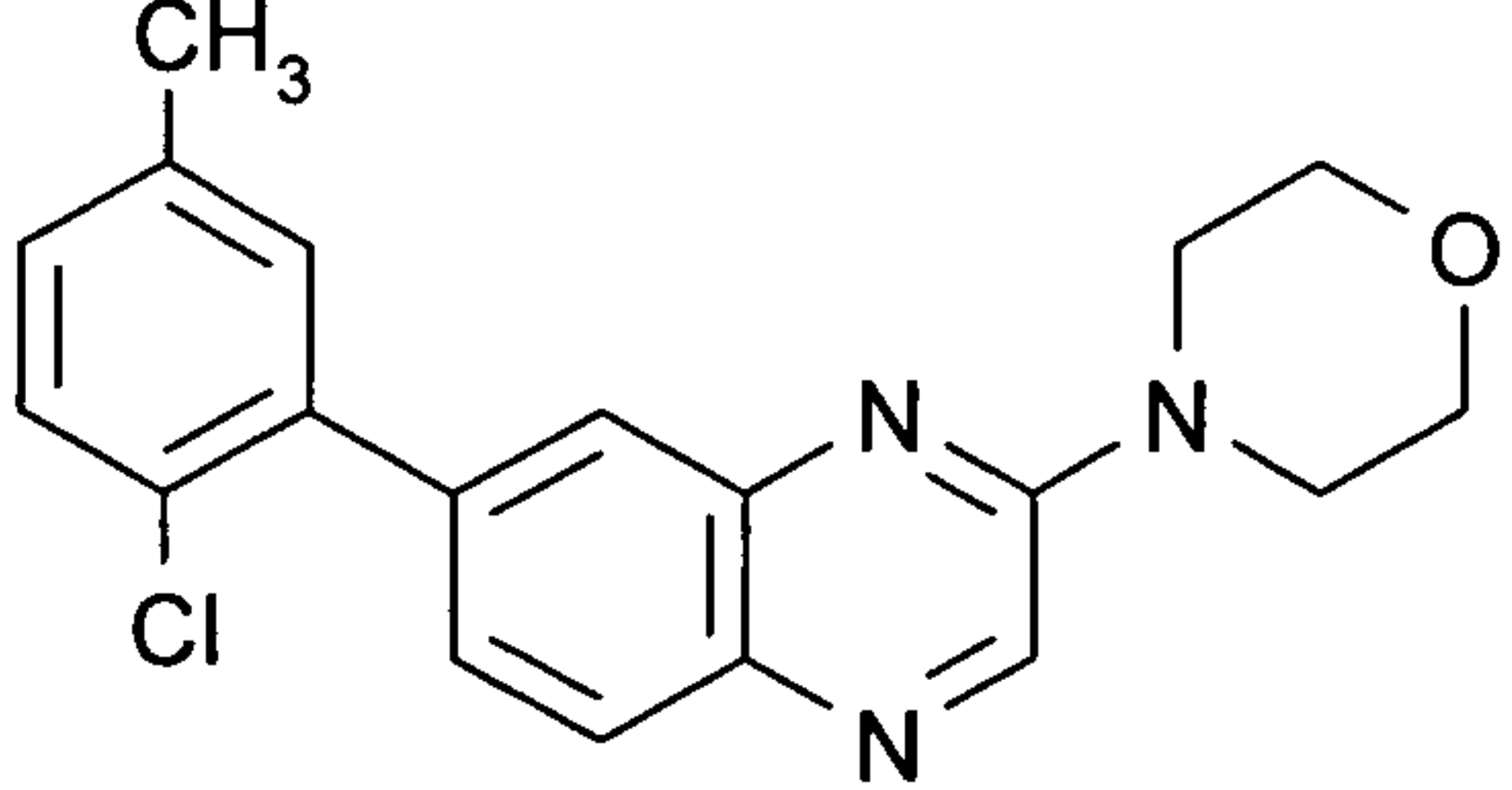
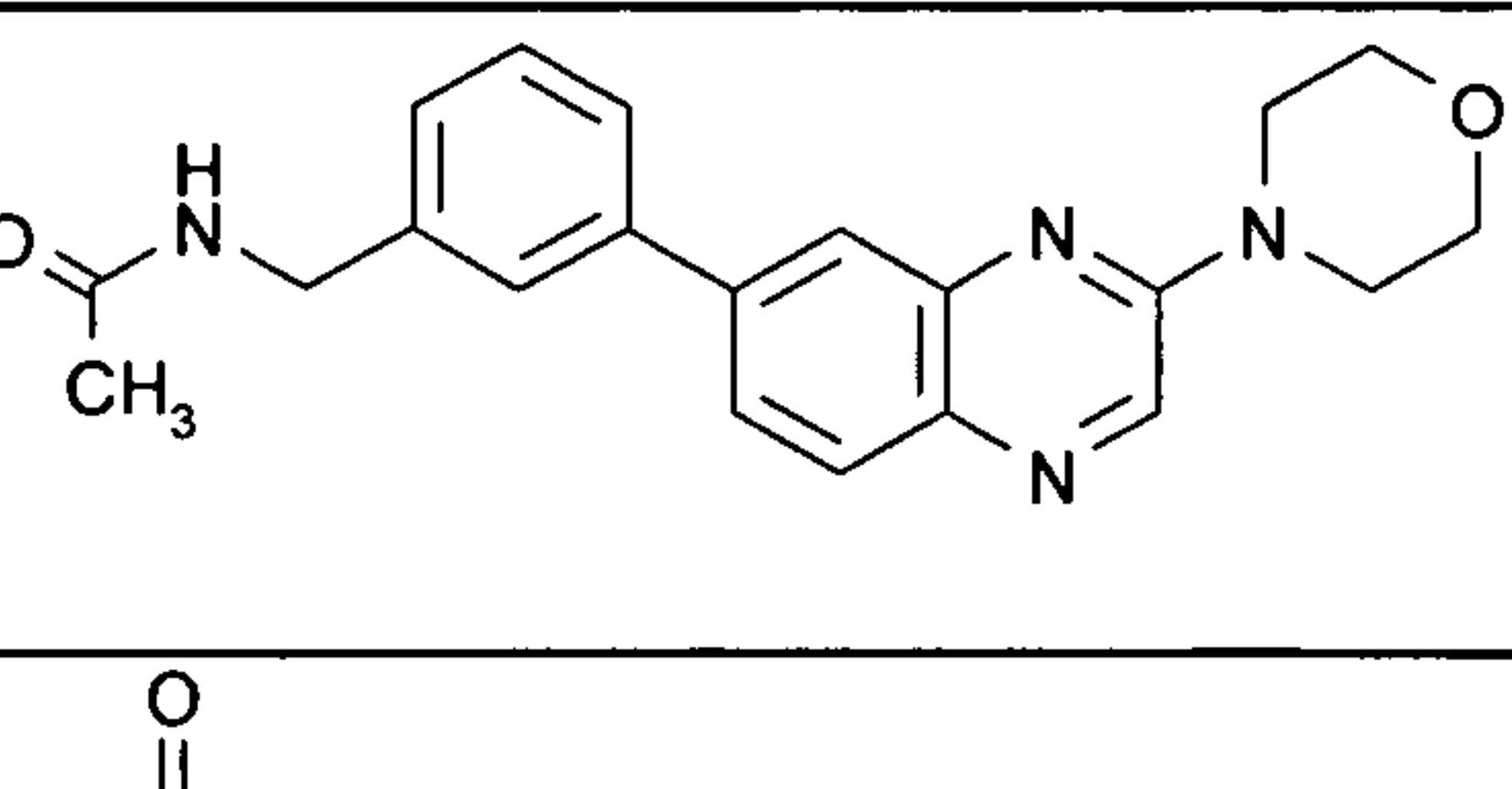
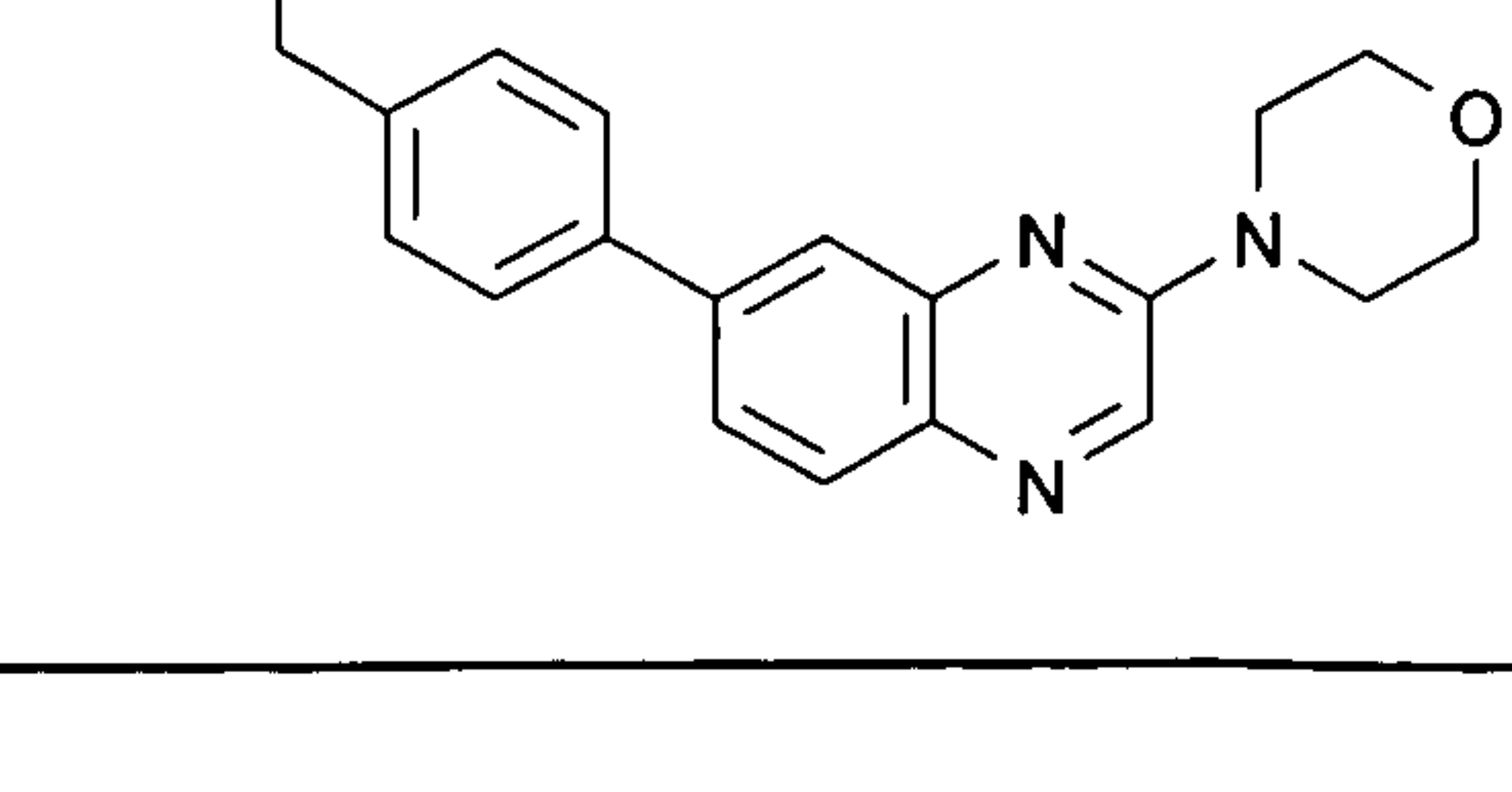
1.45		7-(3-chloro-4-methylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.48 MW _{found} = 340.8 MW _{calc} = 339.8
1.46		N-methyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.91 MW _{found} = 349.4 MW _{calc} = 348.4
1.47		N-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.89 MW _{found} = 349.4 MW _{calc} = 348.4
1.48		N-{2-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 0.92 MW _{found} = 349.4 MW _{calc} = 348.4
1.49		7-(2-fluorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.25 MW _{found} = 310.3 MW _{calc} = 309.3
1.50		7-(4-ethoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.31 MW _{found} = 336.4 MW _{calc} = 335.4
1.51		7-(2,5-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.19 MW _{found} = 352.4 MW _{calc} = 351.4

1.52		7-(3-fluoro-4-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.22 MW _{found} = 340.4 MW _{calc} = 339.4
1.53		7-(2-methylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.33 MW _{found} = 306.4 MW _{calc} = 305.4
1.54		2-(morpholin-4-yl)-7-[3-(propan-2-yloxy)phenyl]quinoxaline	RT = 1.39 MW _{found} = 350.4 MW _{calc} = 349.4
1.55		2-(morpholin-4-yl)-7-(4-nitrophenyl)quinoxaline	RT = 1.22 MW _{found} = 337.3 MW _{calc} = 336.3
1.56		7-[2-(benzyloxy)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.42 MW _{found} = 398.5 MW _{calc} = 397.5
1.57		N-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanesulfonamide	RT = 0.98 MW _{found} = 385.5 MW _{calc} = 384.5

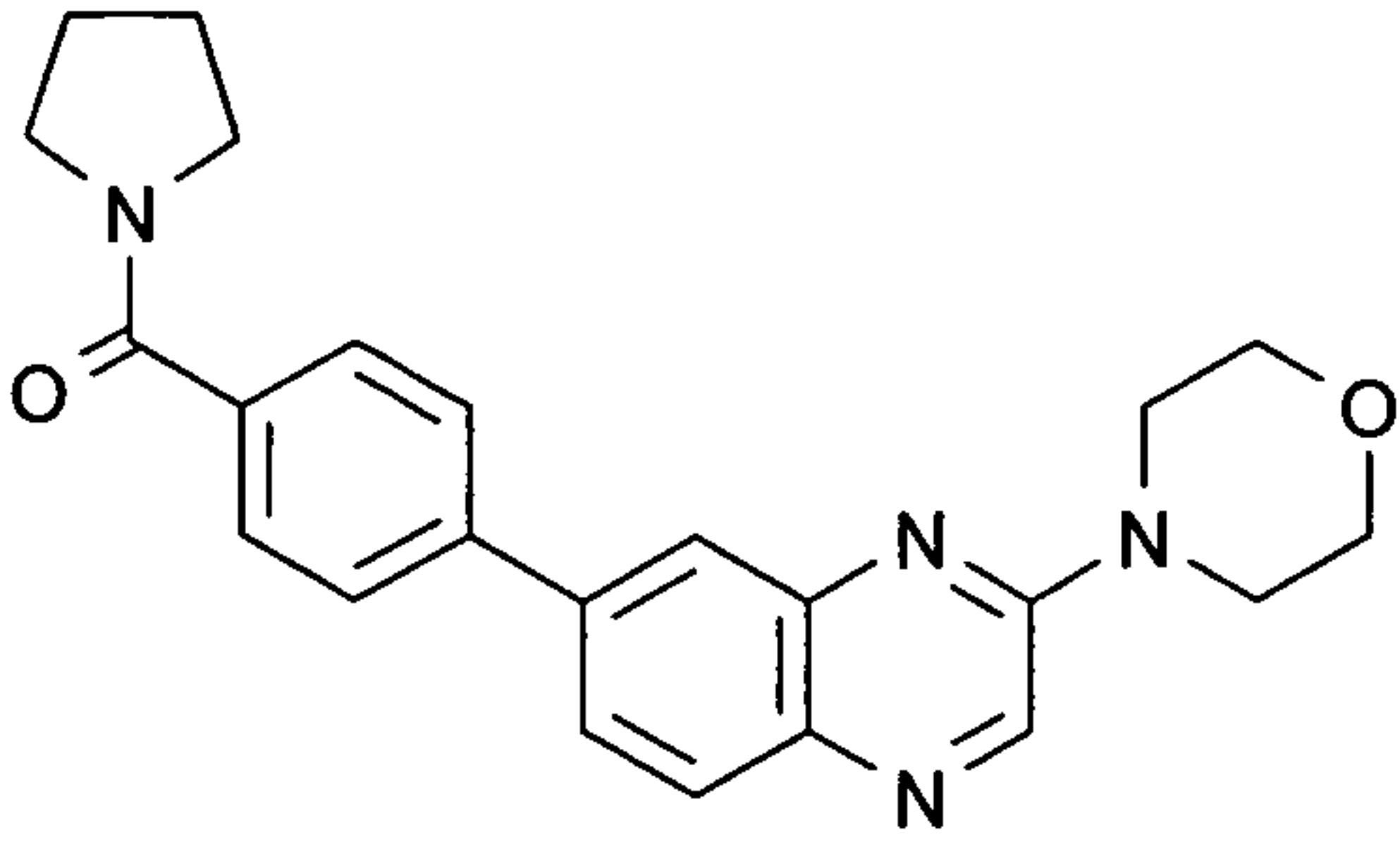
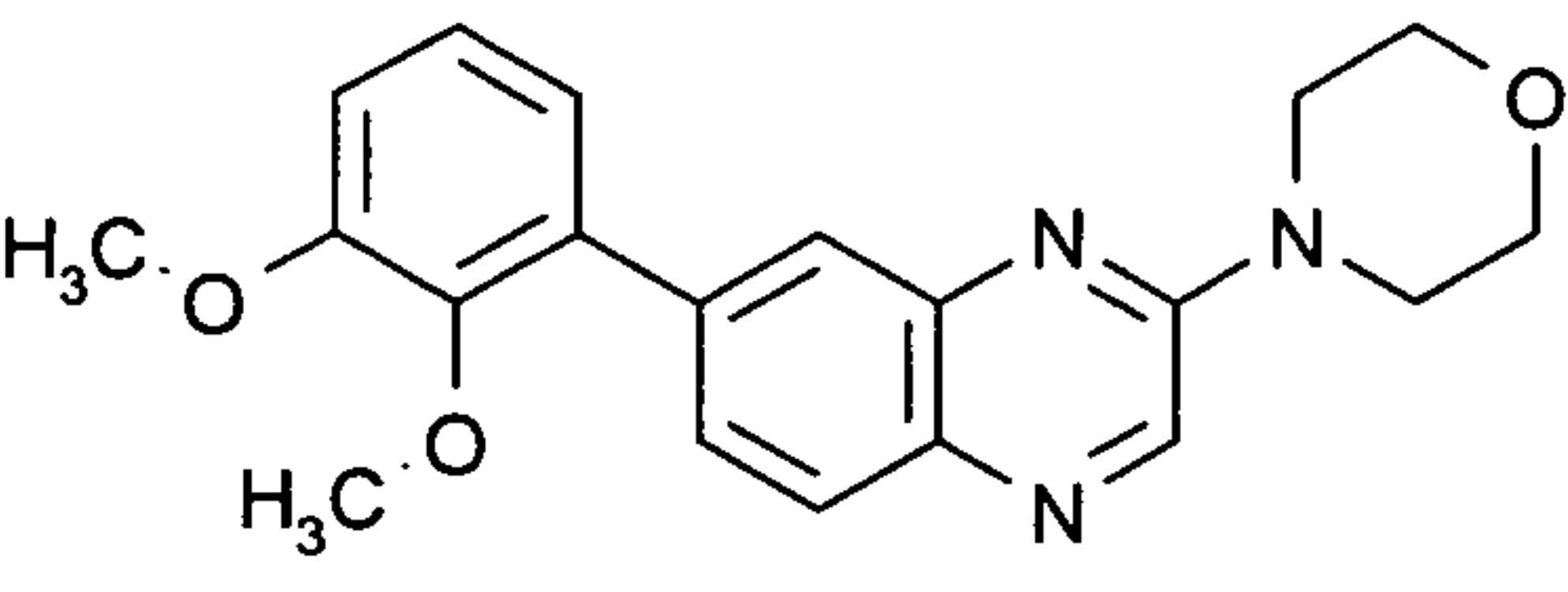
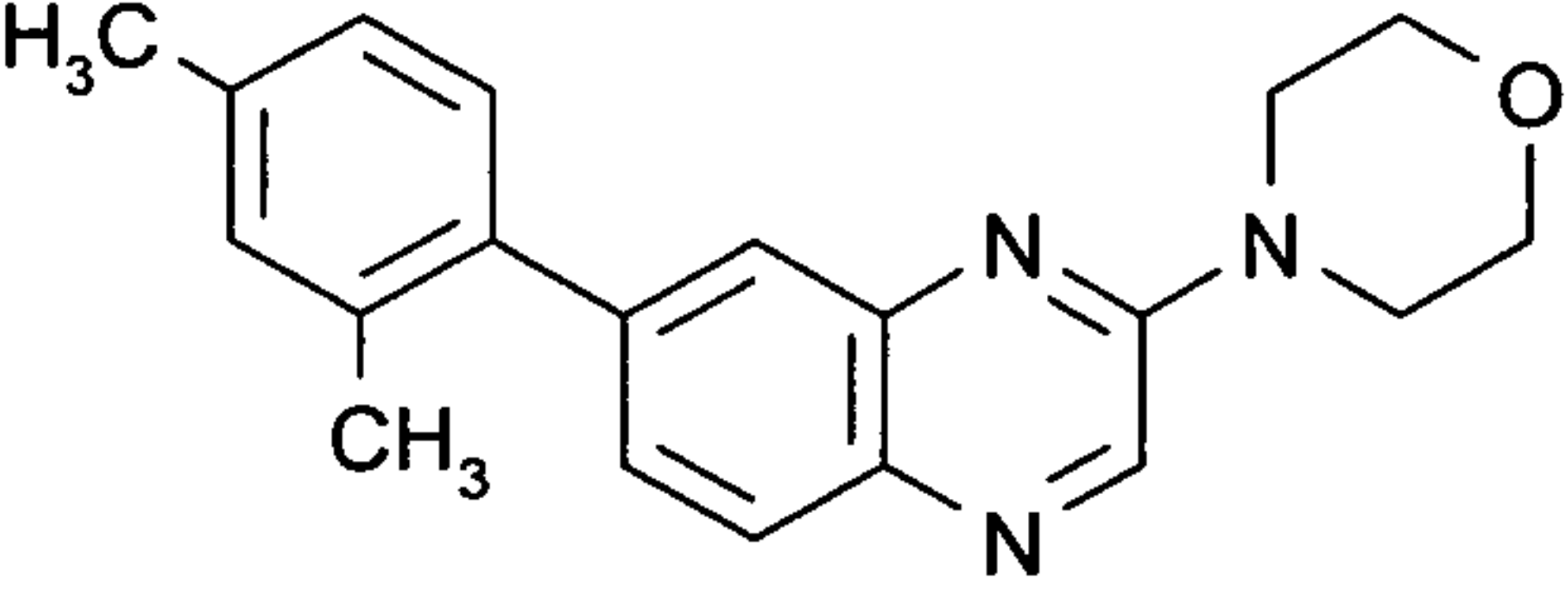
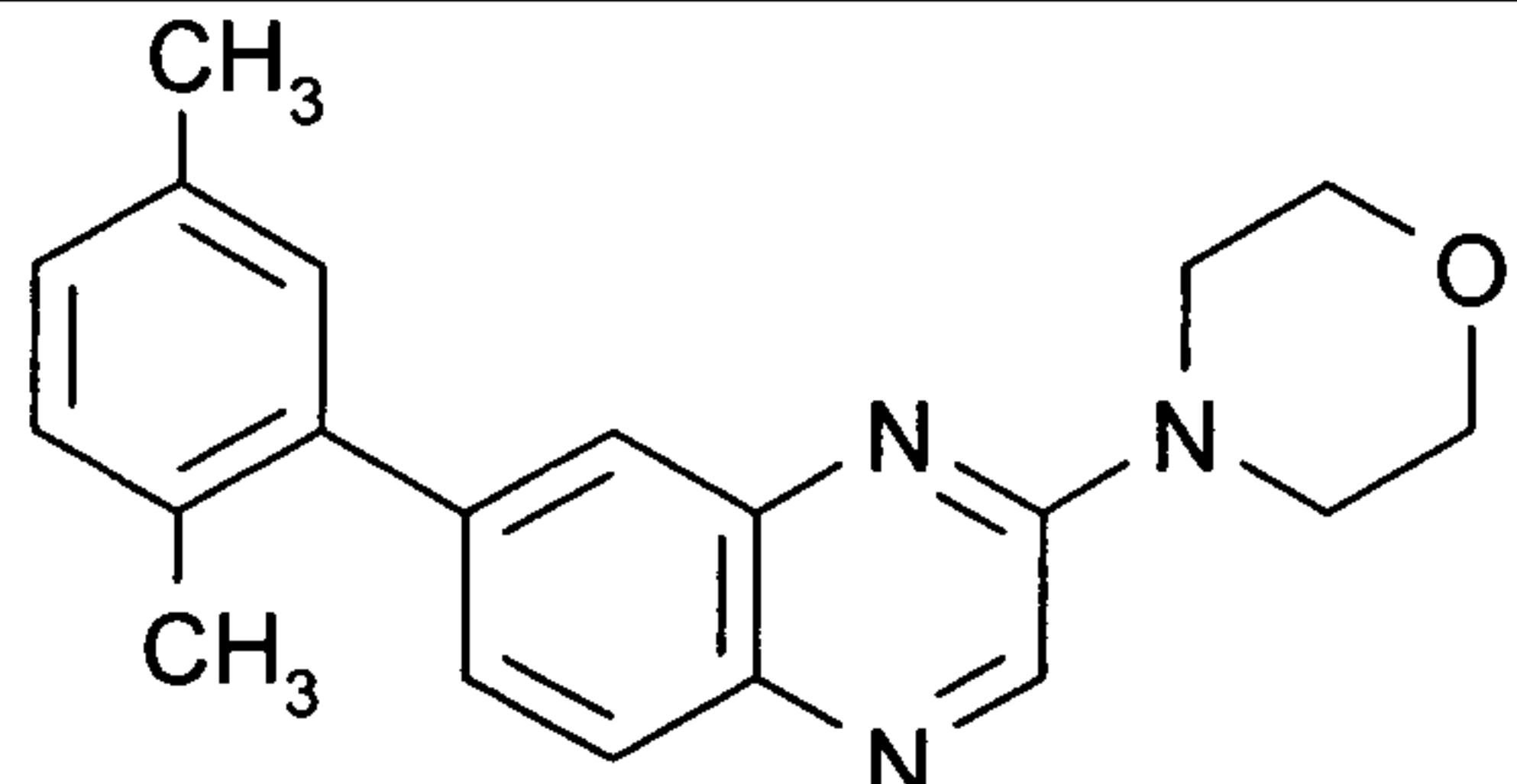
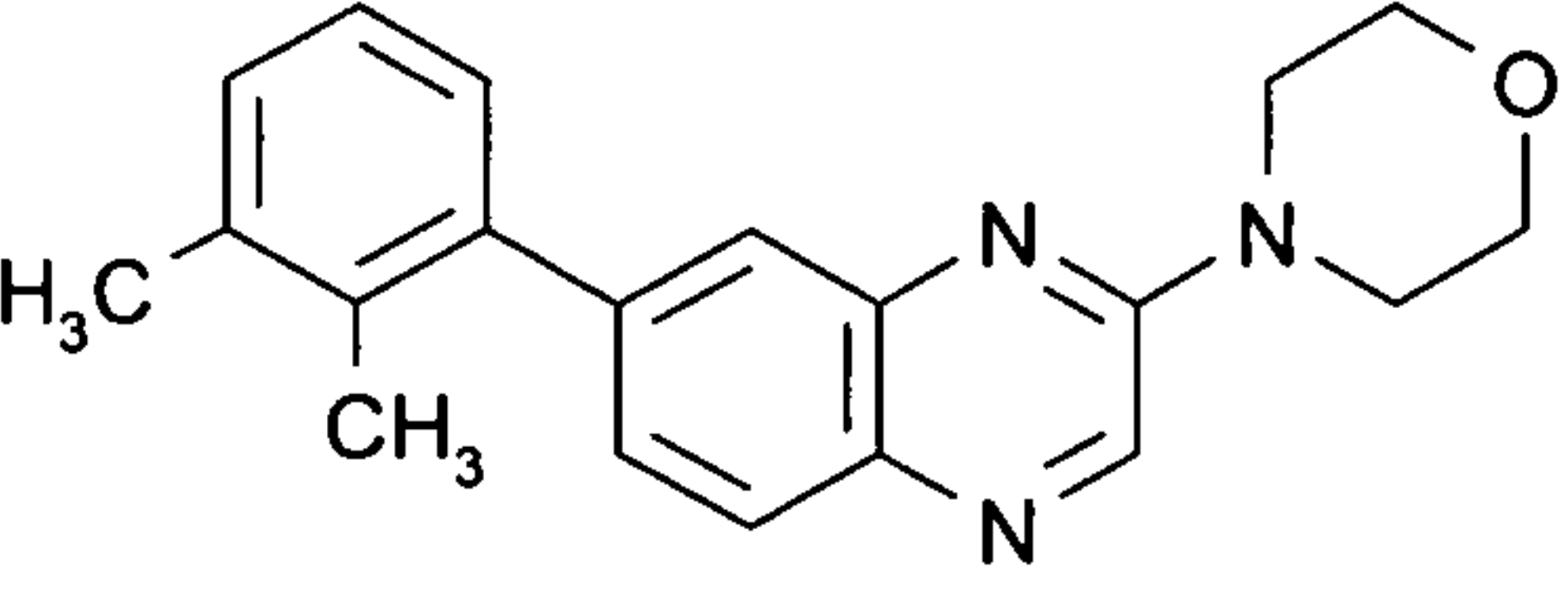
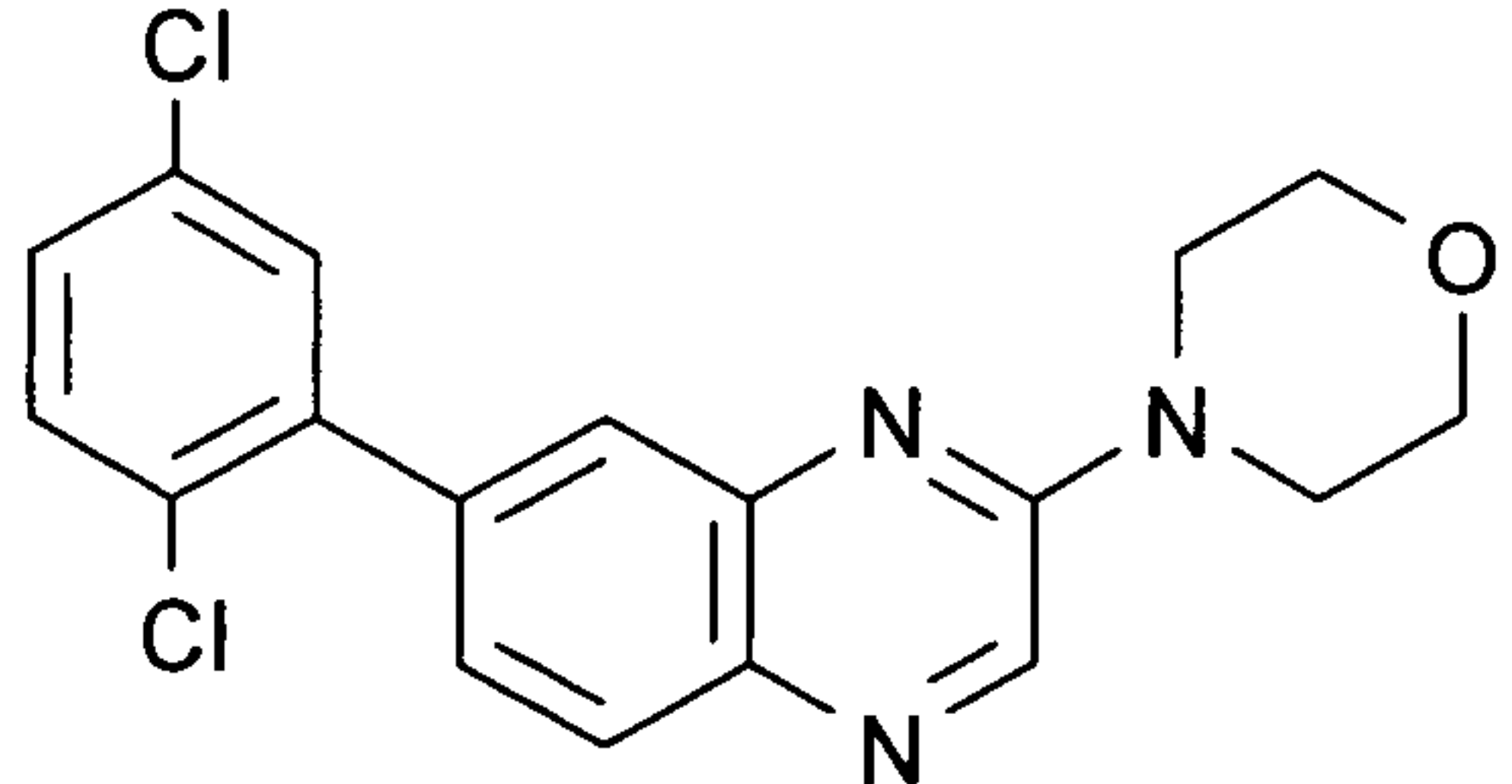
1.58		2-(morpholin-4-yl)-7-[4-(propan-2-yloxy)phenyl]quinoxaline	RT = 1.38 MW _{found} = 350.4 MW _{calc} = 349.4
1.59		N-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 0.95 MW _{found} = 349.4 MW _{calc} = 348.4
1.60		7-(3,4-difluorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.30 MW _{found} = 328.3 MW _{calc} = 327.3
1.61		7-(4-methoxy-3,5-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.37 MW _{found} = 350.4 MW _{calc} = 349.4
1.62		7-(3,5-difluorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.32 MW _{found} = 328.3 MW _{calc} = 327.3
1.63		3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzonitrile	RT = 1.15 MW _{found} = 317.4 MW _{calc} = 316.4
1.64		7-(2,4-difluorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.29 MW _{found} = 328.3 MW _{calc} = 327.3

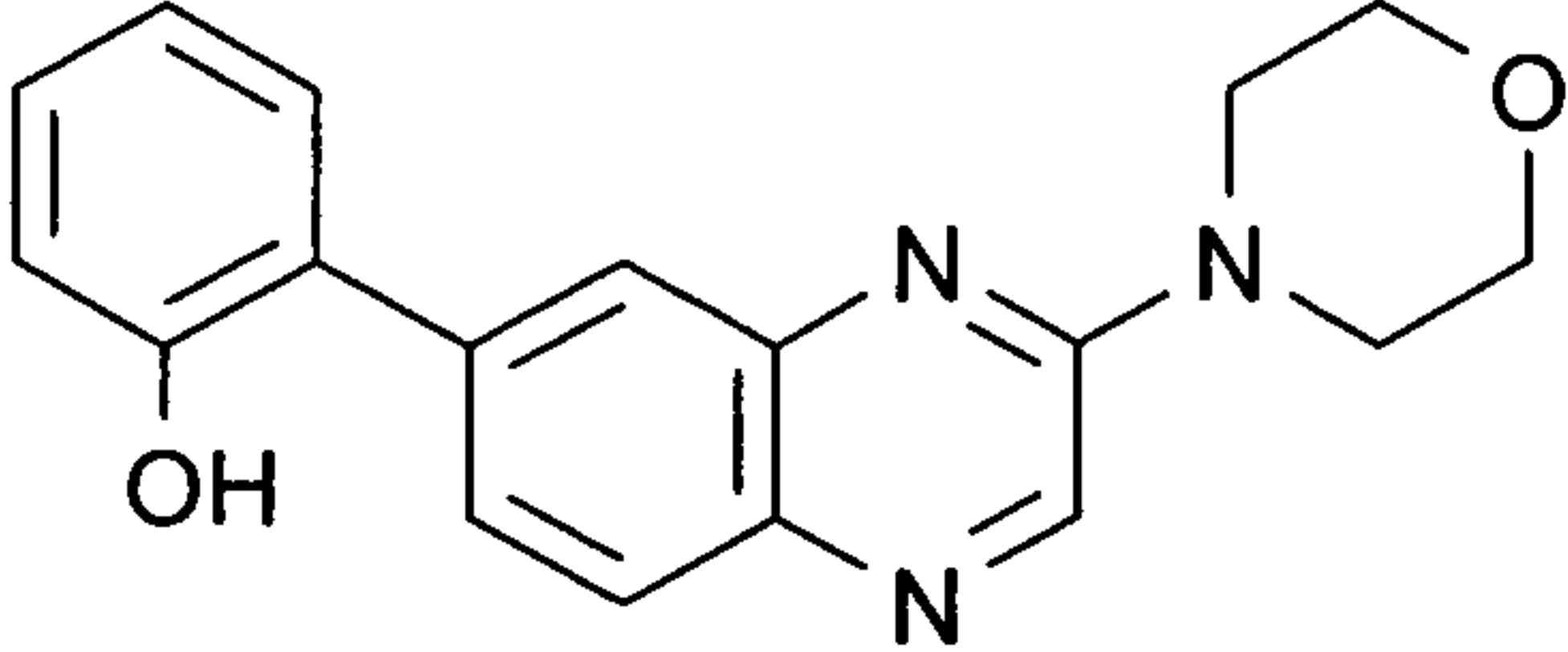
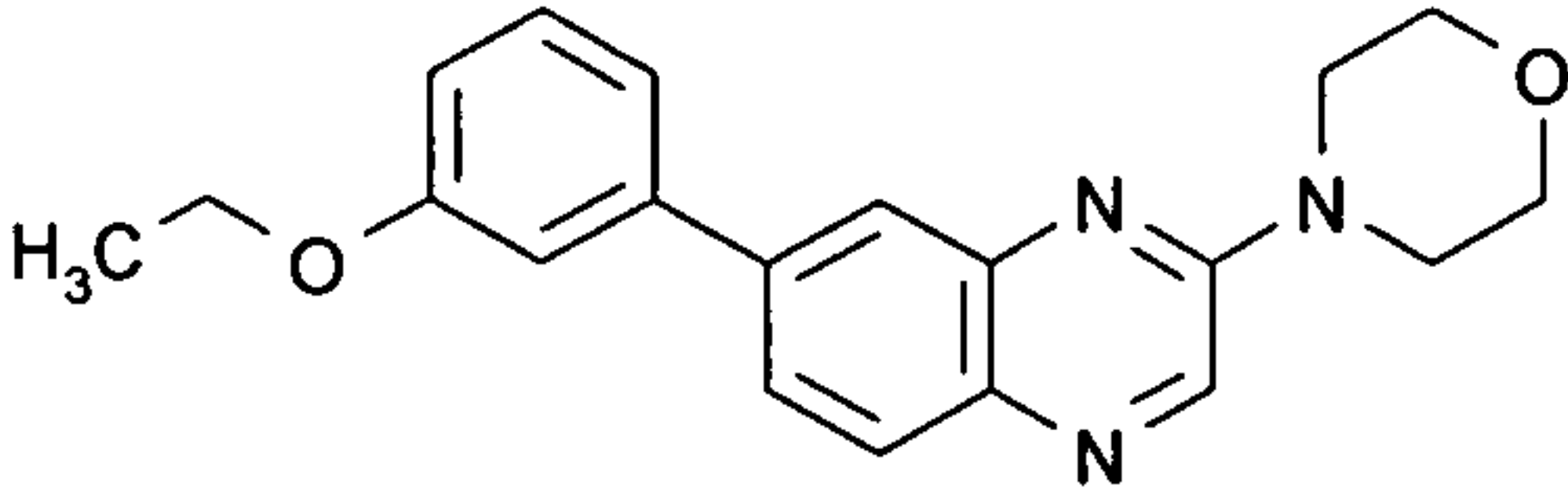
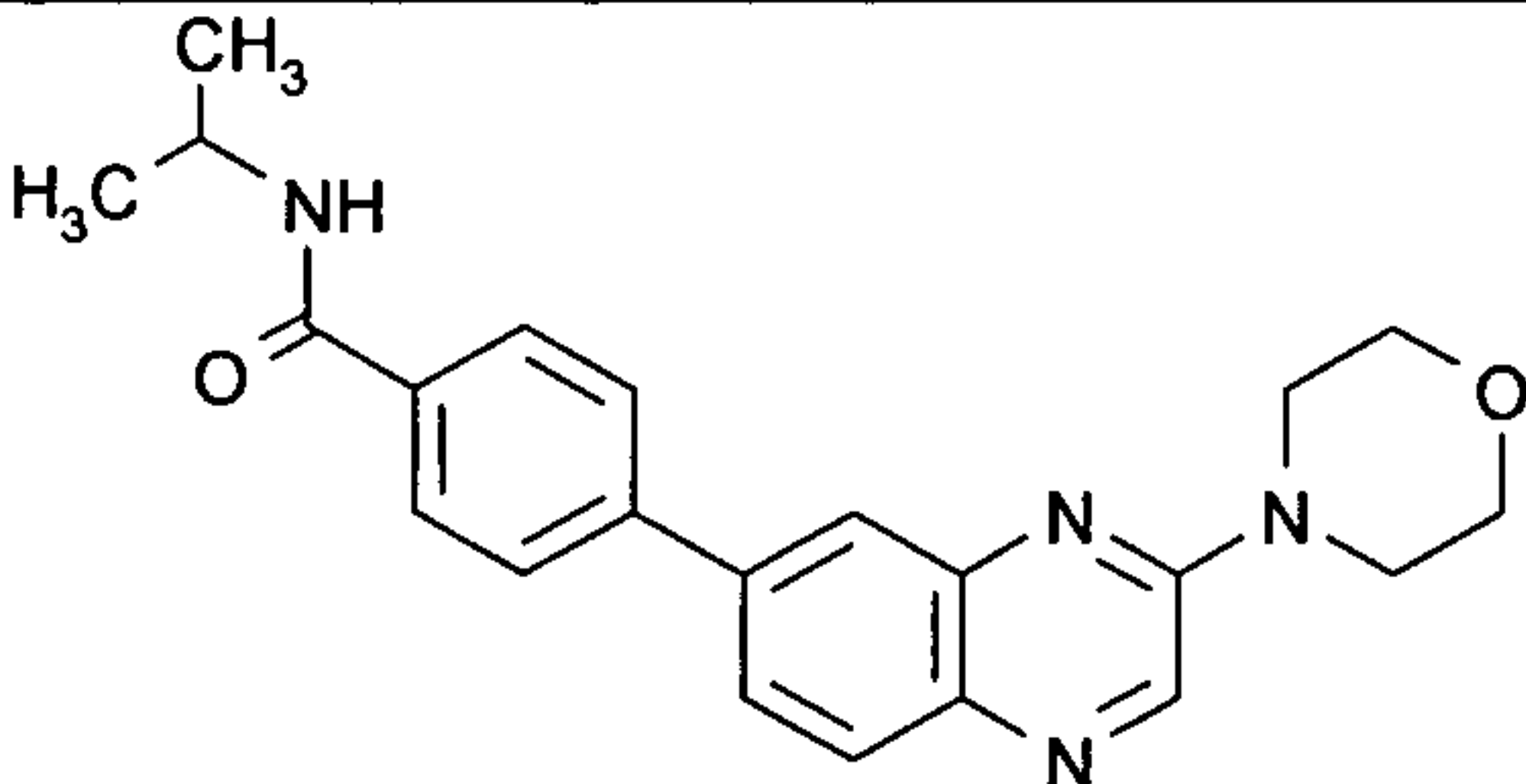
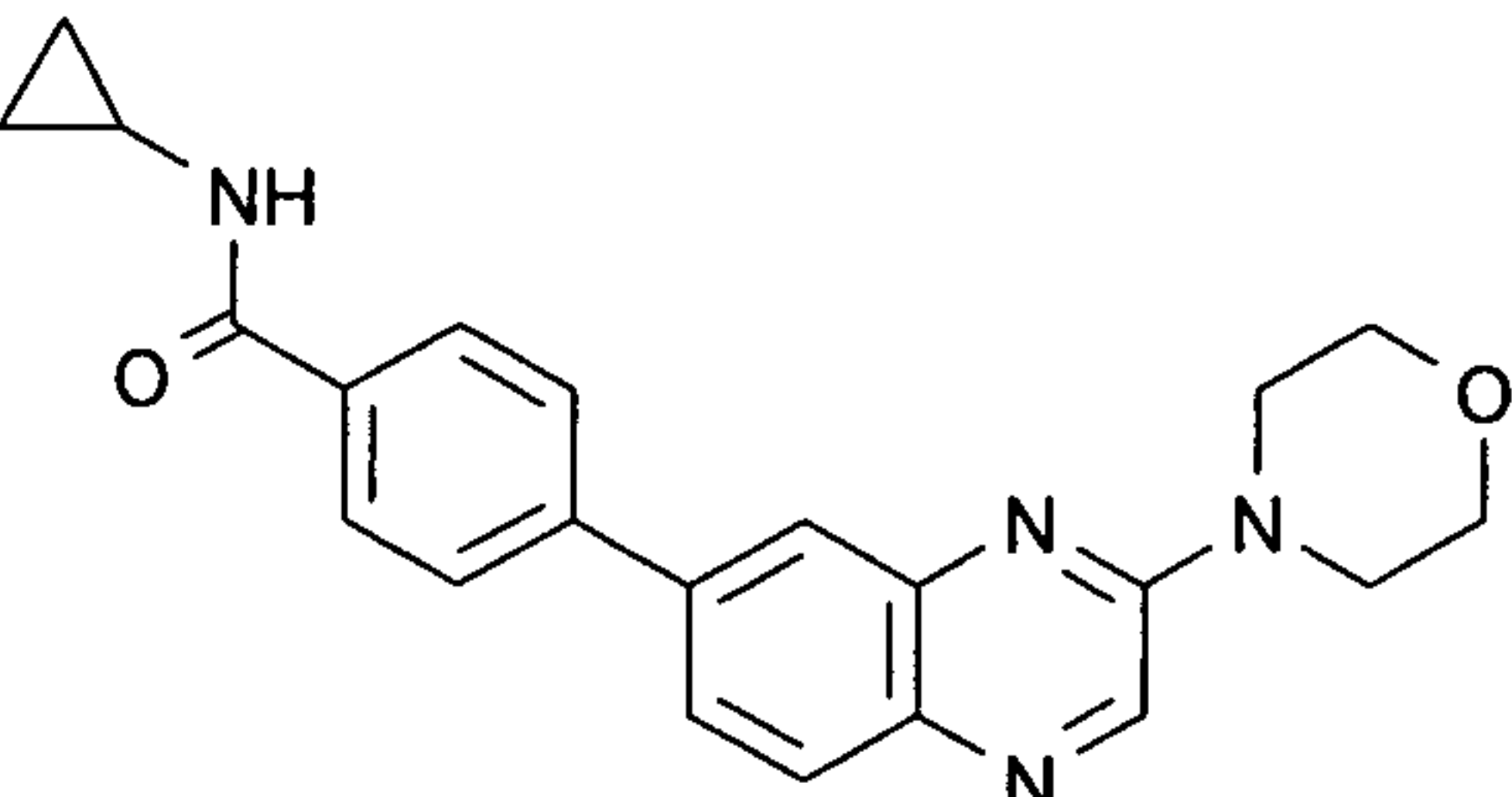
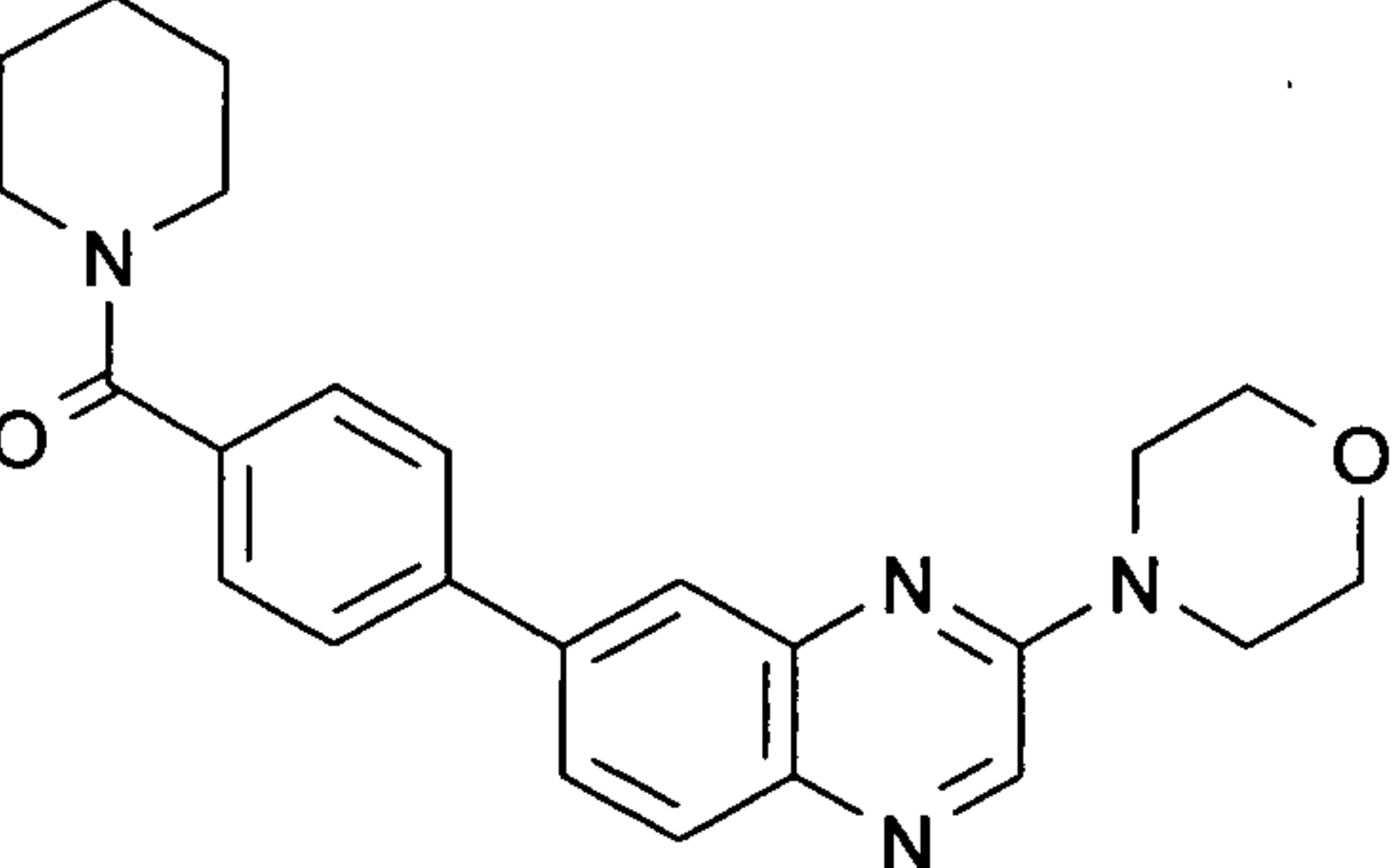
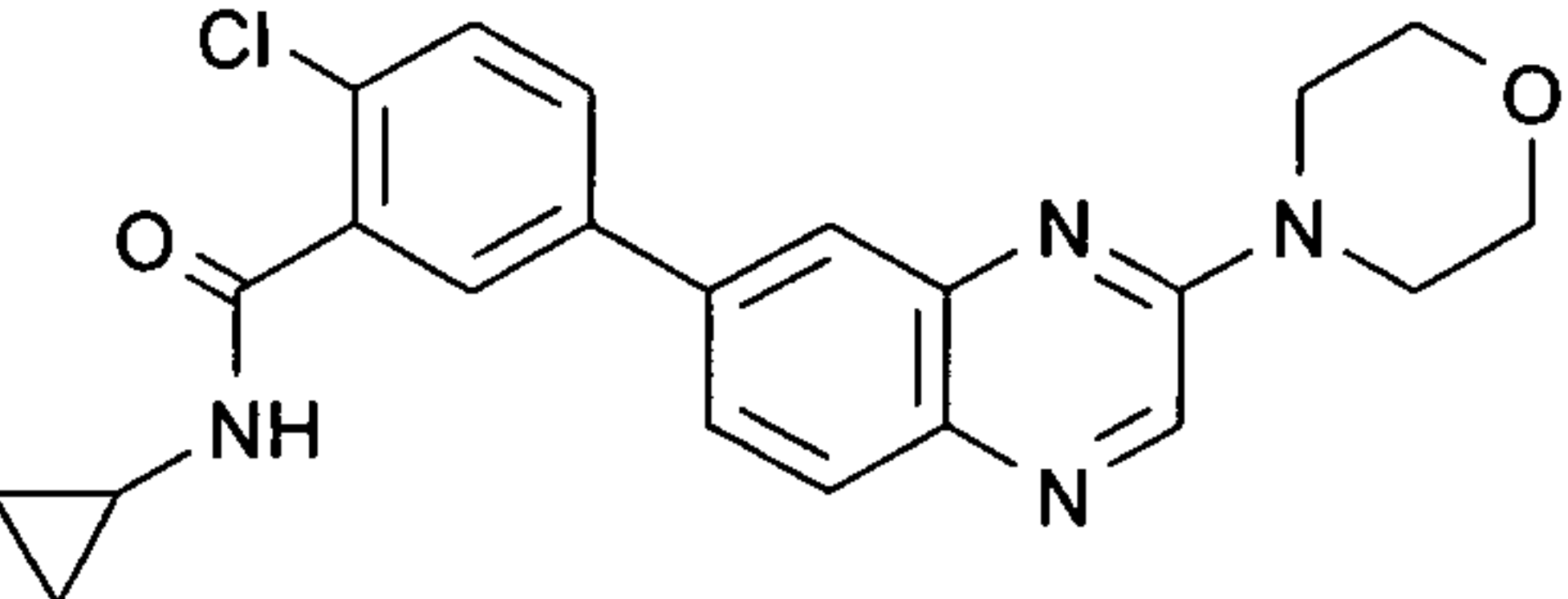
1.65		7-(2,3-difluorophenyl)- 2-(morpholin-4-yl)quinoxaline	RT = 1.28 MW _{found} = 328.3 MW _{calc} = 327.3
1.66		7-(2,5-difluorophenyl)- 2-(morpholin-4-yl)quinoxaline	RT = 1.28 MW _{found} = 328.3 MW _{calc} = 327.3
1.67		methyl 3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzoate	RT = 1.22 MW _{found} = 350.4 MW _{calc} = 349.4
1.68		7-(2-fluoro-3-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.21 MW _{found} = 340.4 MW _{calc} = 339.4
1.69		methyl 2-[3-(morpholin-4-yl)quinoxalin-6-yl]benzoate	RT = 1.16 MW _{found} = 350.4 MW _{calc} = 349.4
1.70		3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.87 MW _{found} = 335.4 MW _{calc} = 334.4

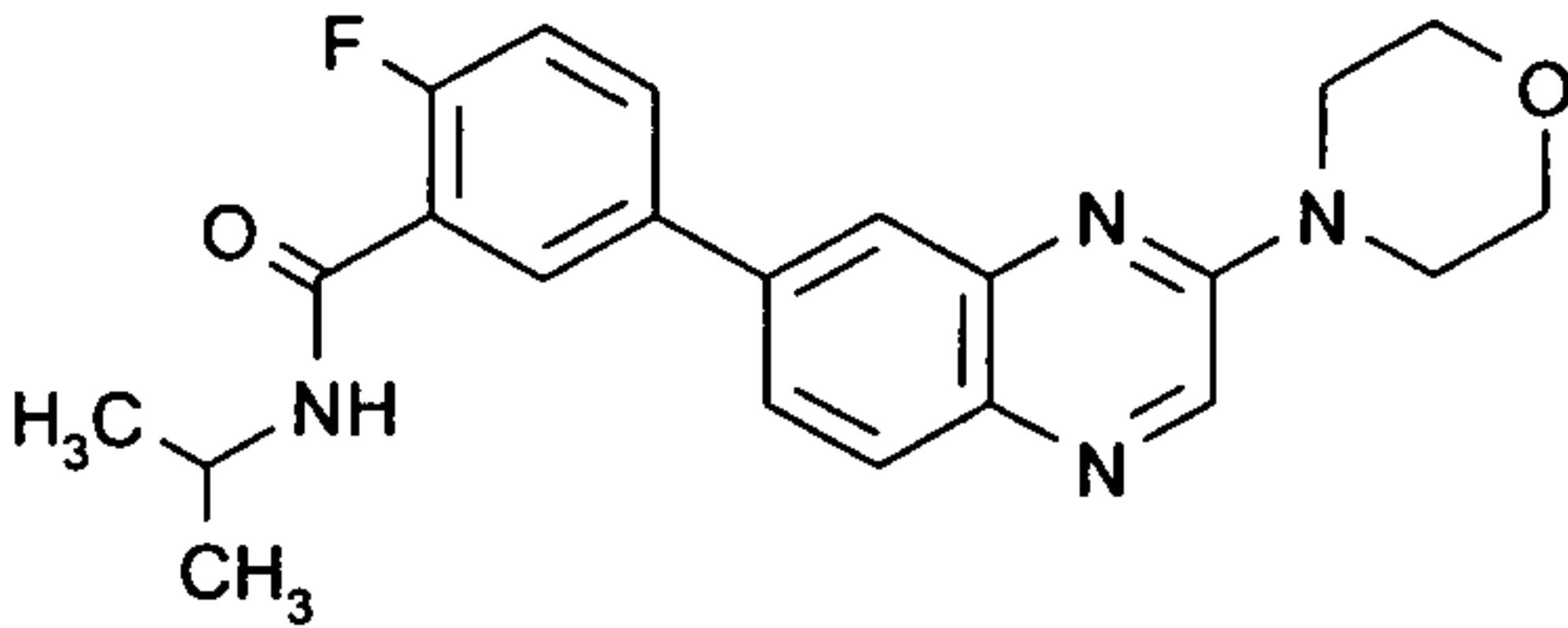
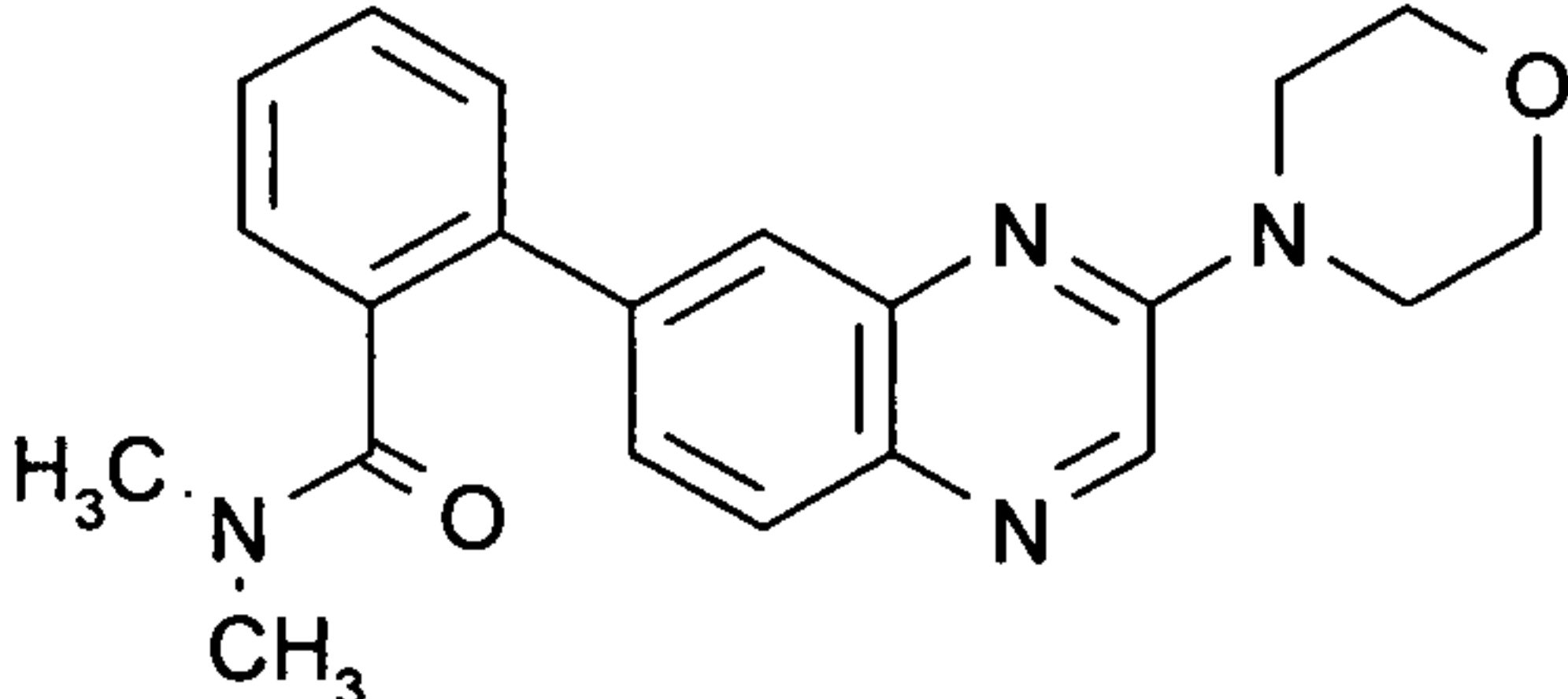
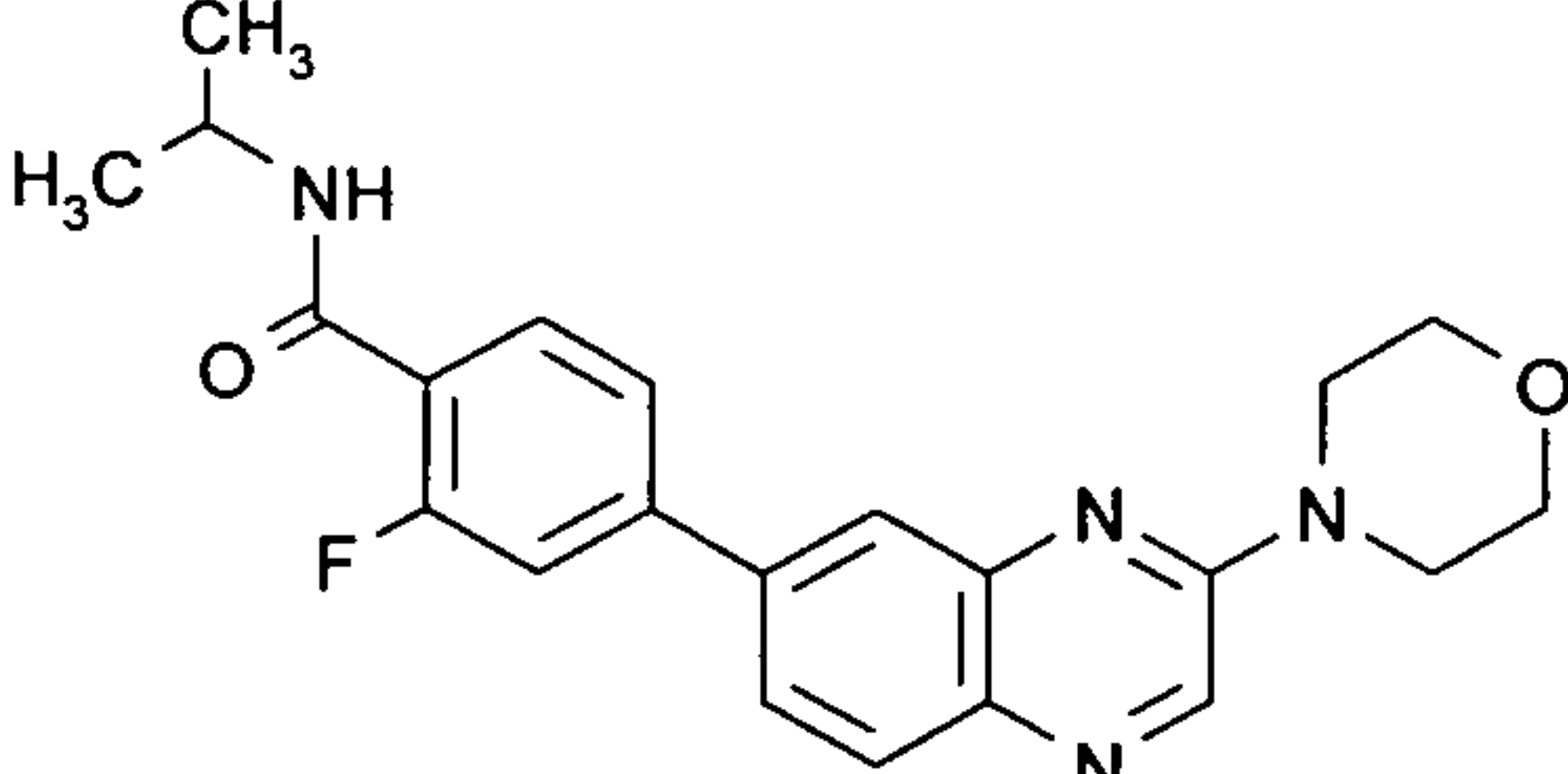
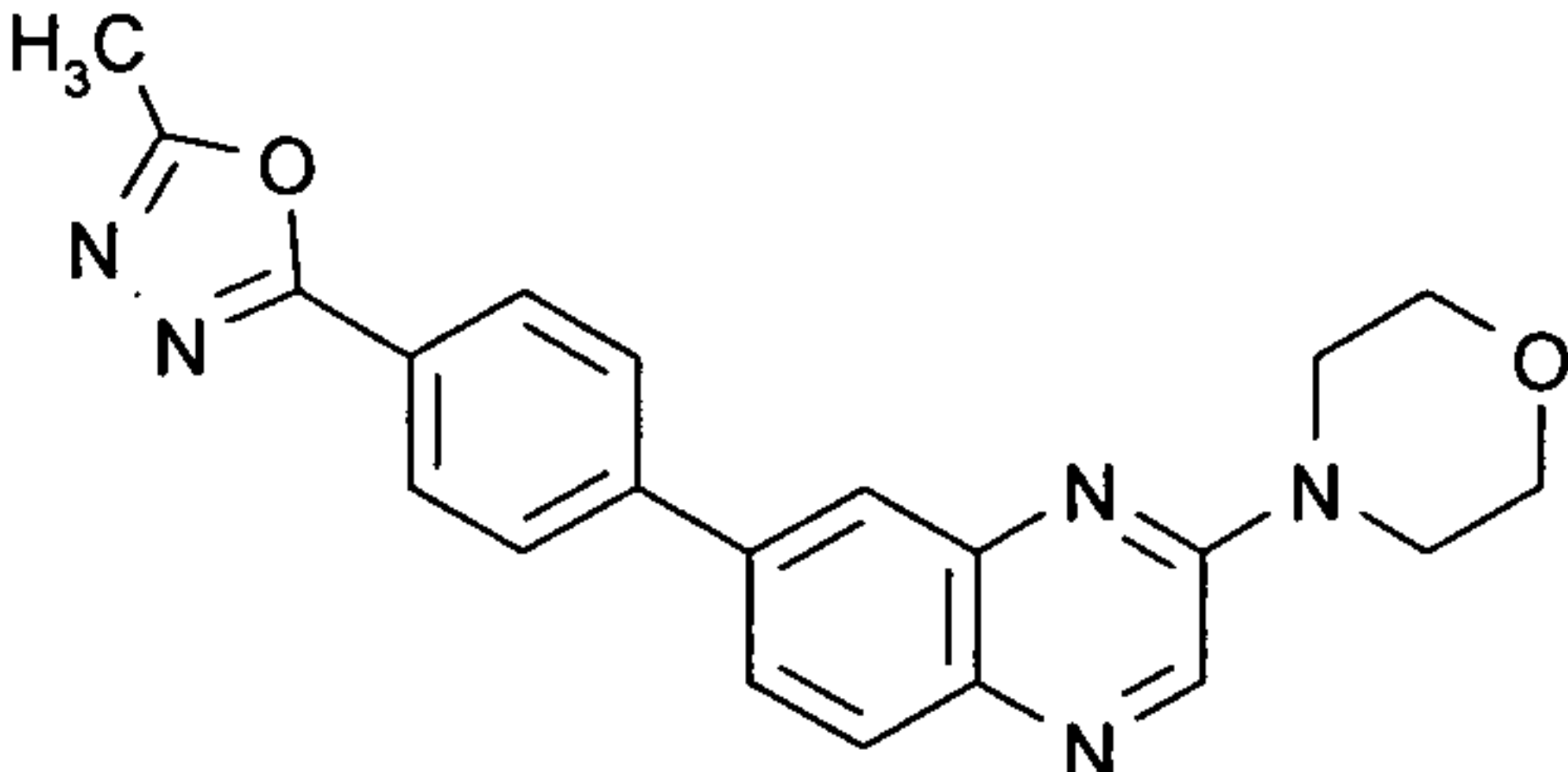
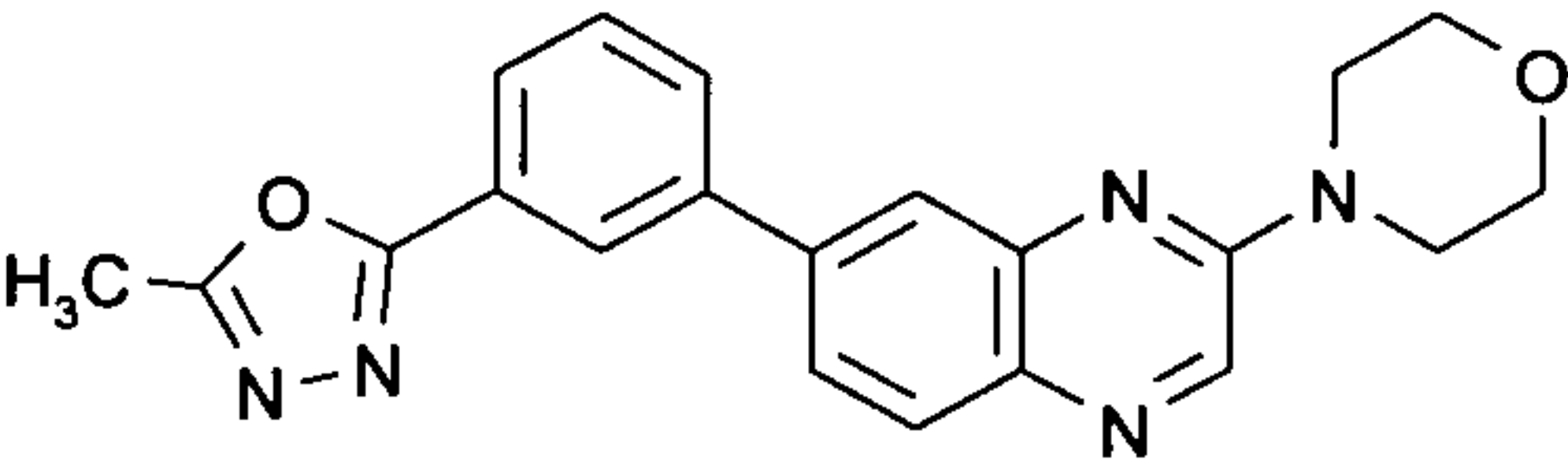
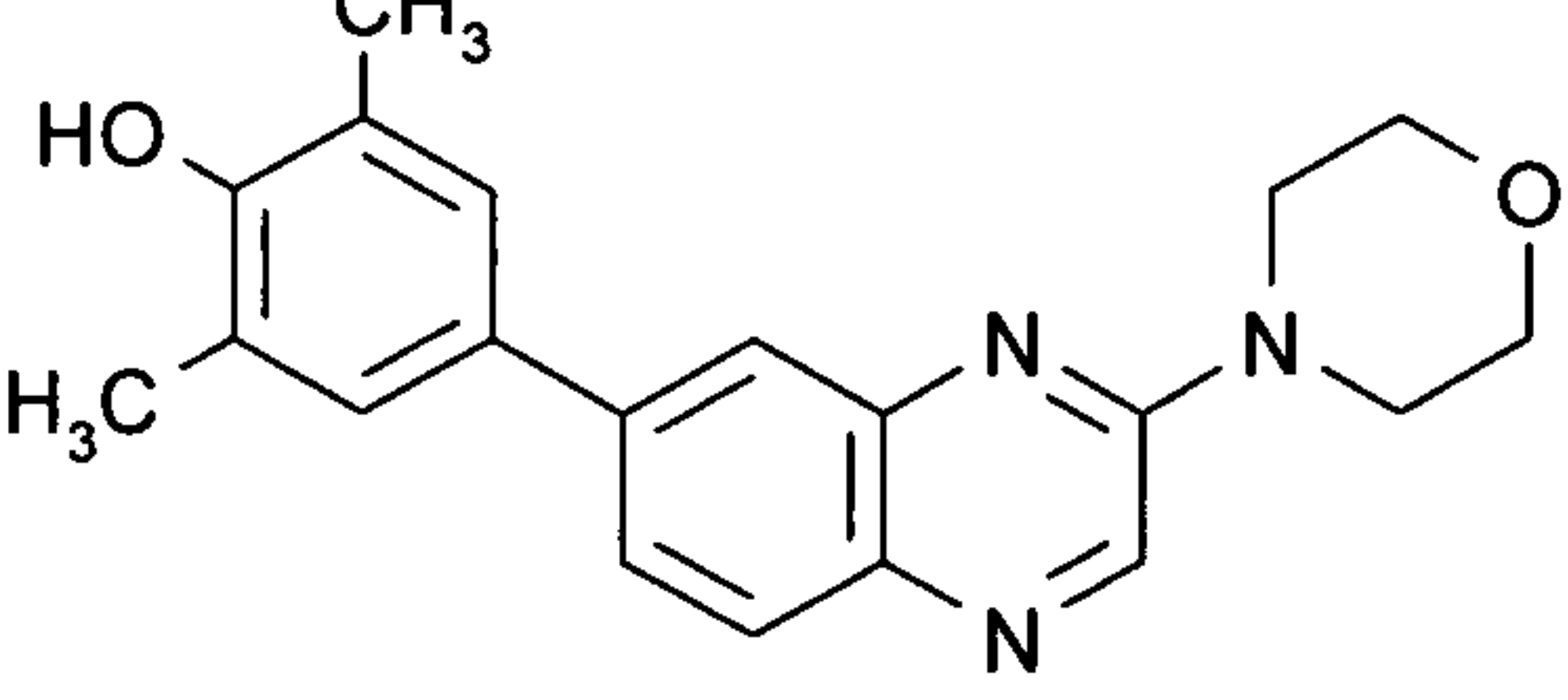
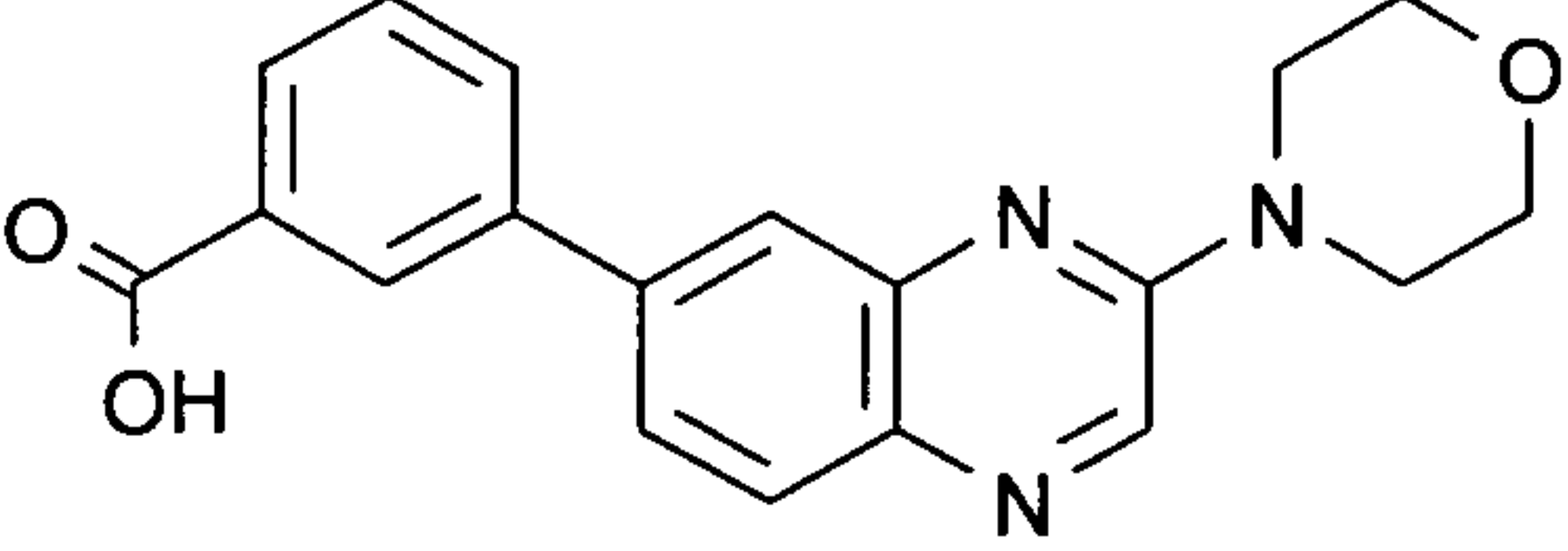
1.71		1-{4-[(4-methylpiperazin-1-yl)methyl]-3-(trifluoromethyl)phenyl}-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea	RT = 0.88 MW _{found} = 606.7 MW _{calc} = 605.7
1.72		7-(3,5-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.45 MW _{found} = 320.4 MW _{calc} = 319.4
1.73		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 0.93 MW _{found} = 349.4 MW _{calc} = 348.4
1.74		7-(3-fluoro-5-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.29 MW _{found} = 340.4 MW _{calc} = 339.4
1.75		7-(3,4-dichlorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.50 MW _{found} = 361.2 MW _{calc} = 360.2
1.76		7-[4-(methylsulfonyl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 0.97 MW _{found} = 370.4 MW _{calc} = 369.4

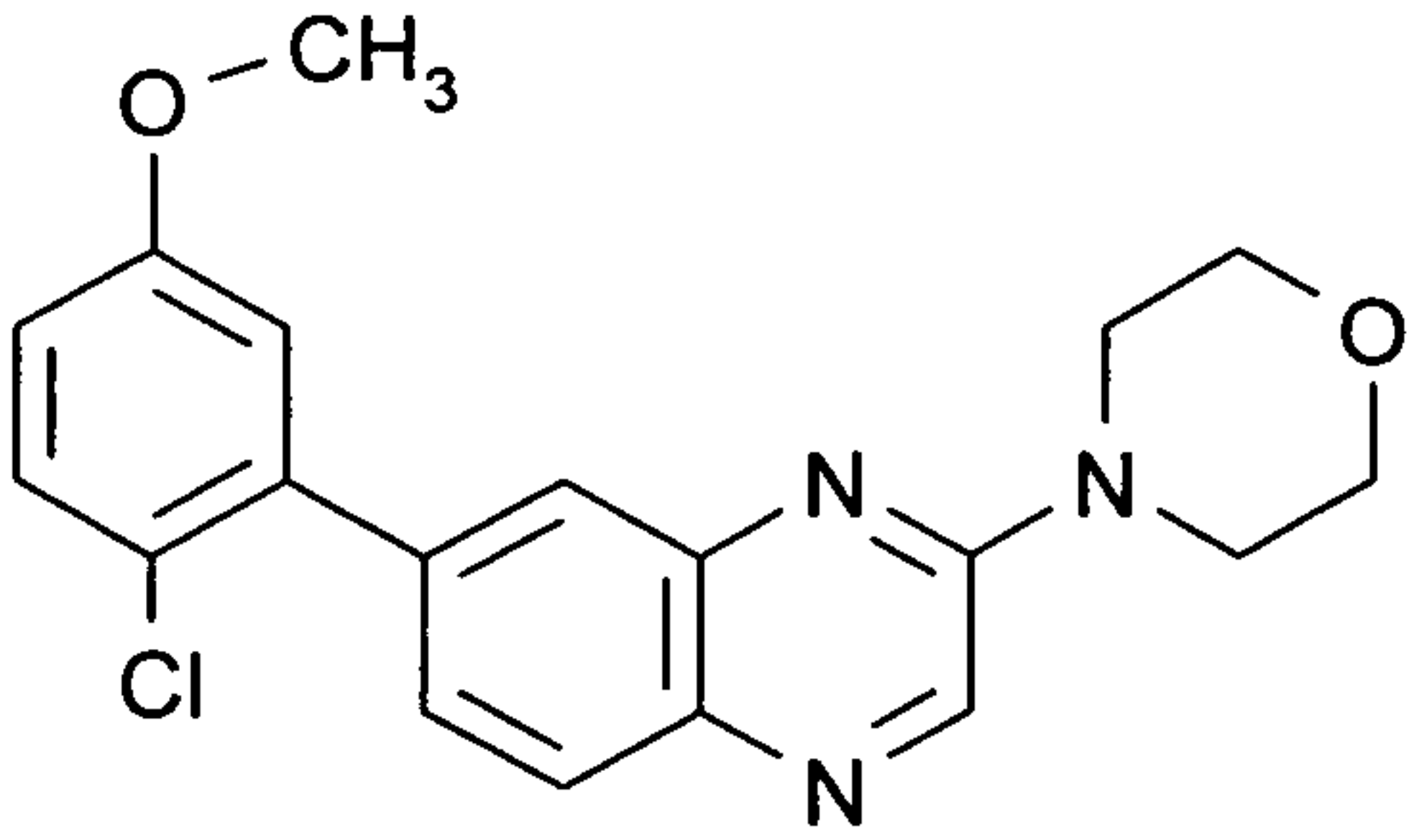
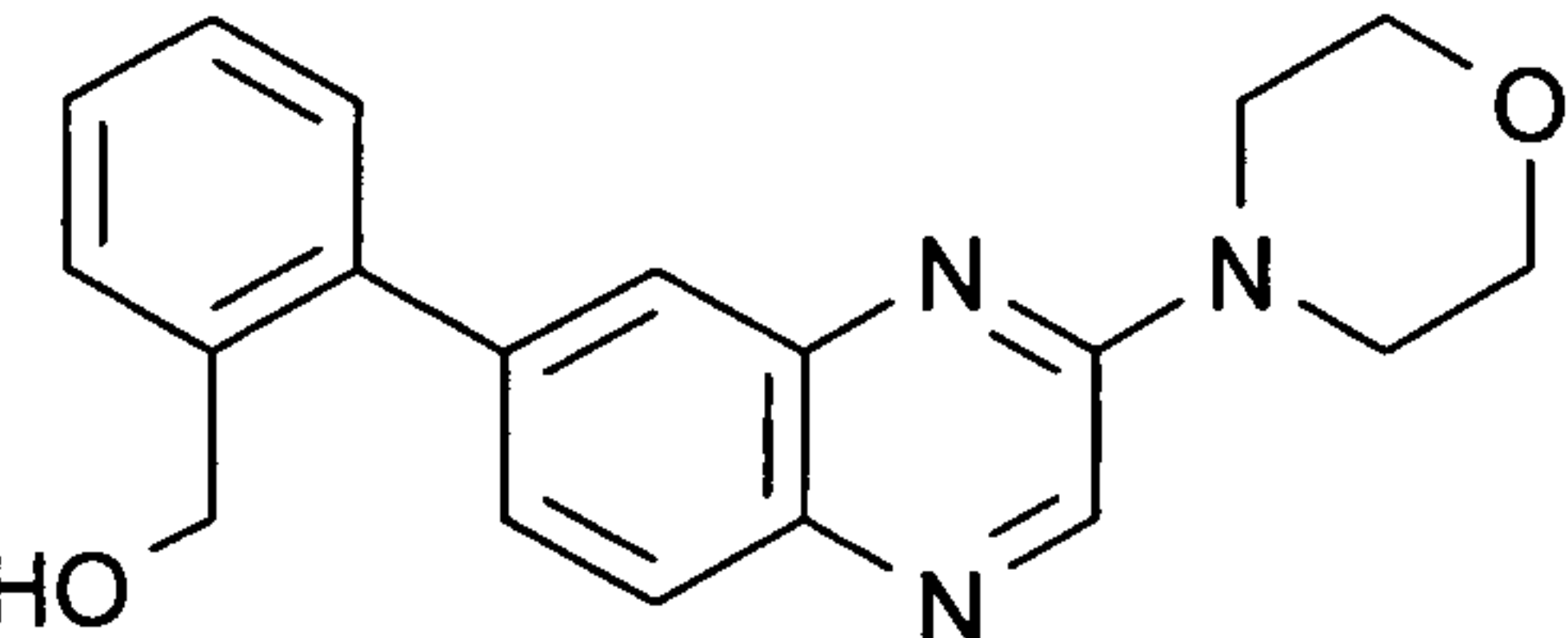
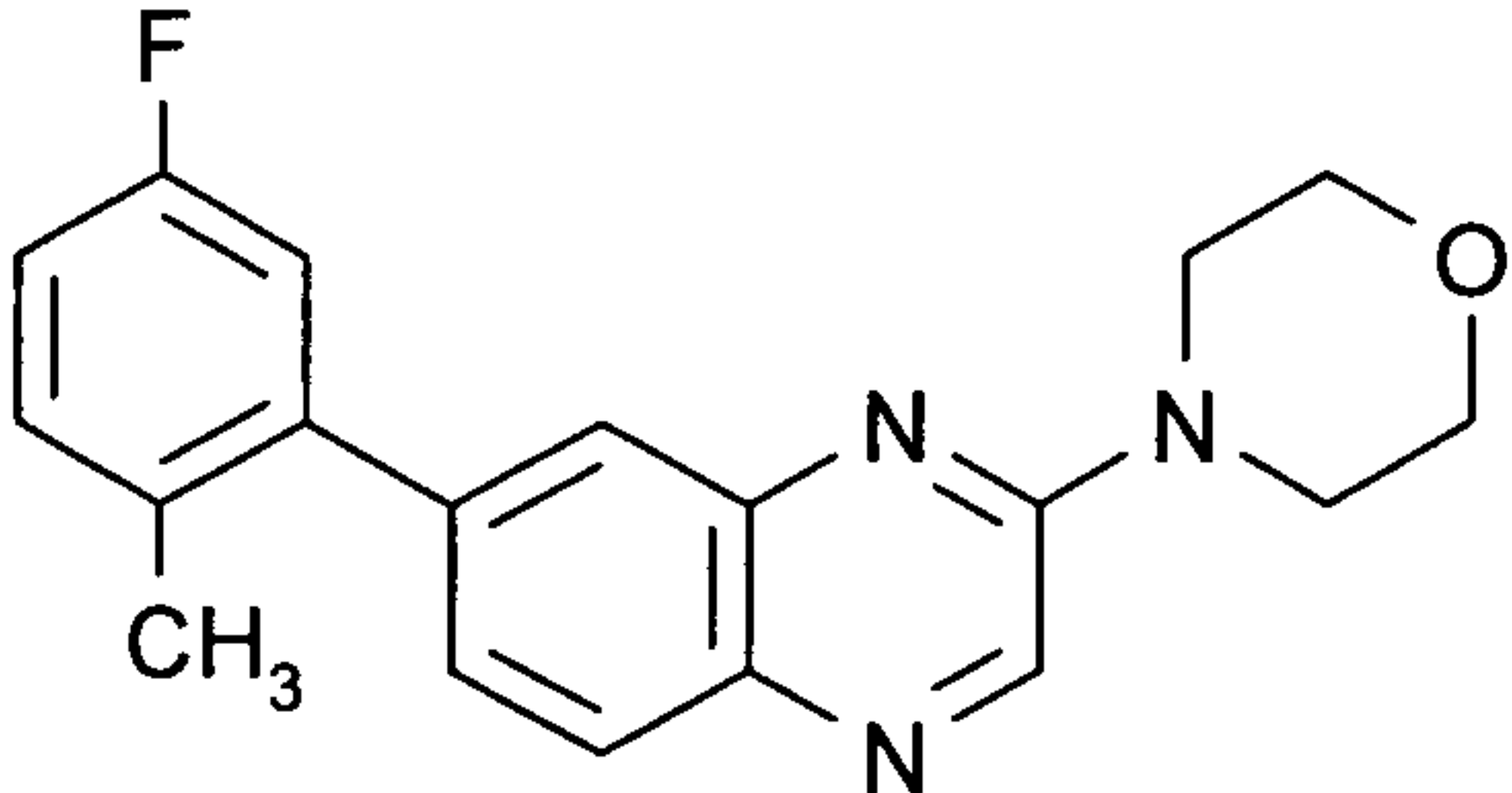
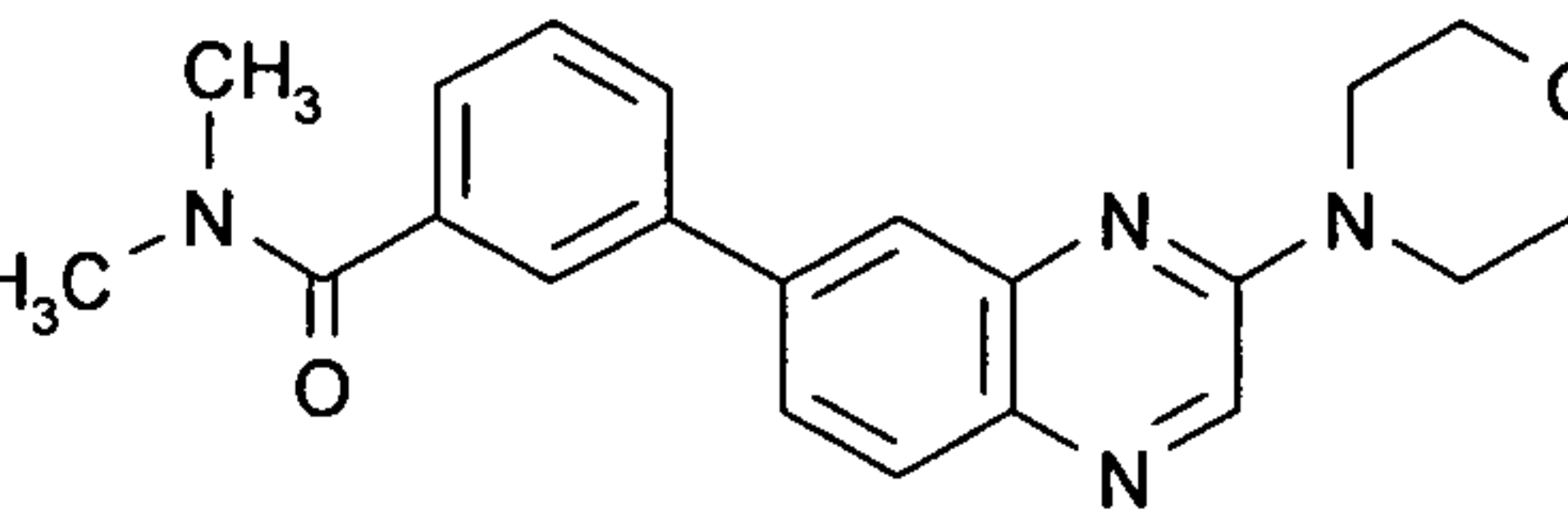
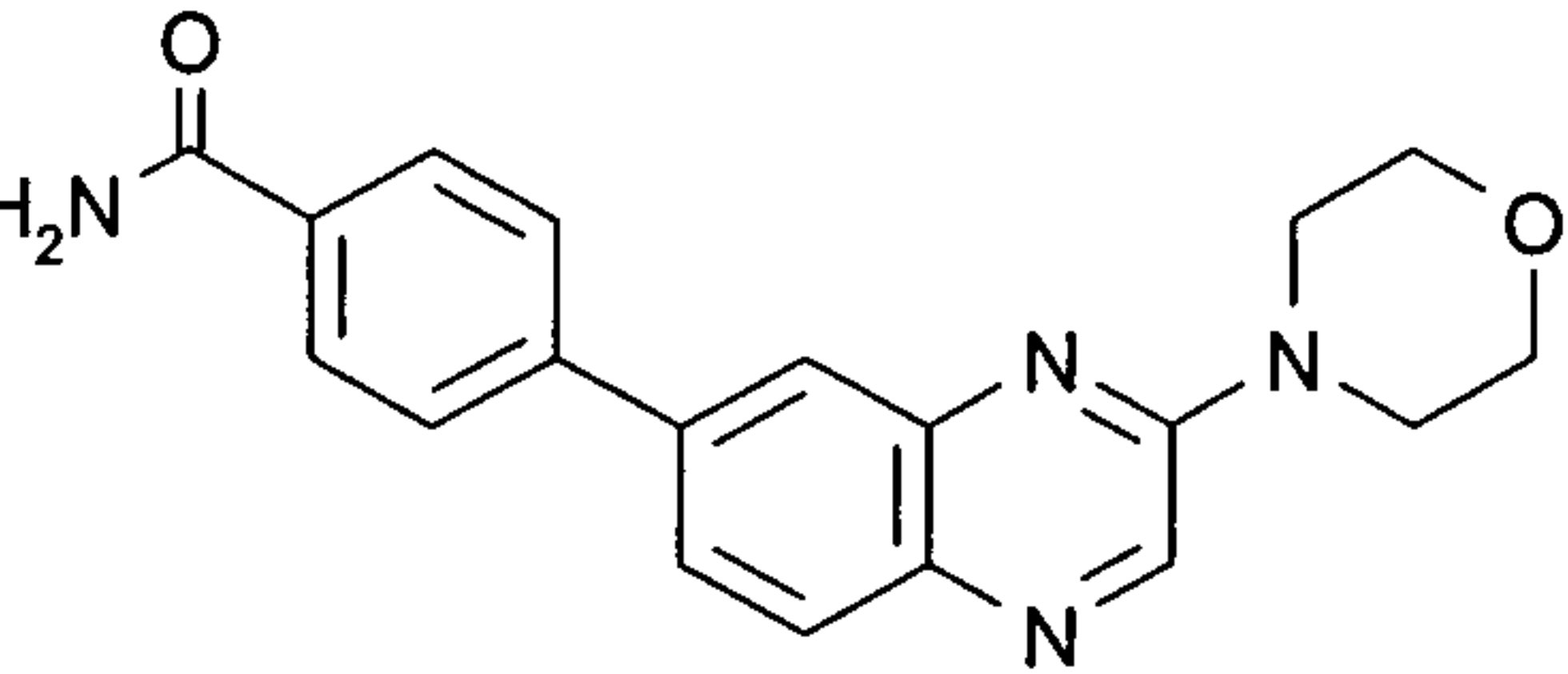
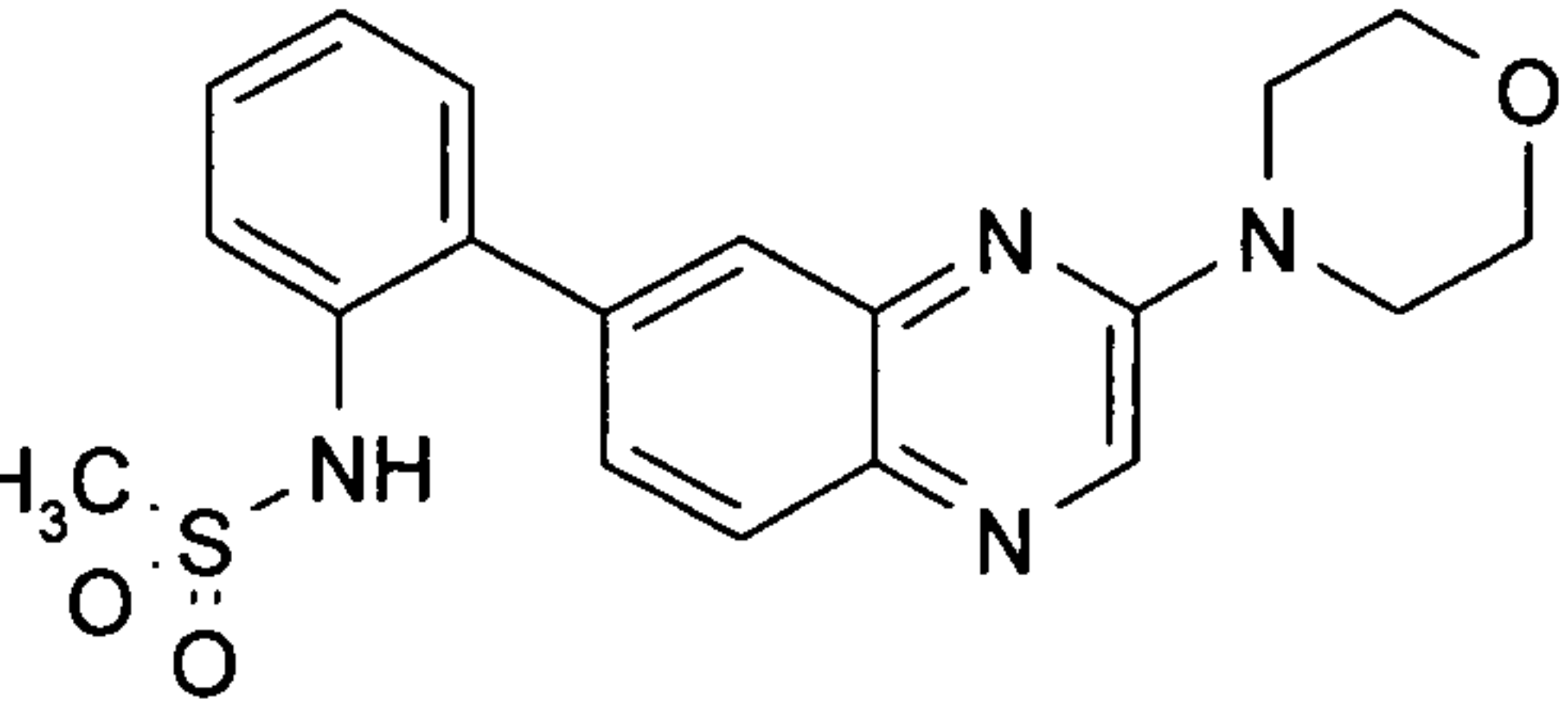
1.77		2-chloro-N-cyclopropyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 1.04 MW _{found} = 409.9 MW _{calc} = 408.9
1.78		2-chloro-N-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.95 MW _{found} = 383.8 MW _{calc} = 382.8
1.79		7-[4-(2-methylpropoxy)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.52 MW _{found} = 364.5 MW _{calc} = 363.5
1.80		7-(2-chloro-5-methylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.41 MW _{found} = 340.8 MW _{calc} = 339.8
1.81		N-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzyl}acetamide	RT = 0.93 MW _{found} = 363.4 MW _{calc} = 362.4
1.82		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzyl}acetamide	RT = 0.91 MW _{found} = 363.4 MW _{calc} = 362.4

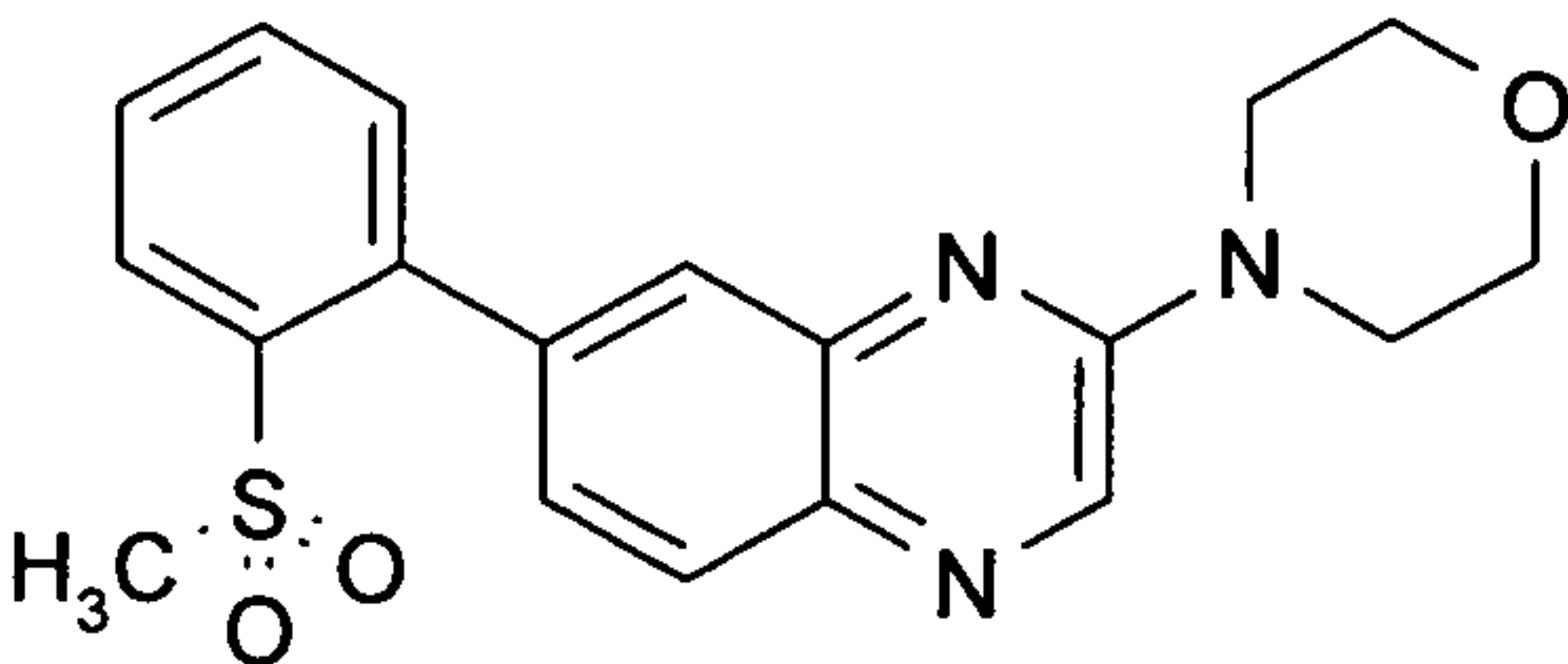
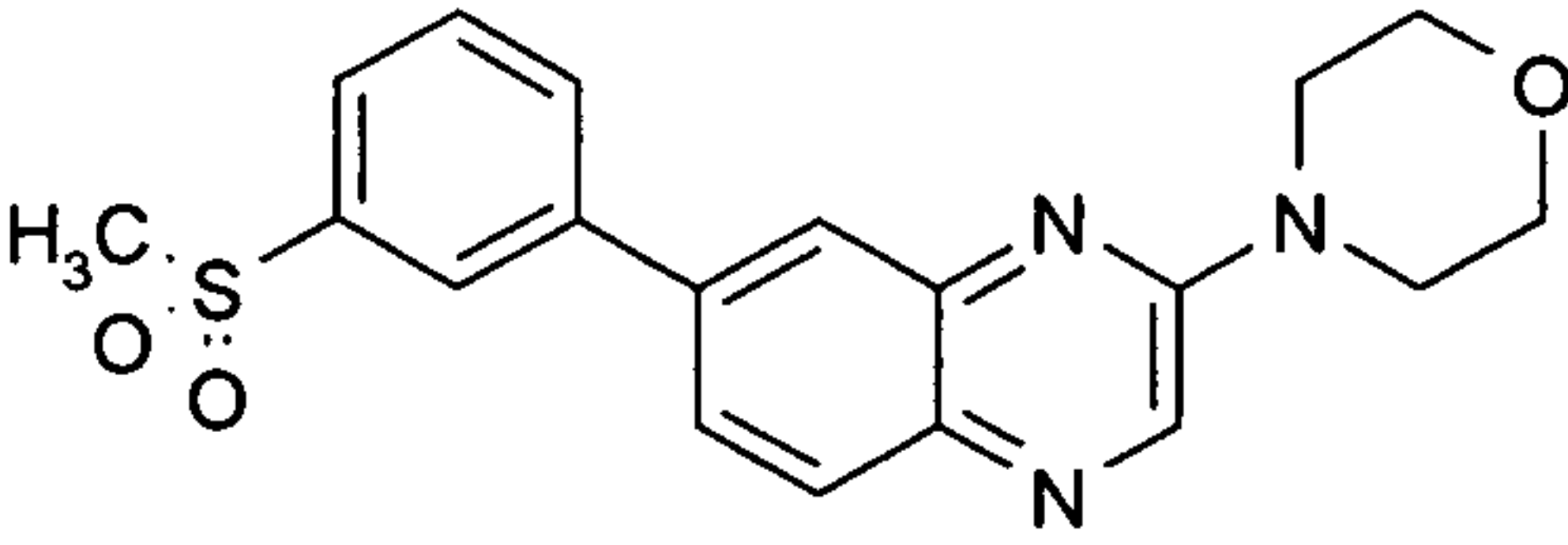
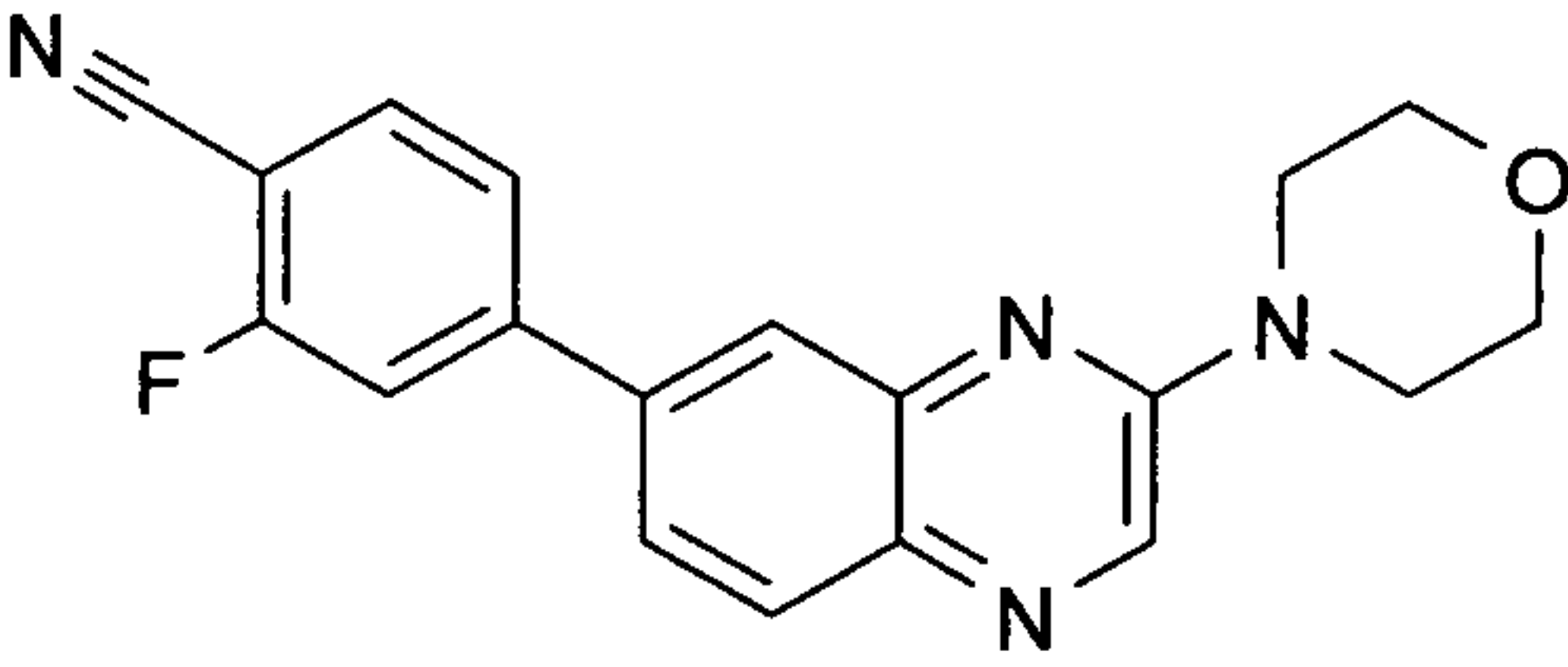
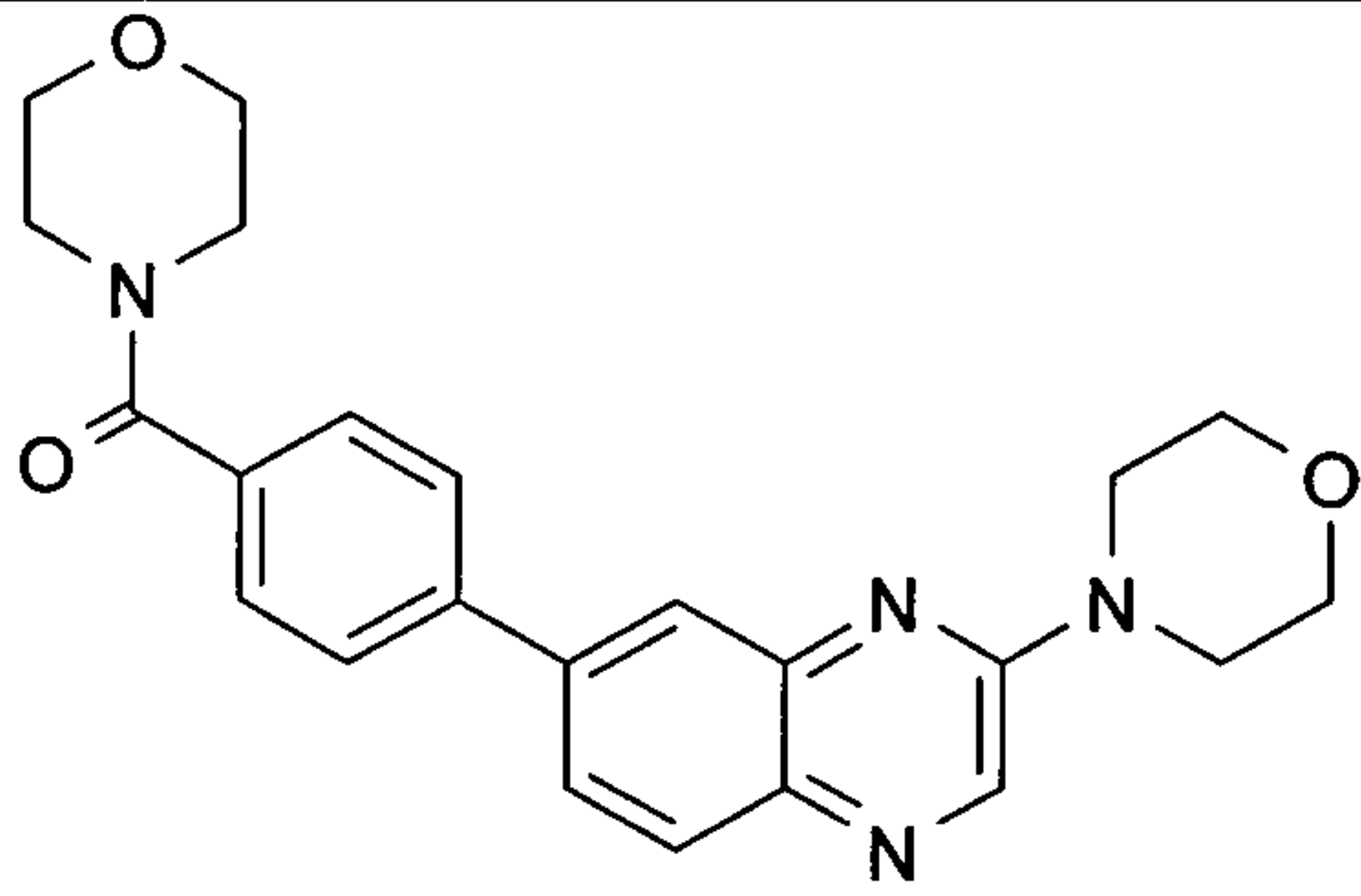
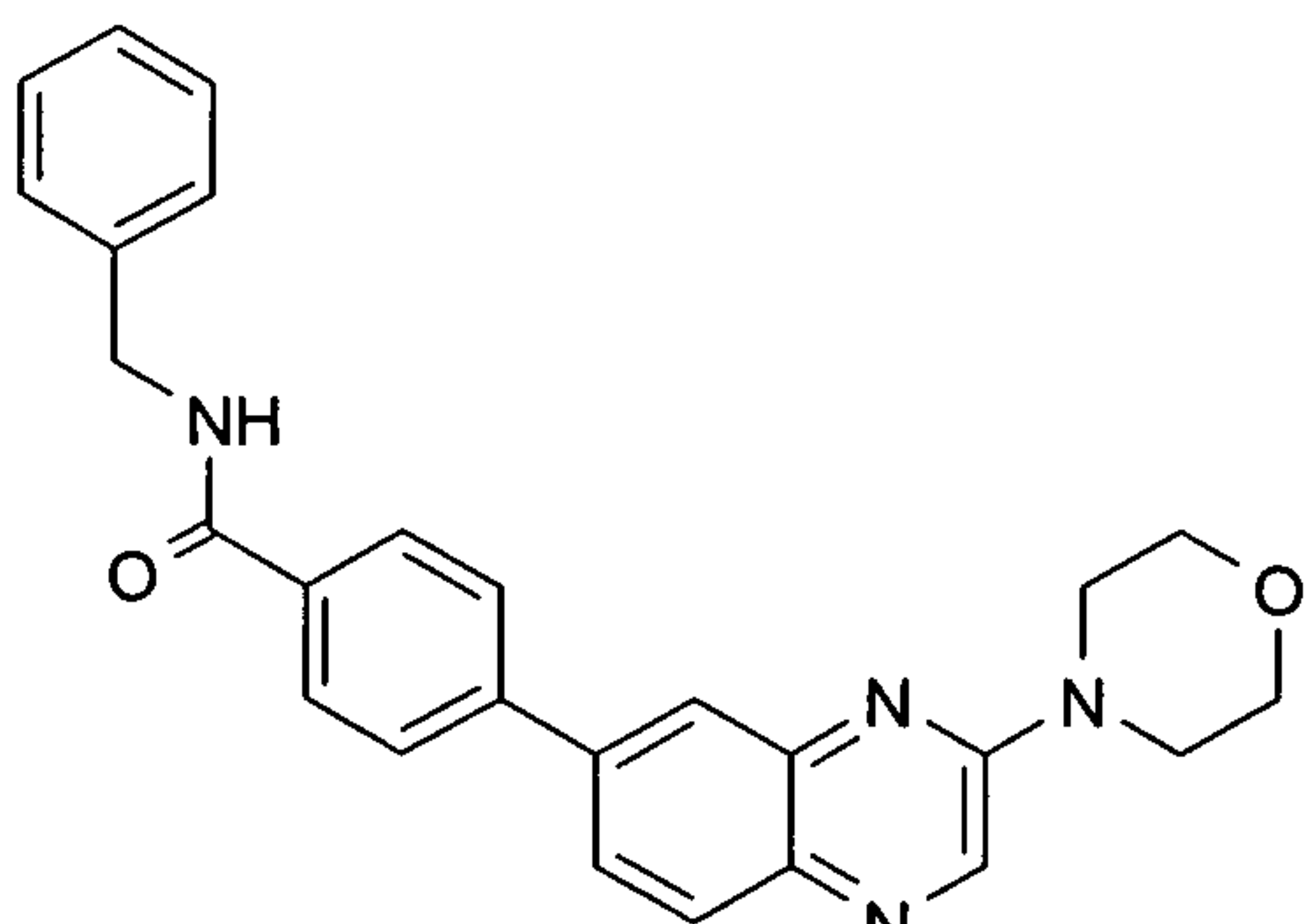
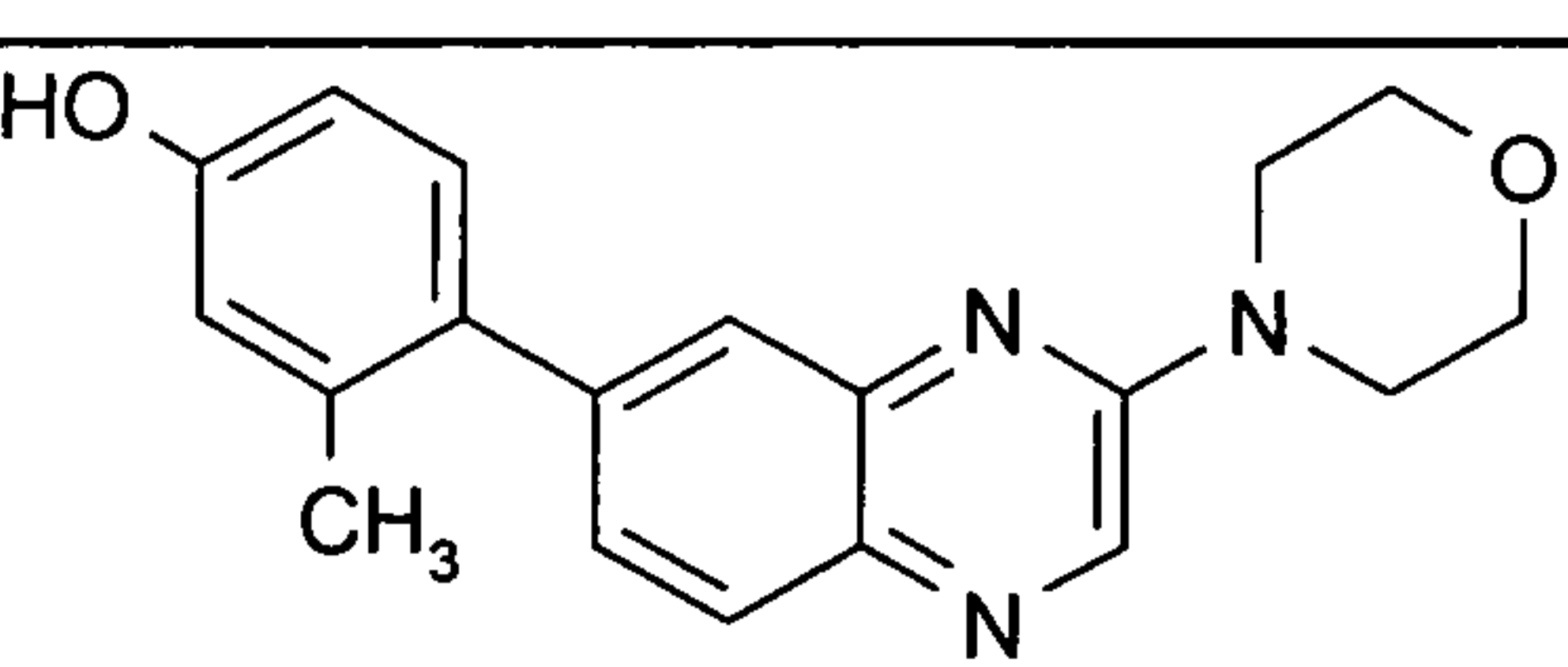
1.83		3-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-phenylbenzamide	RT = 1.21 MW _{found} = 411.5 MW _{calc} = 410.5
1.84		N-cyclopropyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 1.01 MW _{found} = 375.4 MW _{calc} = 374.4
1.85		3-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide	RT = 1.07 MW _{found} = 377.5 MW _{calc} = 376.5
1.86		N-benzyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 1.18 MW _{found} = 425.5 MW _{calc} = 424.5
1.87		{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}(pyrrolidin-1-yl)methanone	RT = 1.06 MW _{found} = 389.5 MW _{calc} = 388.5
1.88		N,N-diethyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 1.13 MW _{found} = 391.5 MW _{calc} = 390.5
1.89		4-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-phenylbenzamide	RT = 1.20 MW _{found} = 411.5 MW _{calc} = 410.5
1.90		7-[3-(methoxymethyl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.21 MW _{found} = 336.4 MW _{calc} = 335.4

1.91		{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}(pyrrolidin-1-yl)methanone	RT = 1.05 MW _{found} = 389.5 MW _{calc} = 388.5
1.92		7-(2,3-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.20 MW _{found} = 352.4 MW _{calc} = 351.4
1.93		7-(2,4-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.42 MW _{found} = 320.4 MW _{calc} = 319.4
1.94		7-(2,5-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.42 MW _{found} = 320.4 MW _{calc} = 319.4
1.95		7-(2,3-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.41 MW _{found} = 320.4 MW _{calc} = 319.4
1.96		7-(2,5-dichlorophenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.45 MW _{found} = 361.2 MW _{calc} = 360.2

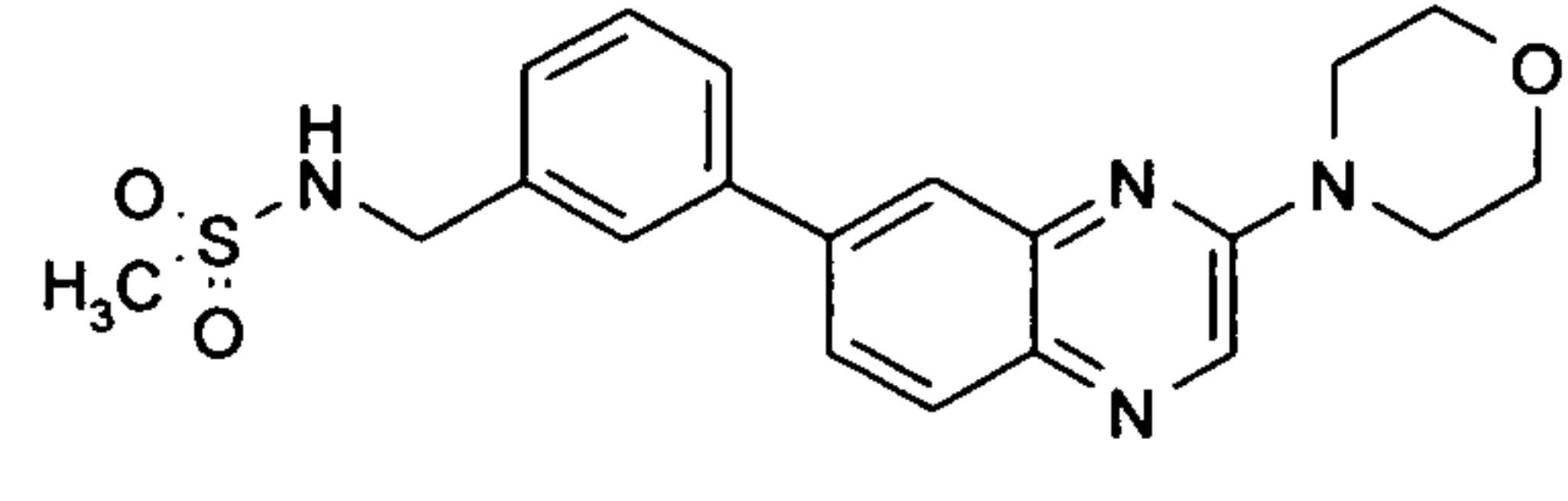
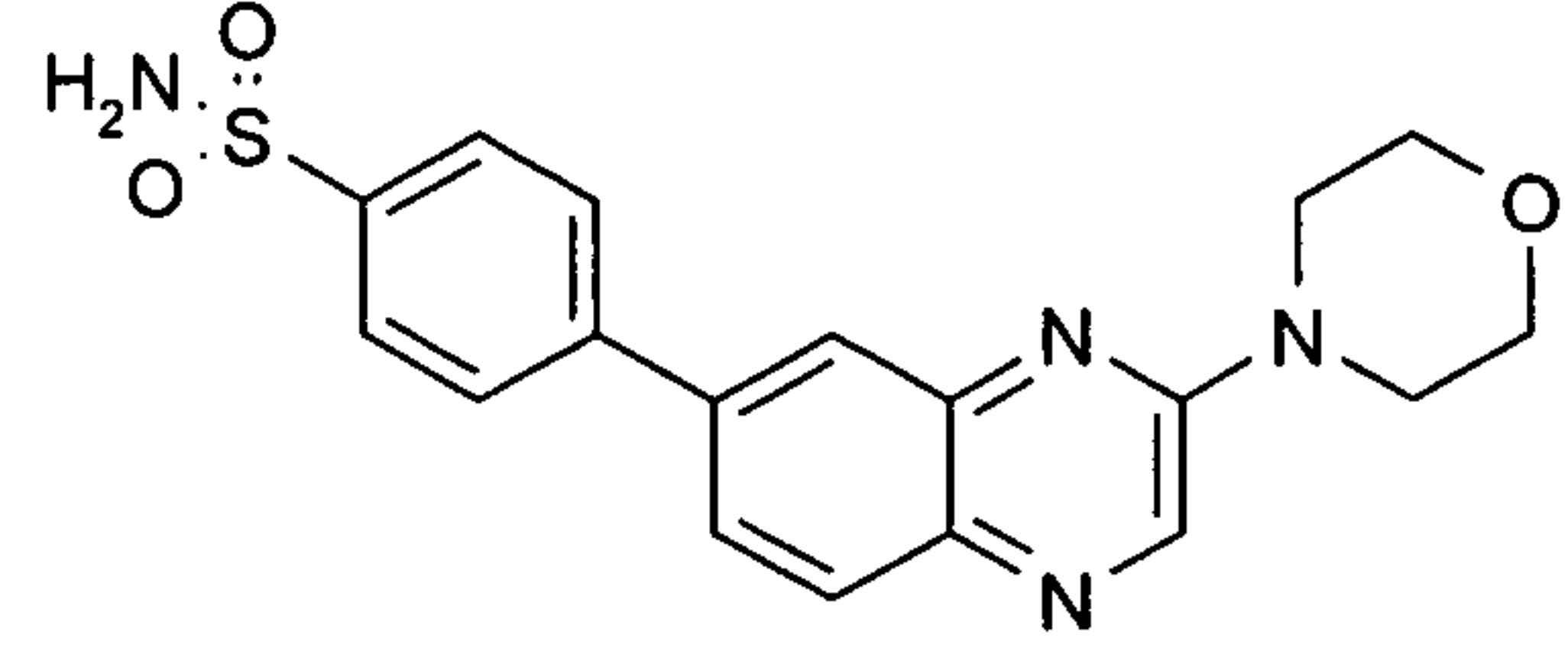
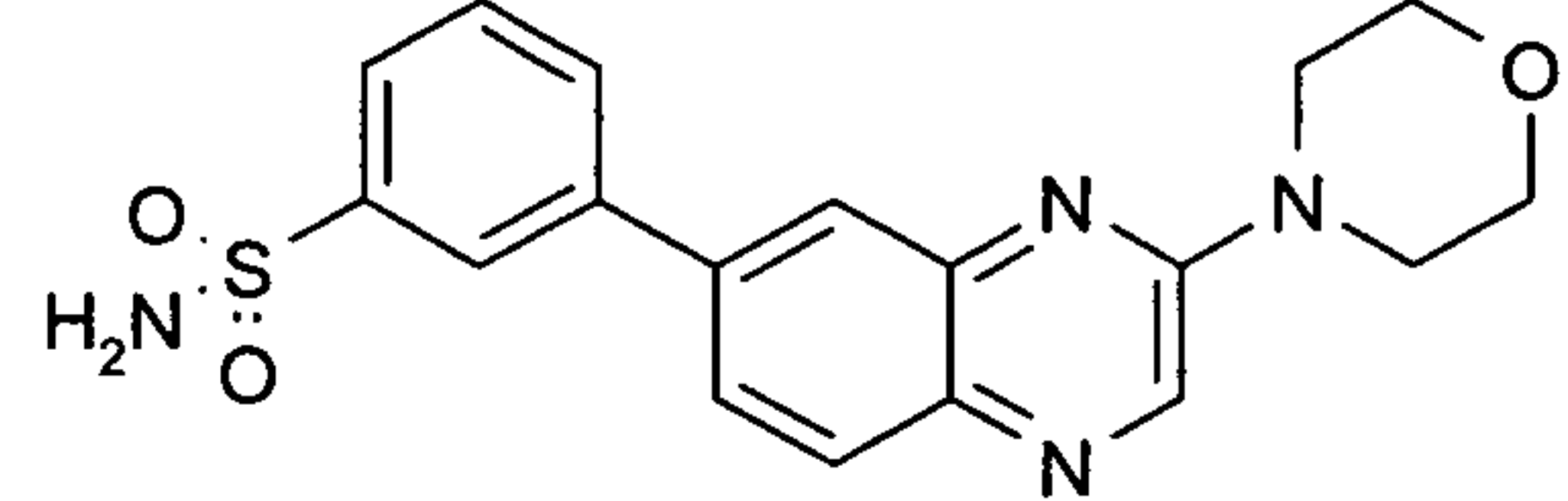
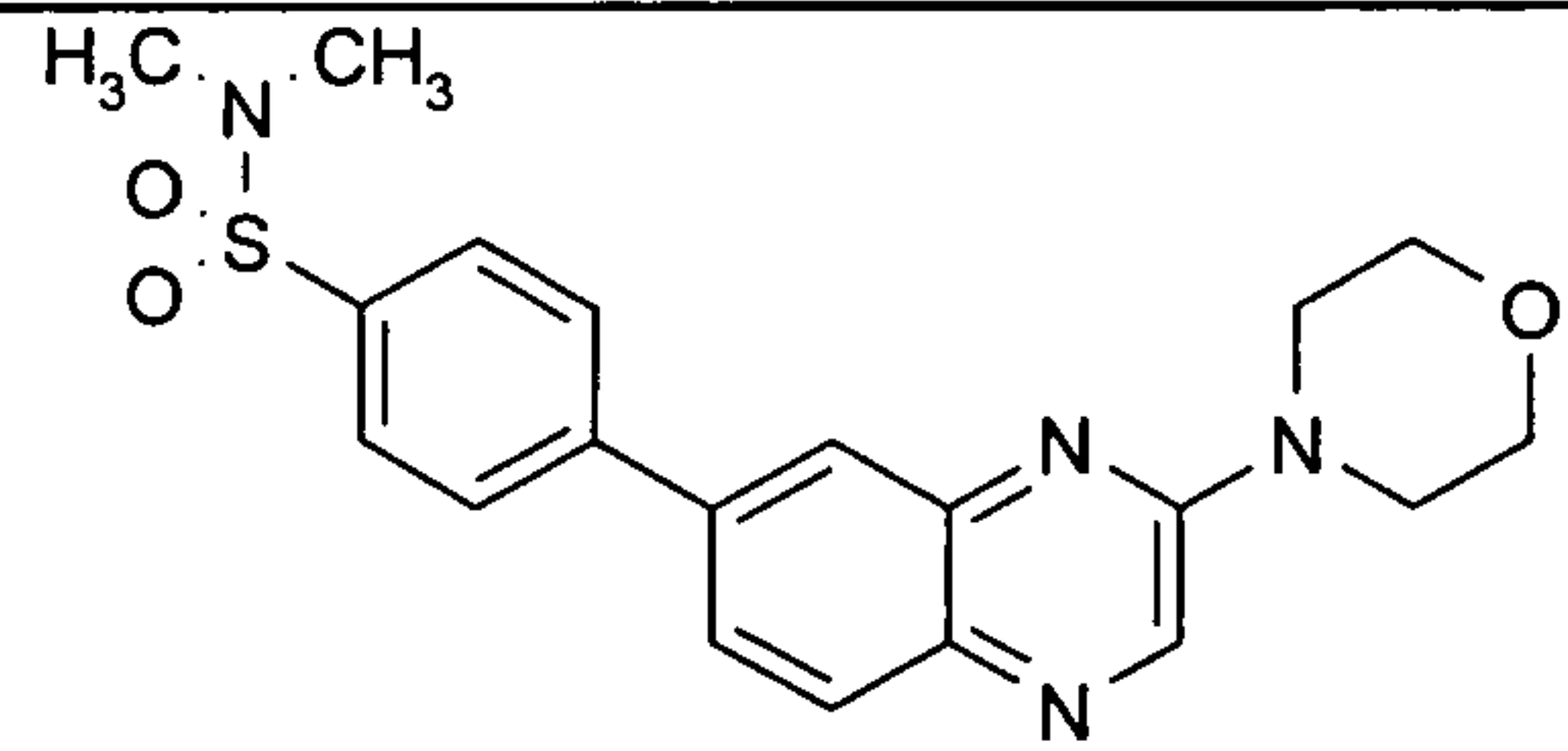
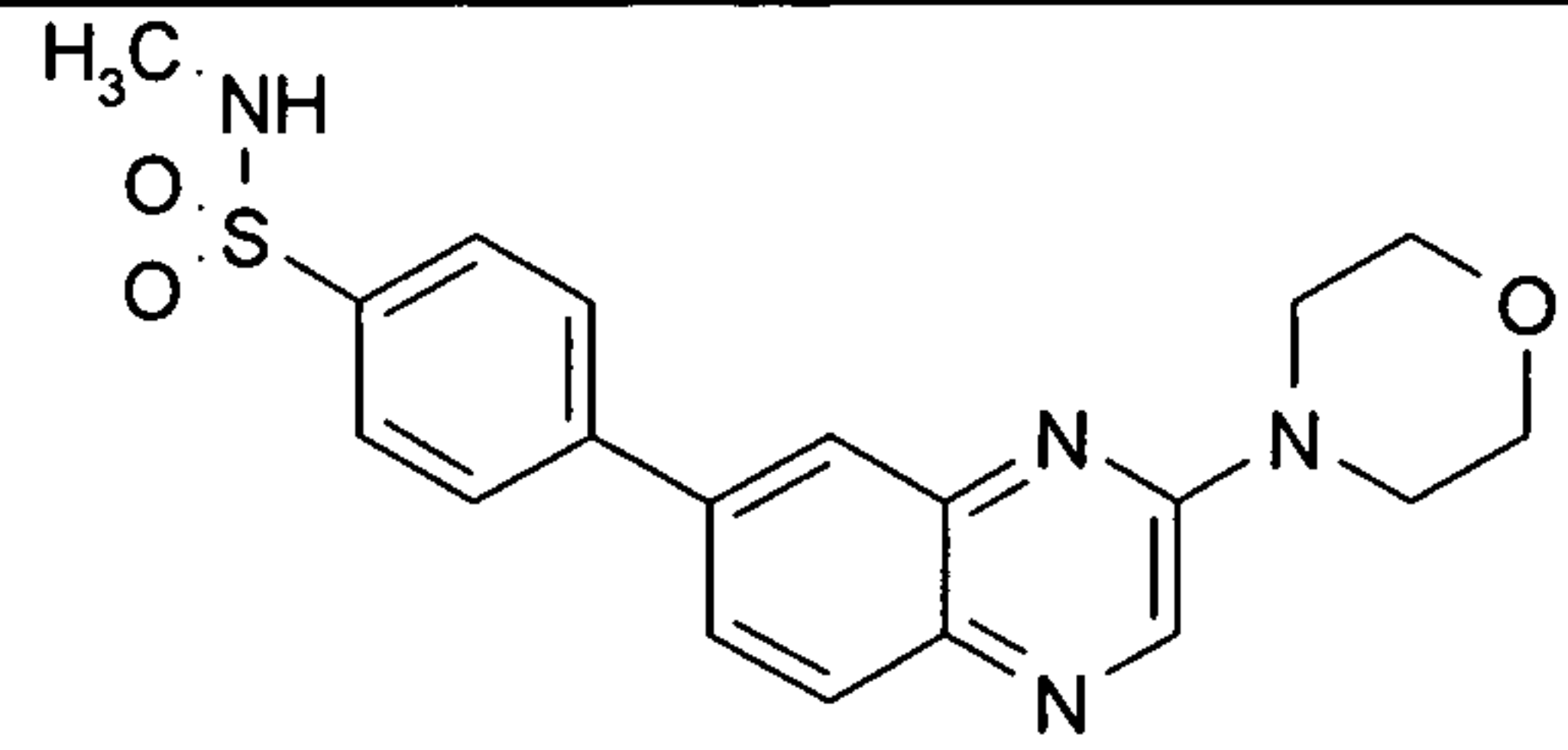
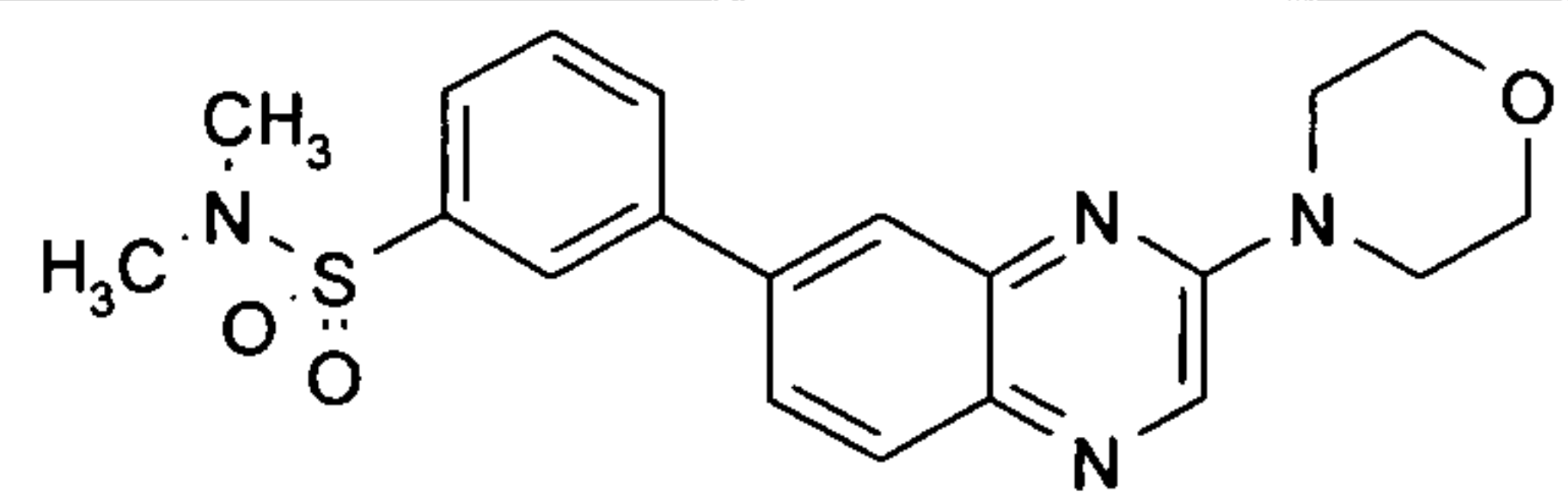
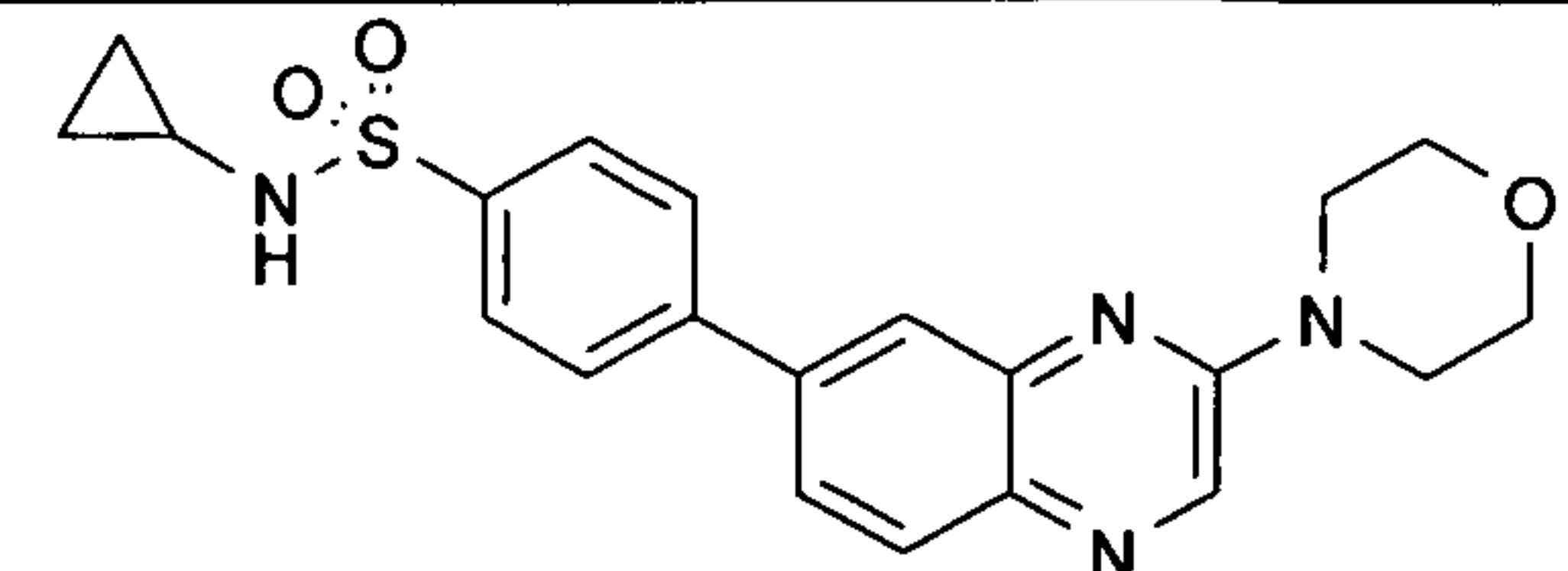
1.97		2-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	RT = 1.04 MW _{found} = 308.4 MW _{calc} = 307.4
1.98		7-(3-ethoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.33 MW _{found} = 336.4 MW _{calc} = 335.4
1.99		4-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide	RT = 1.06 MW _{found} = 377.5 MW _{calc} = 376.5
1.100		N-cyclopropyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.98 MW _{found} = 375.4 MW _{calc} = 374.4
1.101		{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}(piperidin-1-yl)methanone	RT = 1.16 MW _{found} = 403.5 MW _{calc} = 402.5
1.102		2-chloro-N-cyclopropyl-5-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 1.06 MW _{found} = 409.9 MW _{calc} = 408.9

1.103		2-fluoro-5-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide	RT = 1.13 MW _{found} = 395.4 MW _{calc} = 394.4
1.104		N,N-dimethyl-2-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.97 MW _{found} = 363.4 MW _{calc} = 362.4
1.105		2-fluoro-4-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide	RT = 1.13 MW _{found} = 395.4 MW _{calc} = 394.4
1.106		7-[4-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.06 MW _{found} = 374.4 MW _{calc} = 373.4
1.107		7-[3-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.08 MW _{found} = 374.4 MW _{calc} = 373.4
1.108		2,6-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	RT = 1.12 MW _{found} = 336.4 MW _{calc} = 335.4
1.109		3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzoic acid	RT = 0.99 MW _{found} = 336.4 MW _{calc} = 335.4

1.110		7-(2-chloro-5-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.32 MW _{found} = 356.8 MW _{calc} = 355.8
1.111		{2-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanol	RT = 1.00 MW _{found} = 322.4 MW _{calc} = 321.4
1.112		7-(5-fluoro-2-methylphenyl)-2-(morpholin-4-yl)quinoxaline	RT = 1.35 MW _{found} = 324.4 MW _{calc} = 323.4
1.113		N,N-dimethyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.98 MW _{found} = 363.4 MW _{calc} = 362.4
1.114		4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.83 MW _{found} = 335.4 MW _{calc} = 334.4
1.115		N-{2-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanesulfonamide	RT = 1.01 MW _{found} = 385.5 MW _{calc} = 384.5

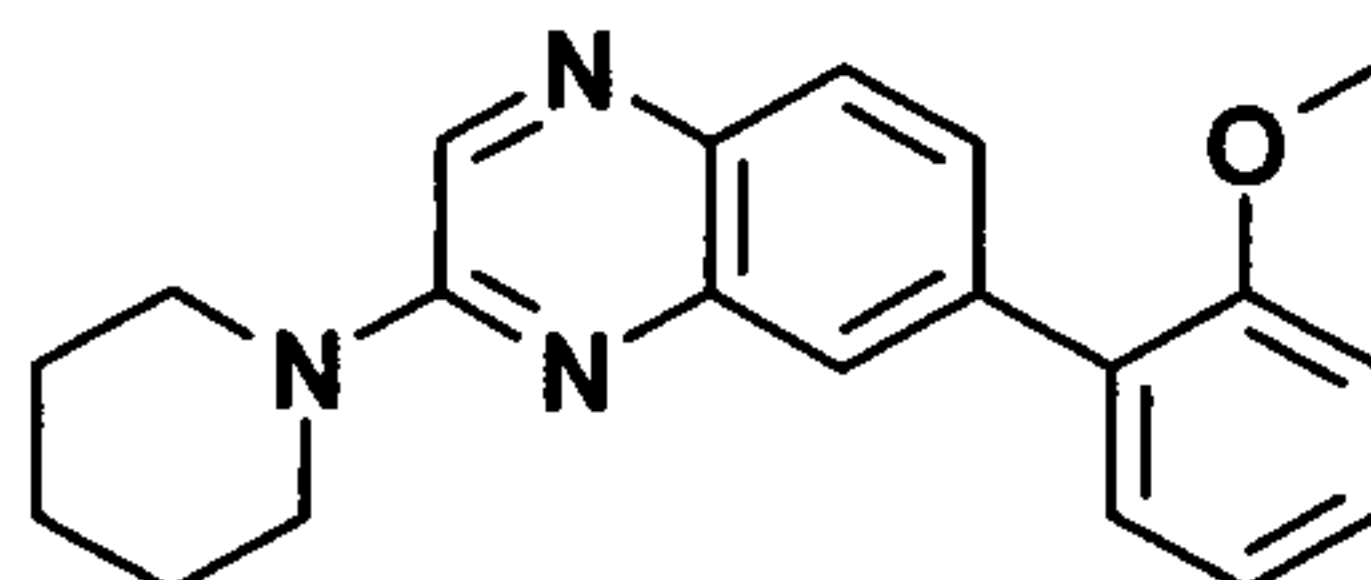
1.116		7-[2-(methylsulfonyl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.00 MW _{found} = 370.4 MW _{calc} = 369.4
1.117		7-[3-(methylsulfonyl)phenyl]-2-(morpholin-4-yl)quinoxaline	RT = 1.00 MW _{found} = 370.4 MW _{calc} = 369.4
1.118		2-fluoro-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzonitrile	RT = 1.21 MW _{found} = 335.3 MW _{calc} = 334.3
1.119		morpholin-4-yl{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanone	RT = 0.97 MW _{found} = 405.5 MW _{calc} = 404.5
1.120		N-benzyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 1.19 MW _{found} = 425.5 MW _{calc} = 424.5
1.121		3-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	RT = 1.04 MW _{found} = 322.4 MW _{calc} = 321.4

1.122		N,N-dimethyl-2-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.11 MW _{found} = 399.5 MW _{calc} = 398.5
1.123		5-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}imidazolidine-2,4-dione	RT = 0.85 MW _{found} = 390.4 MW _{calc} = 389.4
1.124		{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}(piperidin-1-yl)methanone	RT = 1.19 MW _{found} = 403.5 MW _{calc} = 402.5
1.125		N,N-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]aniline	RT = 1.19 MW _{found} = 335.4 MW _{calc} = 334.4
1.126		2-(morpholin-4-yl)-7-[4-(morpholin-4-yl)phenyl]quinoxaline	RT = 1.18 MW _{found} = 377.5 MW _{calc} = 376.5
1.127		N-[2-(dimethylamino)ethyl]-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	RT = 0.70 MW _{found} = 406.5 MW _{calc} = 405.5
1.128		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzyl}methanesulfonamide	RT = 0.99 MW _{found} = 399.5 MW _{calc} = 398.5

1.129		N-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzyl}methanesulfonamide	RT = 1.01 MW _{found} = 399.5 MW _{calc} = 398.5
1.130		4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 0.90 MW _{found} = 371.4 MW _{calc} = 370.4
1.131		3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 0.92 MW _{found} = 371.4 MW _{calc} = 370.4
1.132		N,N-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.14 MW _{found} = 399.5 MW _{calc} = 398.5
1.133		N-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.01 MW _{found} = 385.5 MW _{calc} = 384.5
1.134		N,N-dimethyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.15 MW _{found} = 399.5 MW _{calc} = 398.5
1.135		N-cyclopropyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.10 MW _{found} = 411.5 MW _{calc} = 410.5

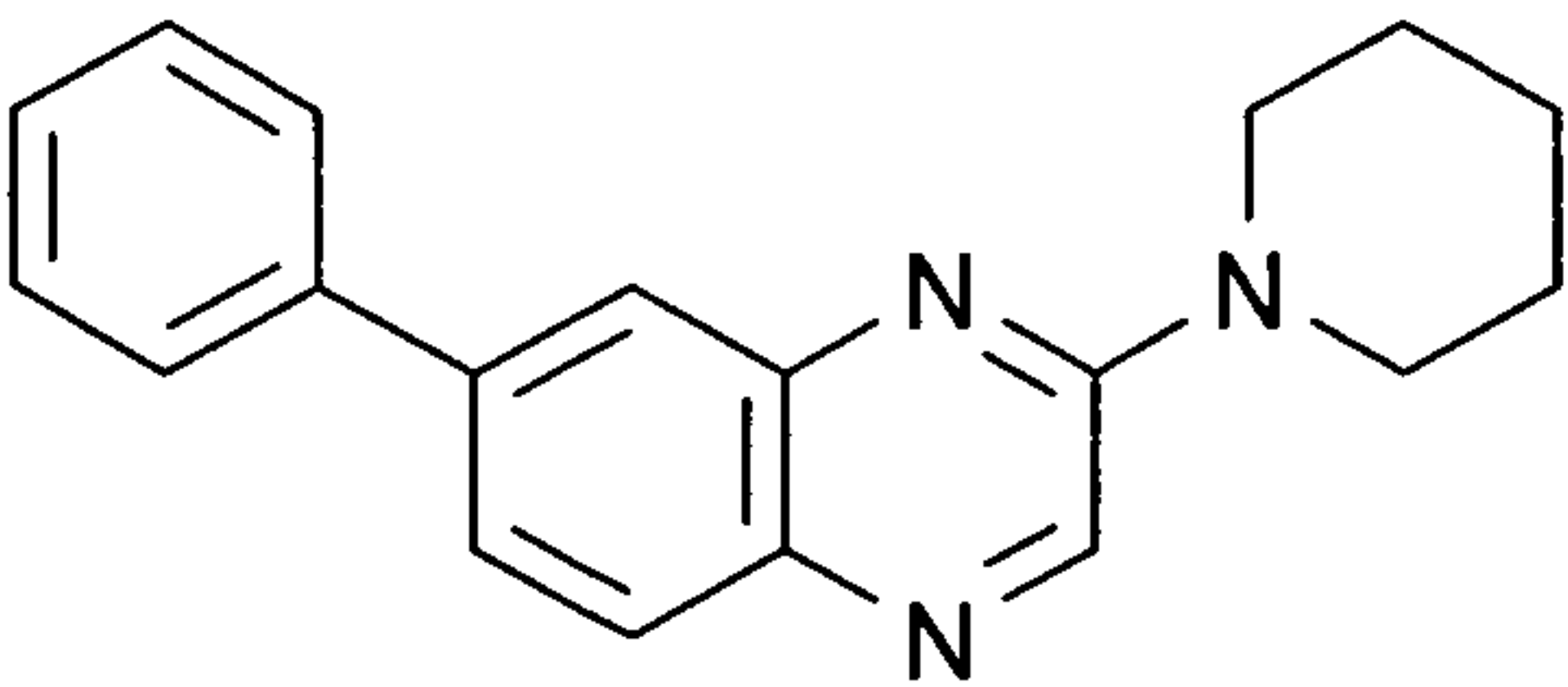
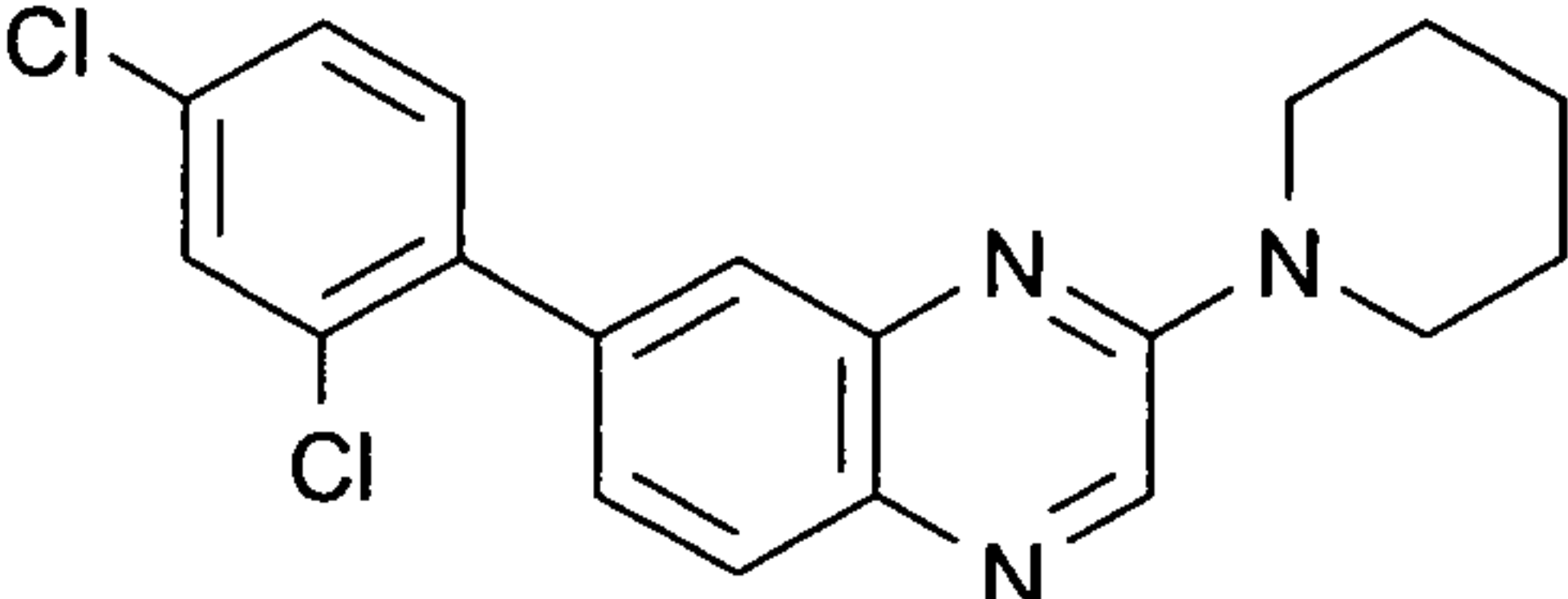
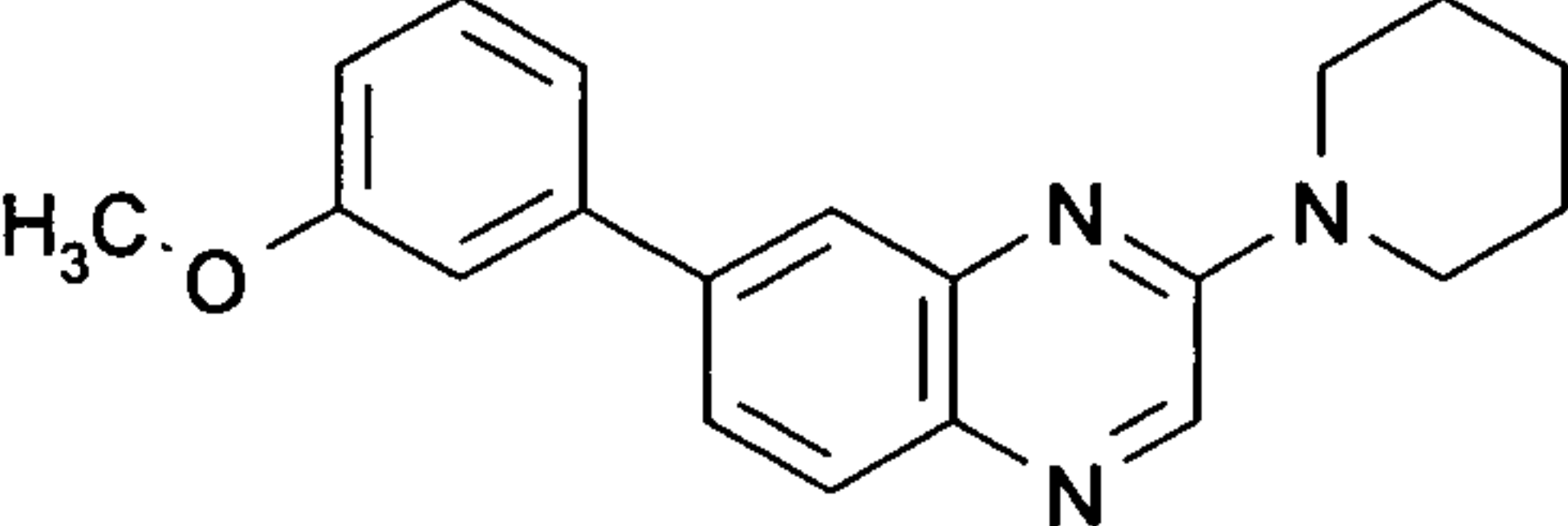
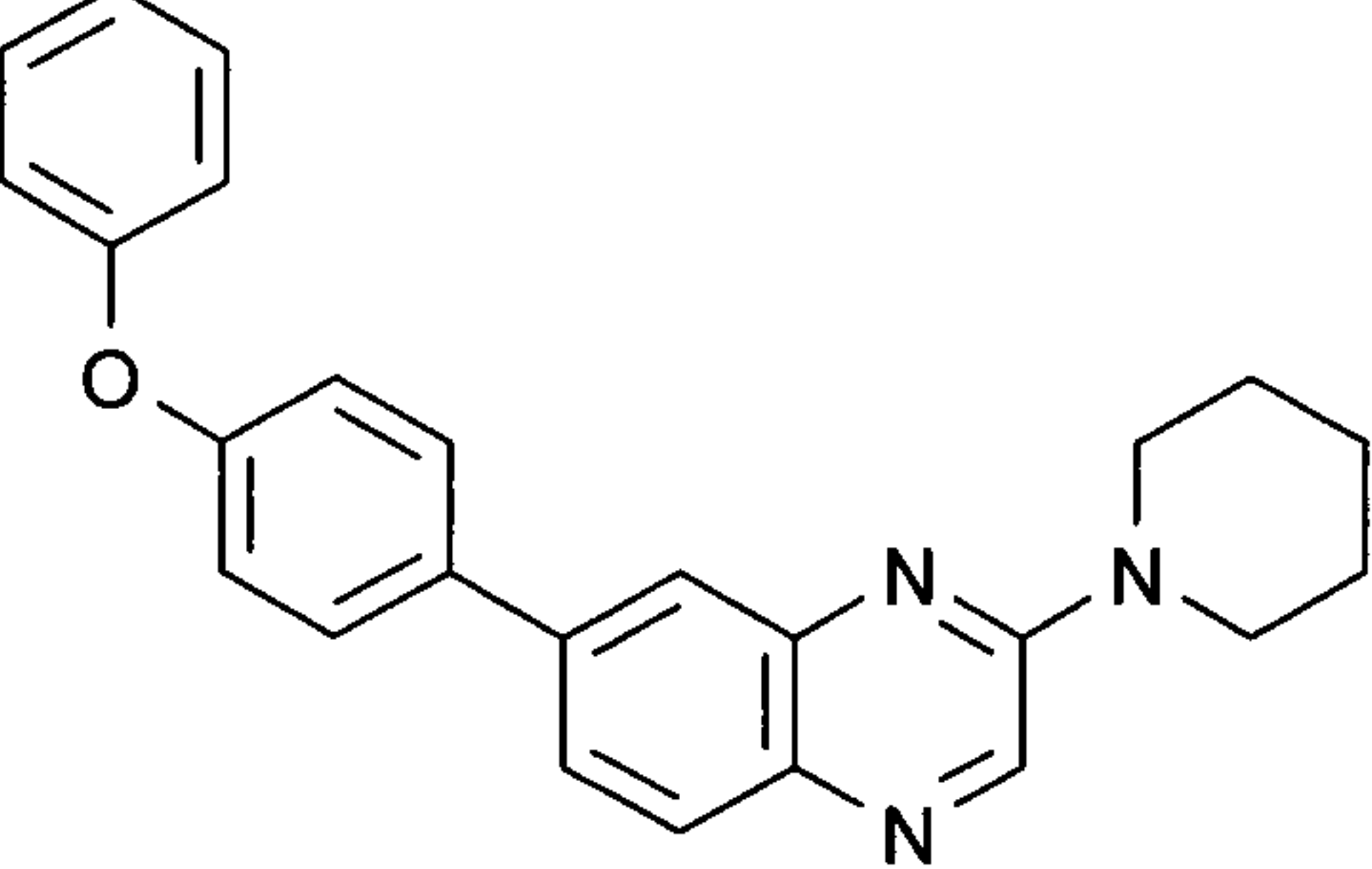
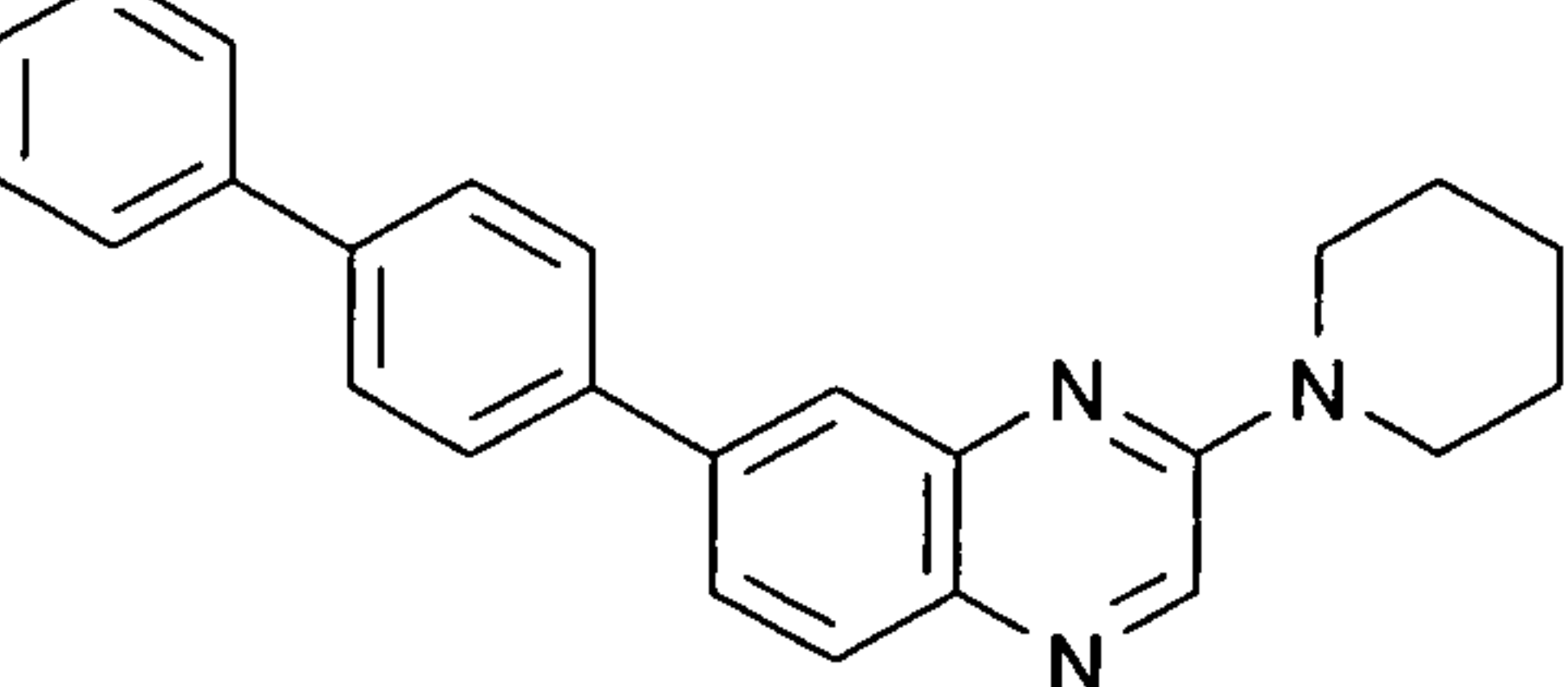
1.136		N-methyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.02 MW _{found} = 385.5 MW _{calc} = 384.5
1.137		{2-fluoro-5-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanol	RT = 1.03 MW _{found} = 340.4 MW _{calc} = 339.4
1.138		2-fluoro-5-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	RT = 1.05 MW _{found} = 326.3 MW _{calc} = 325.3
1.139		2-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]aniline	RT = 0.98 MW _{found} = 321.4 MW _{calc} = 320.4
1.140		2-fluoro-4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	RT = 1.03 MW _{found} = 326.3 MW _{calc} = 325.3

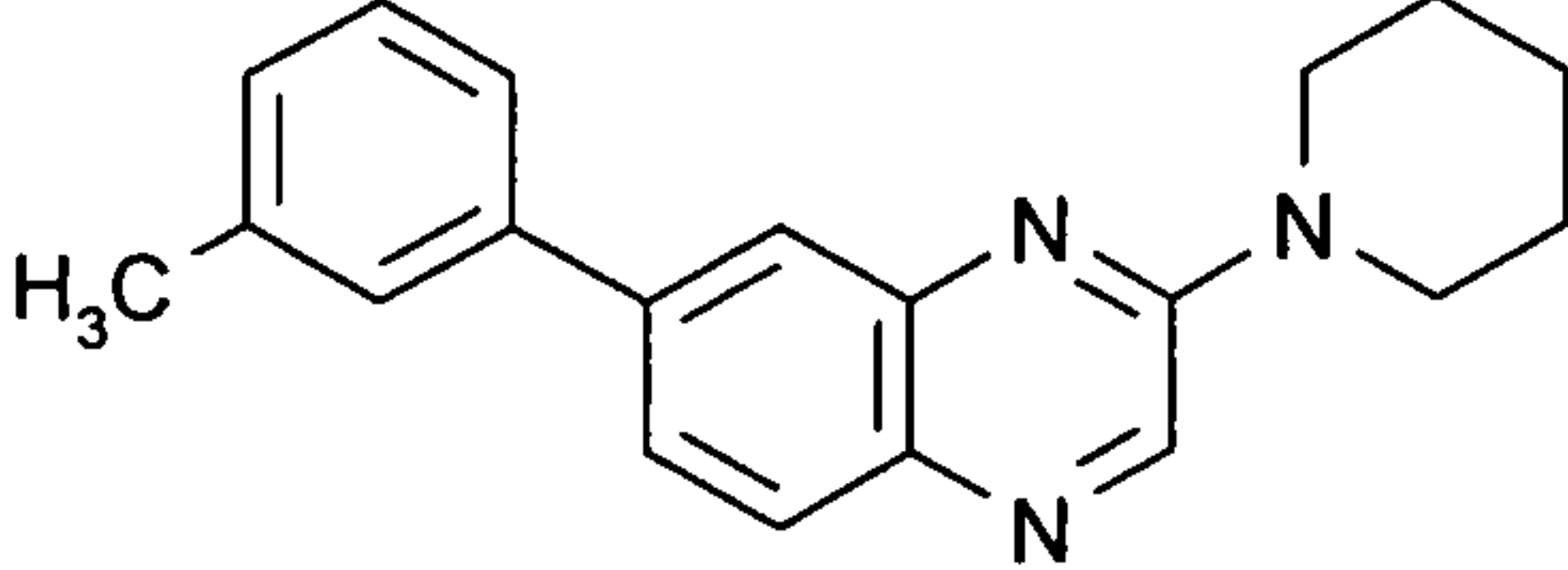
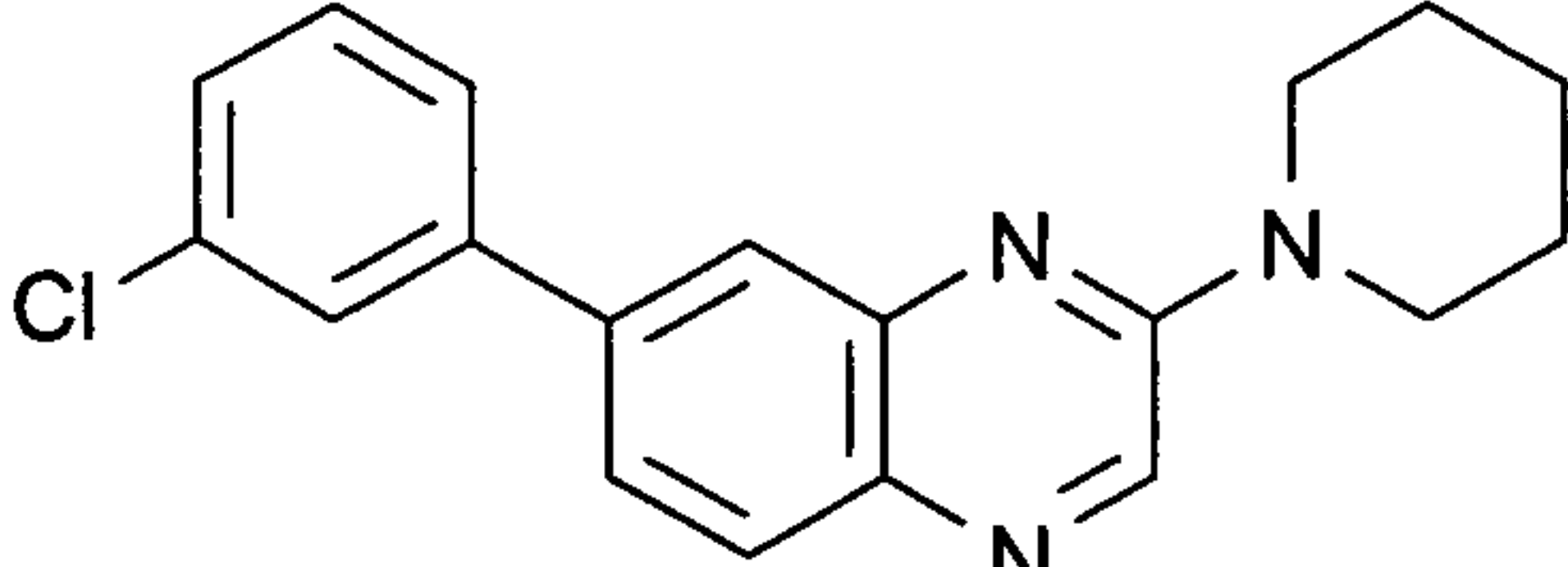
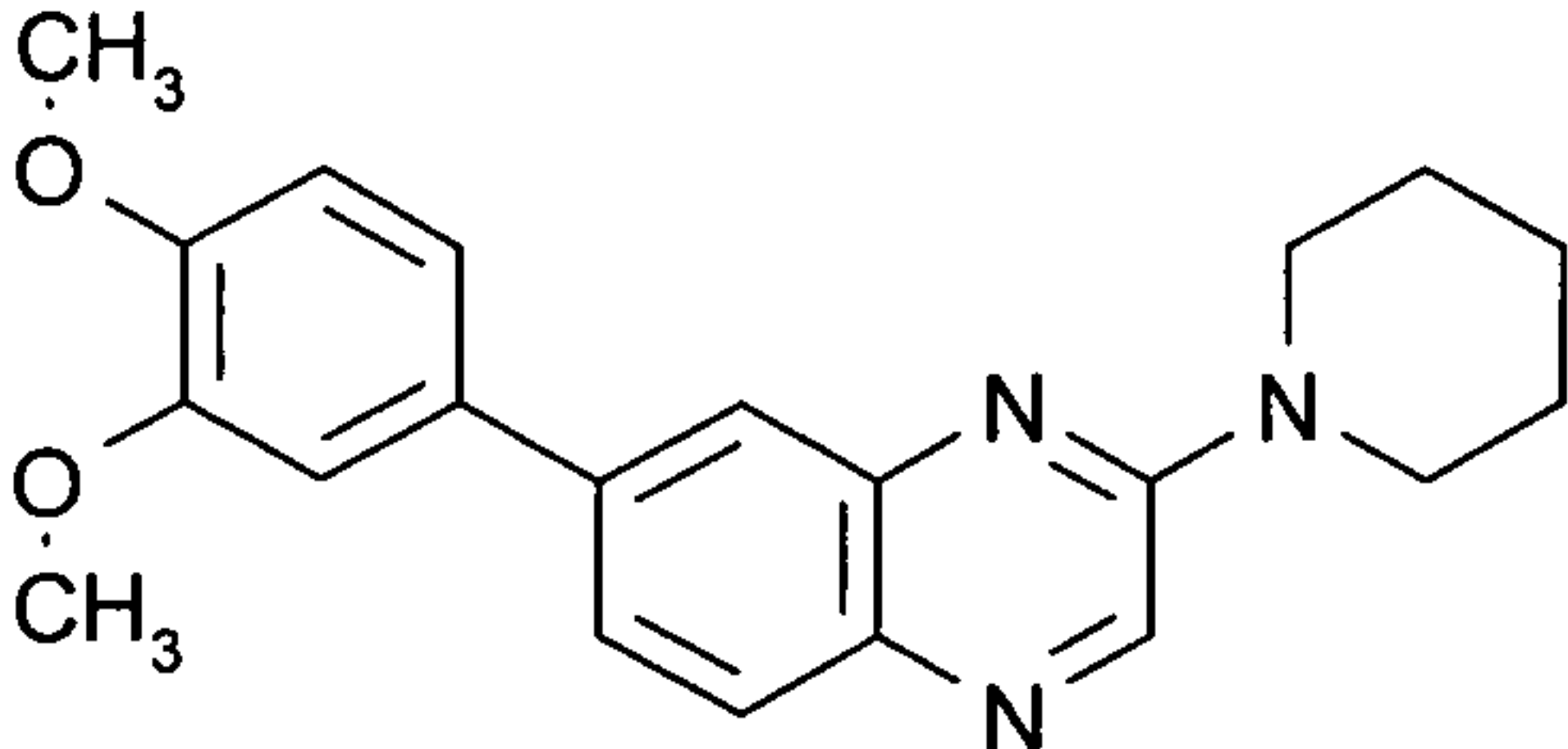
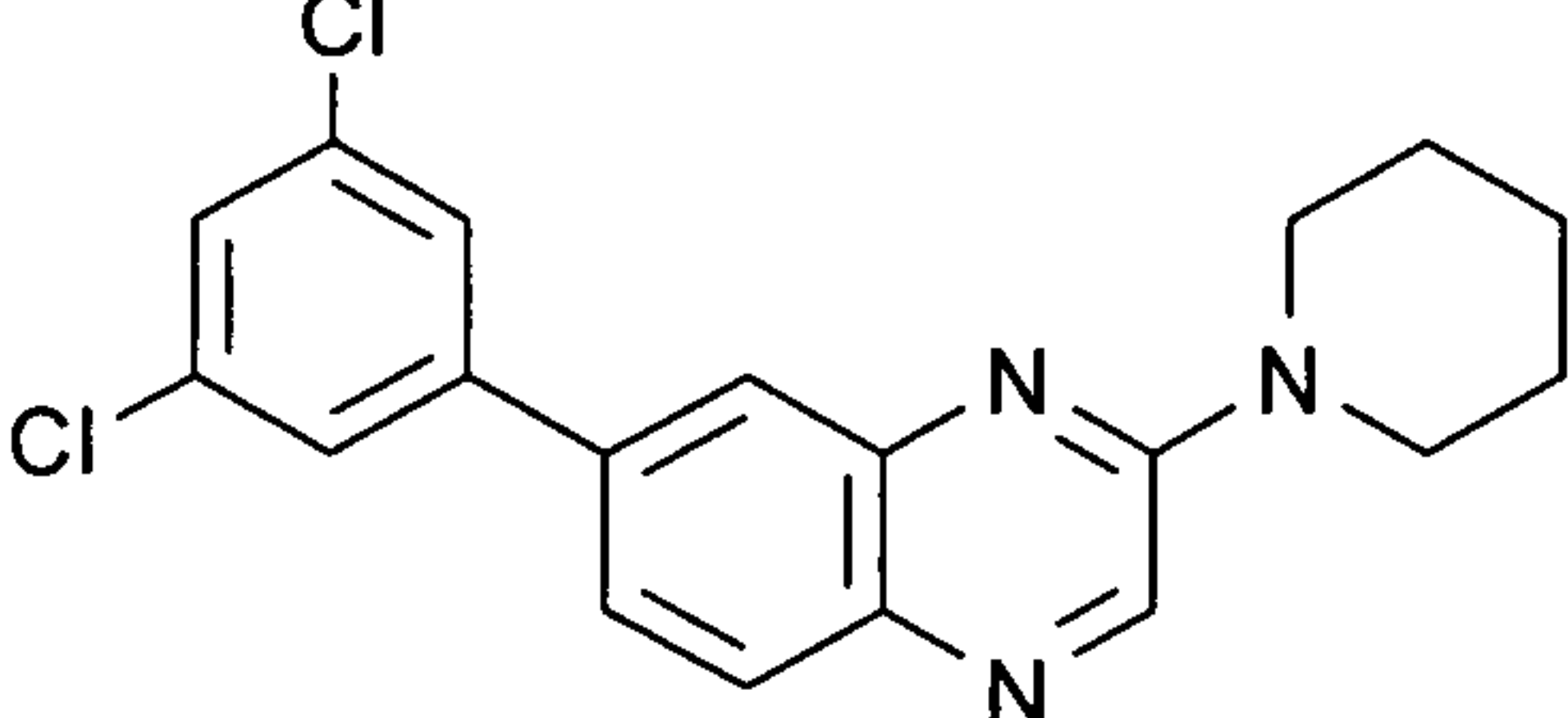
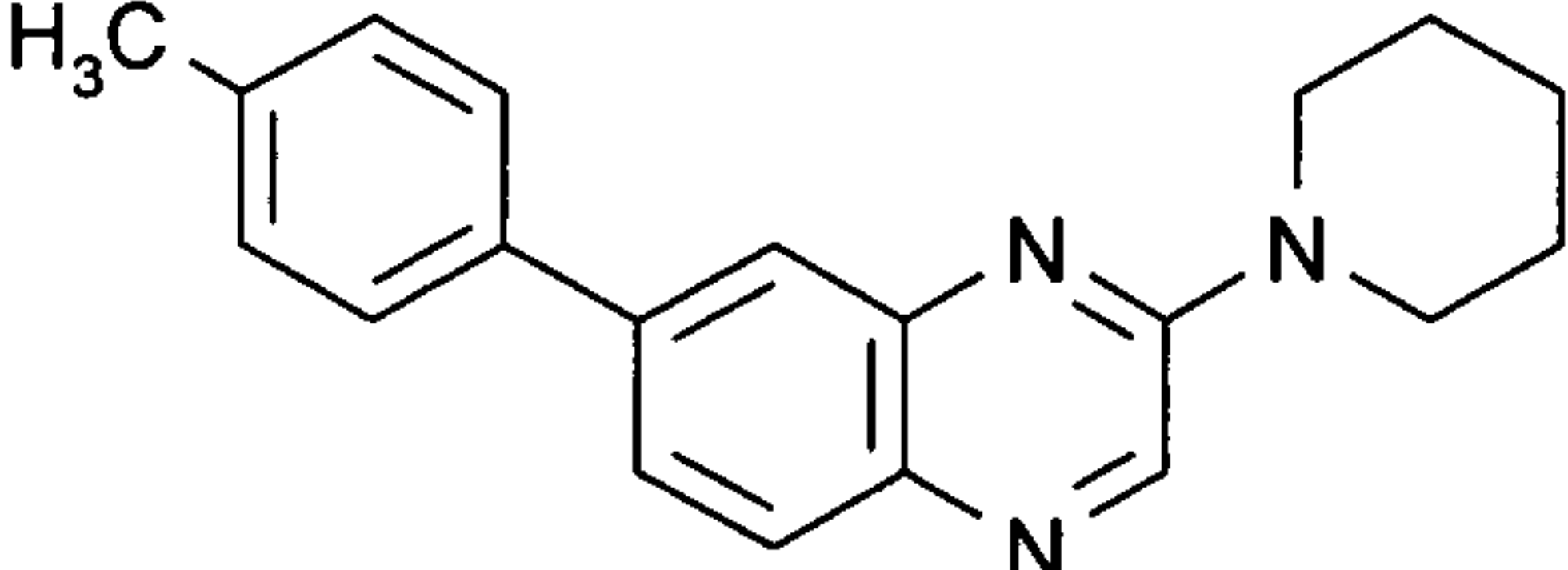
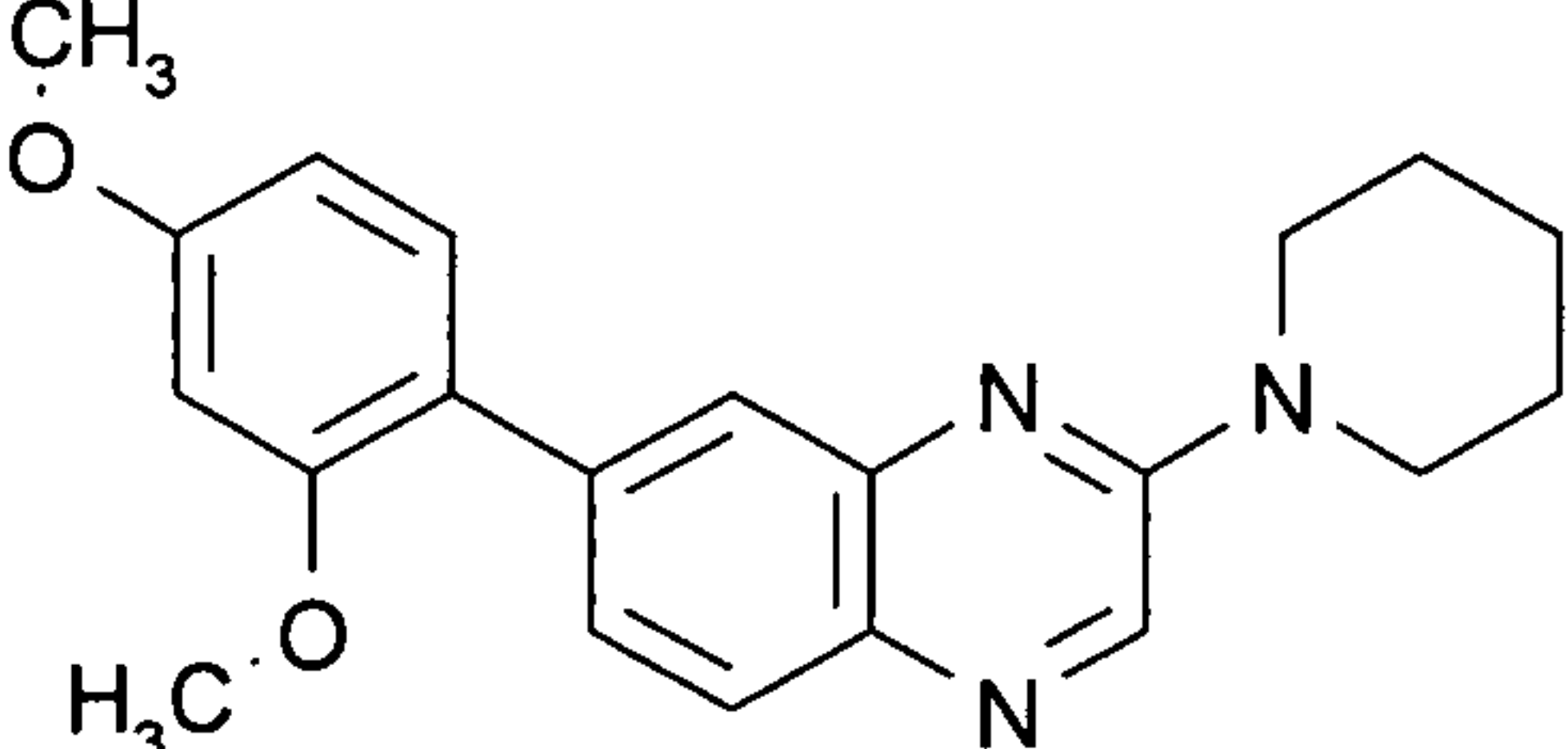
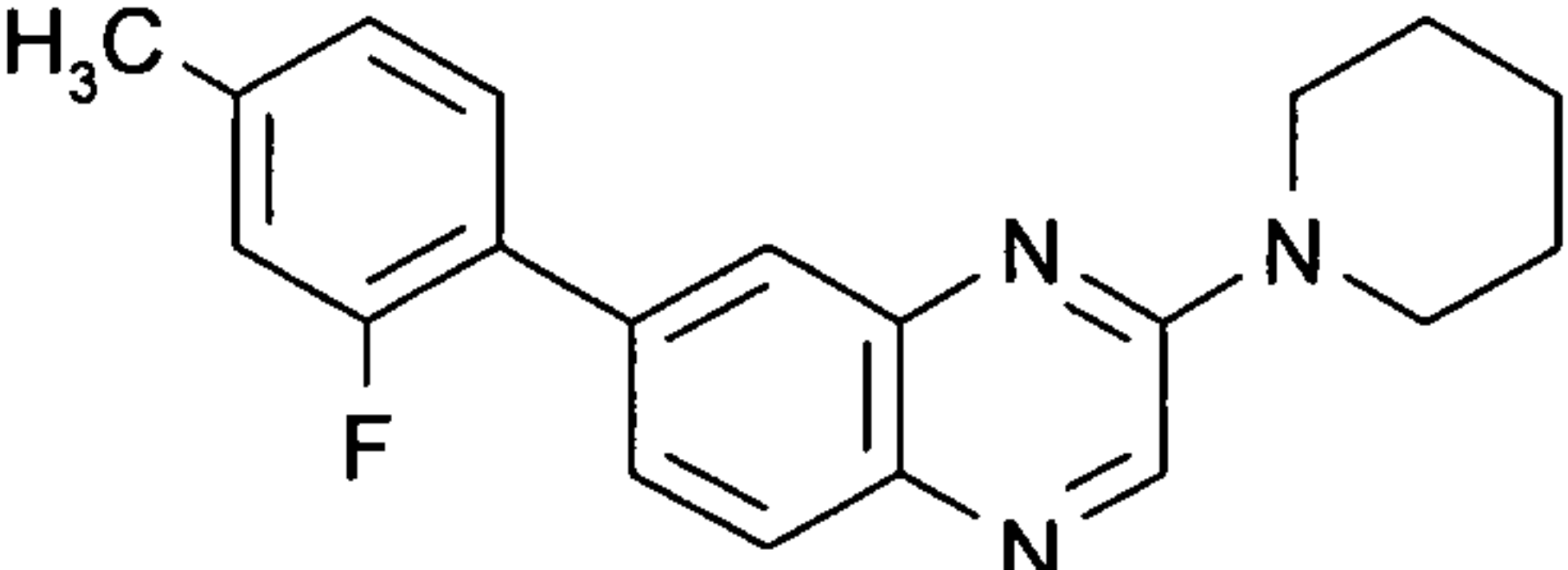
Example 2.1 : Preparation of 7-(2-methoxy-phenyl)-2-piperidin-1-yl-quinoxaline

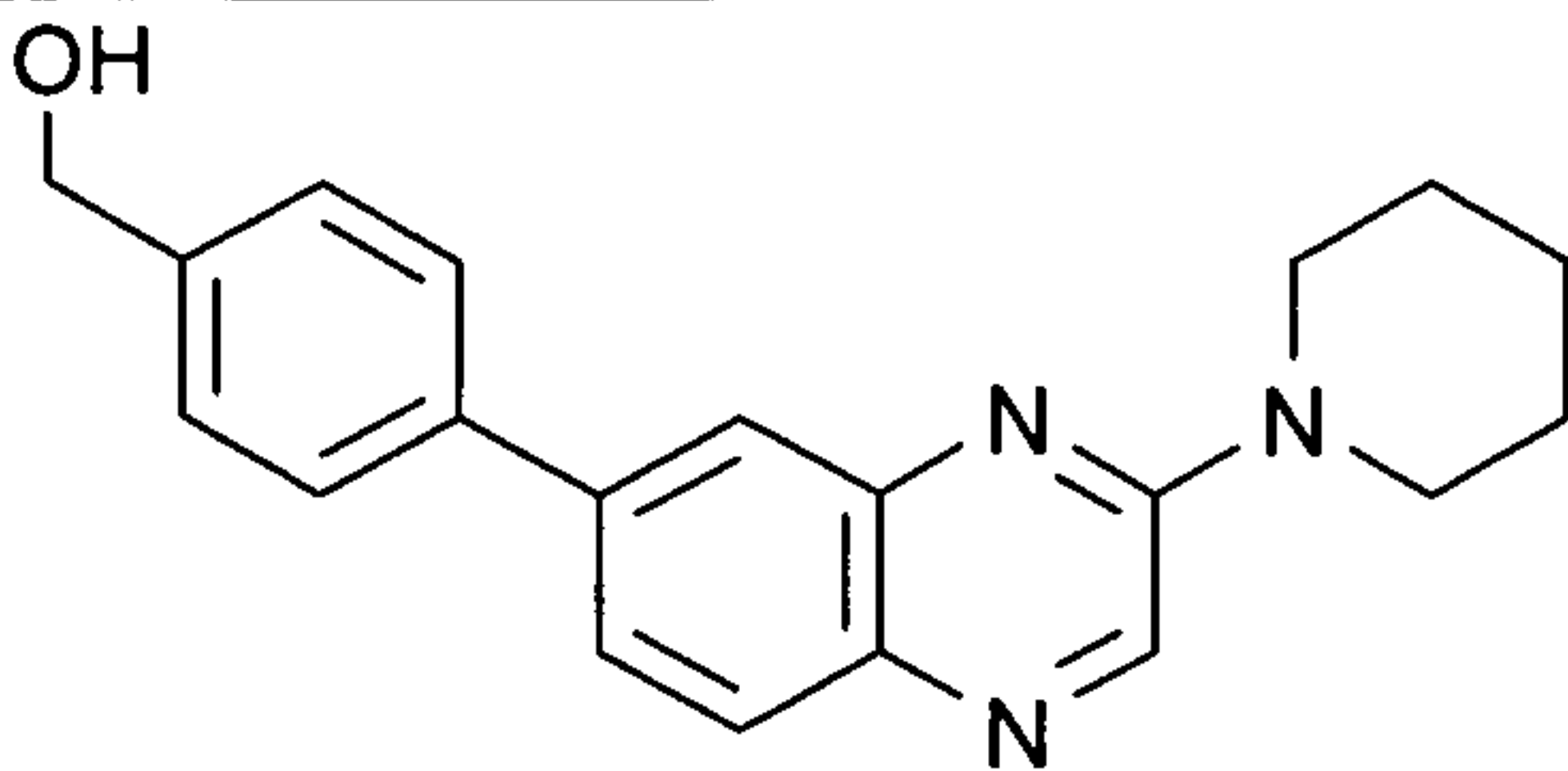
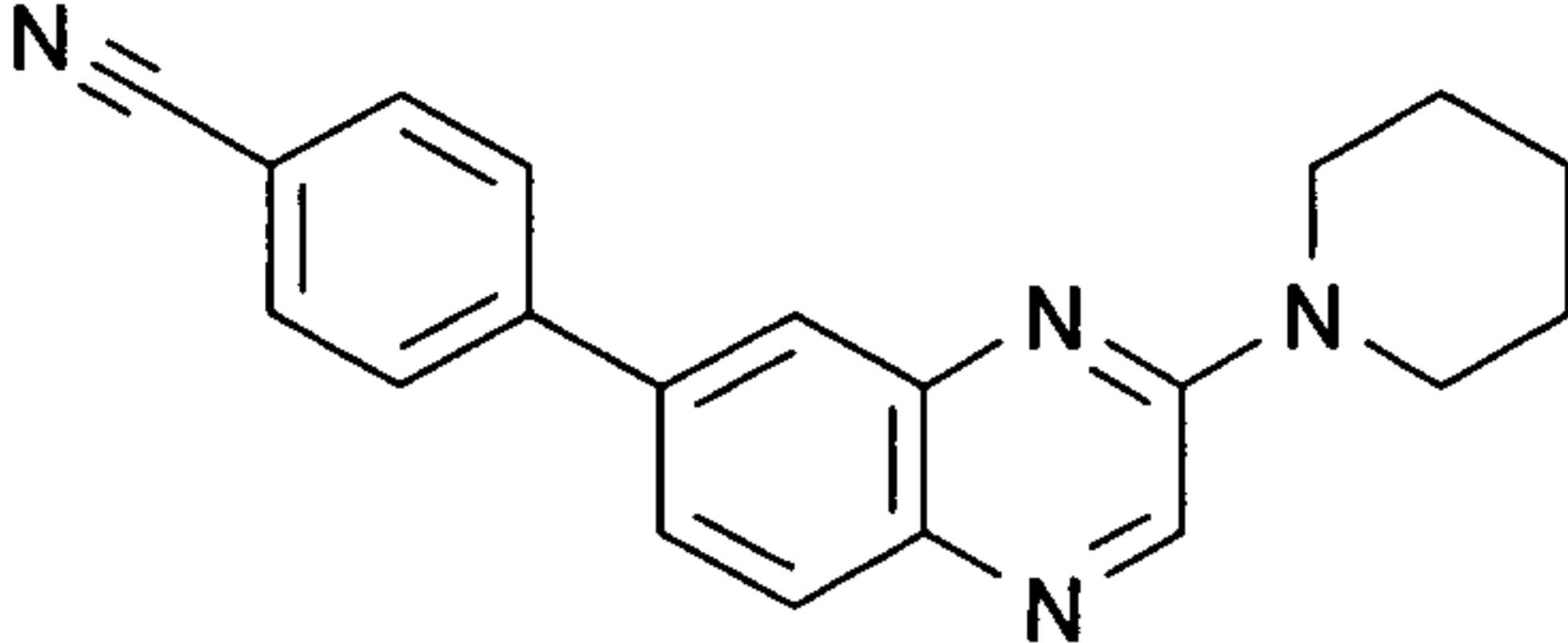
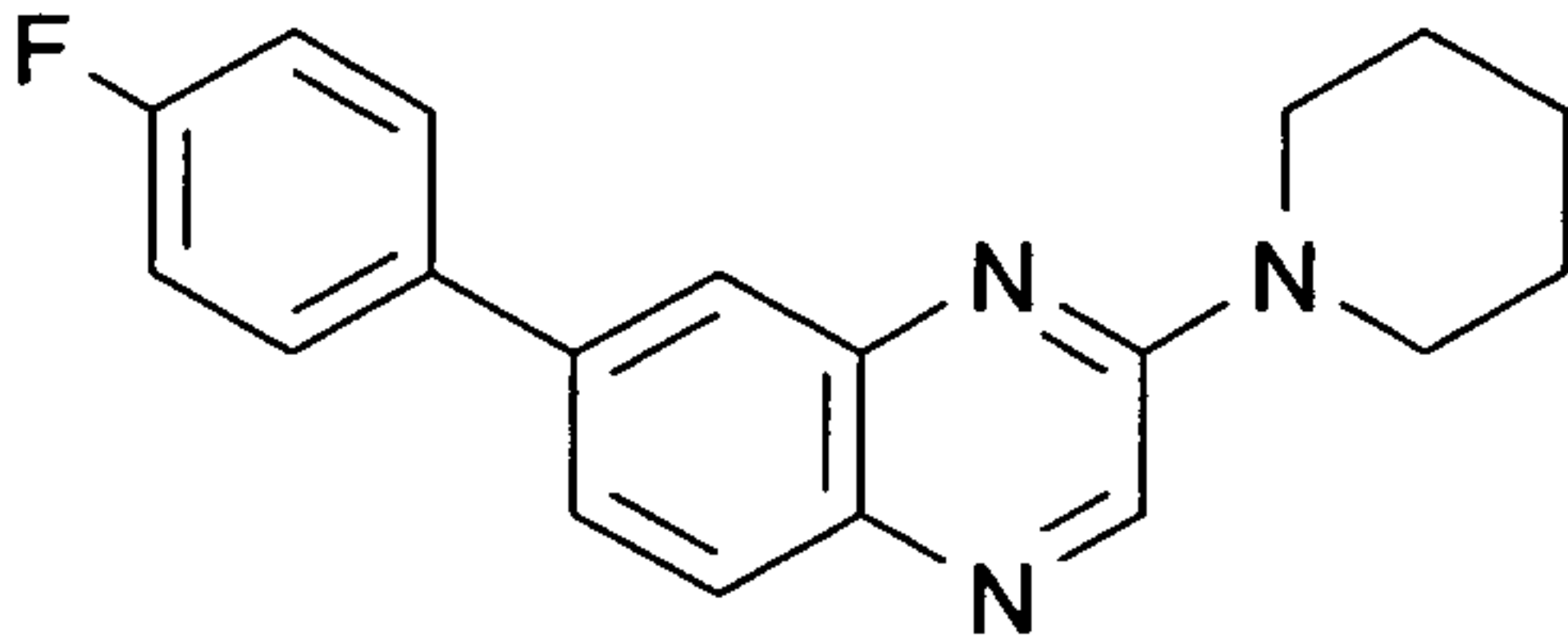
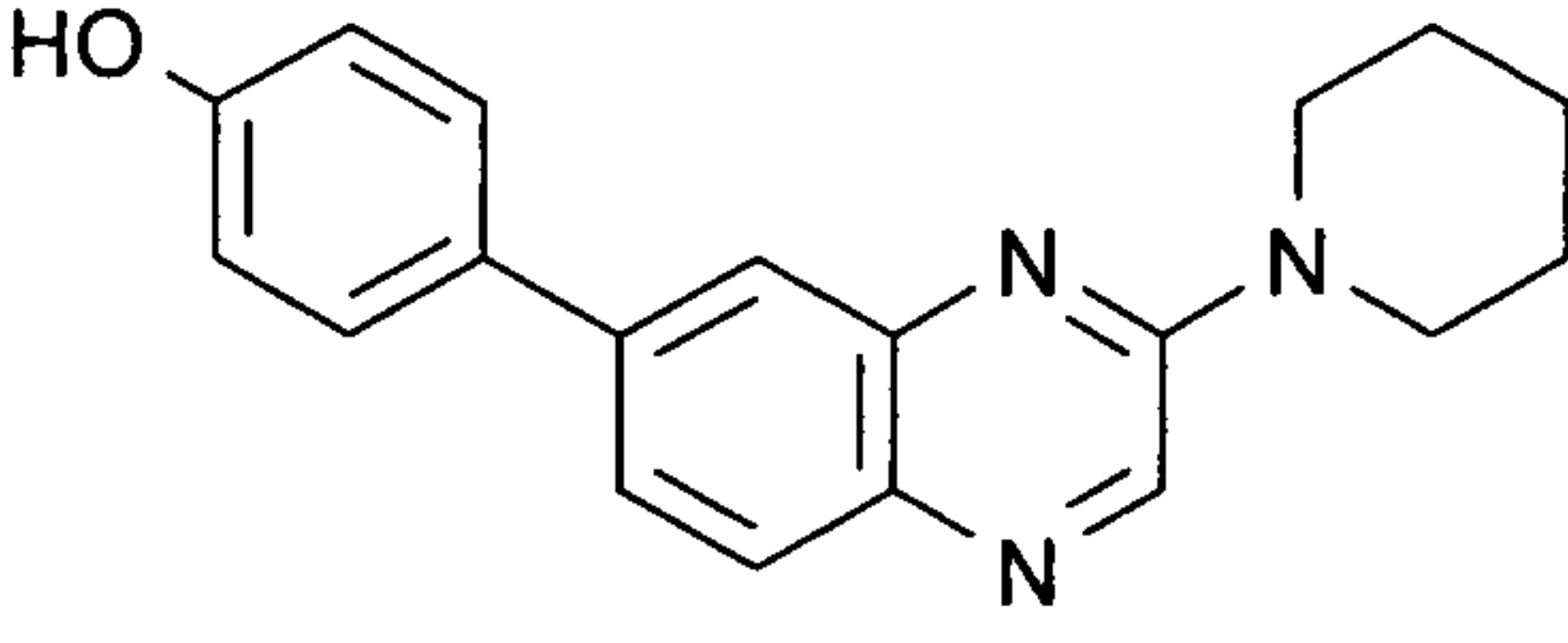
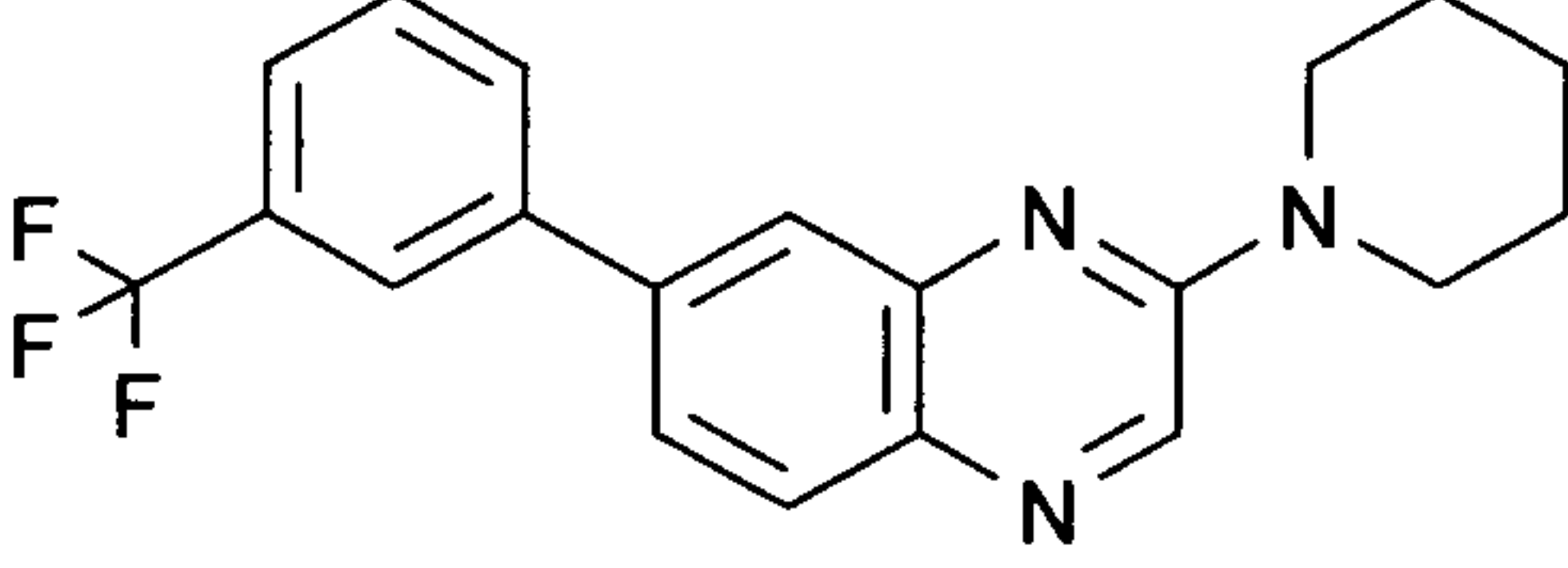
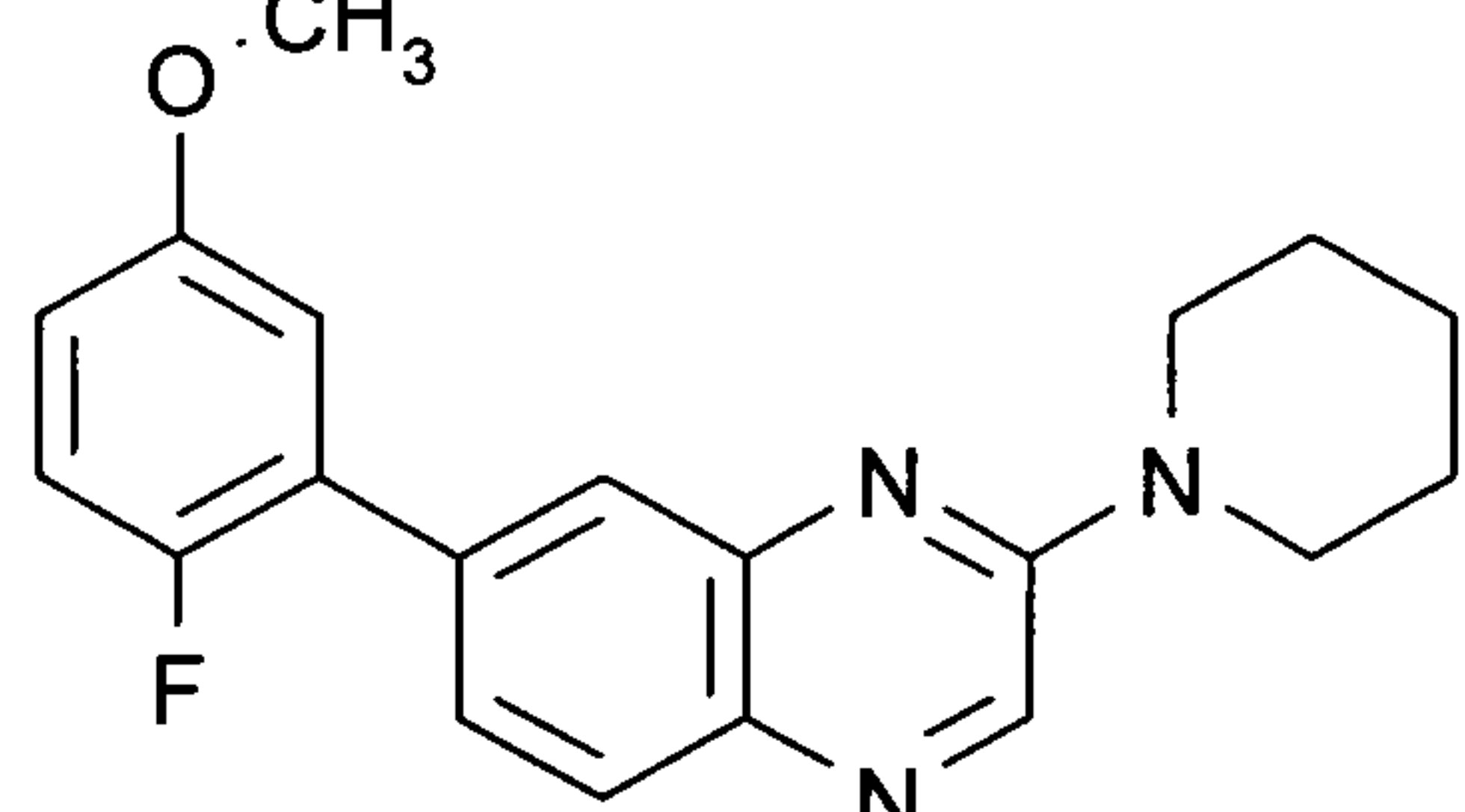


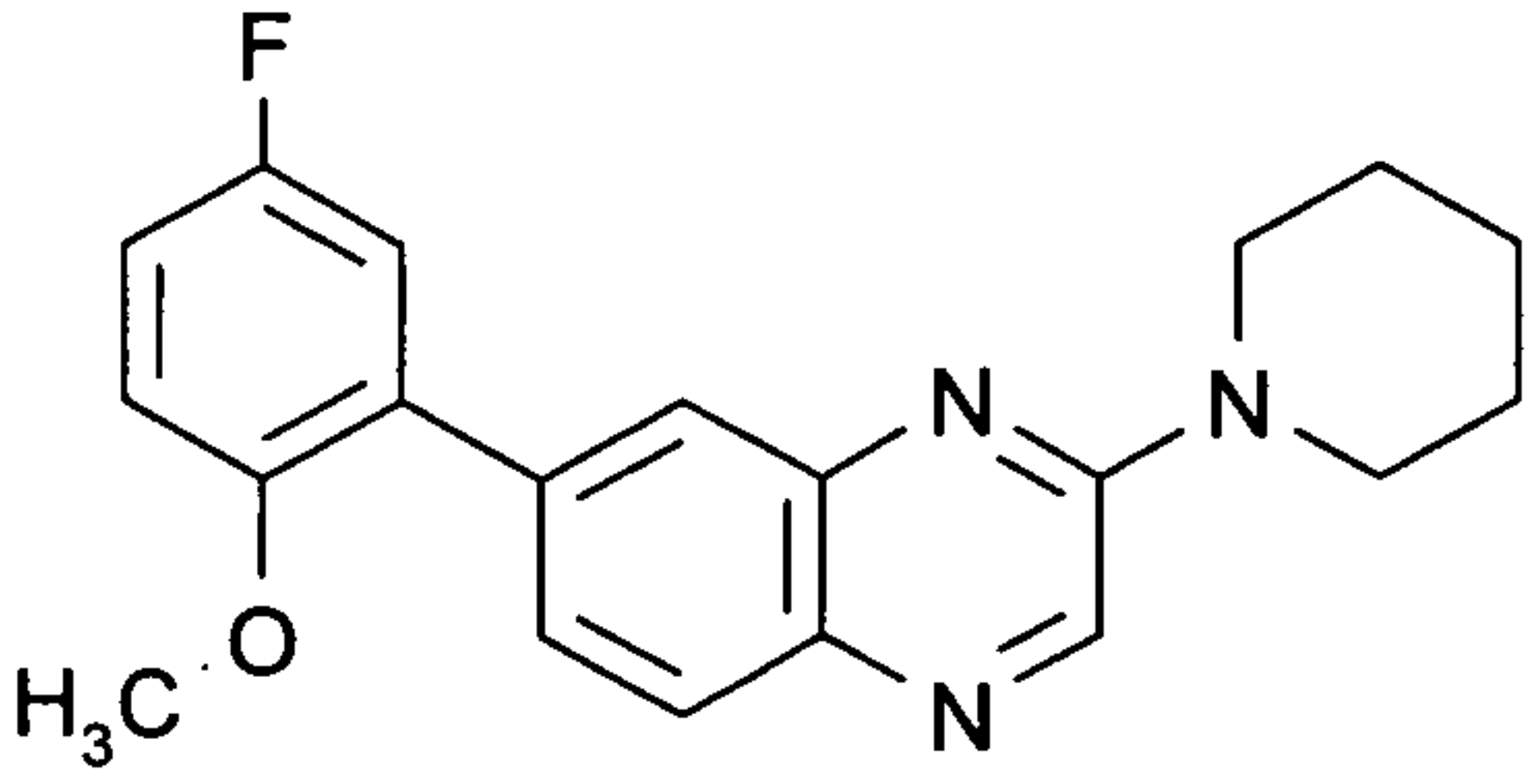
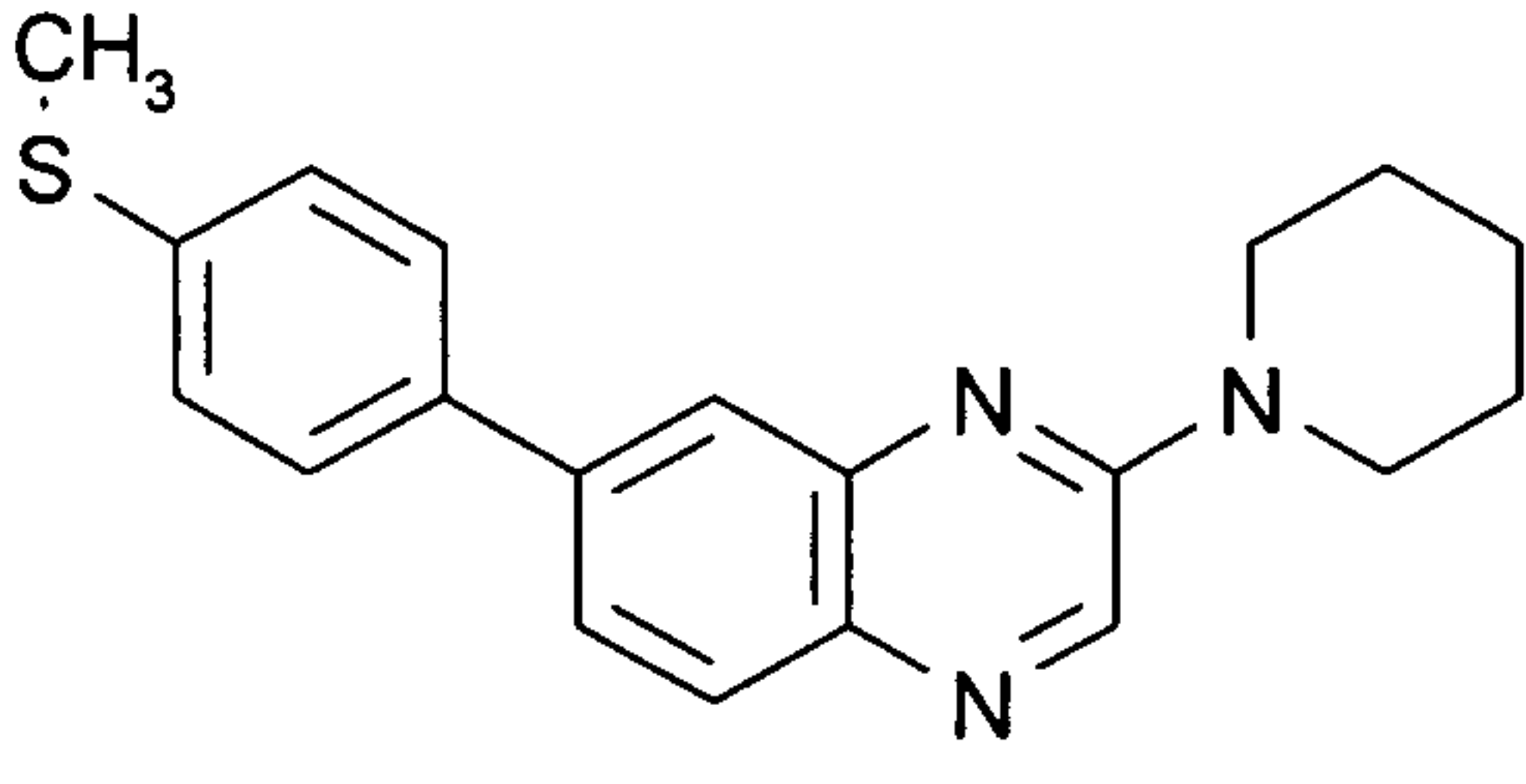
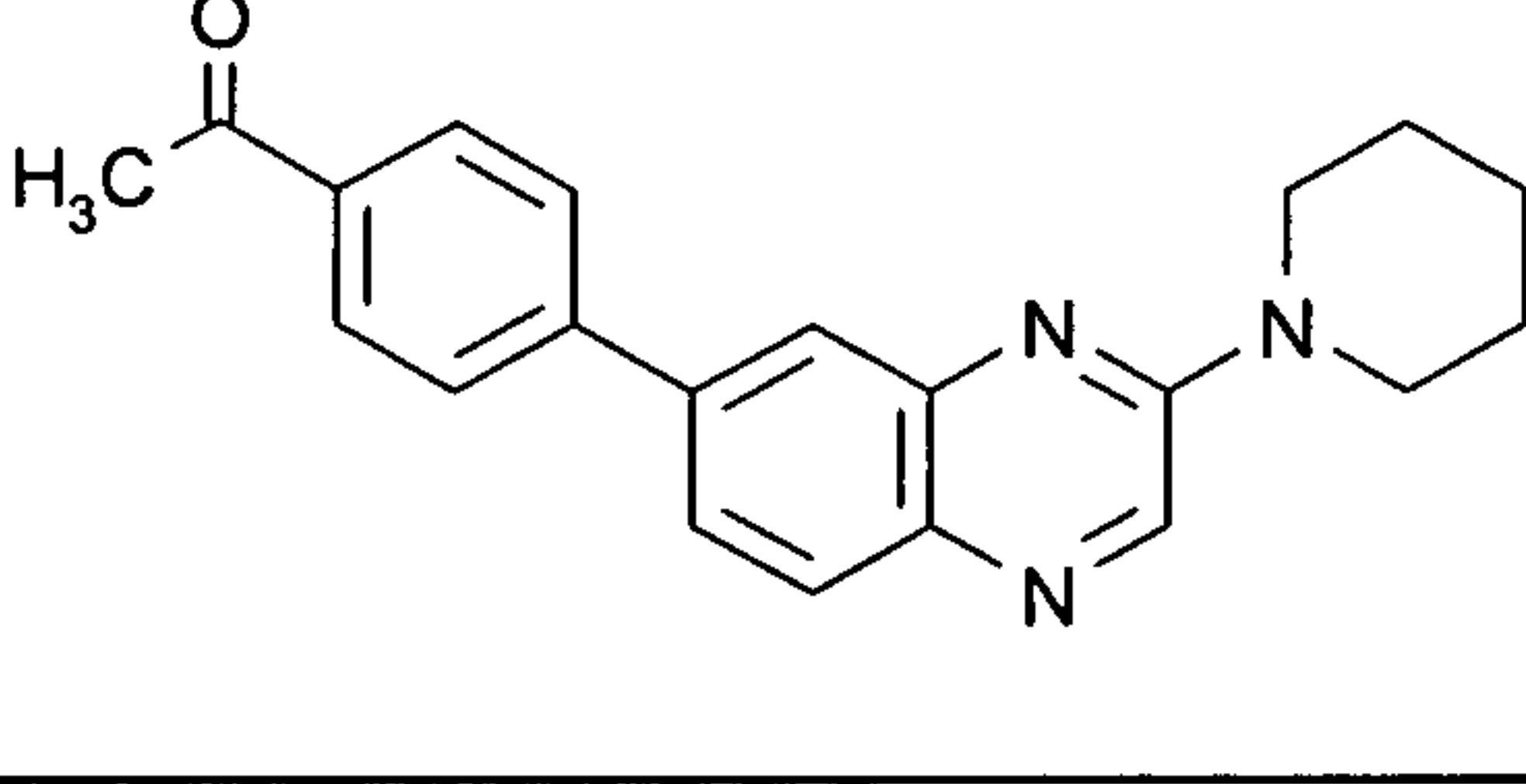
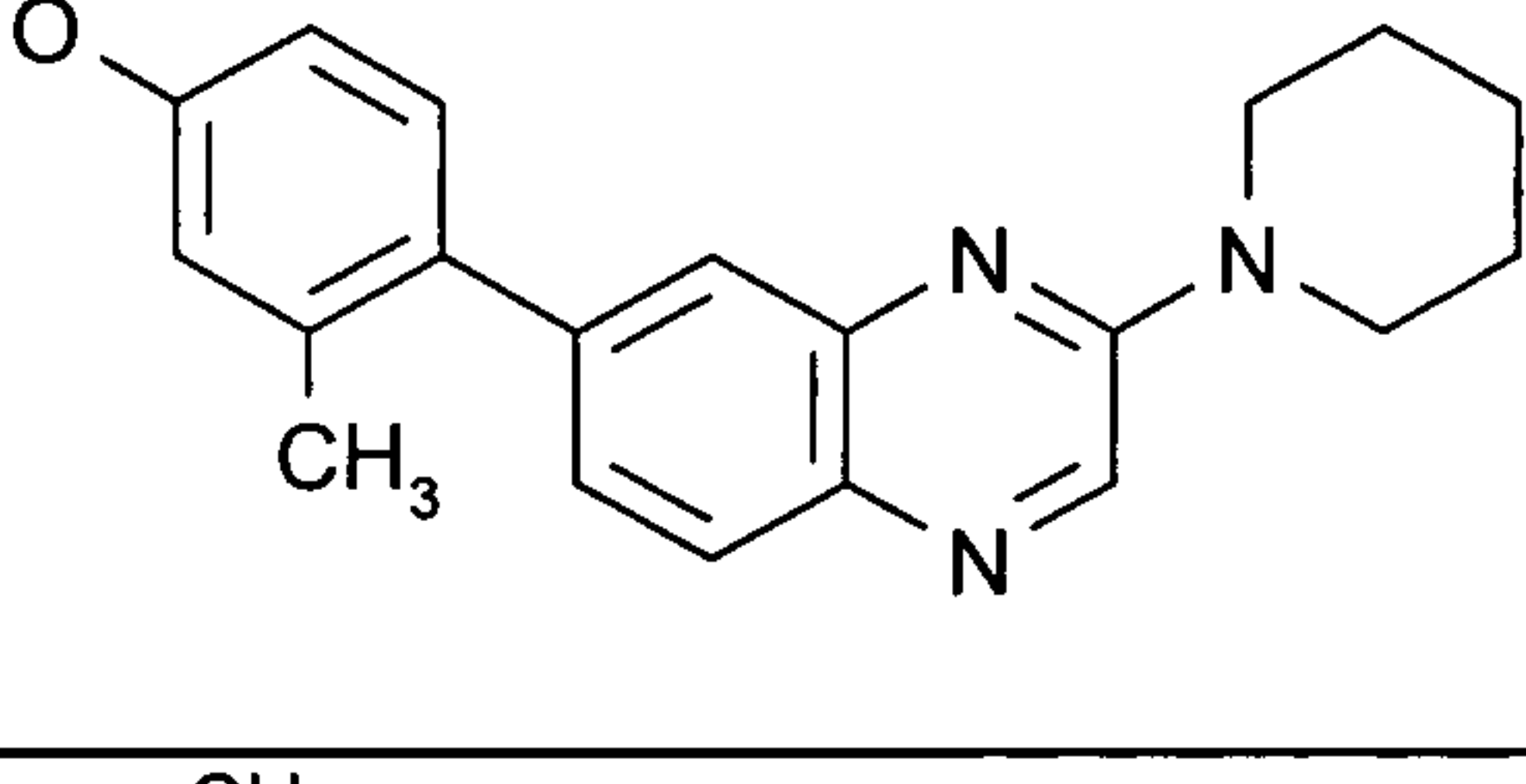
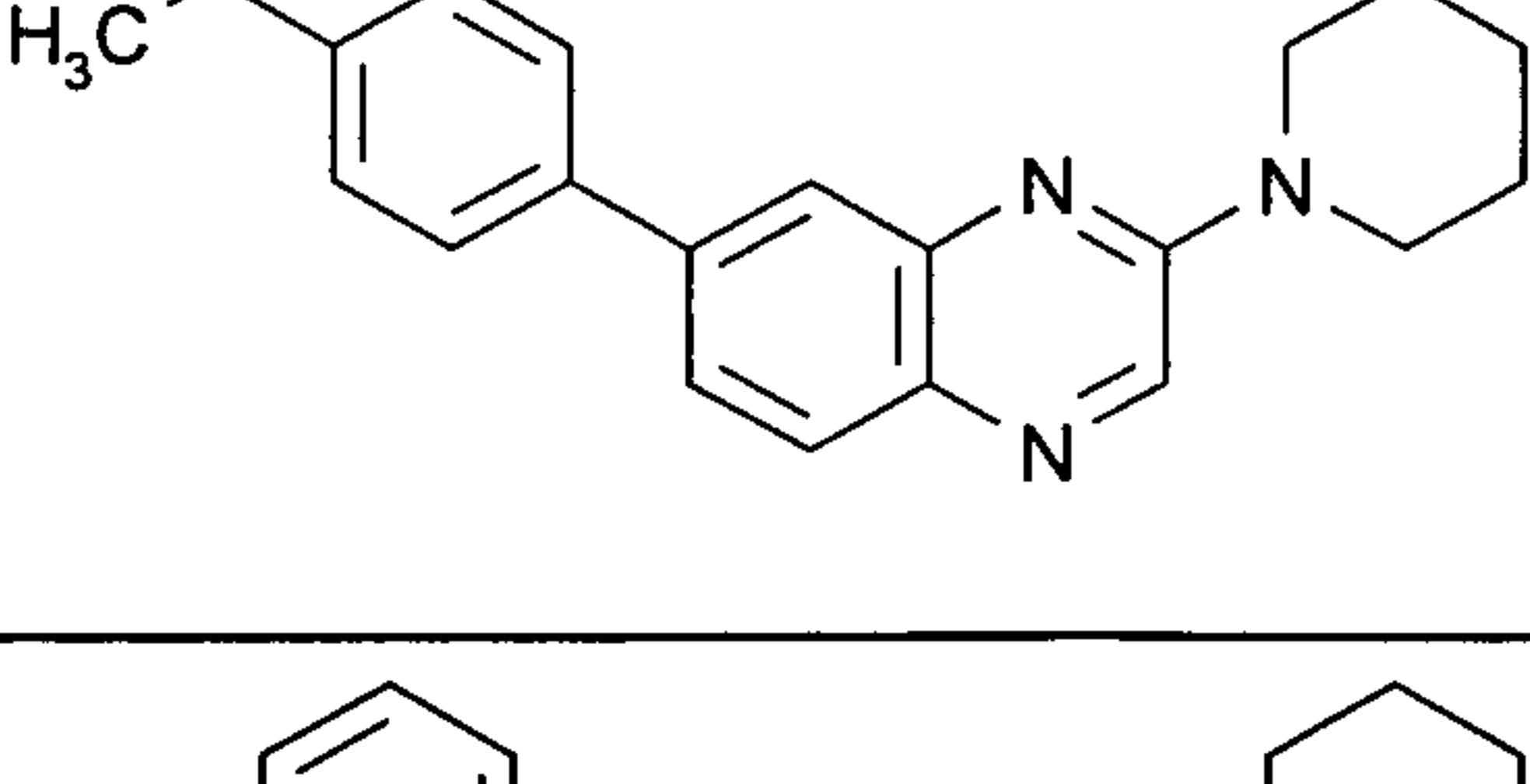
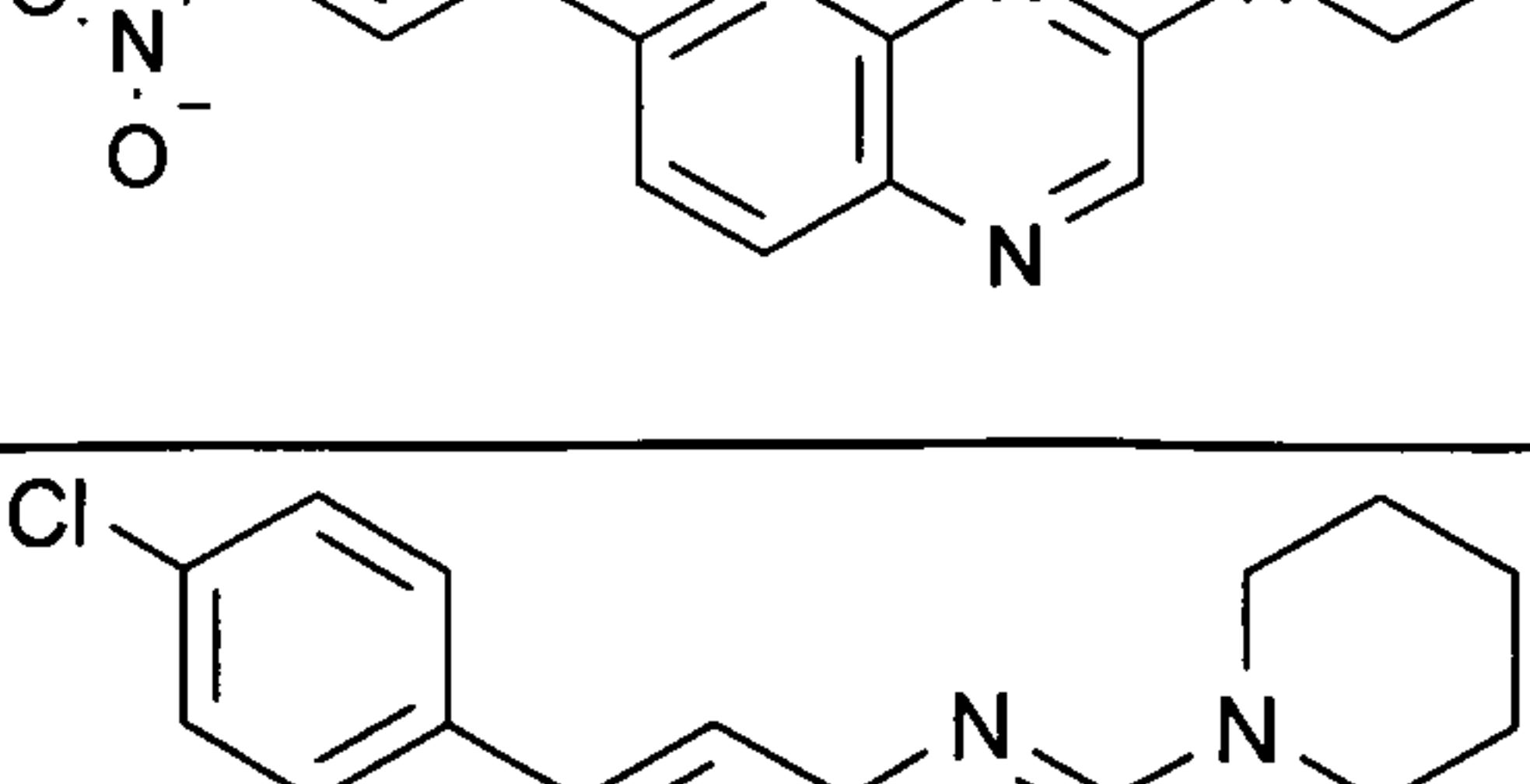
- 5 Example 2.1 was synthesized analogously to example 1.1 using 0.1 mmol intermediate example 2.2 to give 9.1 mg (29%) 7-(2-methoxy-phenyl)-2-piperidin-1-yl-quinoxaline: ¹H-NMR (300 MHz, d₆-DMSO): δ = 8.77 (1H, s), 7.76 (1H, d), 7.59 (1H, s), 7.45 (1H, d), 7.37 (1H, d), 7.36 (1H, tr), 7.12 (1H, d), 7.03 (1H, tr), 3.74 (4H, bs), 1.60 (6H, bs) ppm; UPLC-MS: RT = 1.44 min; m/z
- 10 (ES⁺) 320.4 [MH⁺]; required MW = 319.4.

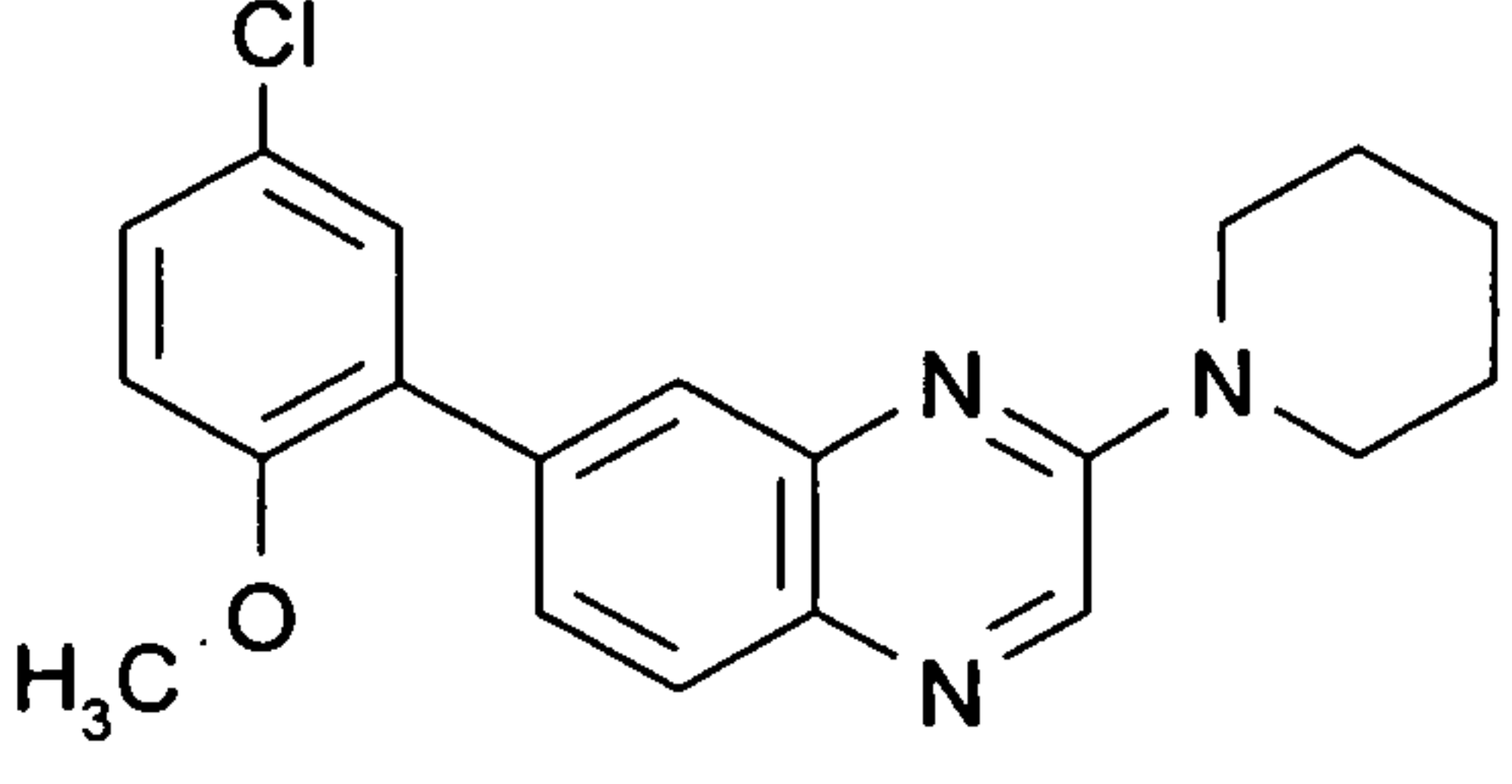
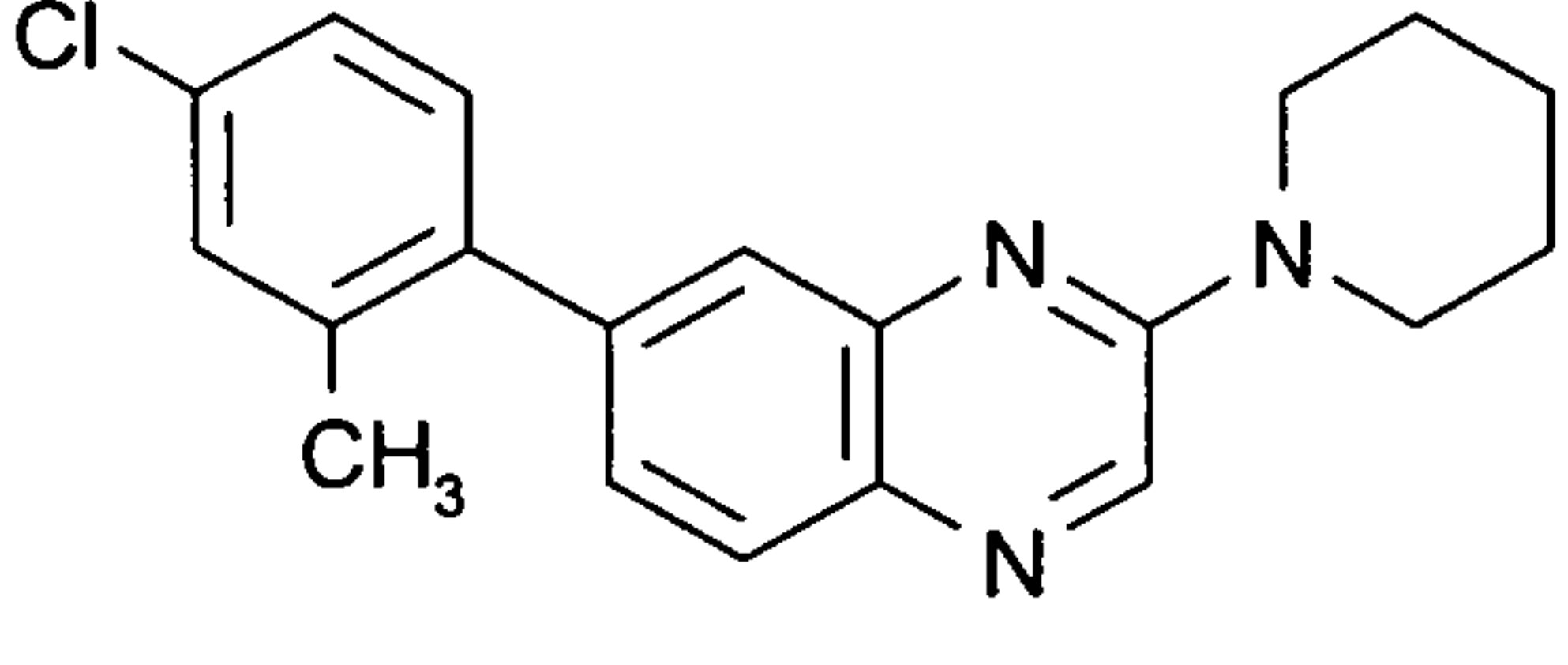
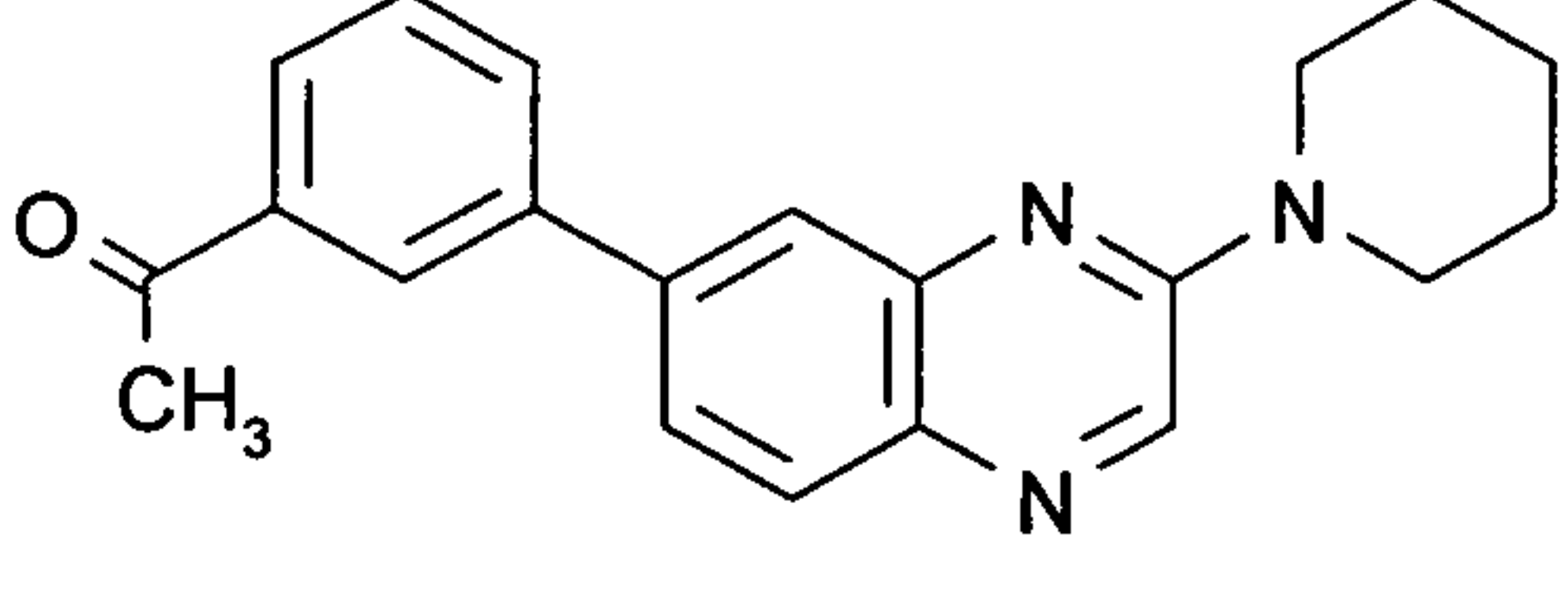
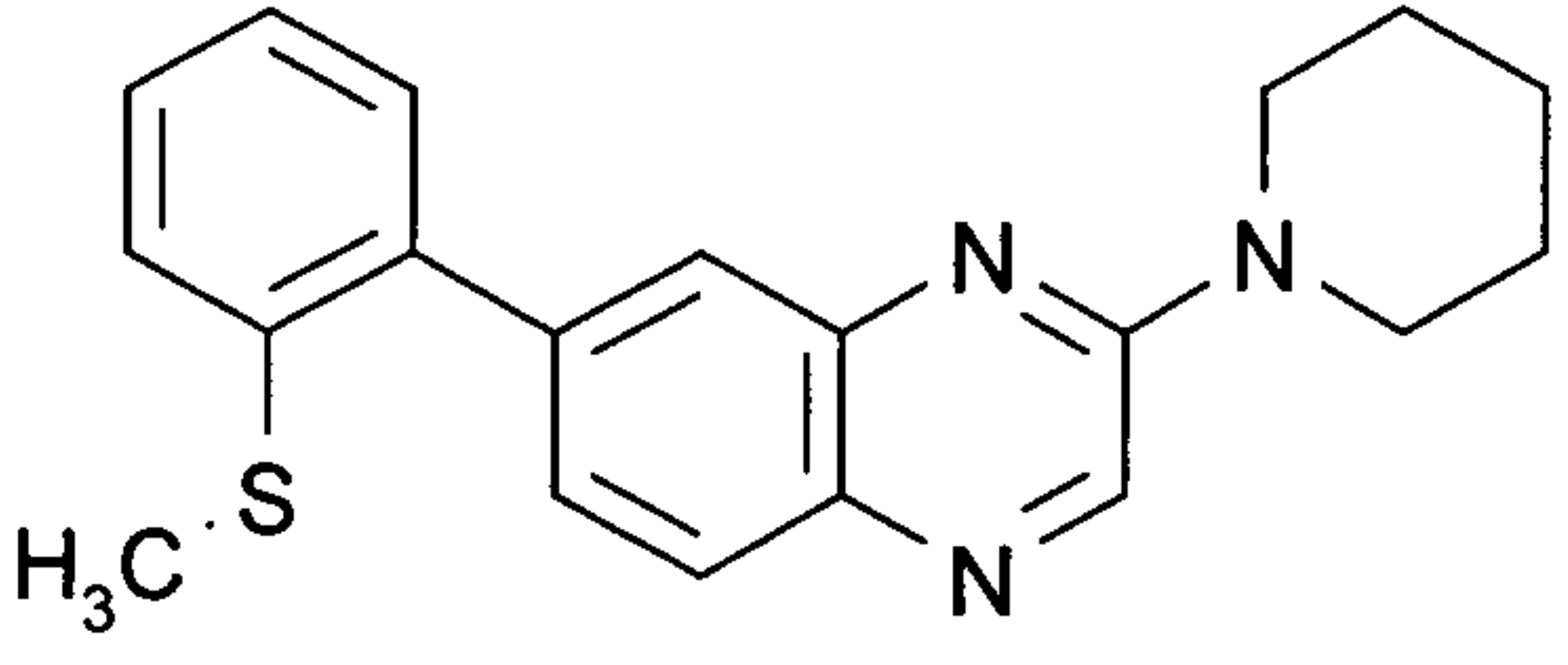
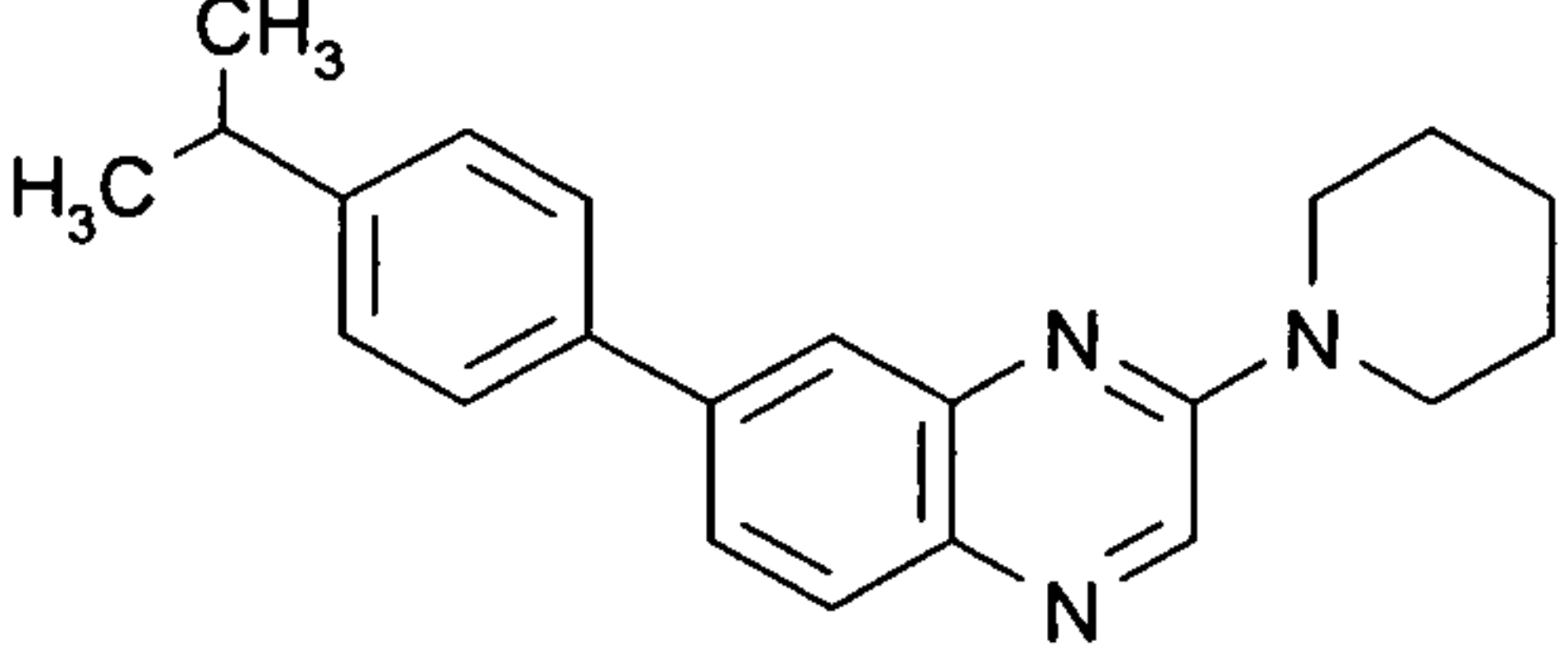
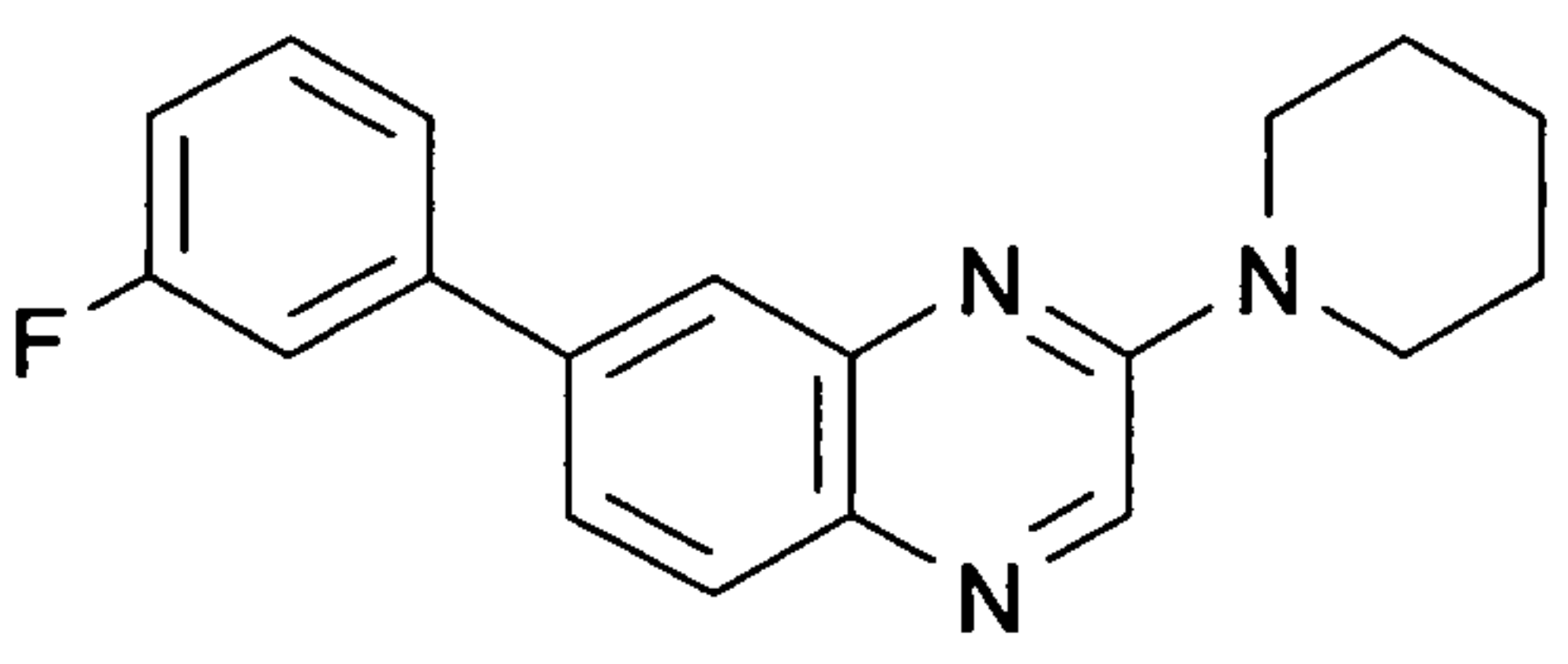
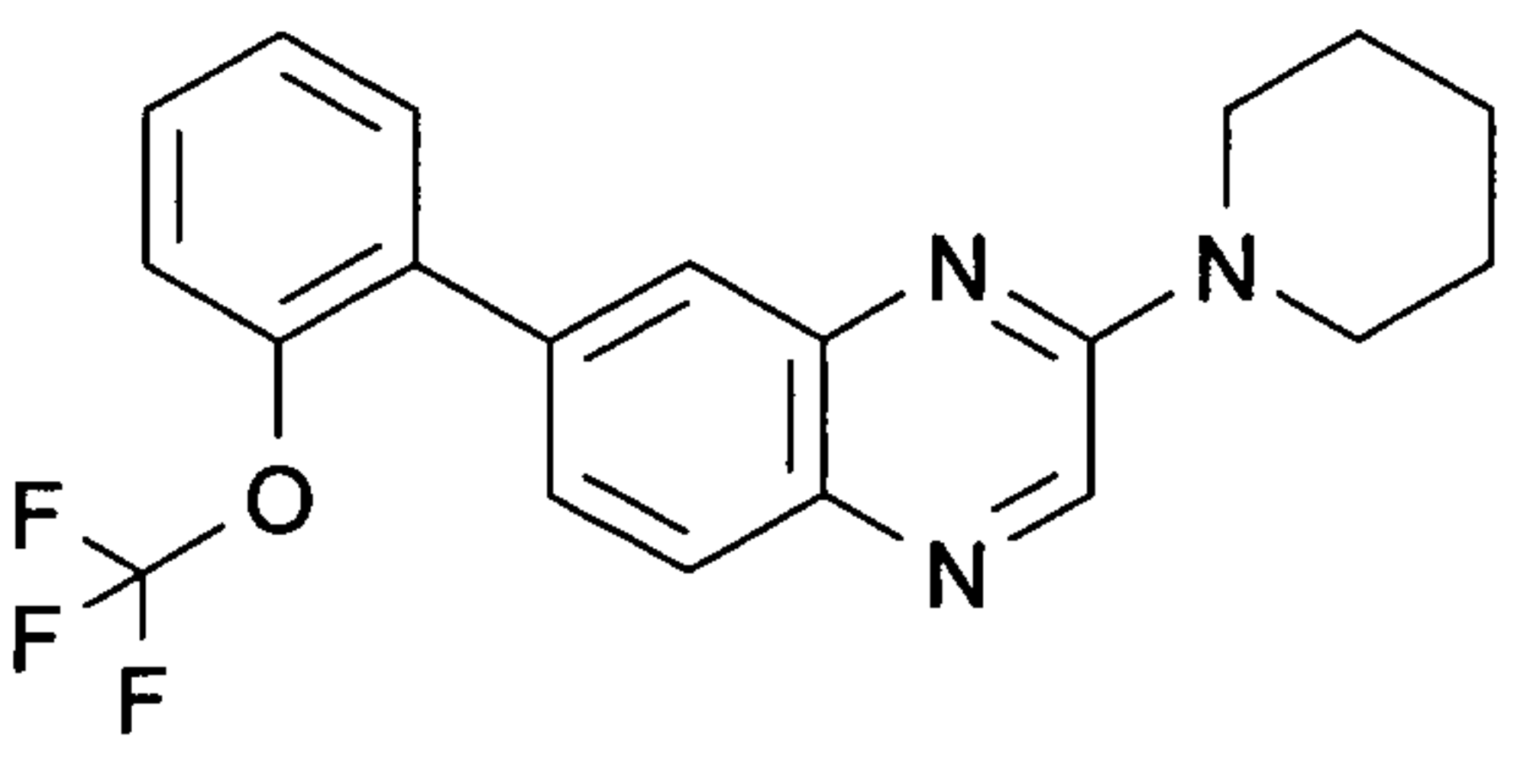
The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

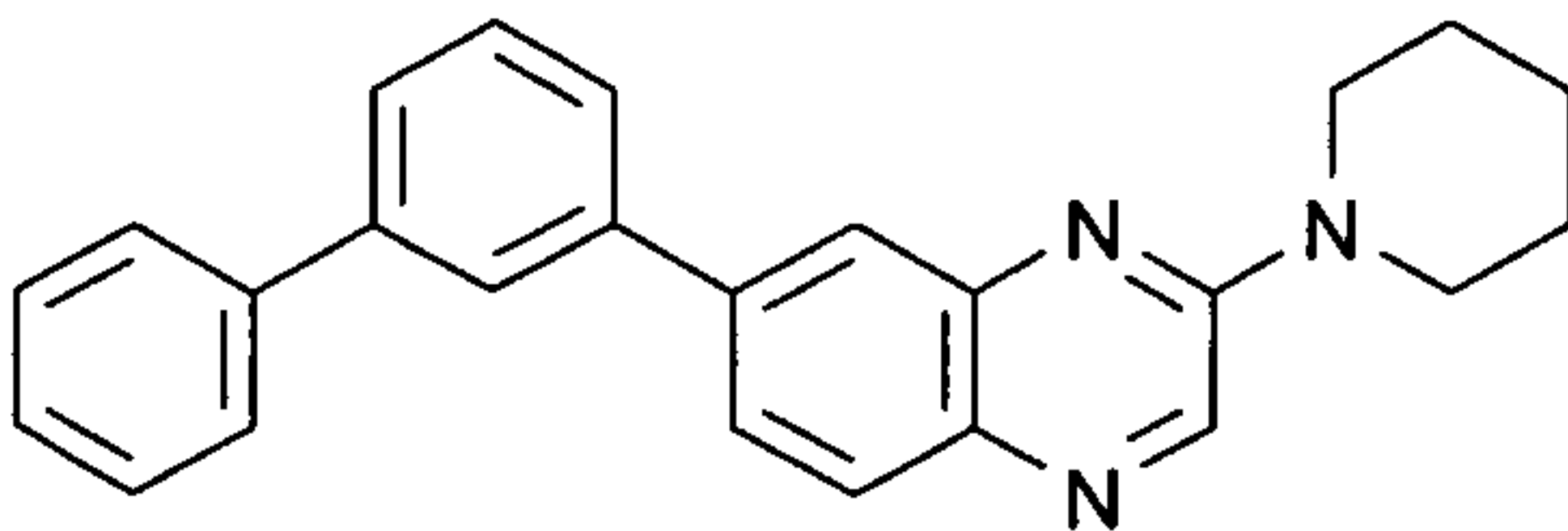
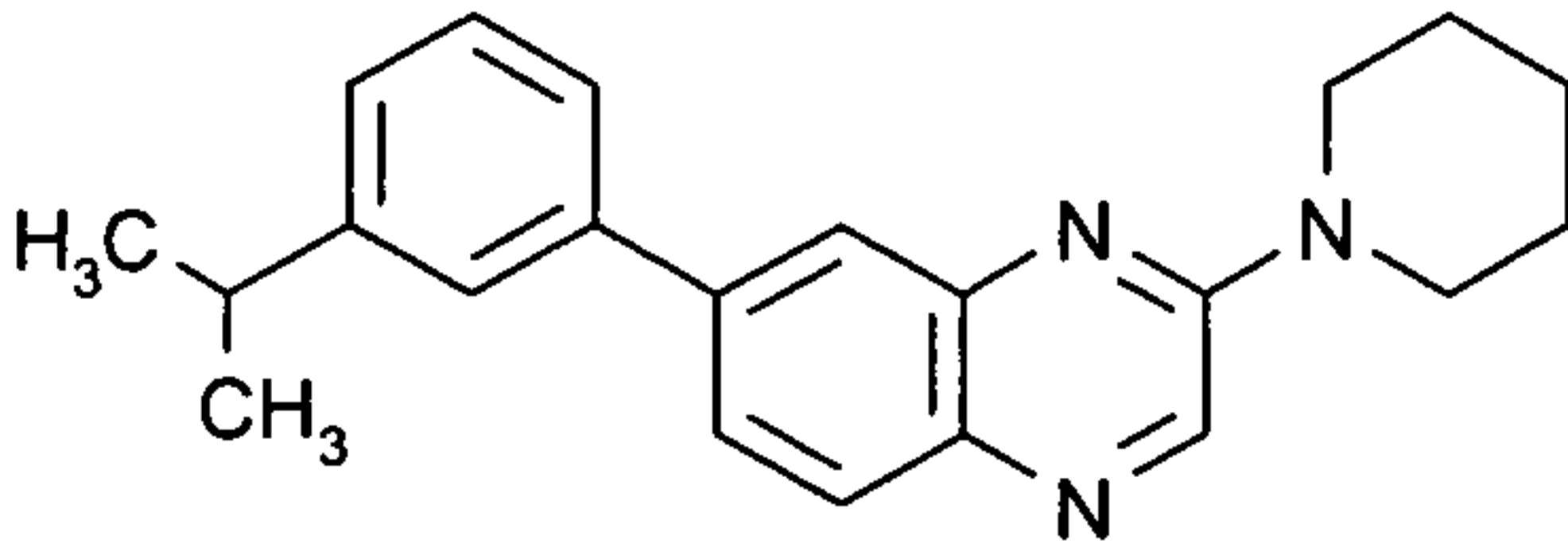
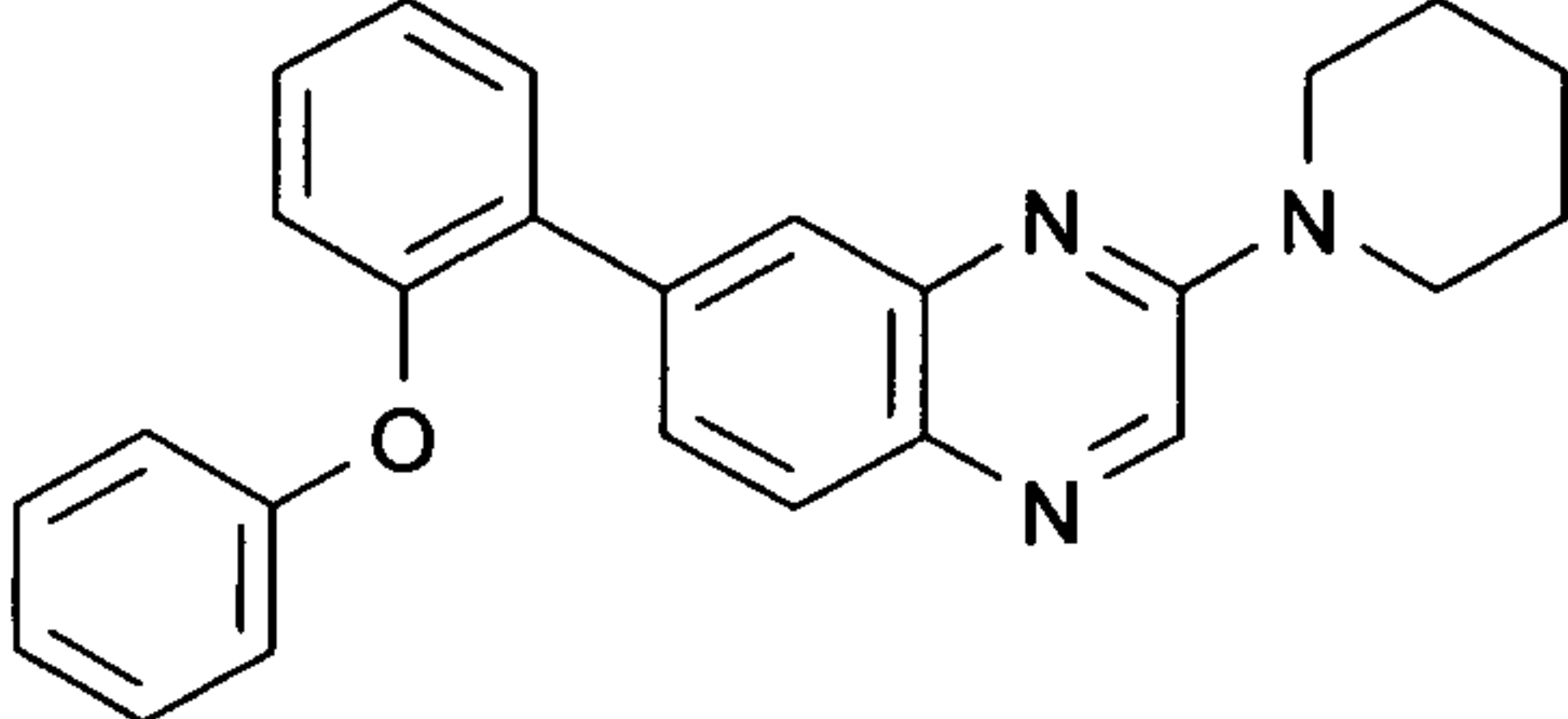
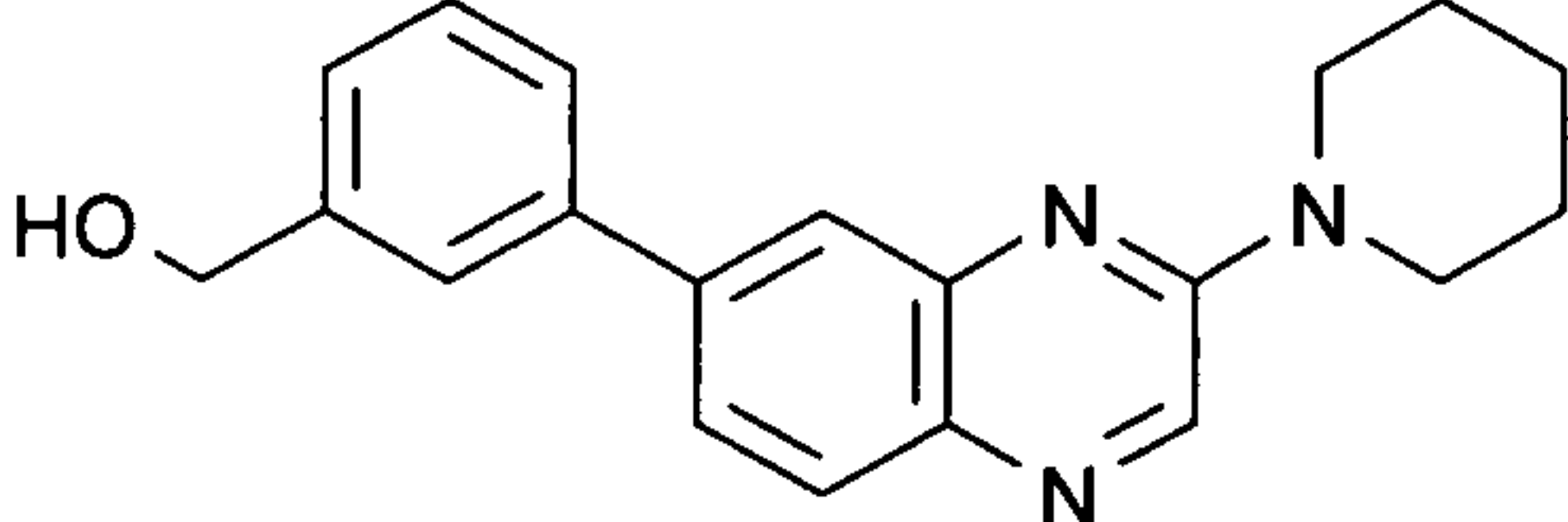
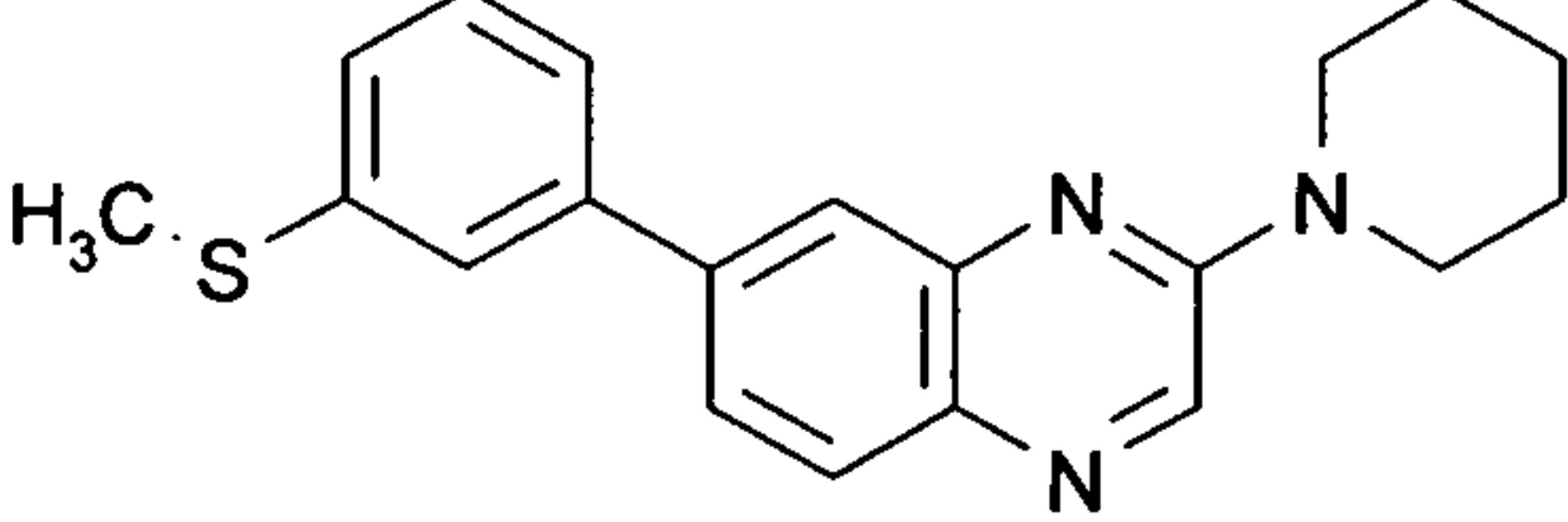
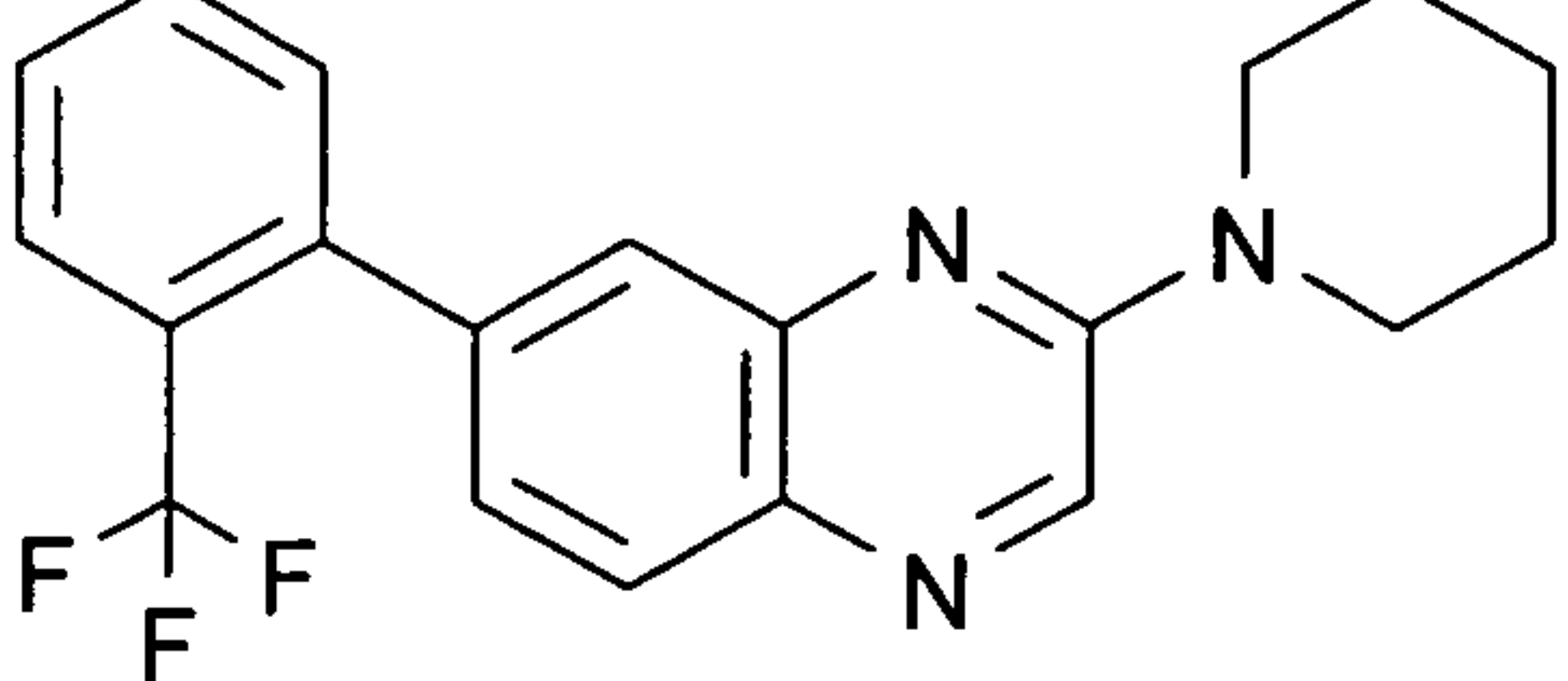
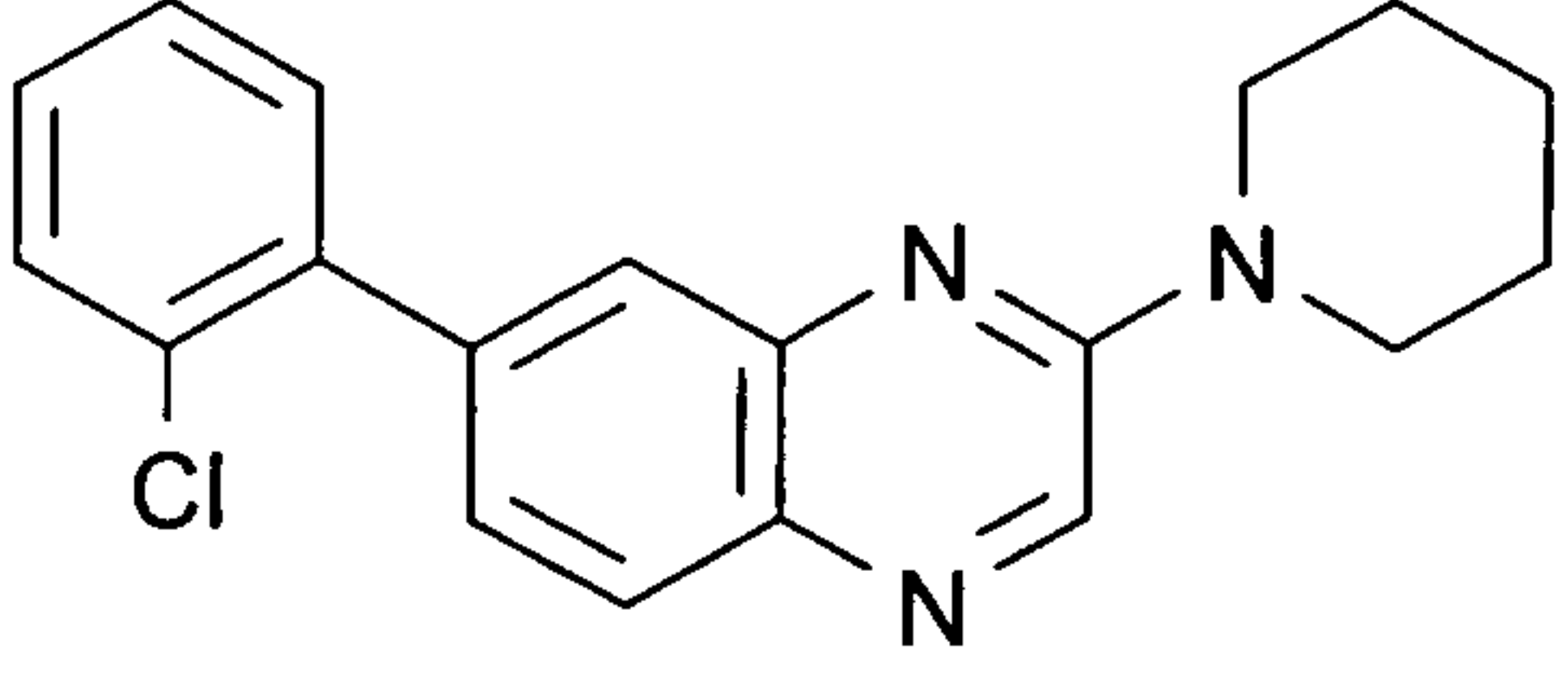
Example	Structure	Name	Analytical Data
2.2		7-phenyl-2-(piperidin-1-yl)quinoxaline	RT = 1.50 MW _{found} = 290.4 MW _{calc} = 289.4
2.3		7-(2,4-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.70 MW _{found} = 359.3 MW _{calc} = 358.3
2.4		7-(3-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.48 MW _{found} = 320.4 MW _{calc} = 319.4
2.5		7-(4-phenoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.68 MW _{found} = 382.5 MW _{calc} = 381.5
2.6		7-(biphenyl-4-yl)-2-(piperidin-1-yl)quinoxaline	RT = 1.70 MW _{found} = 366.5 MW _{calc} = 365.5

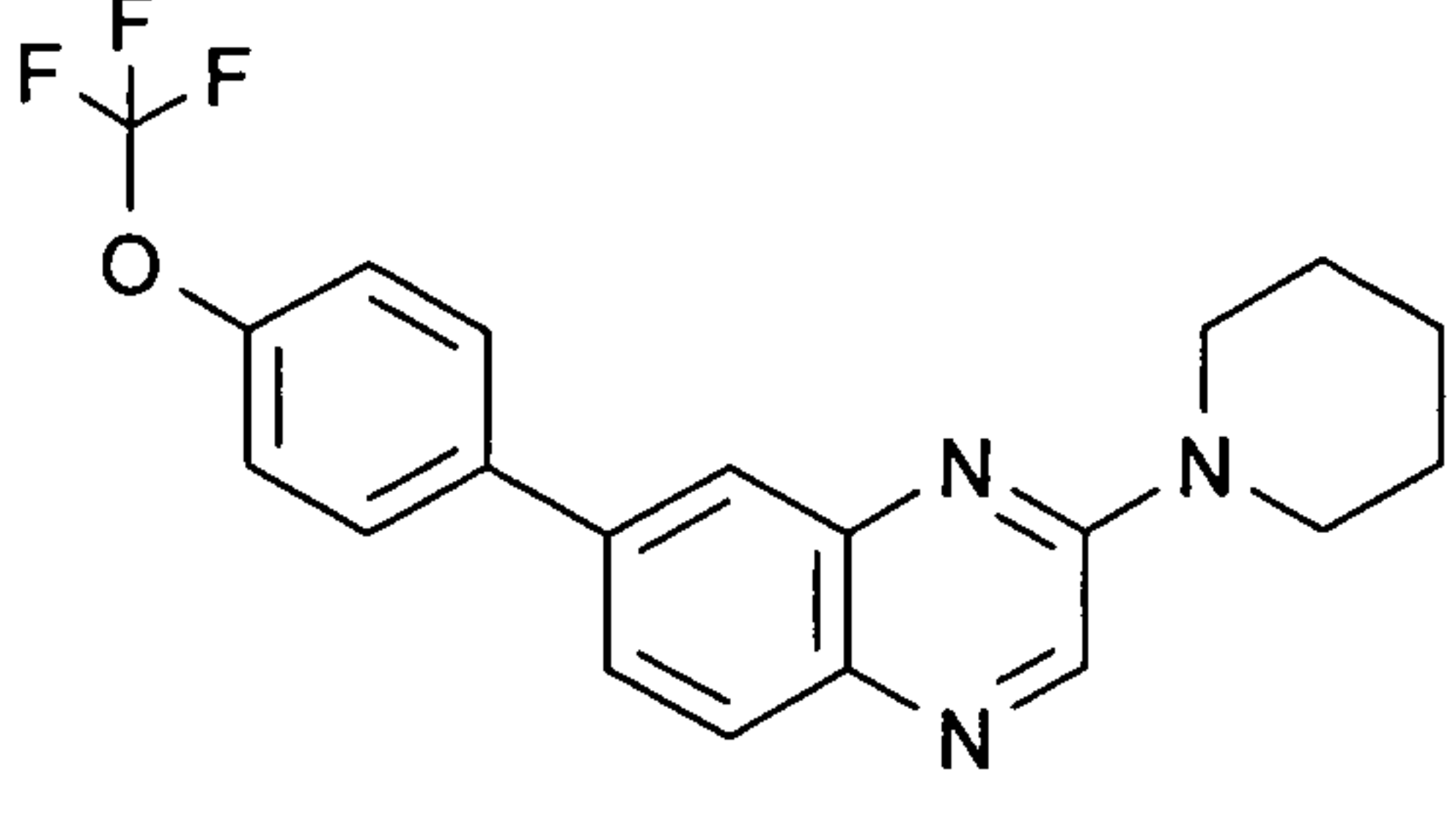
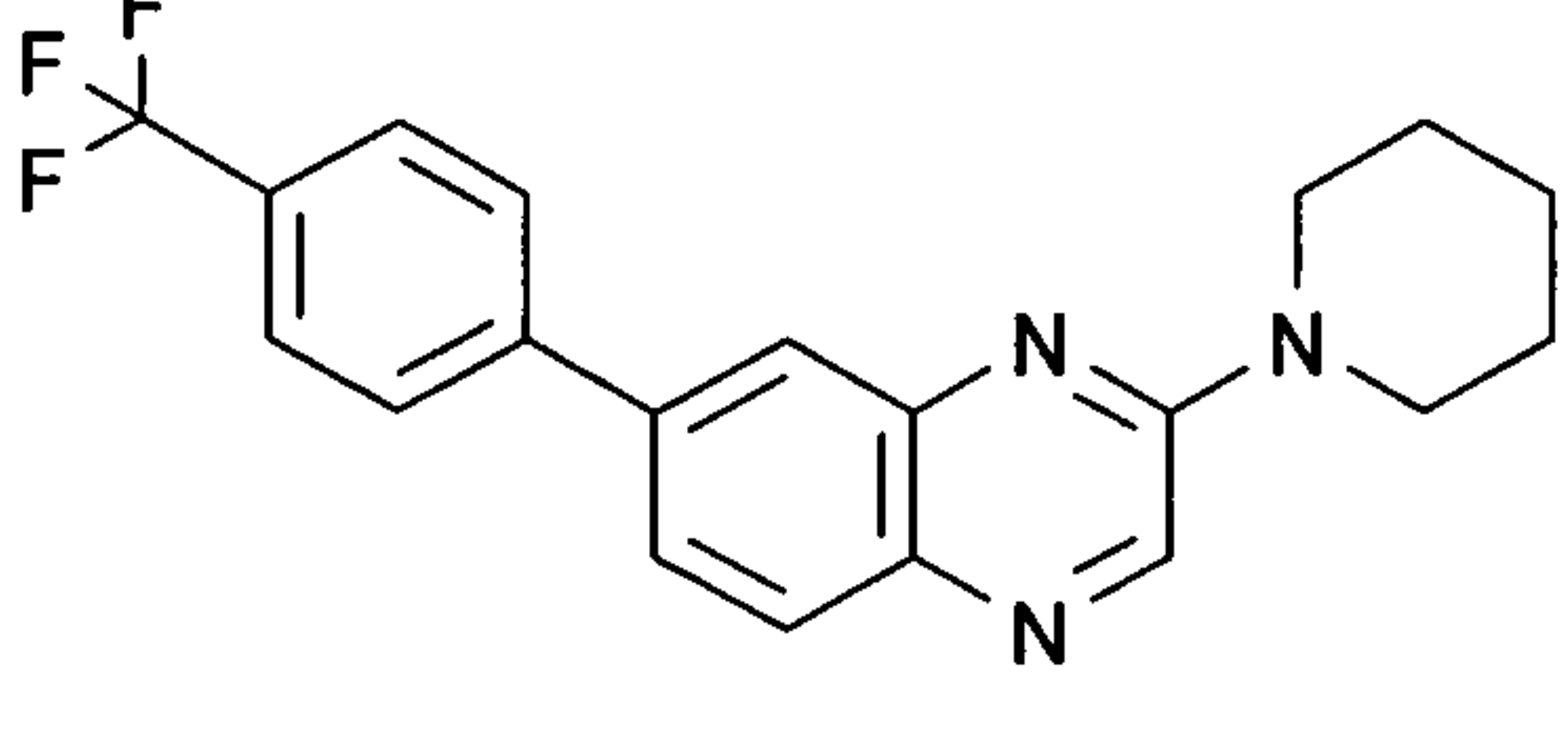
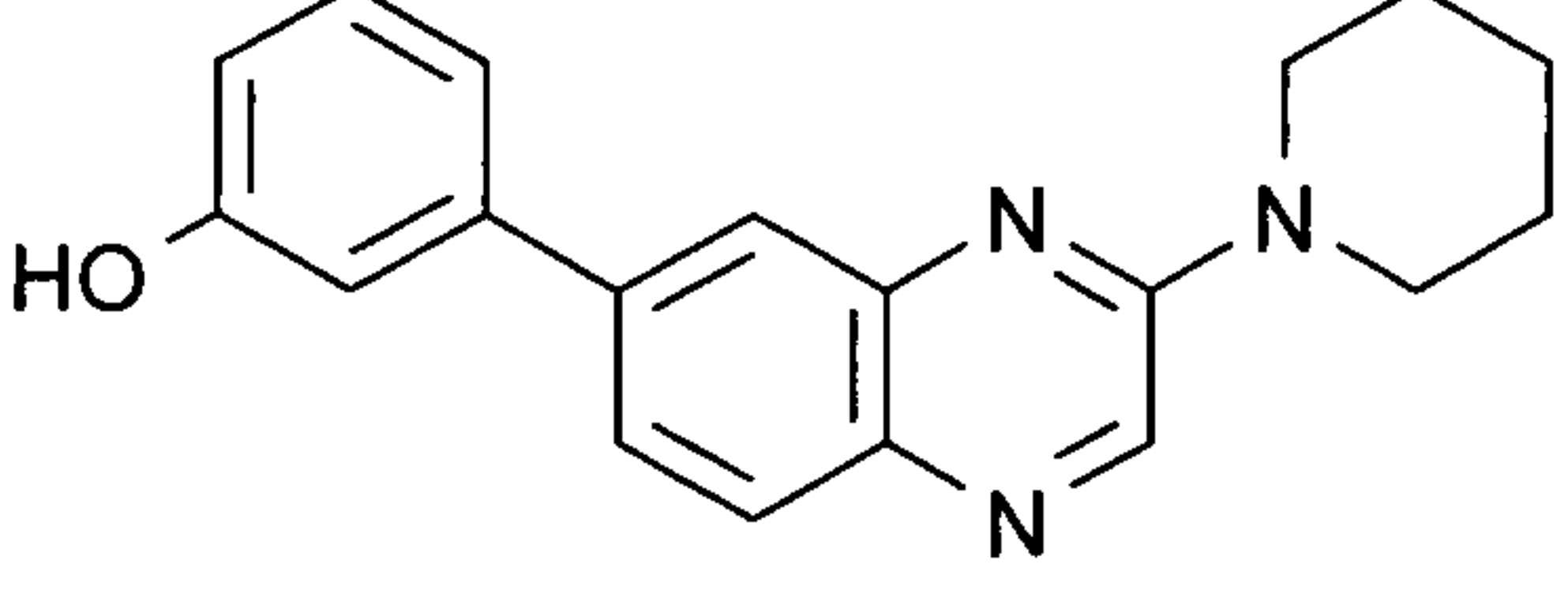
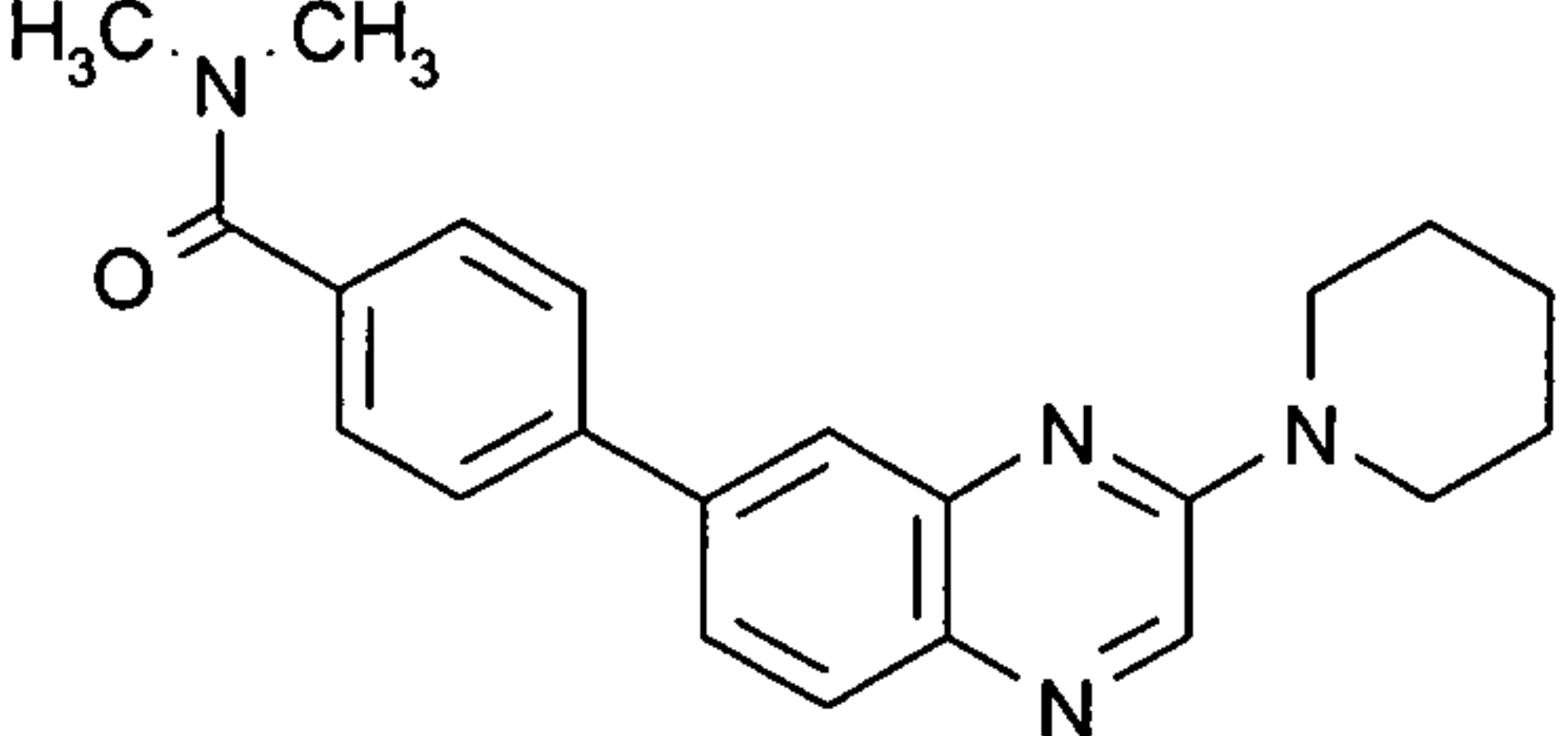
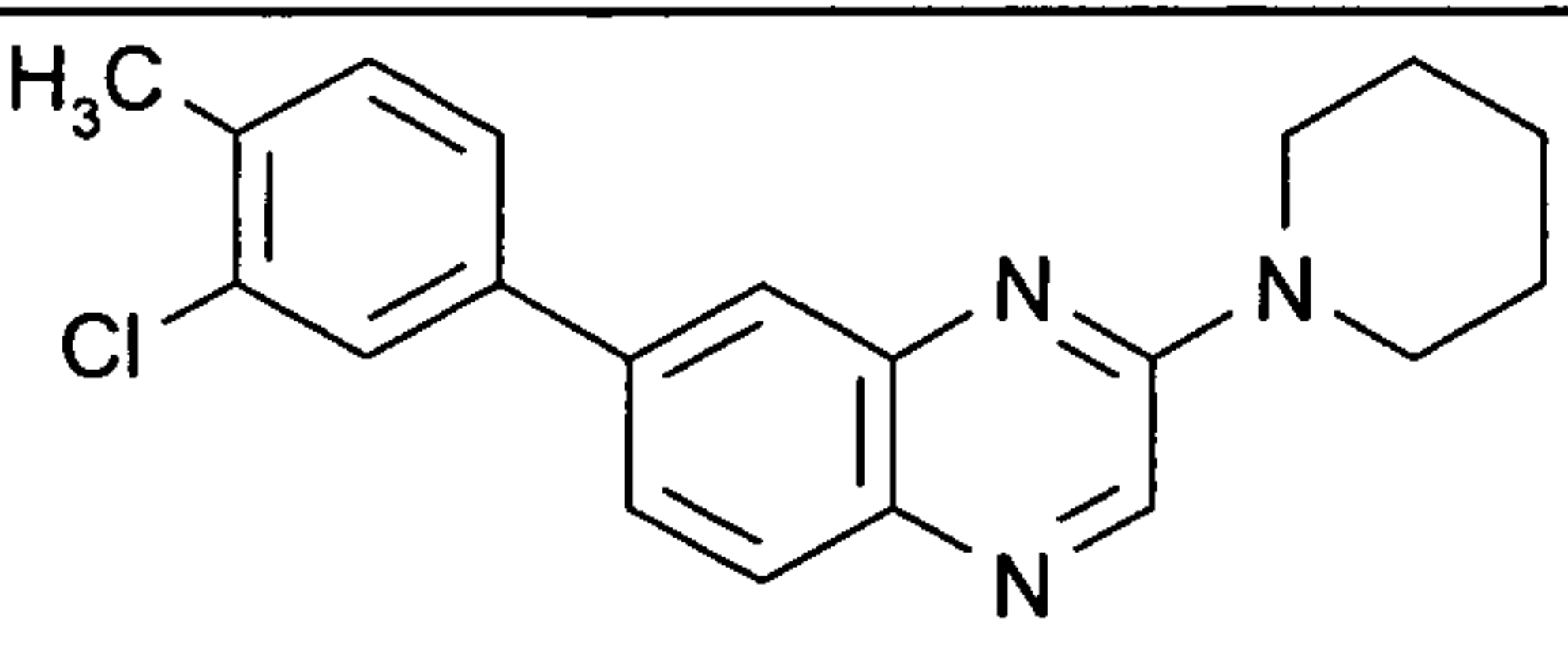
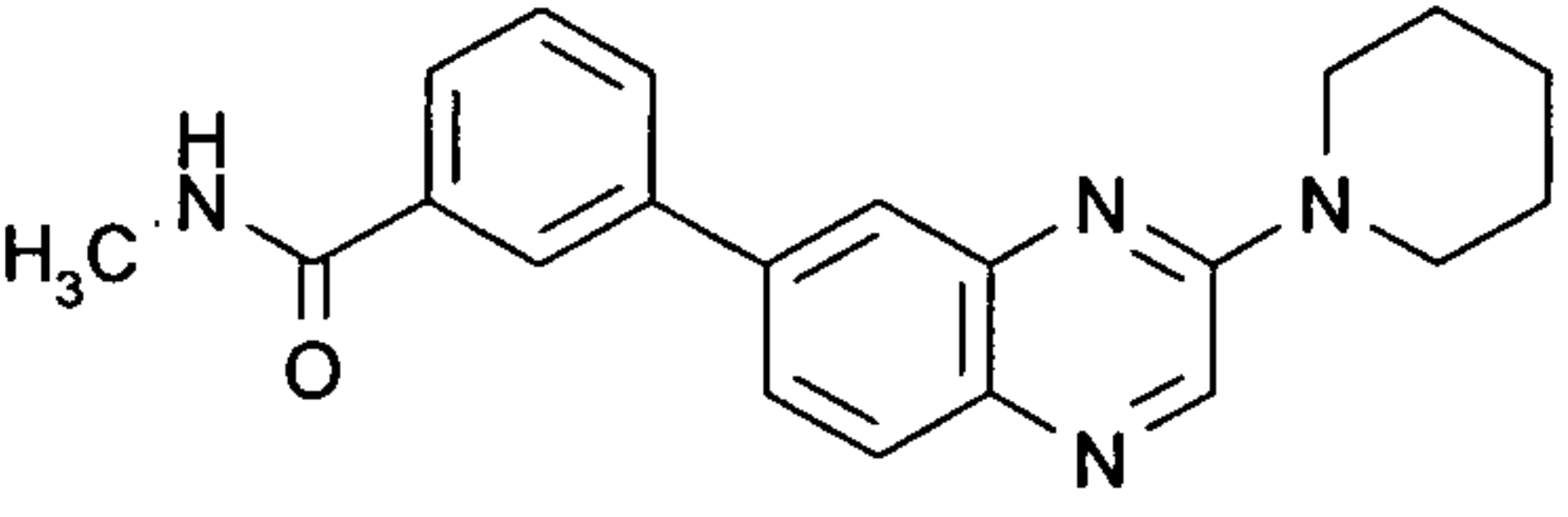
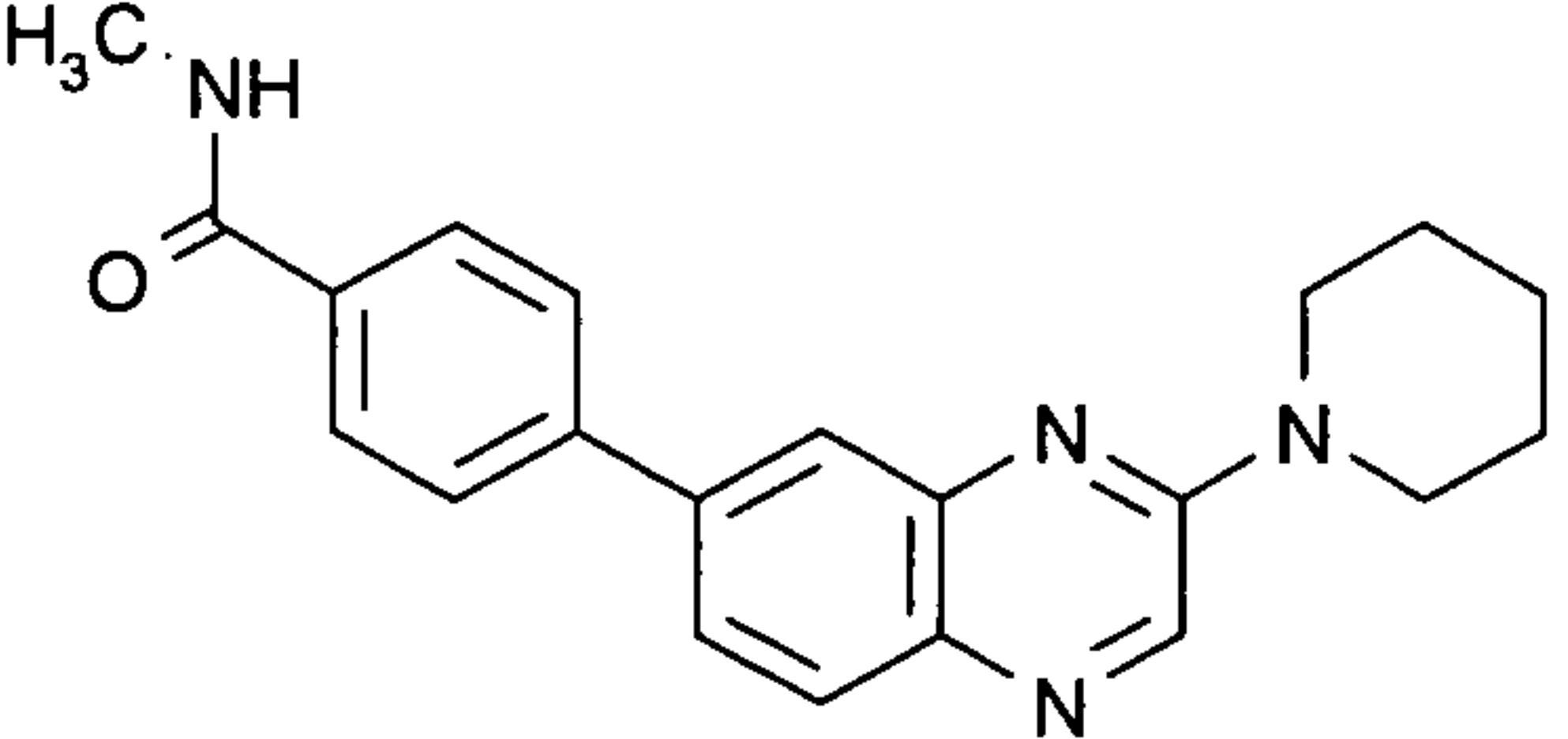
2.7		7-(3-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.59 MW _{found} = 304.4 MW _{calc} = 303.4
2.8		7-(3-chlorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.63 MW _{found} = 324.8 MW _{calc} = 323.8
2.9		7-(3,4-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.36 MW _{found} = 350.4 MW _{calc} = 349.4
2.10		7-(3,5-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.77 MW _{found} = 359.3 MW _{calc} = 358.3
2.11		7-(4-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.59 MW _{found} = 304.4 MW _{calc} = 303.4
2.12		7-(2,4-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.42 MW _{found} = 350.4 MW _{calc} = 349.4
2.13		7-(2-fluoro-4-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.58 MW _{found} = 322.4 MW _{calc} = 321.4

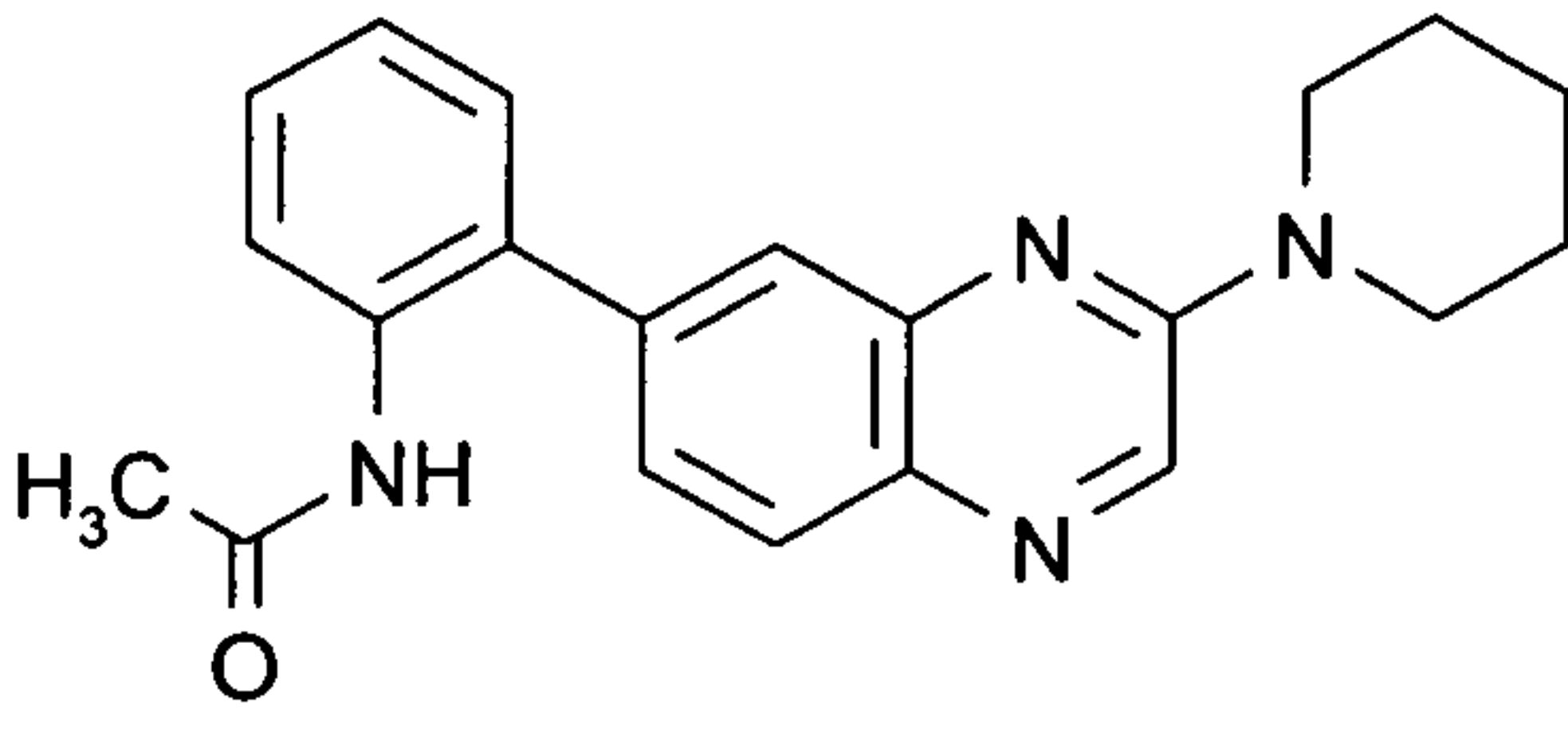
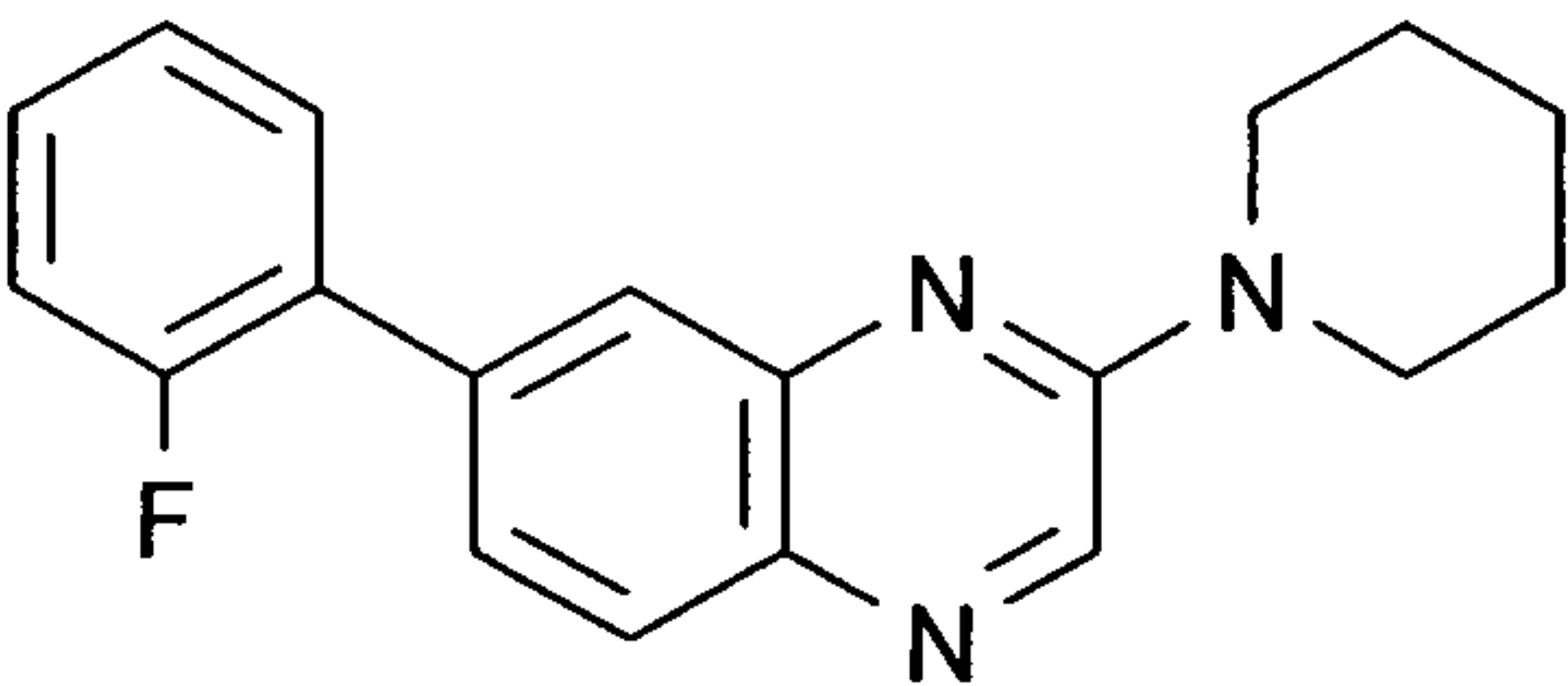
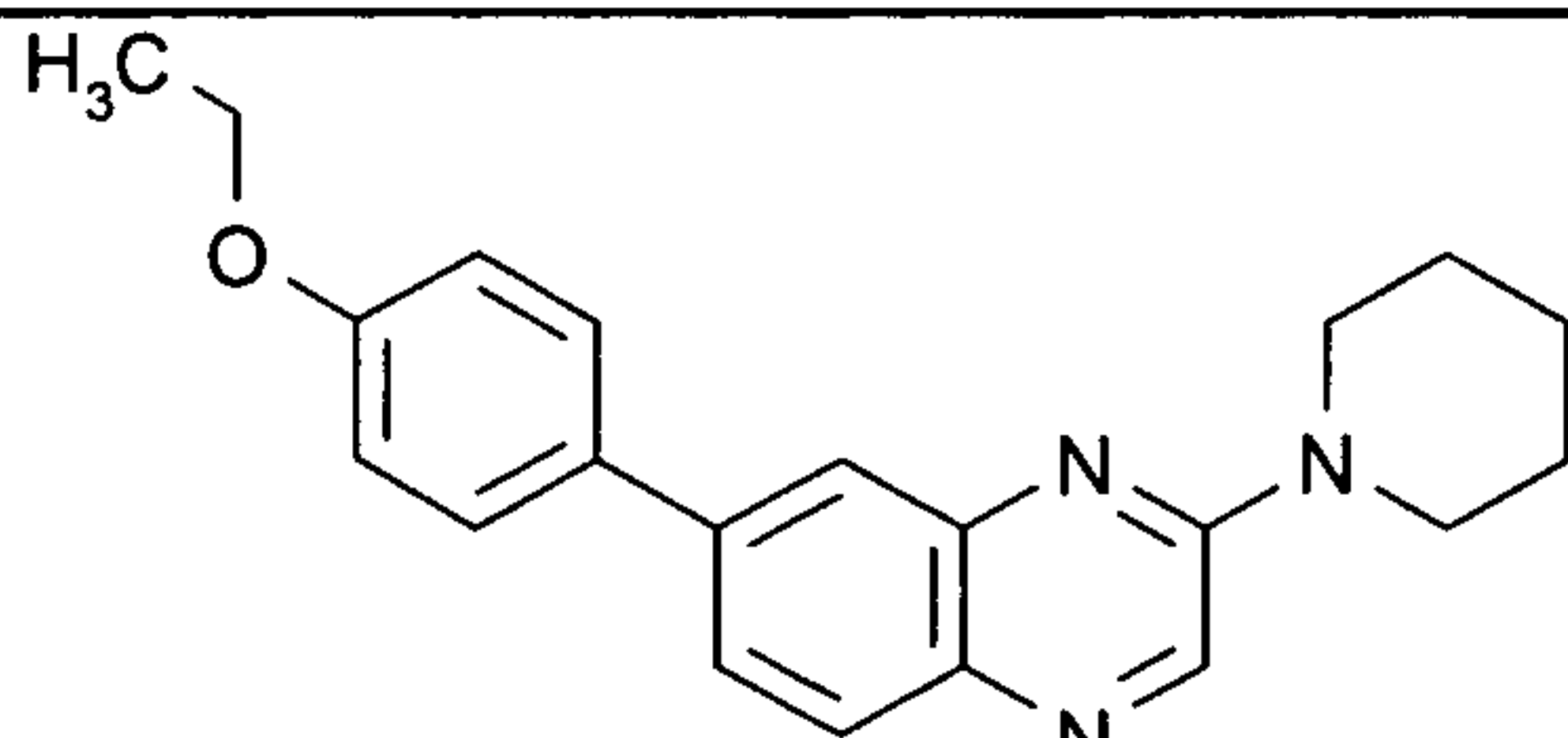
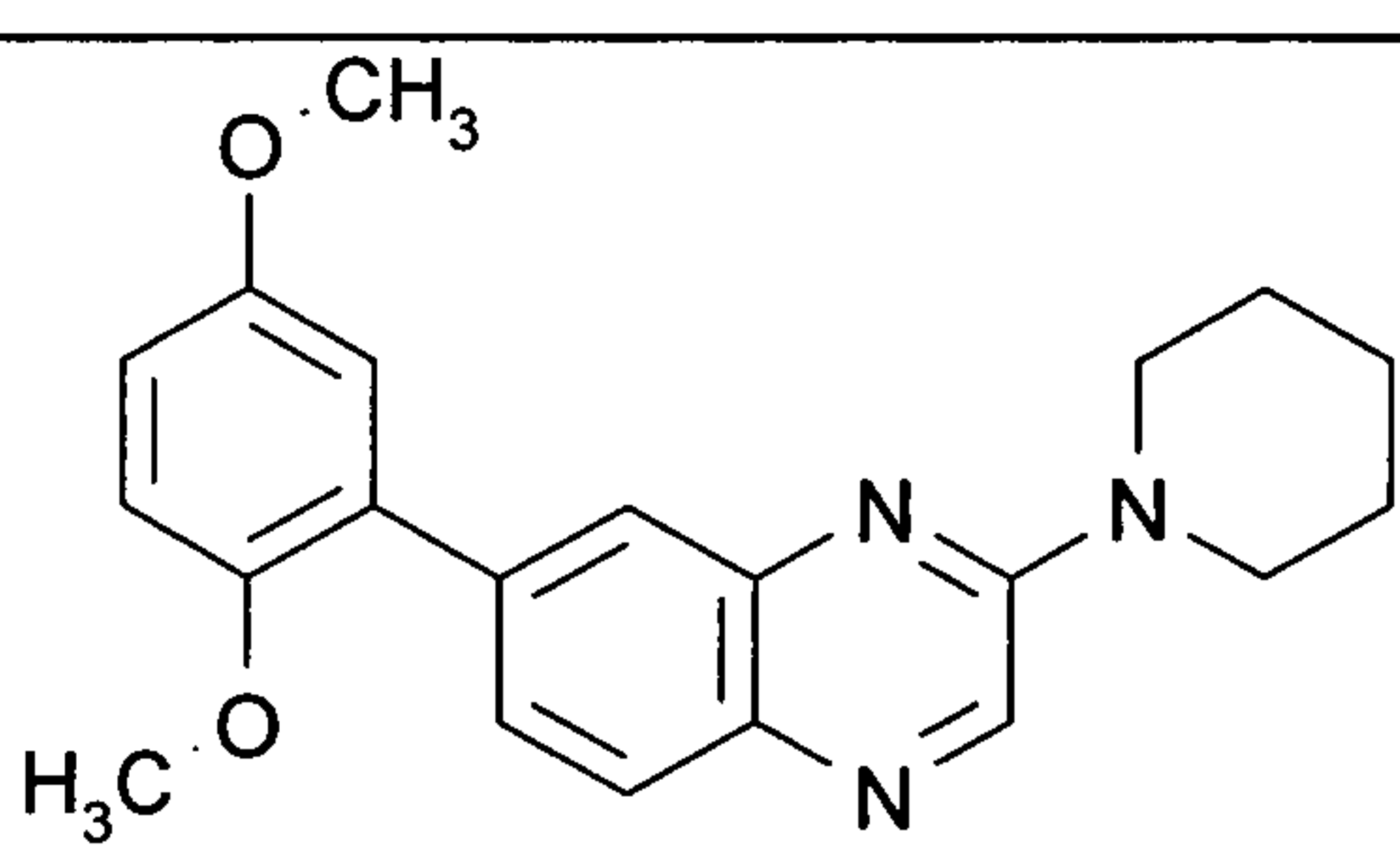
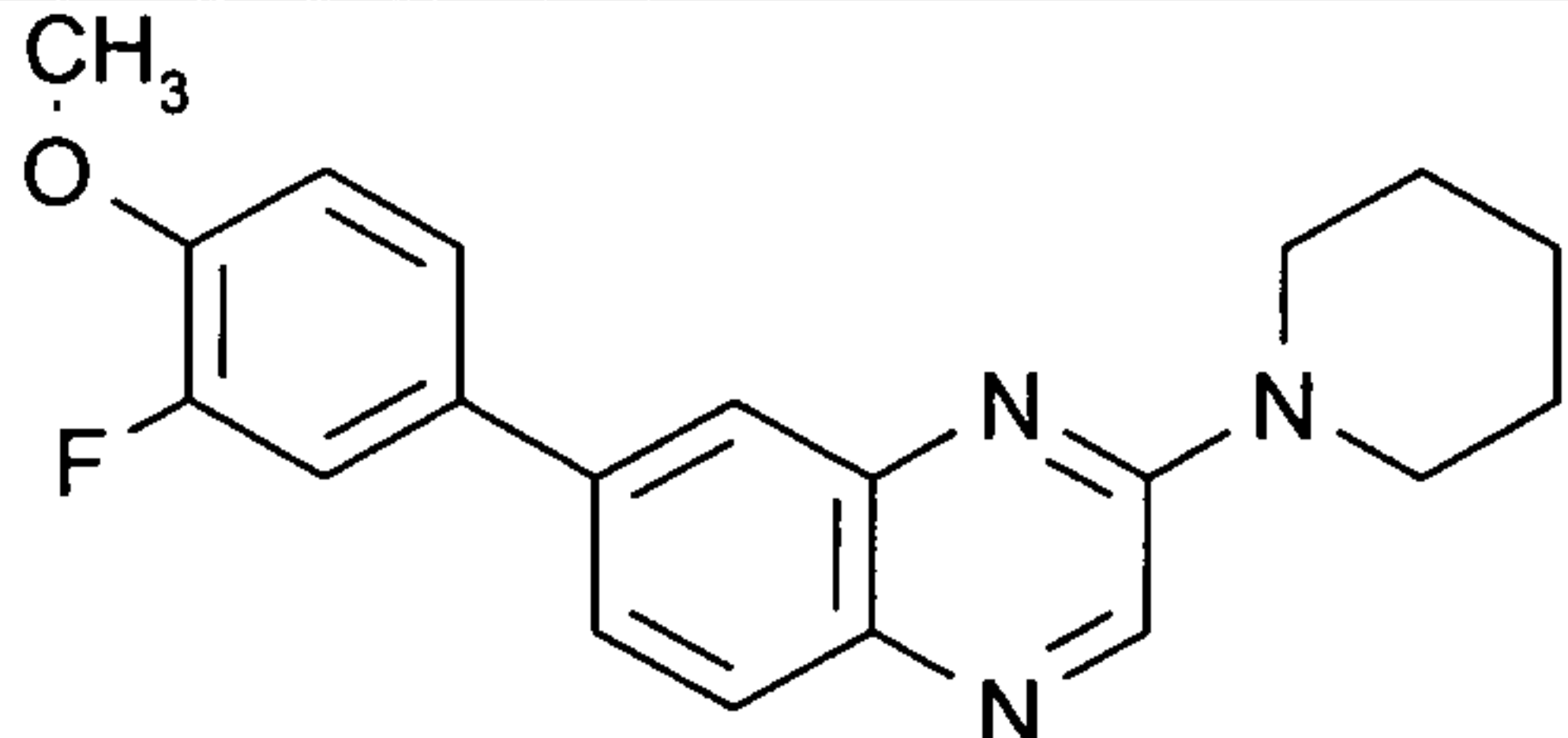
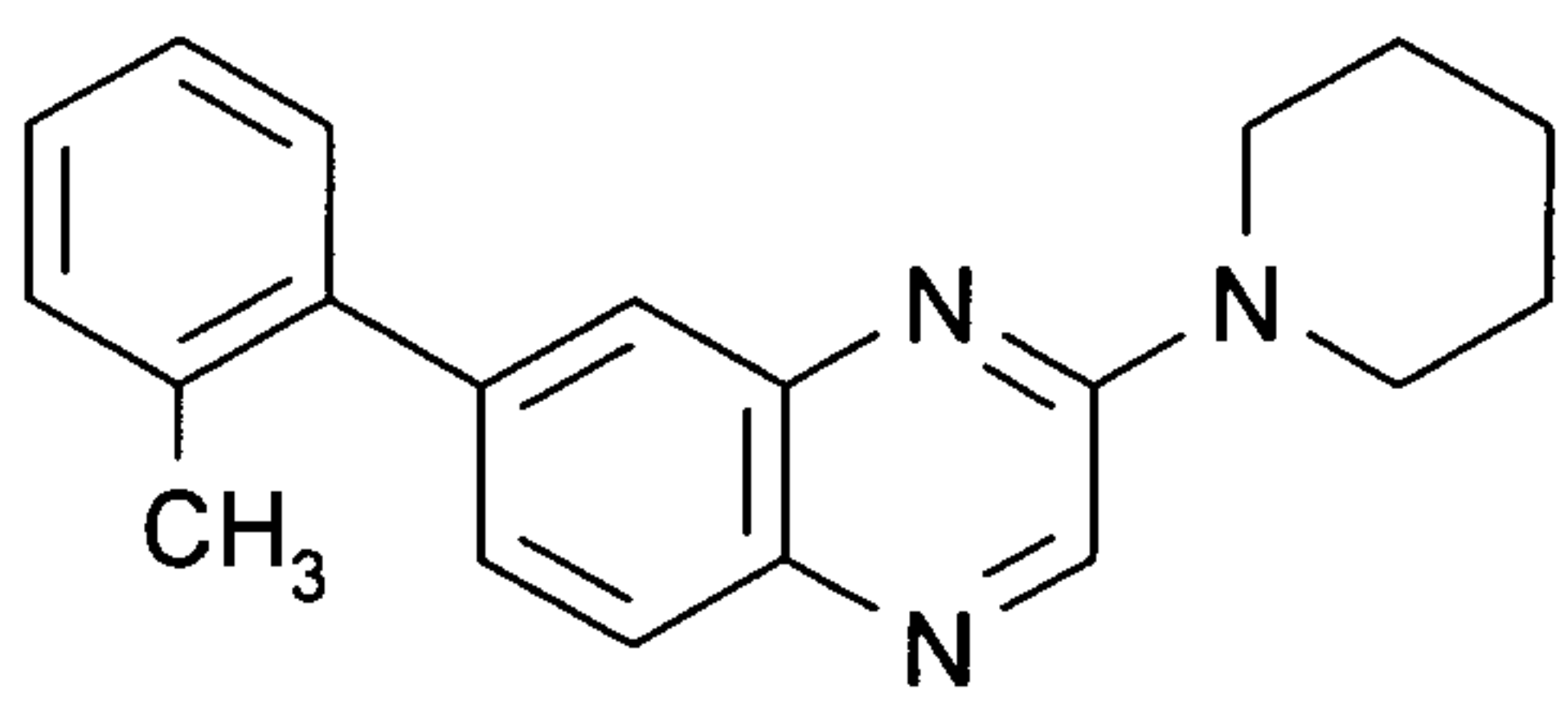
2.14		{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanol	RT = 1.18 MW _{found} = 320.4 MW _{calc} = 319.4
2.15		4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzonitrile	RT = 1.40 MW _{found} = 315.4 MW _{calc} = 314.4
2.16		7-(4-fluorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.52 MW _{found} = 308.4 MW _{calc} = 307.4
2.17		4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.18 MW _{found} = 306.4 MW _{calc} = 305.4
2.18		2-(piperidin-1-yl)-7-[3-(trifluoromethyl)phenyl]quinoxaline	RT = 1.62 MW _{found} = 358.4 MW _{calc} = 357.4
2.19		7-(2-fluoro-5-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.48 MW _{found} = 338.4 MW _{calc} = 337.4

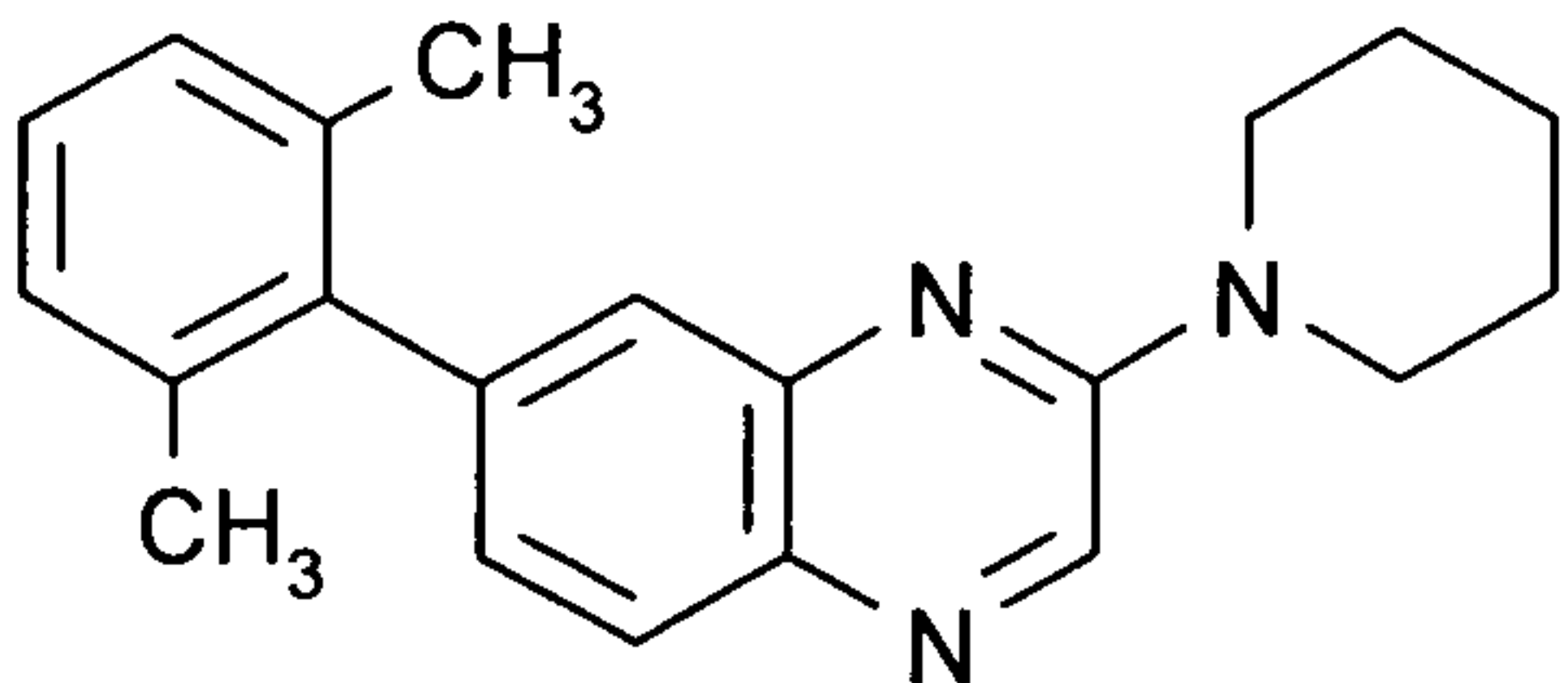
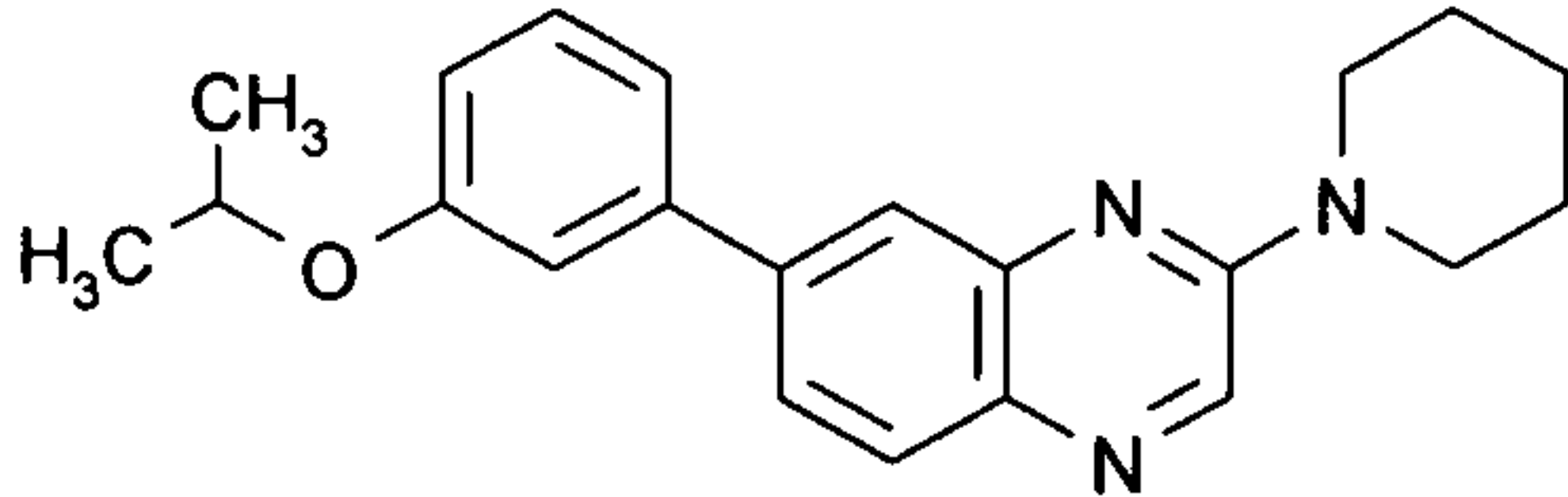
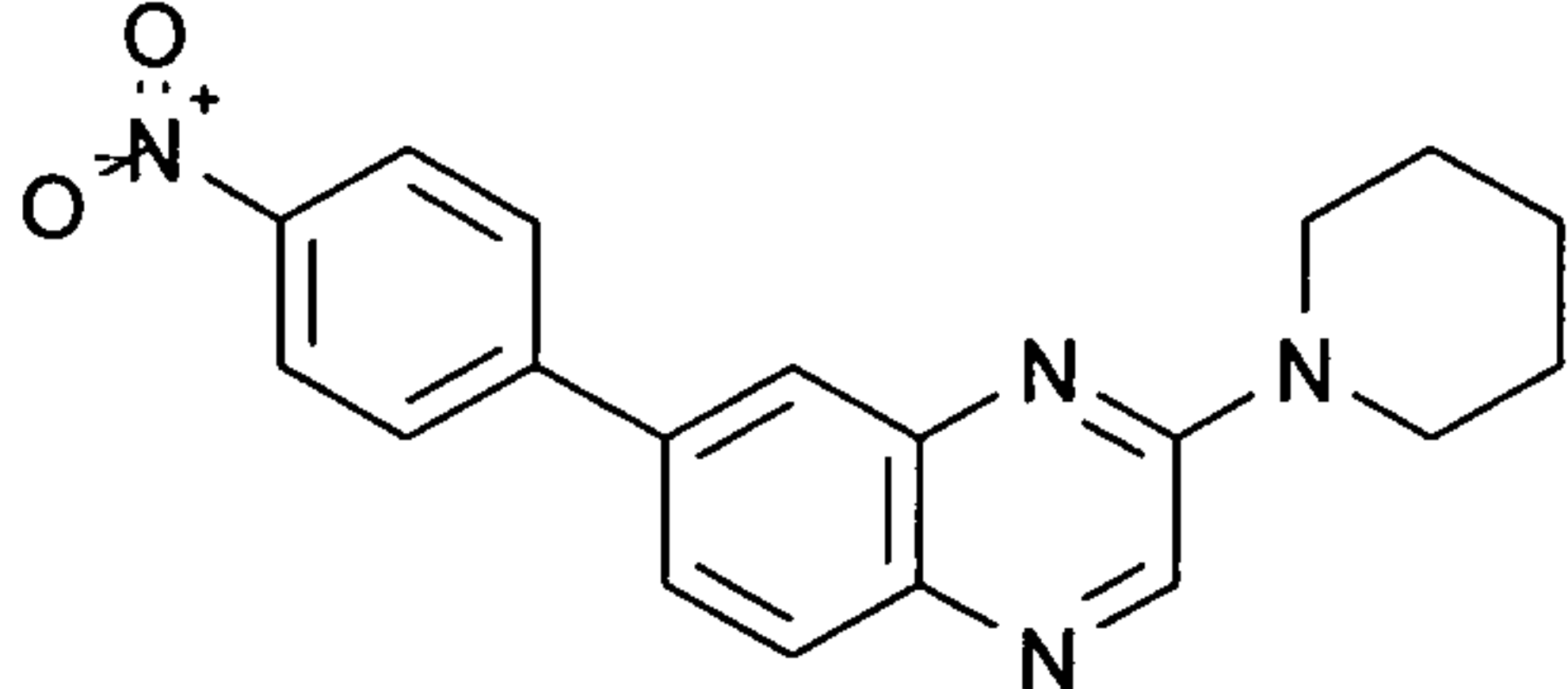
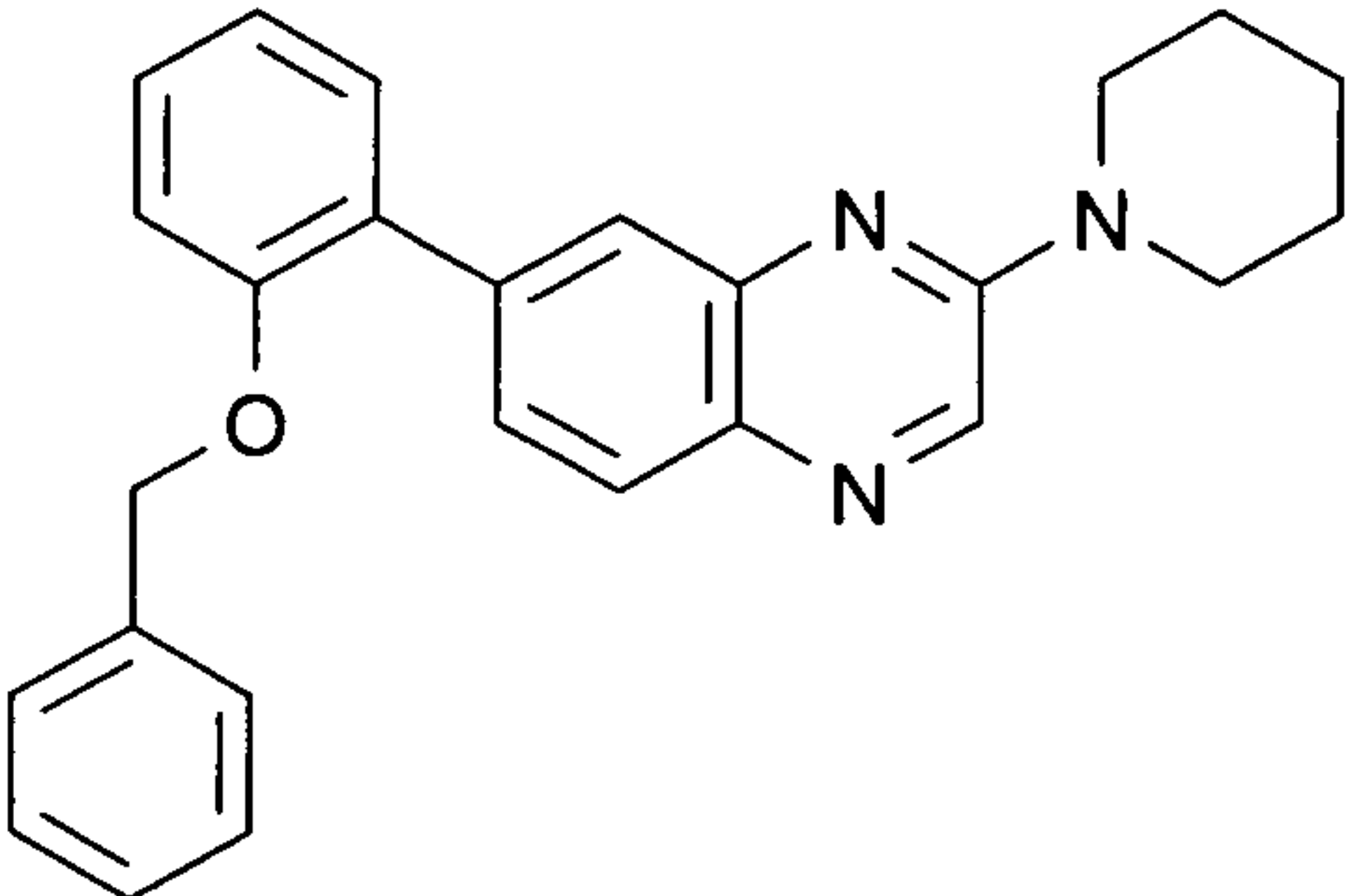
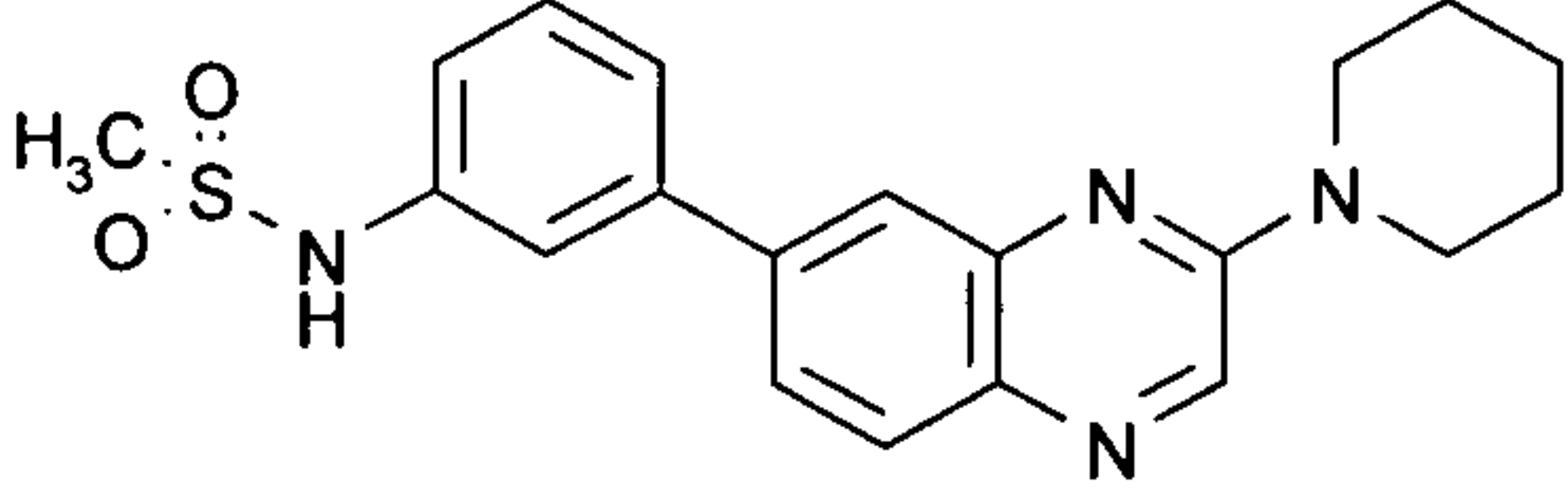
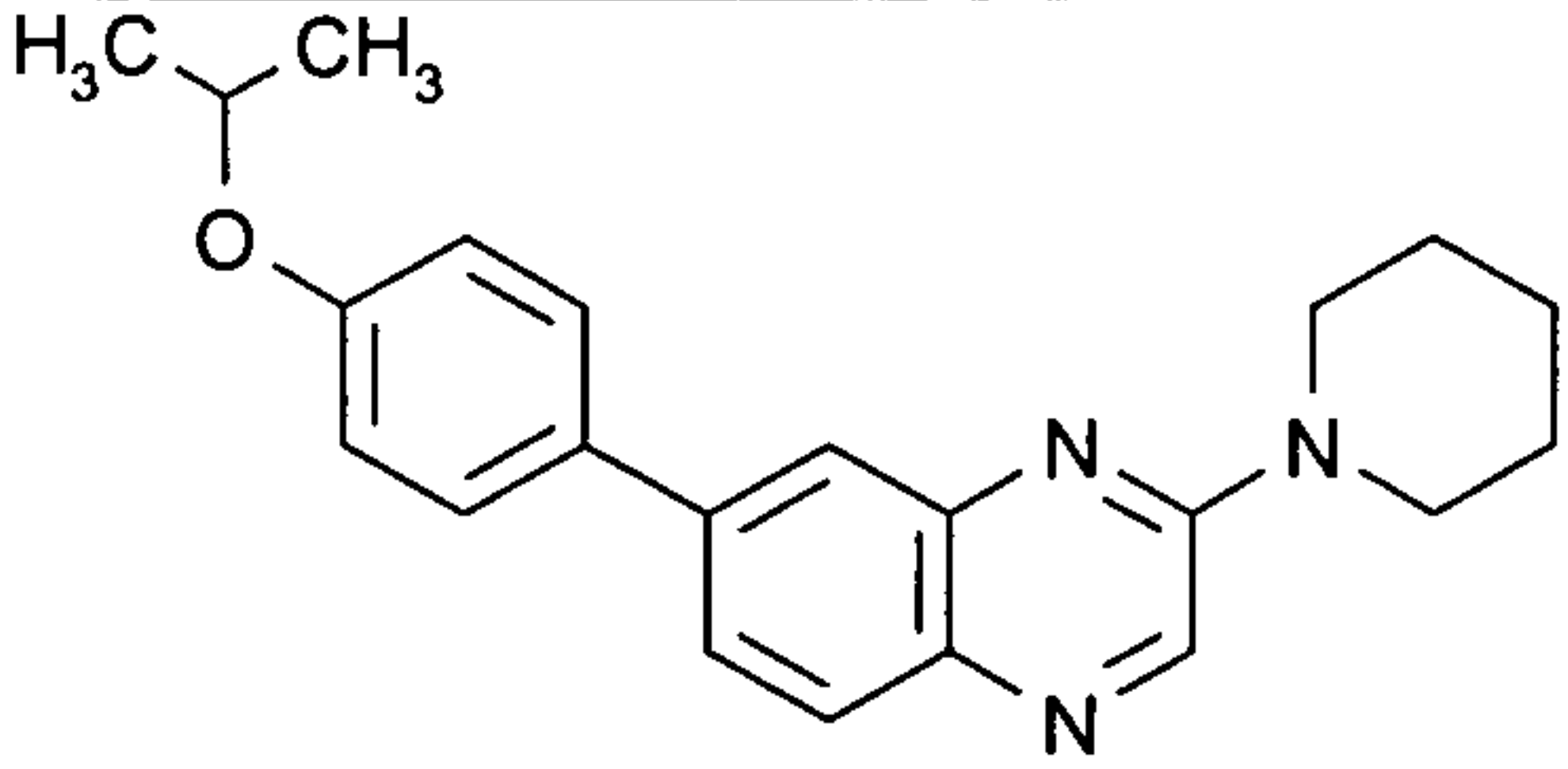
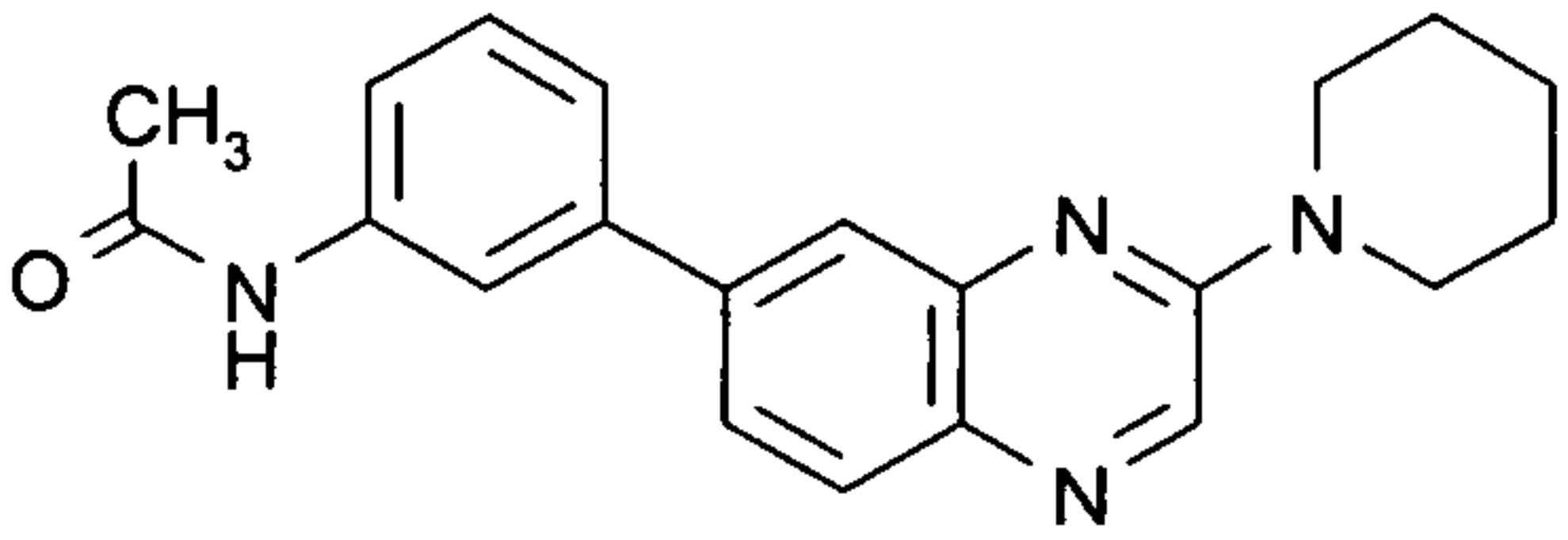
2.20		7-(5-fluoro-2-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.47 MW _{found} = 338.4 MW _{calc} = 337.4
2.21		7-[4-(methylsulfanyl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.57 MW _{found} = 336.5 MW _{calc} = 335.5
2.22		1-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}ethanone	RT = 1.38 MW _{found} = 332.4 MW _{calc} = 331.4
2.23		7-(4-methoxy-2-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.52 MW _{found} = 334.4 MW _{calc} = 333.4
2.24		7-(4-tert-butylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.75 MW _{found} = 346.5 MW _{calc} = 345.5
2.25		7-(3-nitrophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.47 MW _{found} = 335.4 MW _{calc} = 334.4
2.26		7-(4-chlorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.62 MW _{found} = 324.8 MW _{calc} = 323.8

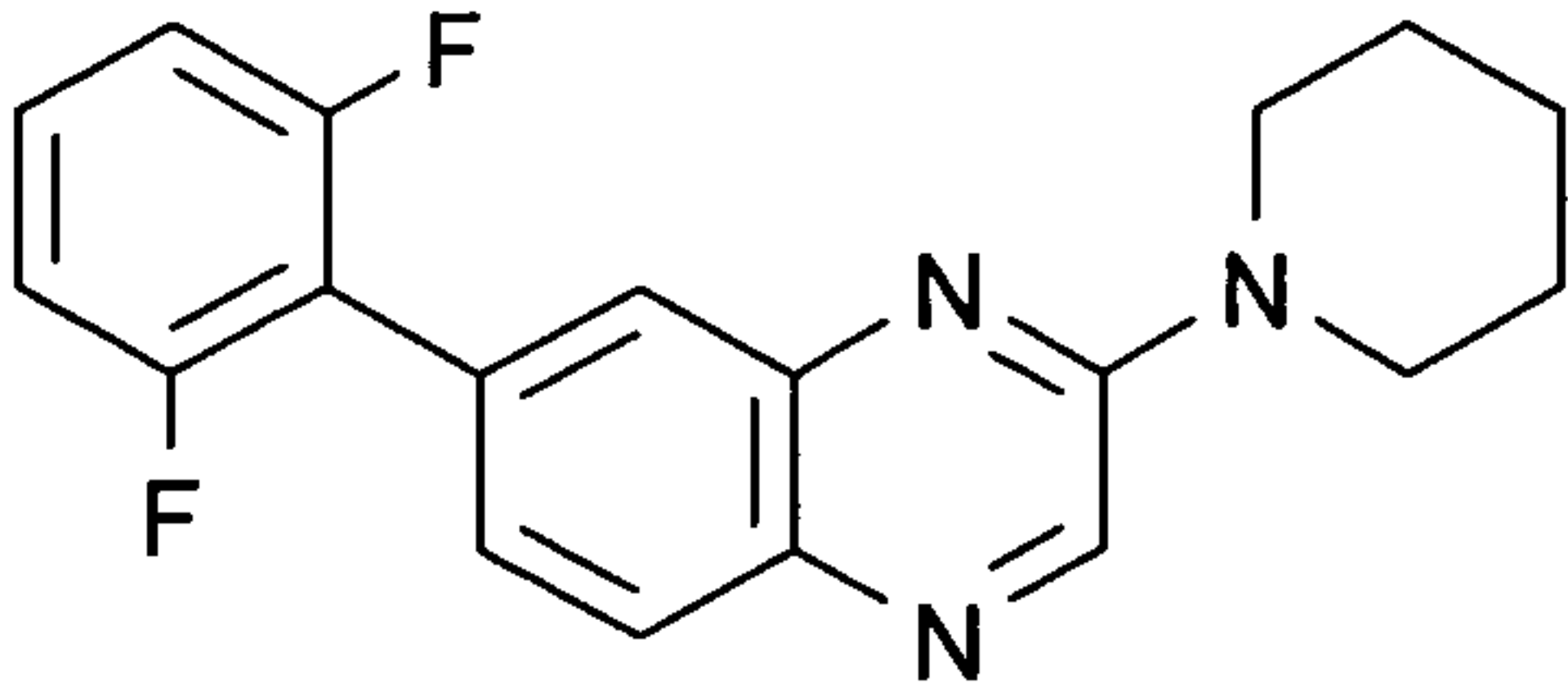
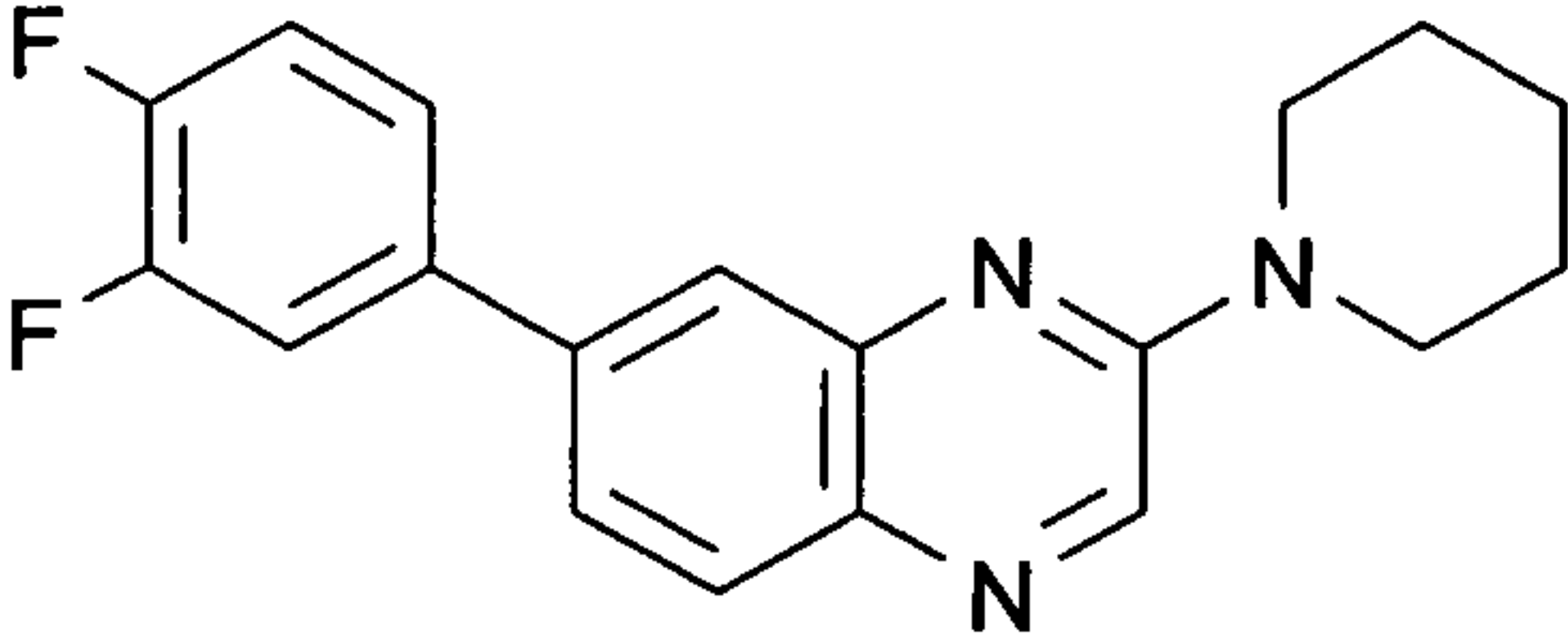
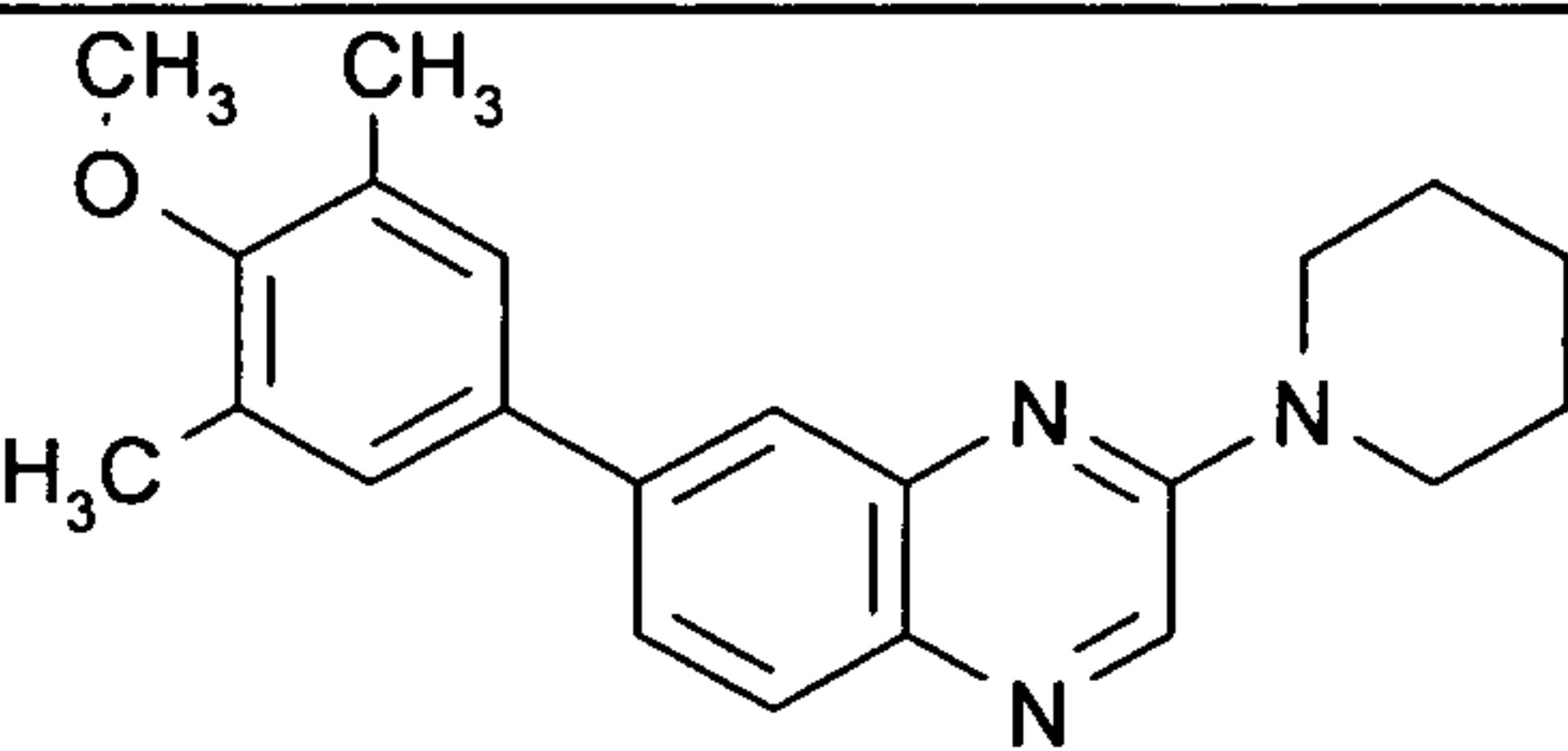
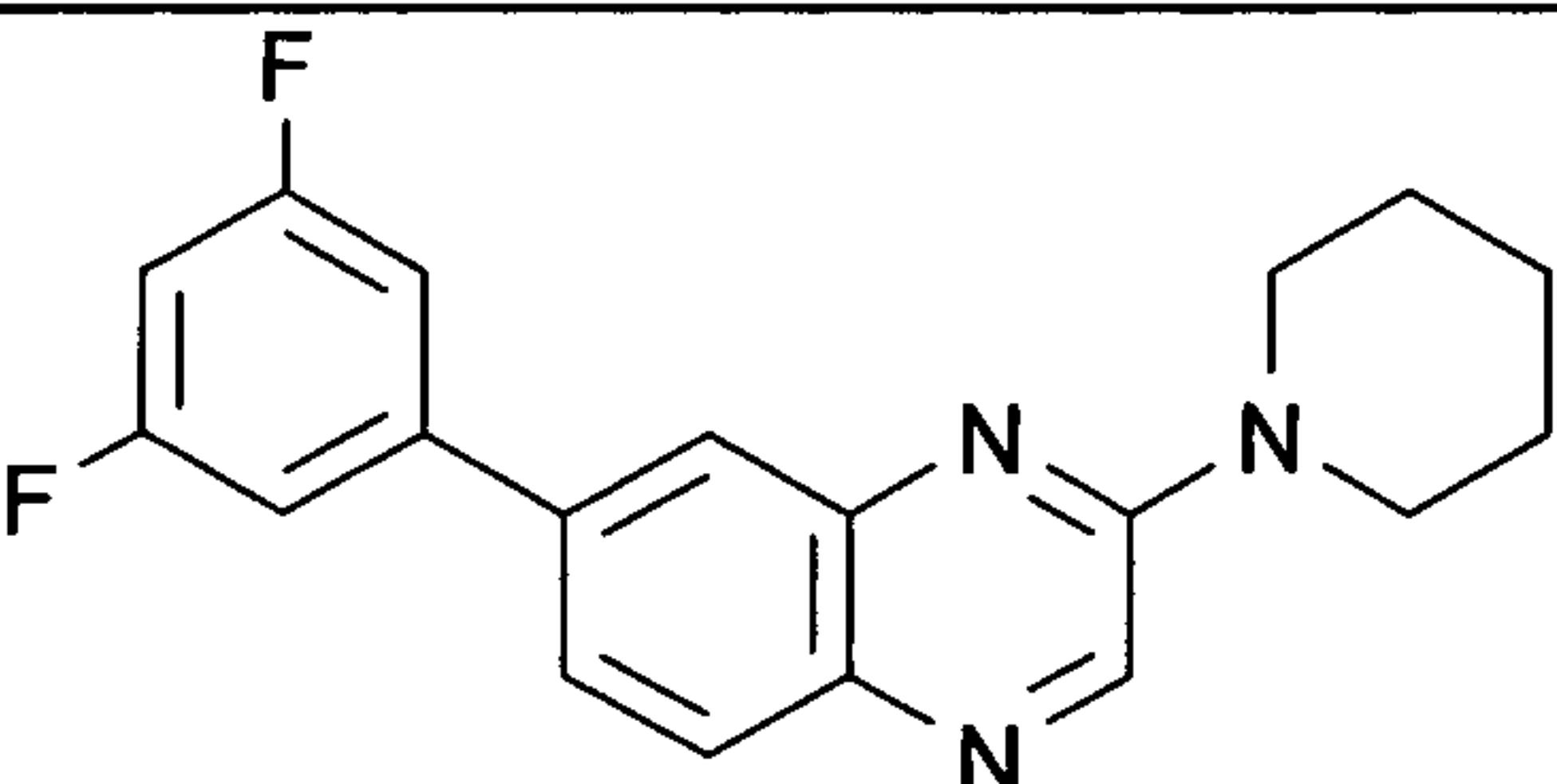
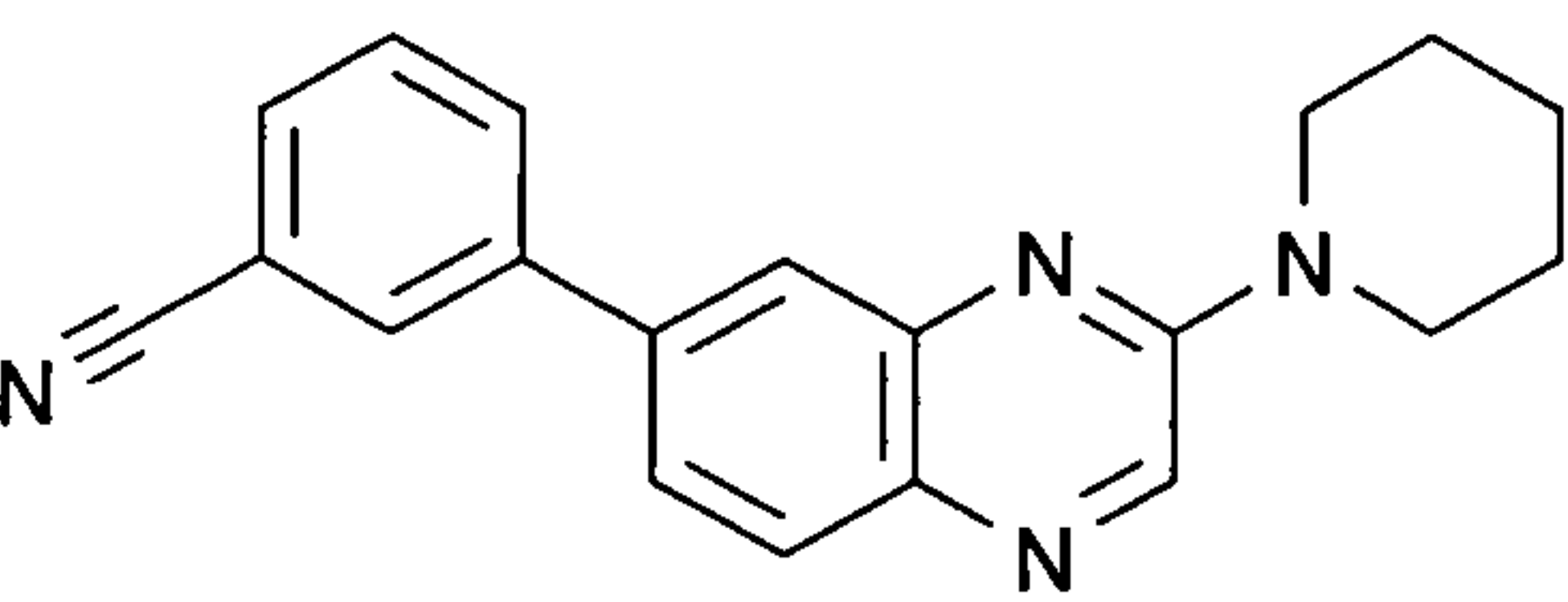
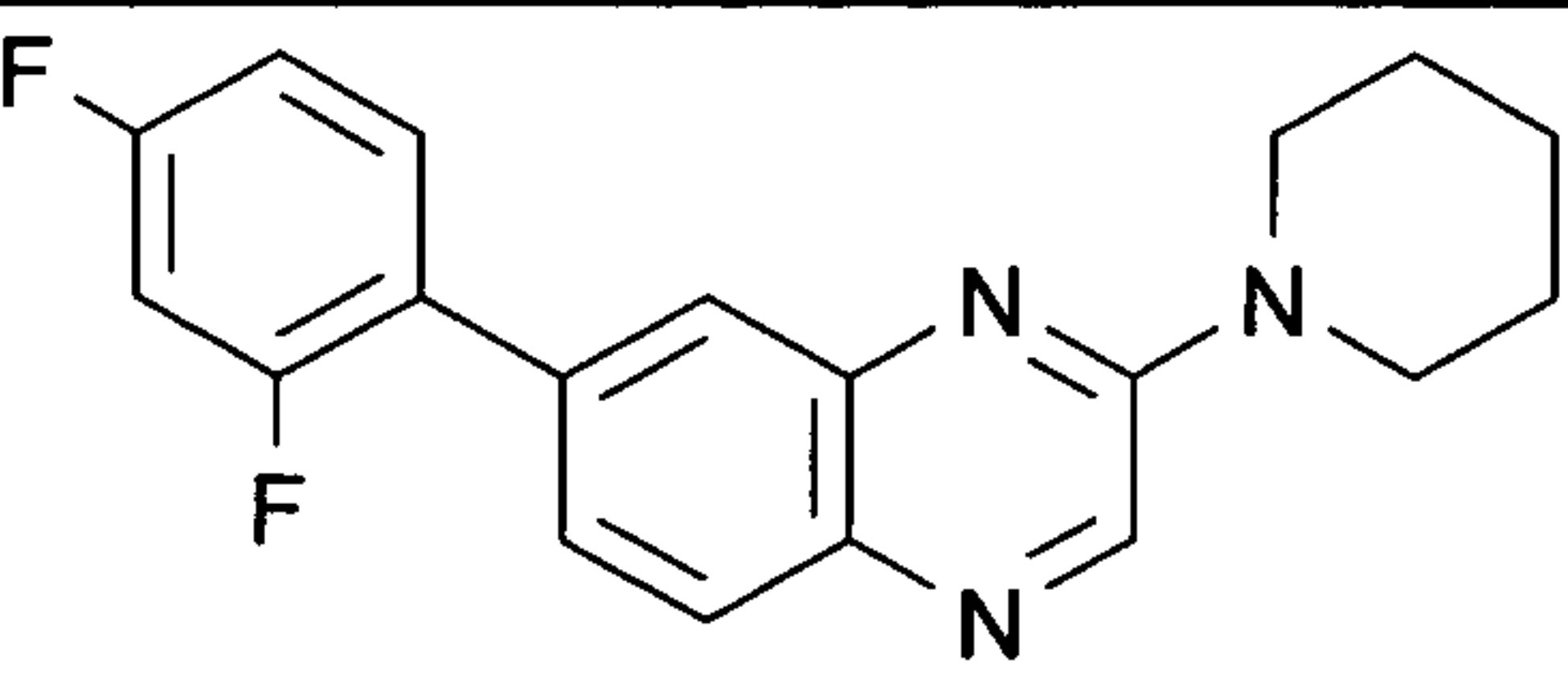
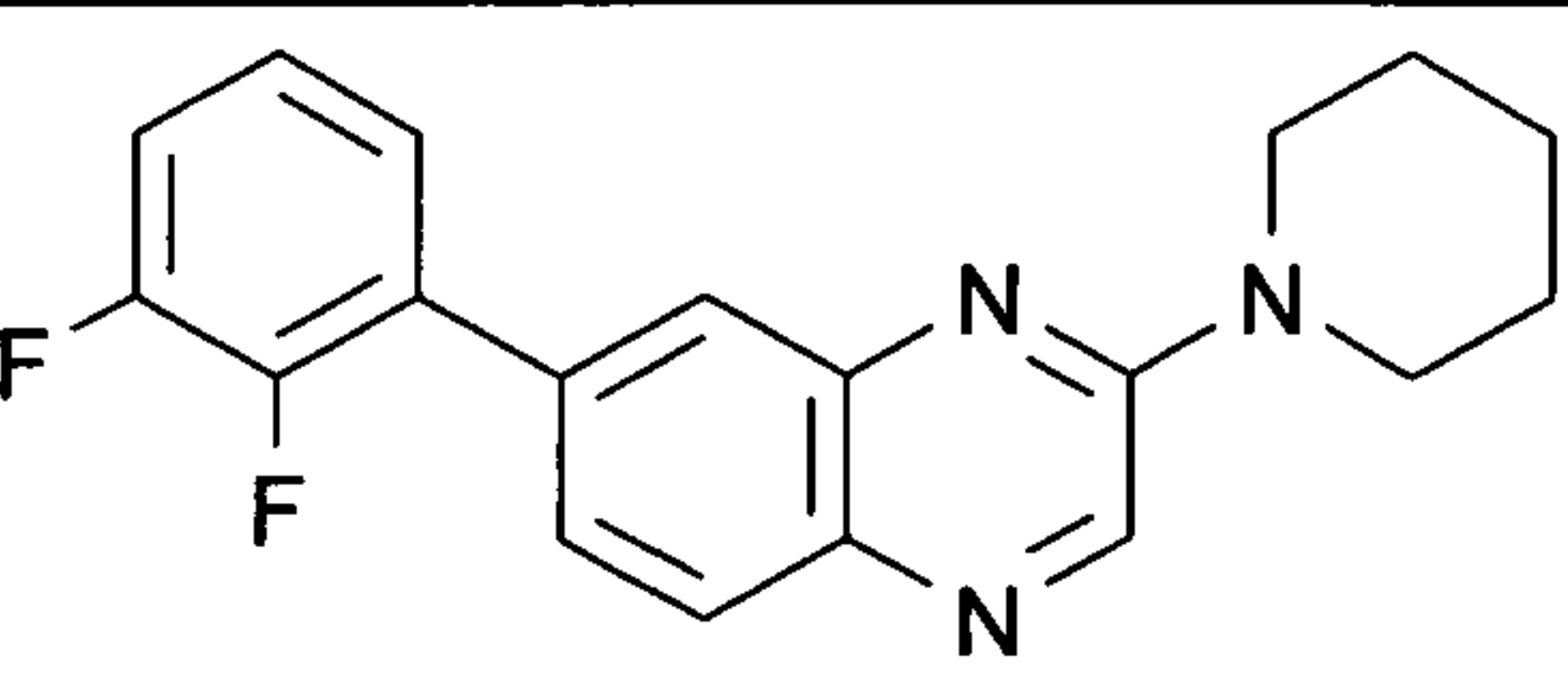
2.27		7-(5-chloro-2-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.58 MW _{found} = 354.9 MW _{calc} = 353.9
2.28		7-(4-chloro-2-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.69 MW _{found} = 338.9 MW _{calc} = 337.9
2.29		1-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}ethanone	RT = 1.38 MW _{found} = 332.4 MW _{calc} = 331.4
2.30		7-[2-(methylsulfanyl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.52 MW _{found} = 336.5 MW _{calc} = 335.5
2.31		2-(piperidin-1-yl)-7-[4-(propan-2-yl)phenyl]quinoxaline	RT = 1.71 MW _{found} = 332.5 MW _{calc} = 331.5
2.32		7-(3-fluorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.52 MW _{found} = 308.4 MW _{calc} = 307.4
2.33		2-(piperidin-1-yl)-7-[2-(trifluoromethoxy)phenyl]quinoxaline	RT = 1.59 MW _{found} = 374.4 MW _{calc} = 373.4

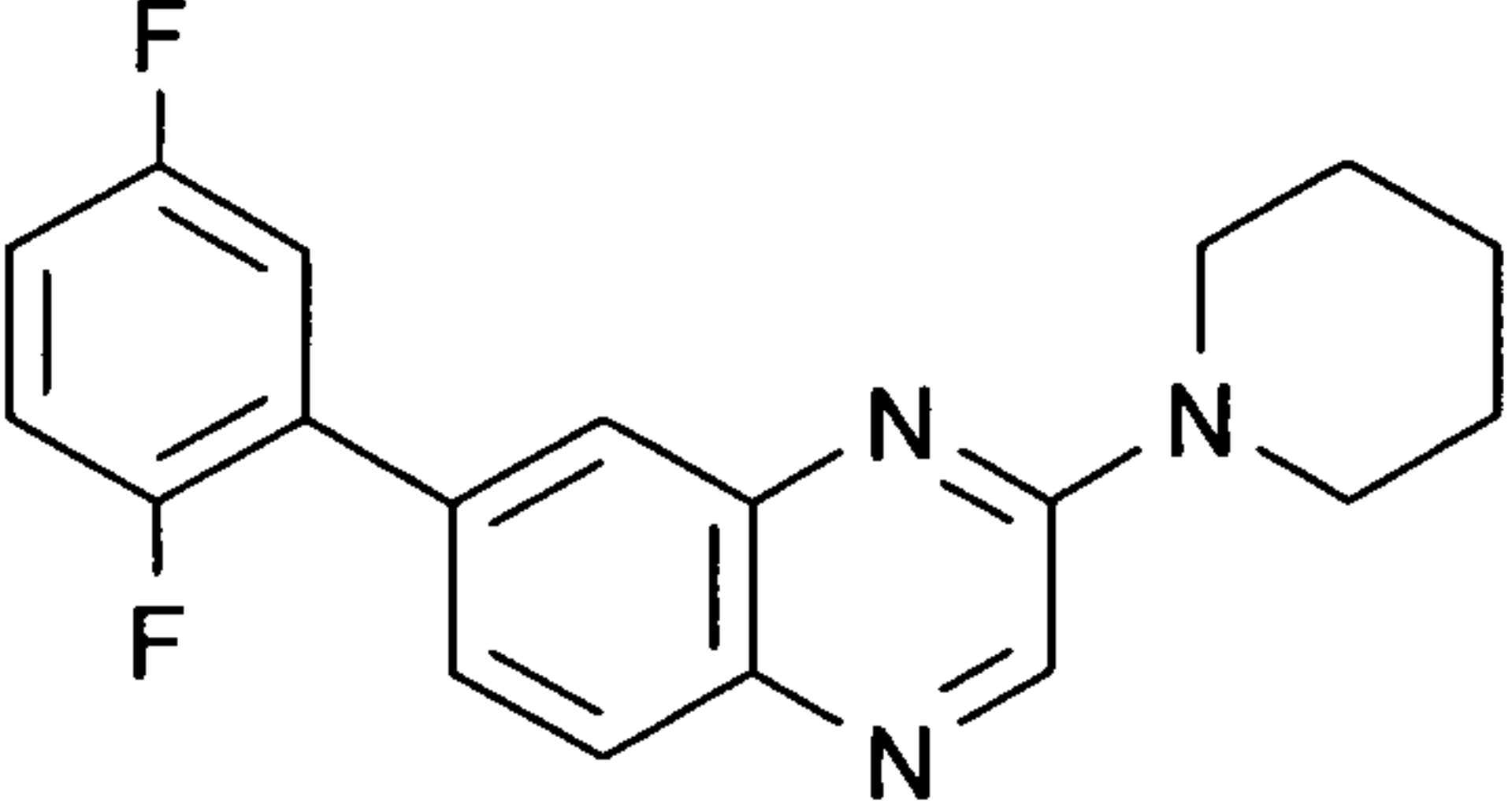
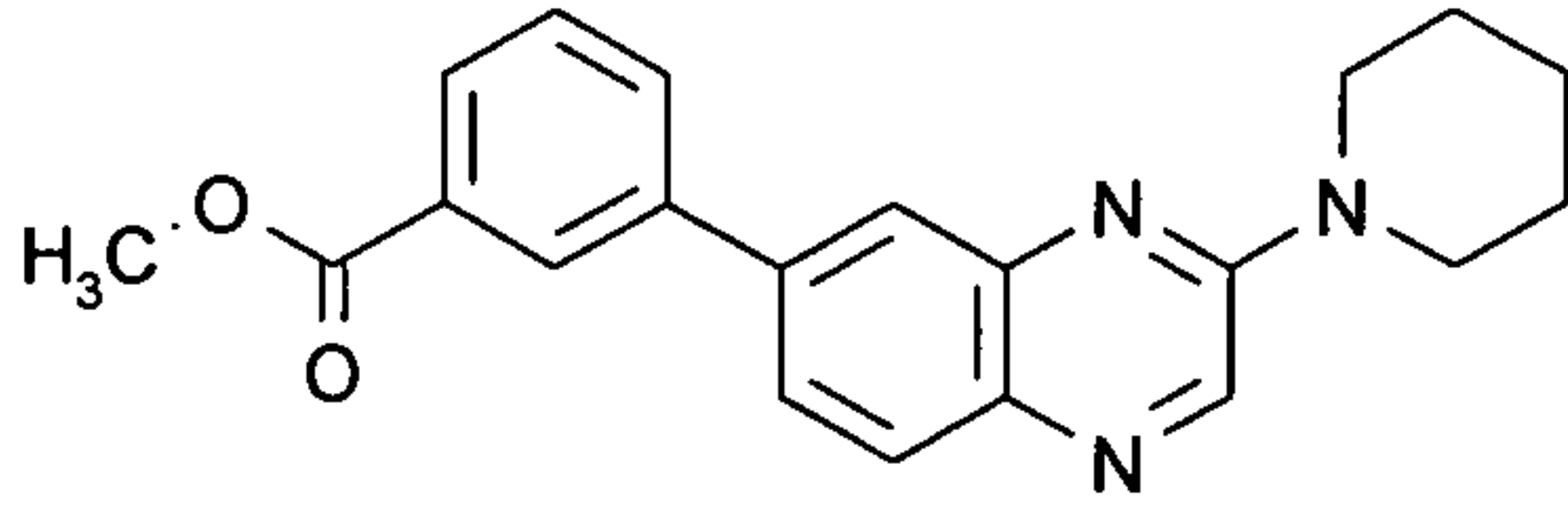
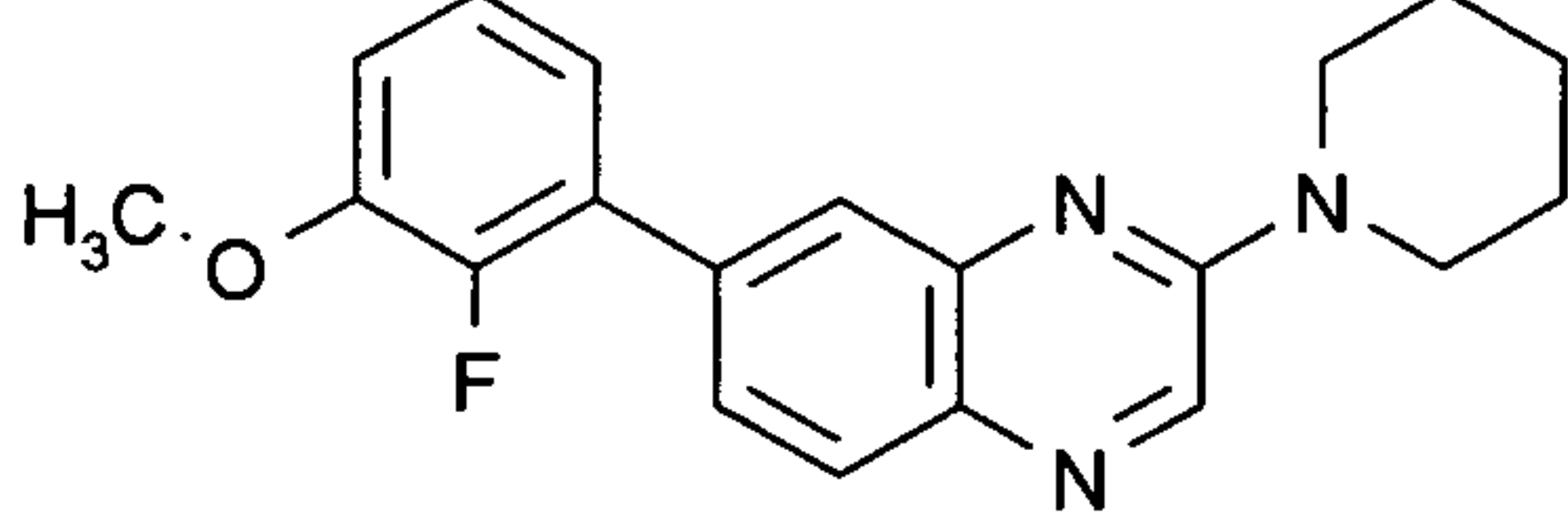
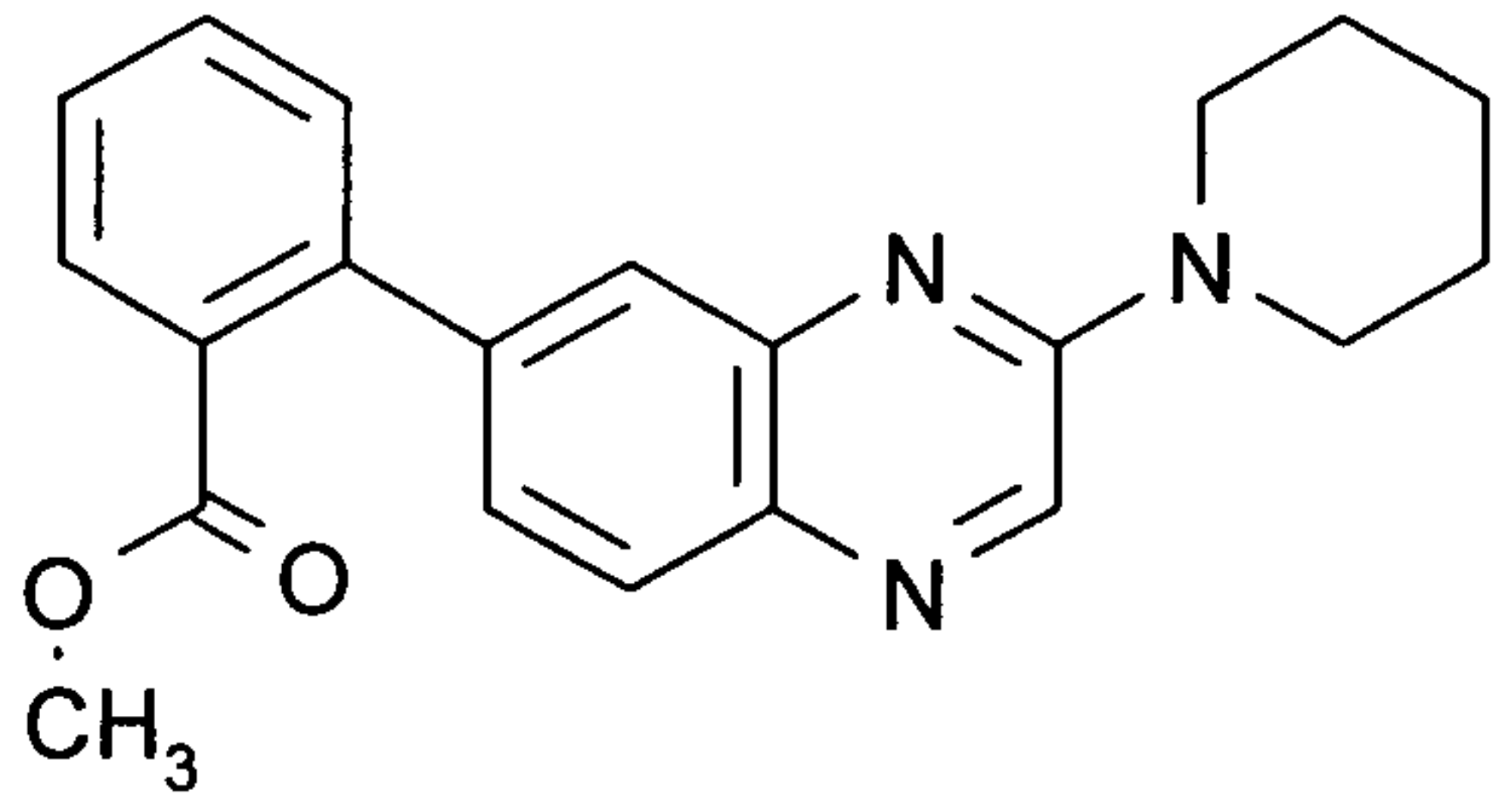
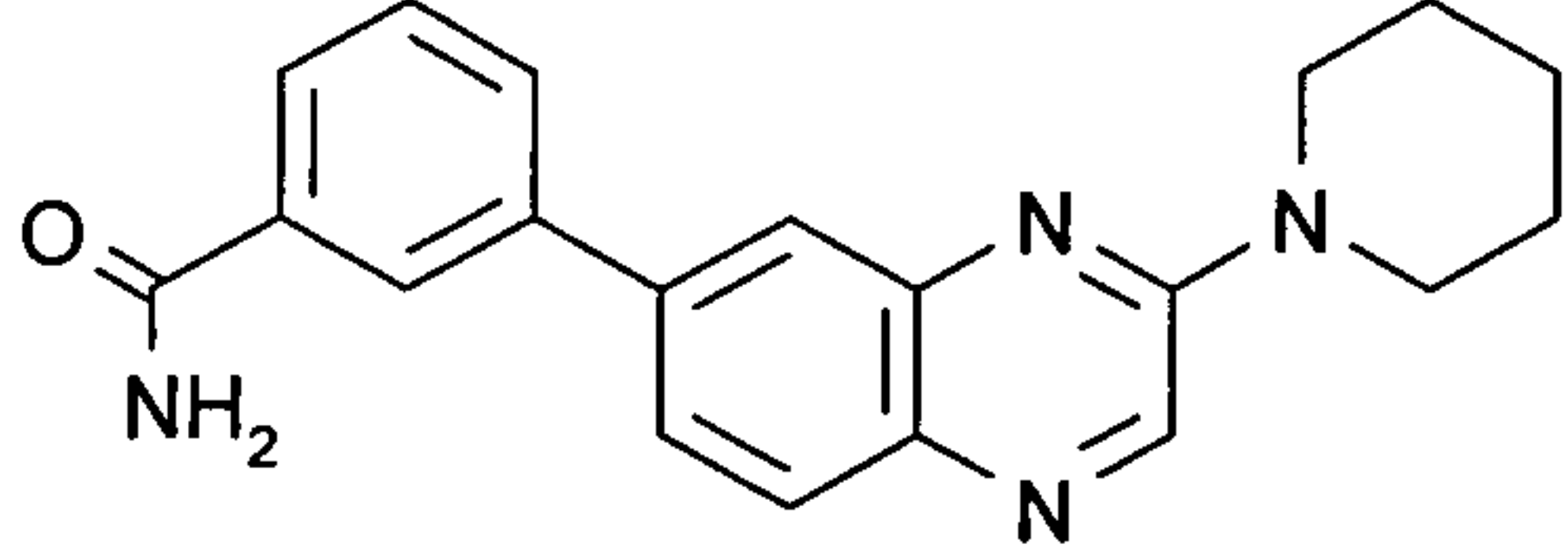
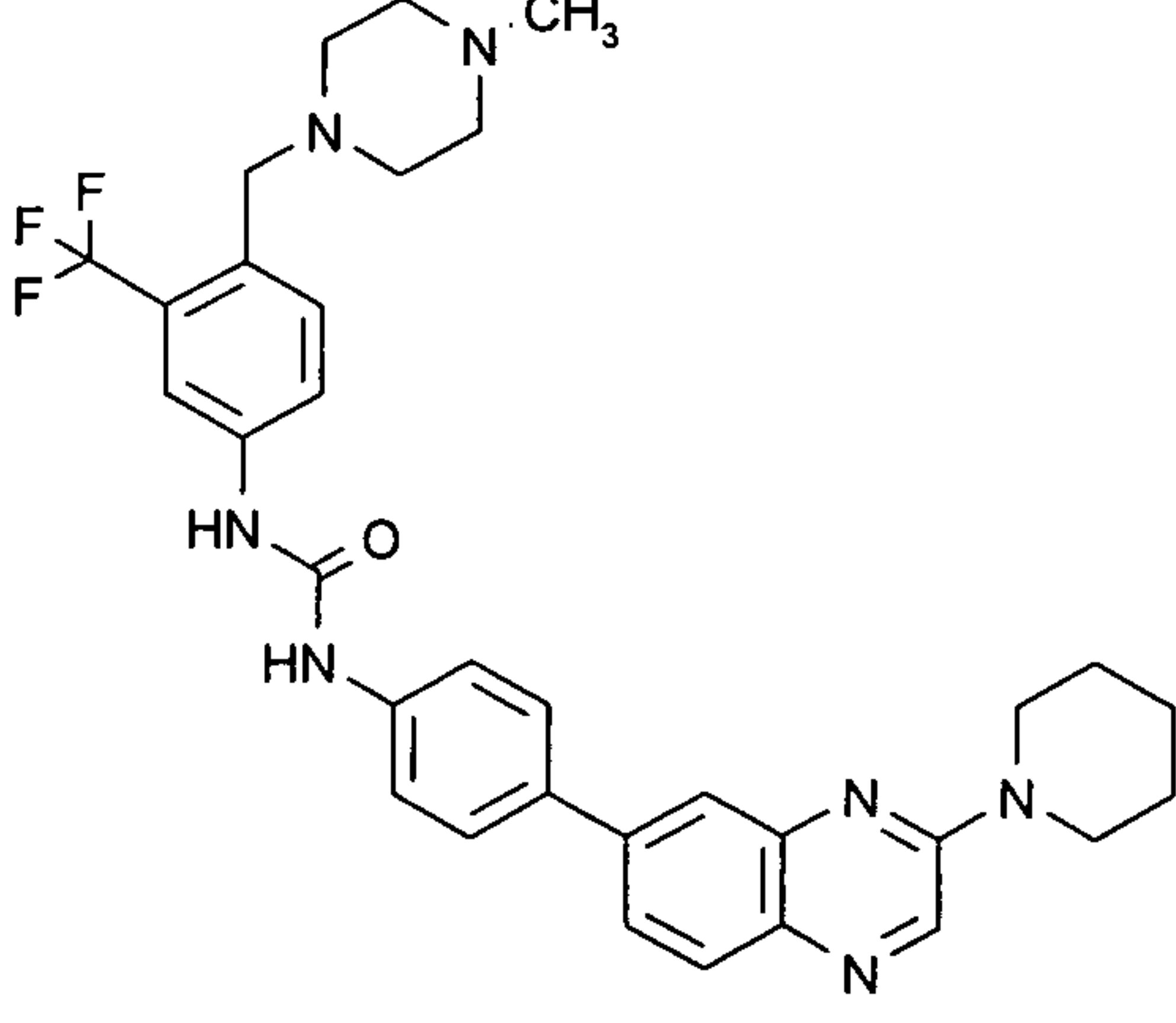
2.34		7-(biphenyl-3-yl)-2-(piperidin-1-yl)quinoxaline	RT = 1.70 MW _{found} = 366.5 MW _{calc} = 365.5
2.35		2-(piperidin-1-yl)-7-[3-(propan-2-yl)phenyl]quinoxaline	RT = 1.71 MW _{found} = 332.5 MW _{calc} = 331.5
2.36		7-(2-phenoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.63 MW _{found} = 382.5 MW _{calc} = 381.5
2.37		{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanol	RT = 1.19 MW _{found} = 320.4 MW _{calc} = 319.4
2.38		7-[3-(methylsulfanyl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.57 MW _{found} = 336.5 MW _{calc} = 335.5
2.39		2-(piperidin-1-yl)-7-[2-(trifluoromethyl)phenyl]quinoxaline	RT = 1.55 MW _{found} = 358.4 MW _{calc} = 357.4
2.40		7-(2-chlorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.56 MW _{found} = 324.8 MW _{calc} = 323.8

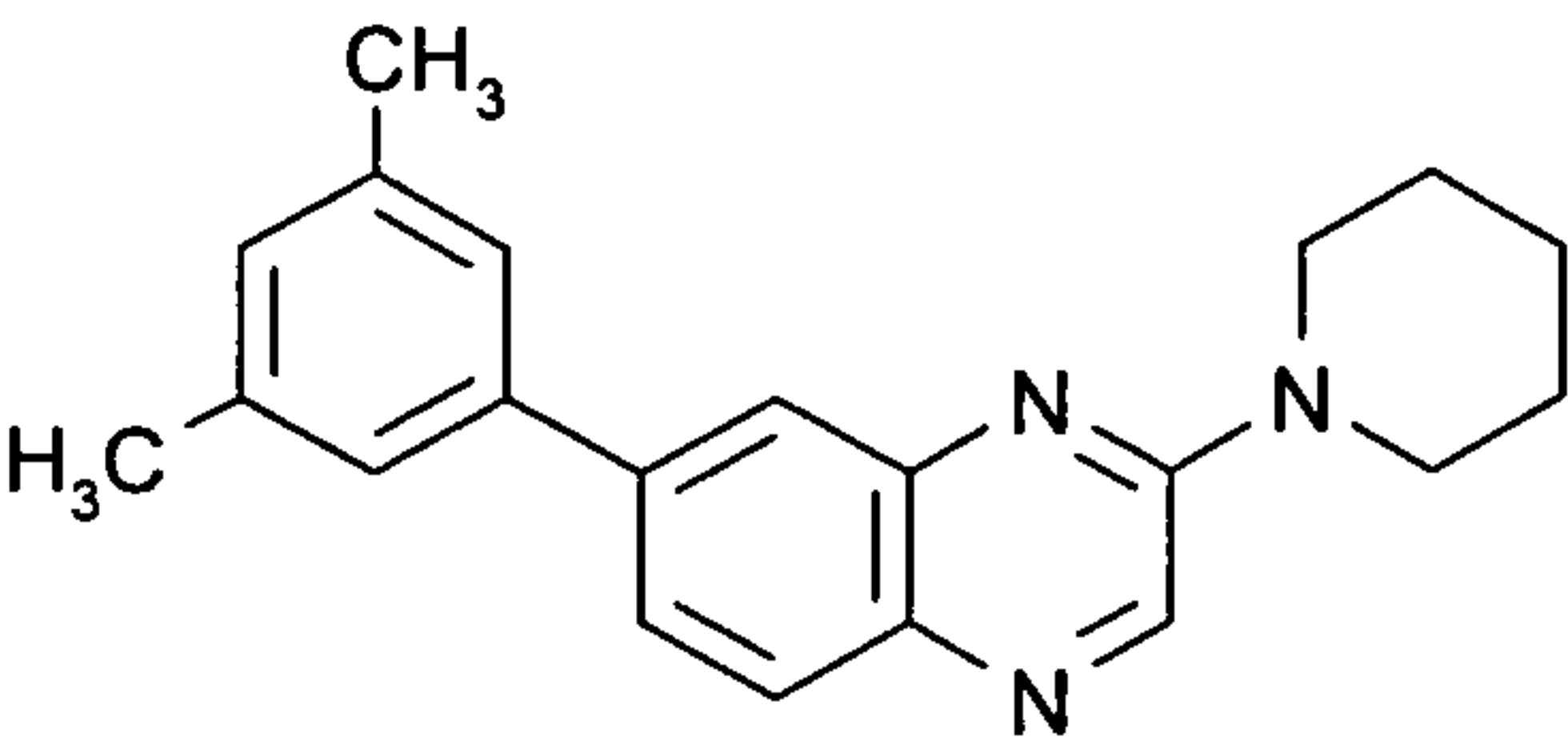
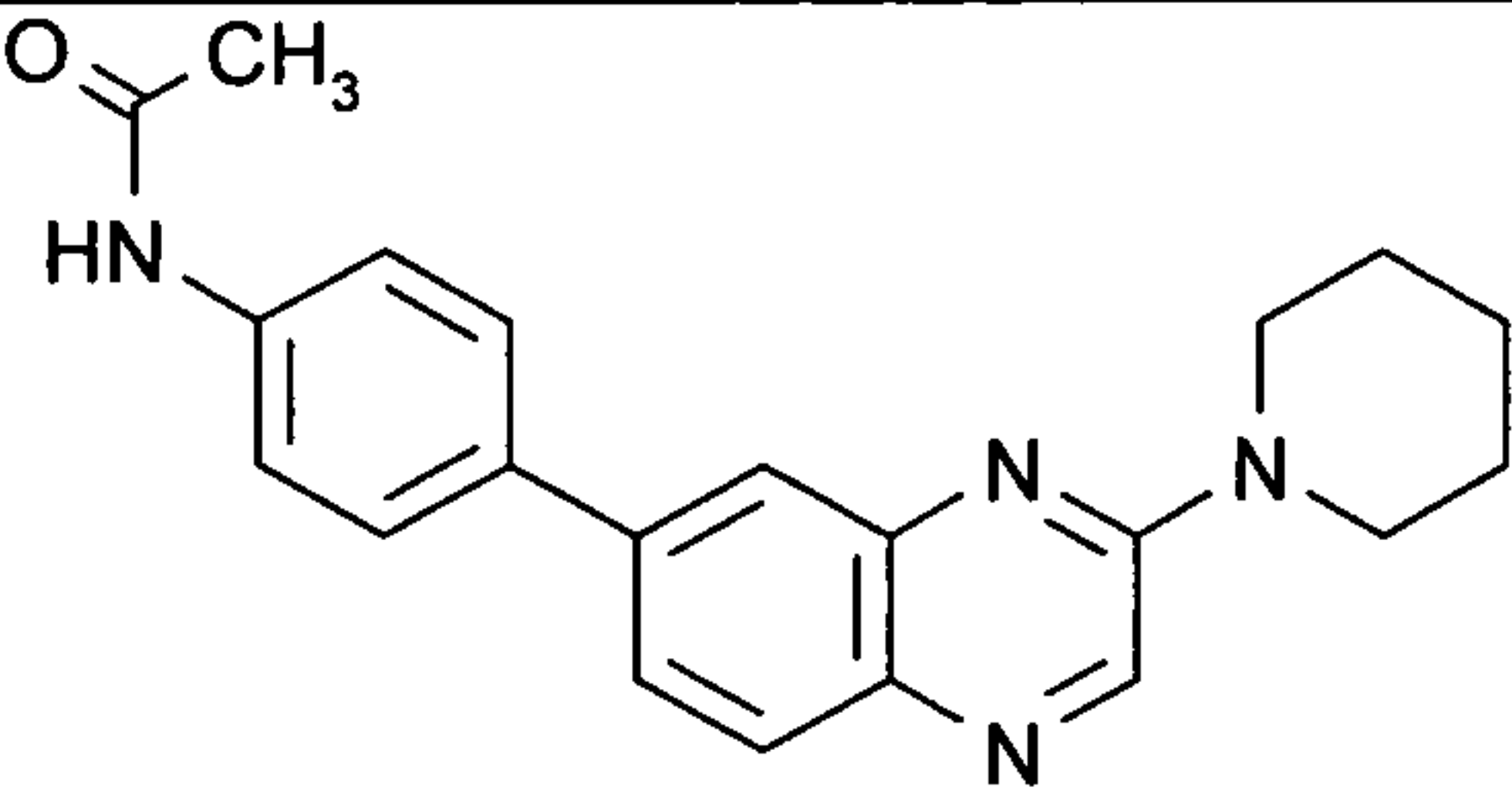
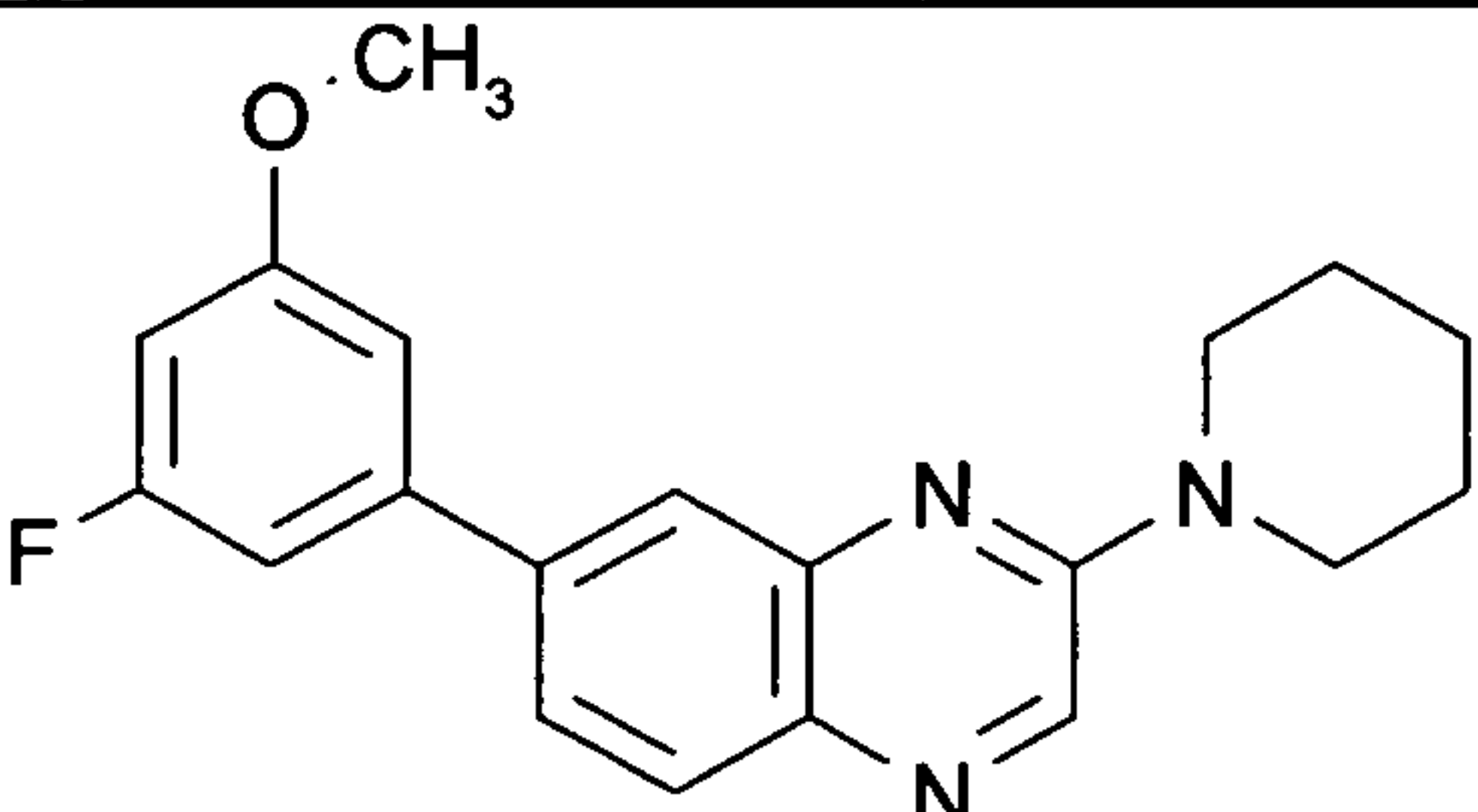
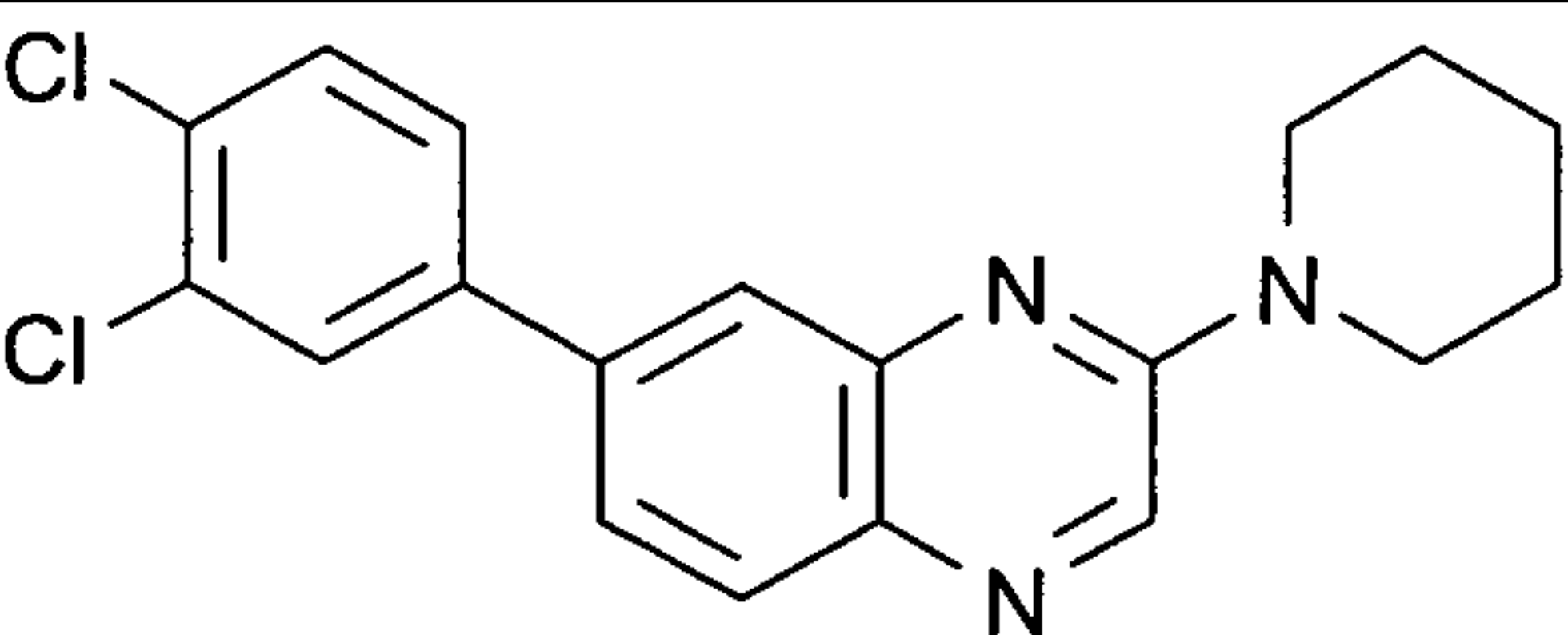
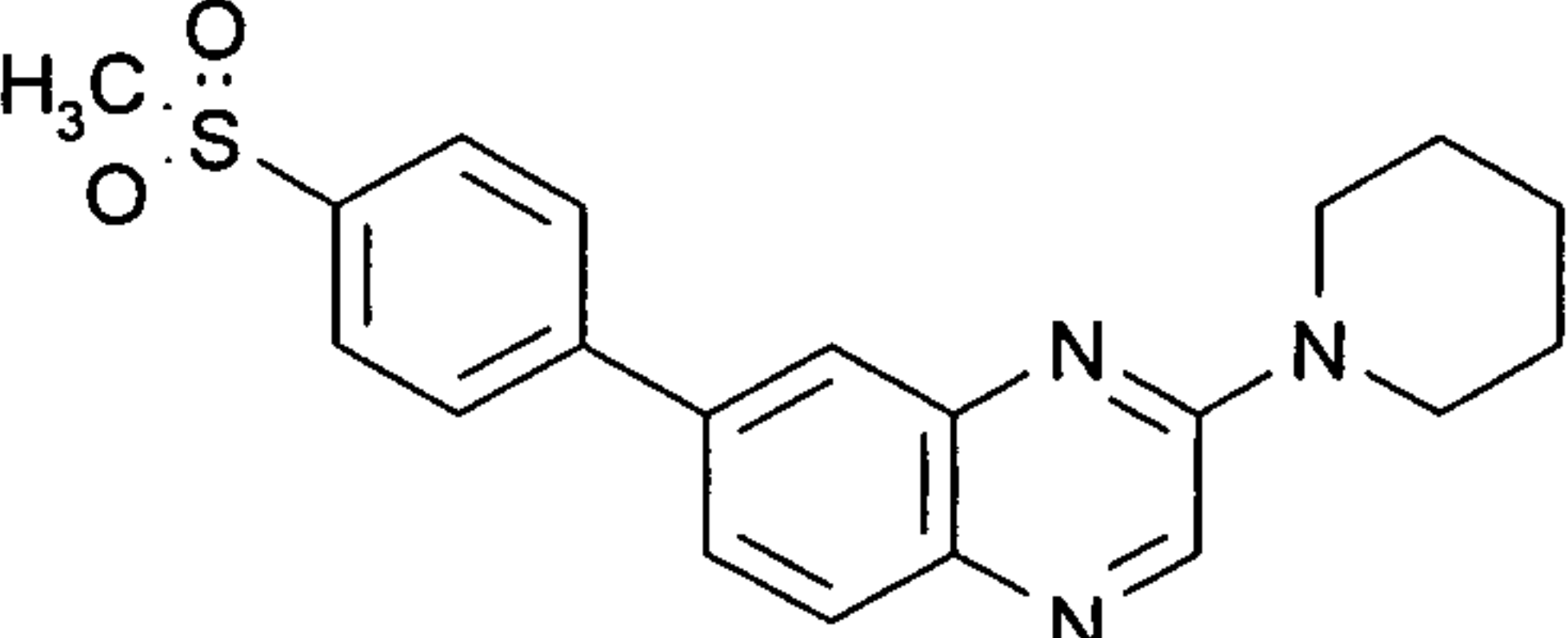
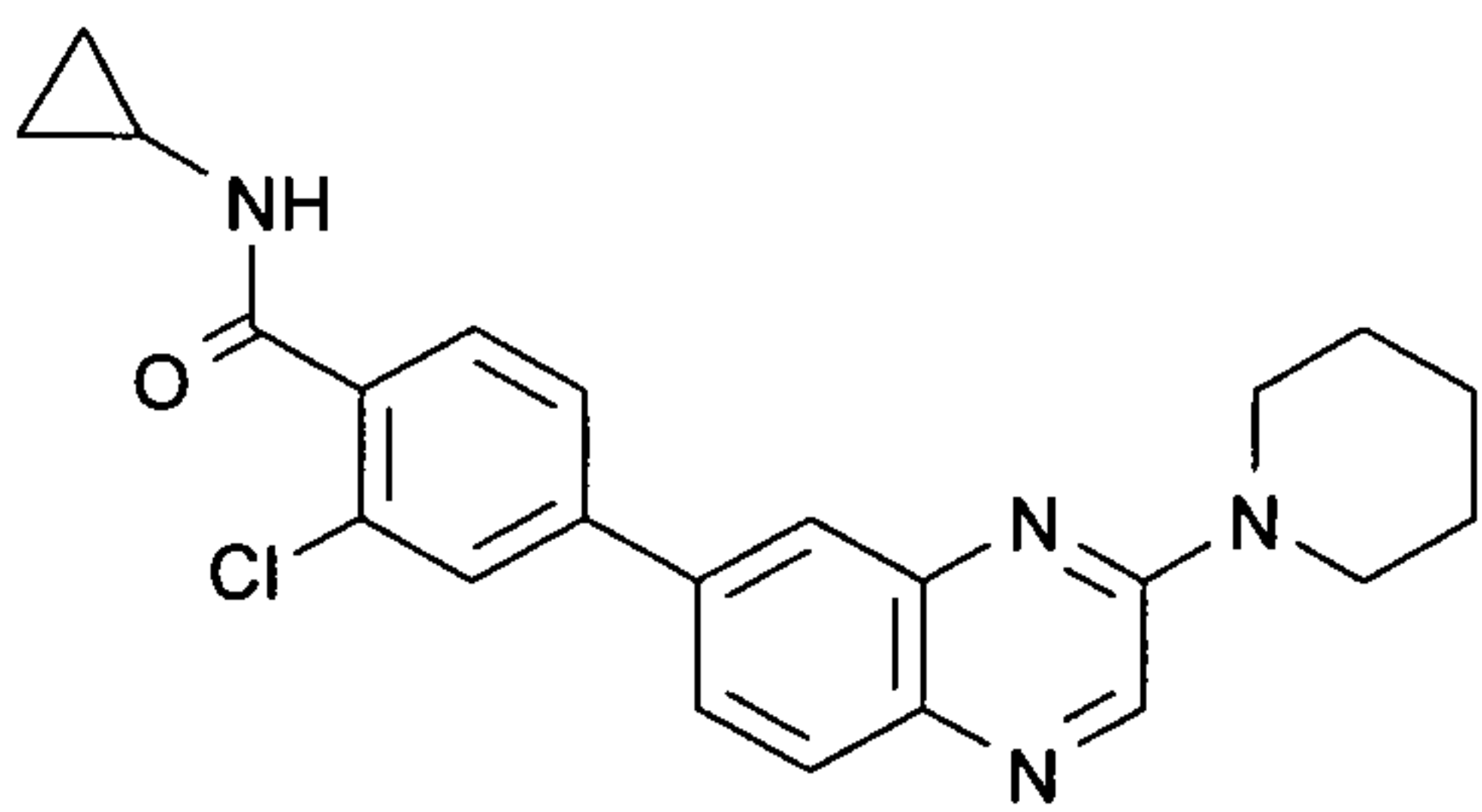
2.41		2-(piperidin-1-yl)-7-[4-(trifluoromethoxy)phenyl]quinoxaline	RT = 1.63 MW _{found} = 374.4 MW _{calc} = 373.4
2.42		2-(piperidin-1-yl)-7-[4-(trifluoromethyl)phenyl]quinoxaline	RT = 1.62 MW _{found} = 358.4 MW _{calc} = 357.4
2.43		3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.22 MW _{found} = 306.4 MW _{calc} = 305.4
2.44		N,N-dimethyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.20 MW _{found} = 361.5 MW _{calc} = 360.5
2.45		7-(3-chloro-4-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.71 MW _{found} = 338.9 MW _{calc} = 337.9
2.46		N-methyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.14 MW _{found} = 347.4 MW _{calc} = 346.4
2.47		N-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.12 MW _{found} = 347.4 MW _{calc} = 346.4

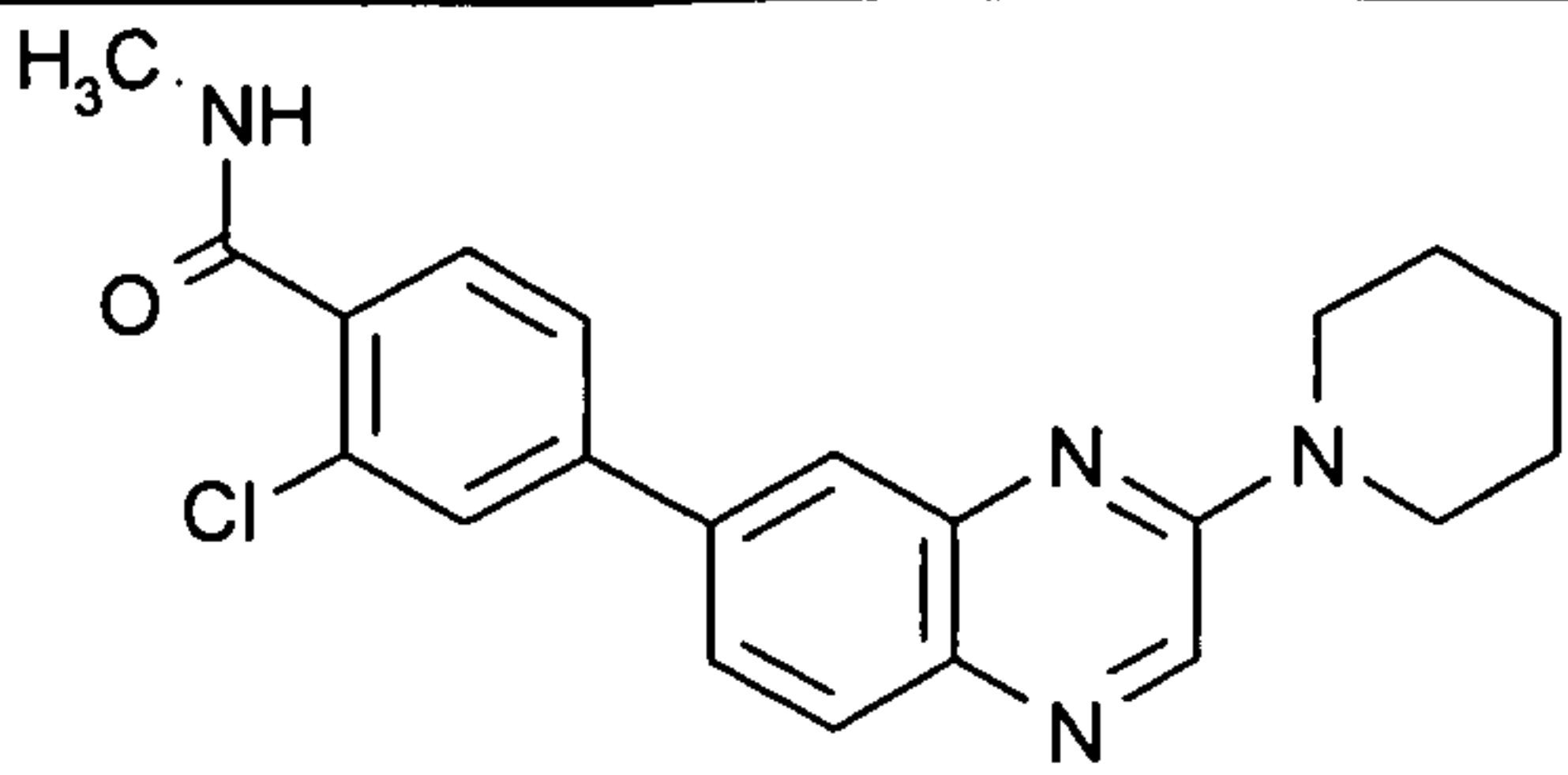
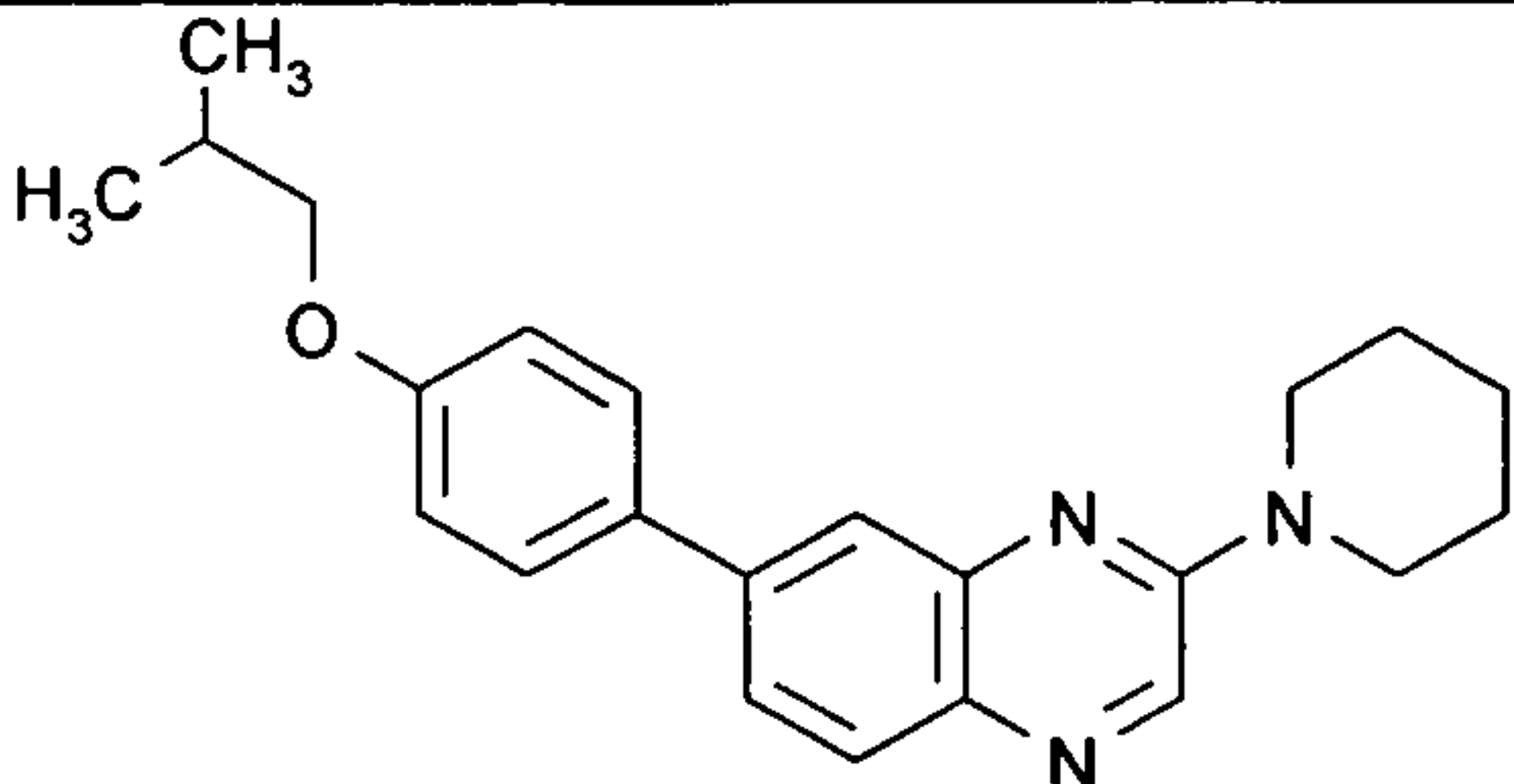
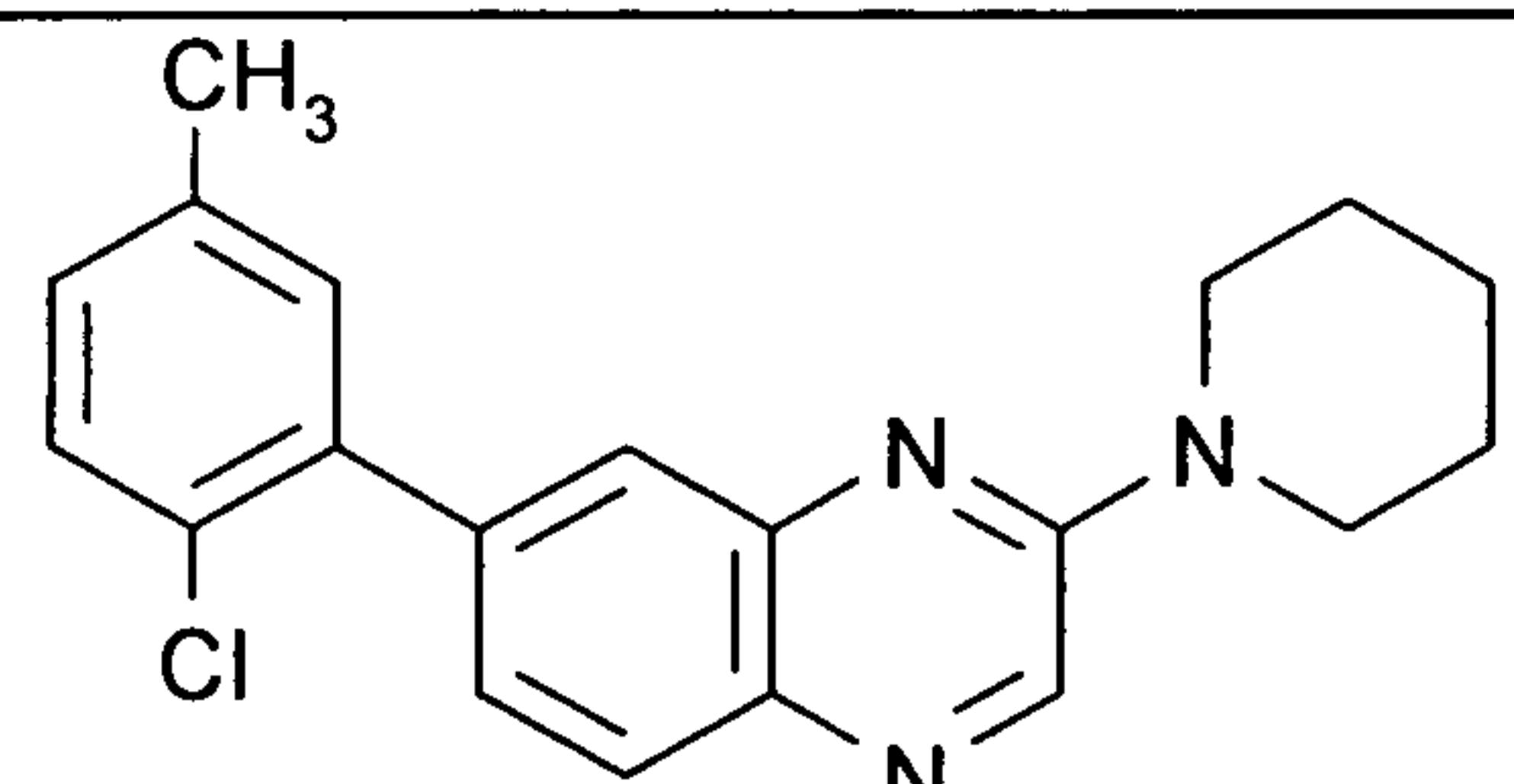
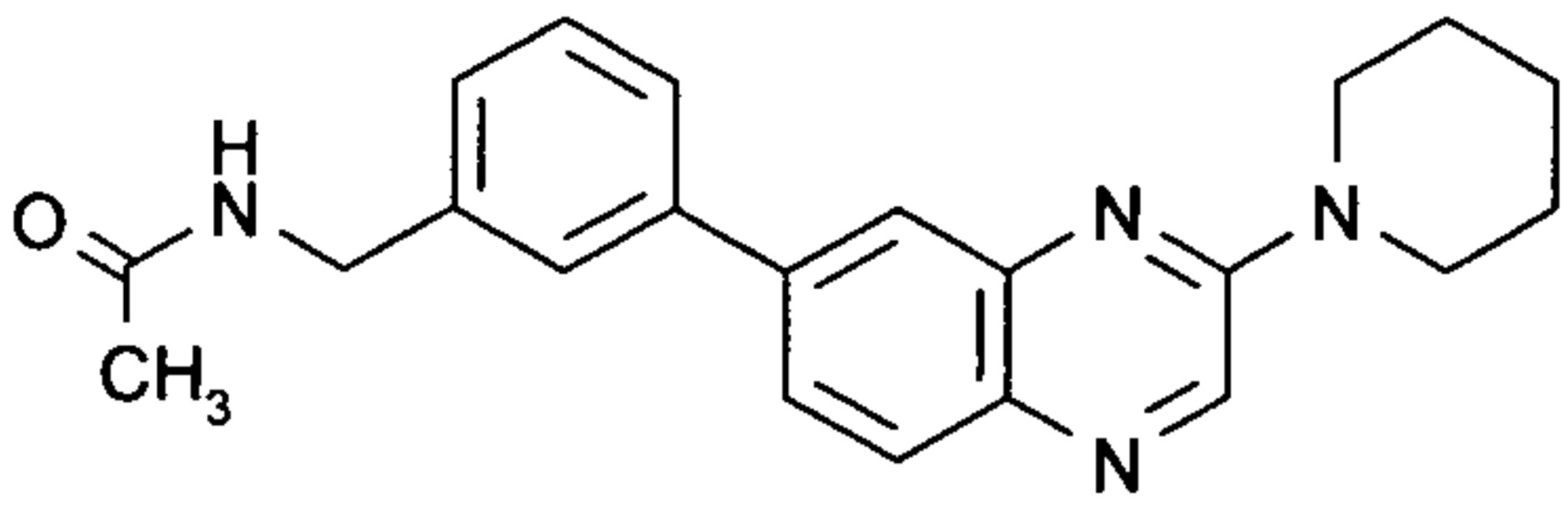
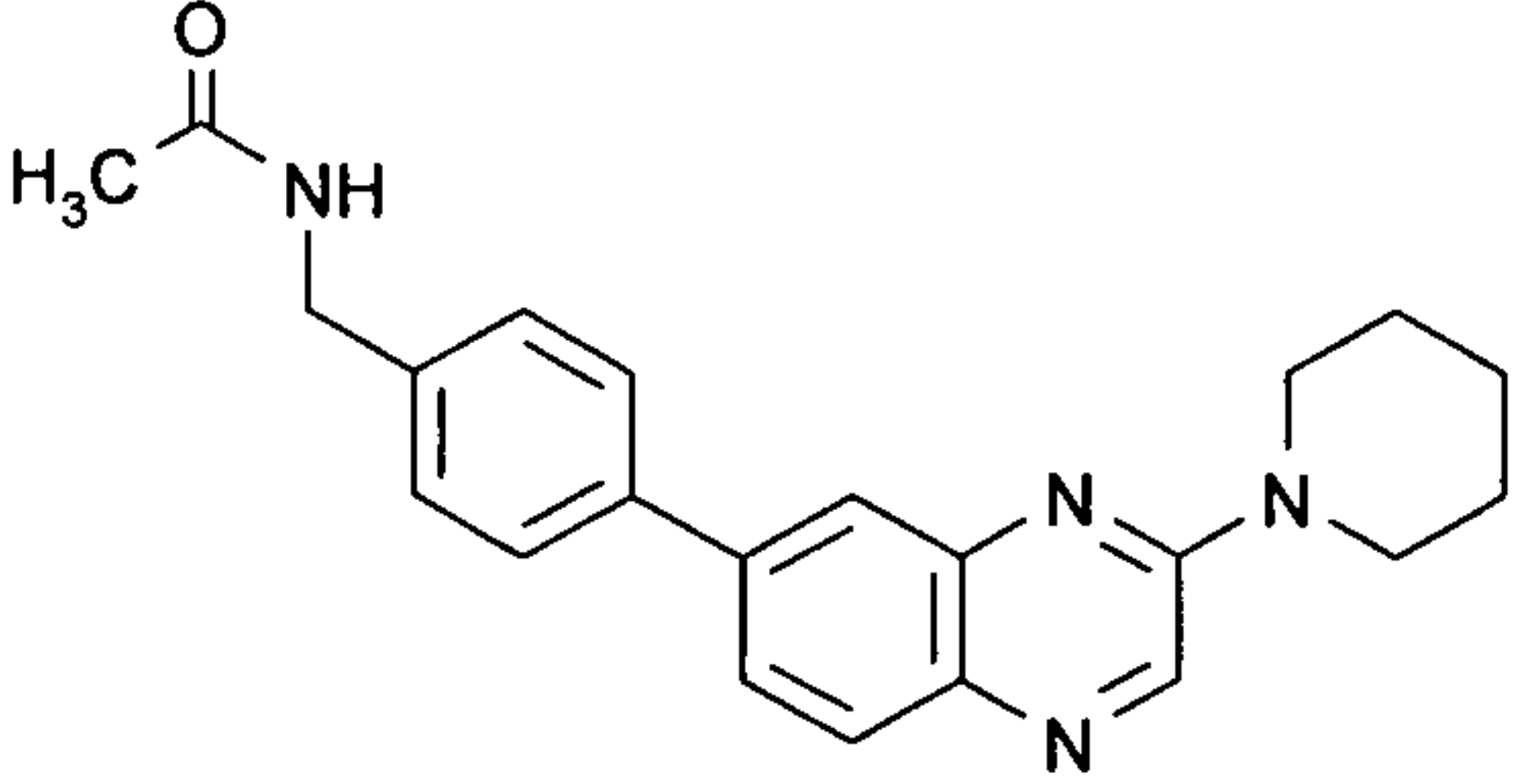
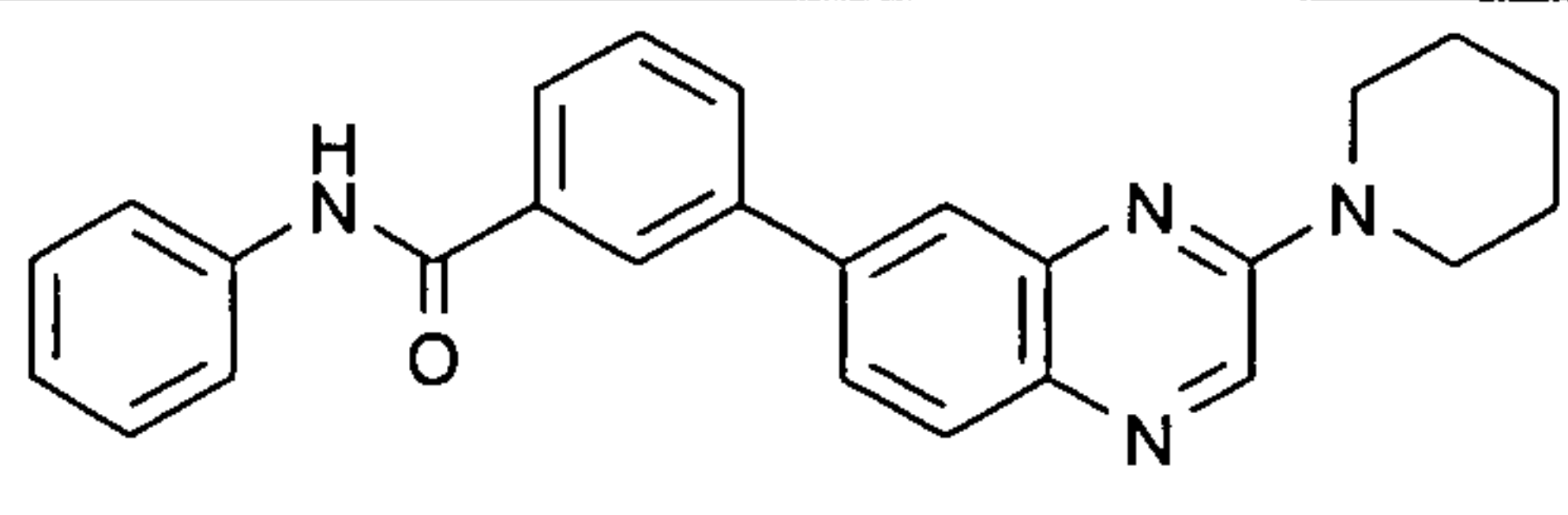
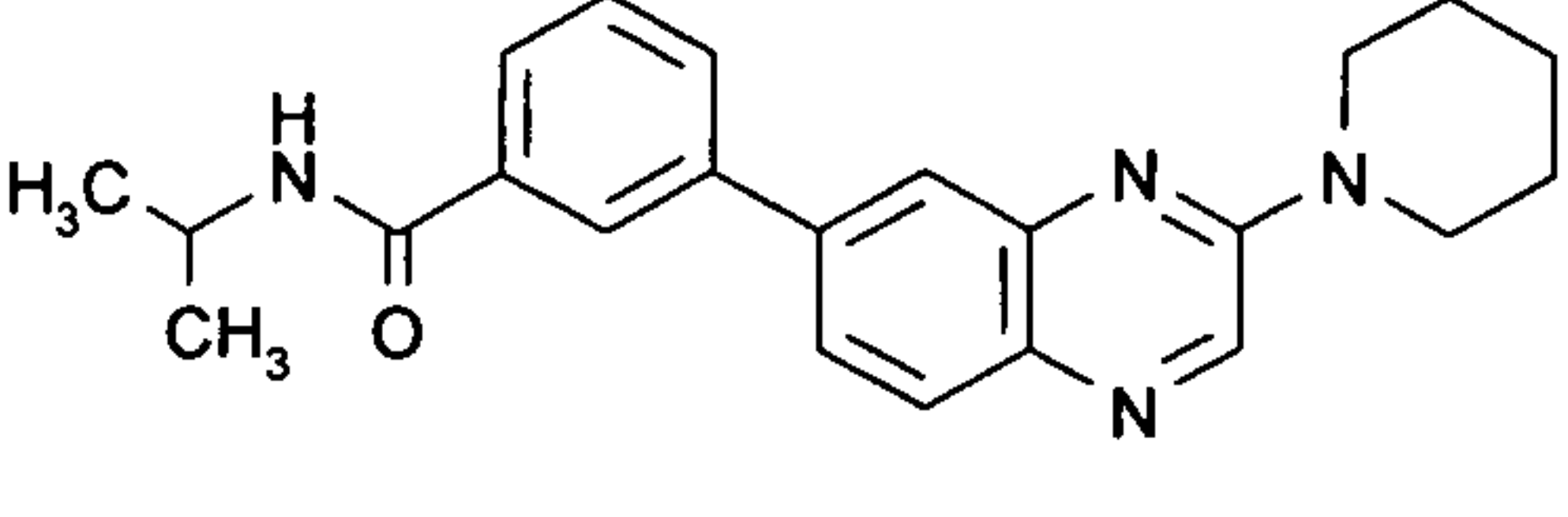
2.48		N-{2-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.14 MW _{found} = 347.4 MW _{calc} = 346.4
2.49		7-(2-fluorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.50 MW _{found} = 308.4 MW _{calc} = 307.4
2.50		7-(4-ethoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.54 MW _{found} = 334.4 MW _{calc} = 333.4
2.51		7-(2,5-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.42 MW _{found} = 350.4 MW _{calc} = 349.4
2.52		7-(3-fluoro-4-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.46 MW _{found} = 338.4 MW _{calc} = 337.4
2.53		7-(2-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.57 MW _{found} = 304.4 MW _{calc} = 303.4

2.54		7-(2,6-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.63 MW _{found} = 318.4 MW _{calc} = 317.4
2.55		2-(piperidin-1-yl)-7-[3-(propan-2-yloxy)phenyl]quinoxaline	RT = 1.62 MW _{found} = 348.5 MW _{calc} = 347.5
2.56		7-(4-nitrophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.47 MW _{found} = 335.4 MW _{calc} = 334.4
2.57		7-[2-(benzyloxy)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.62 MW _{found} = 396.5 MW _{calc} = 395.5
2.58		N-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanesulfonamide	RT = 1.21 MW _{found} = 383.5 MW _{calc} = 382.5
2.59		2-(piperidin-1-yl)-7-[4-(propan-2-yloxy)phenyl]quinoxaline	RT = 1.60 MW _{found} = 348.5 MW _{calc} = 347.5
2.60		N-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.18 MW _{found} = 347.4 MW _{calc} = 346.4

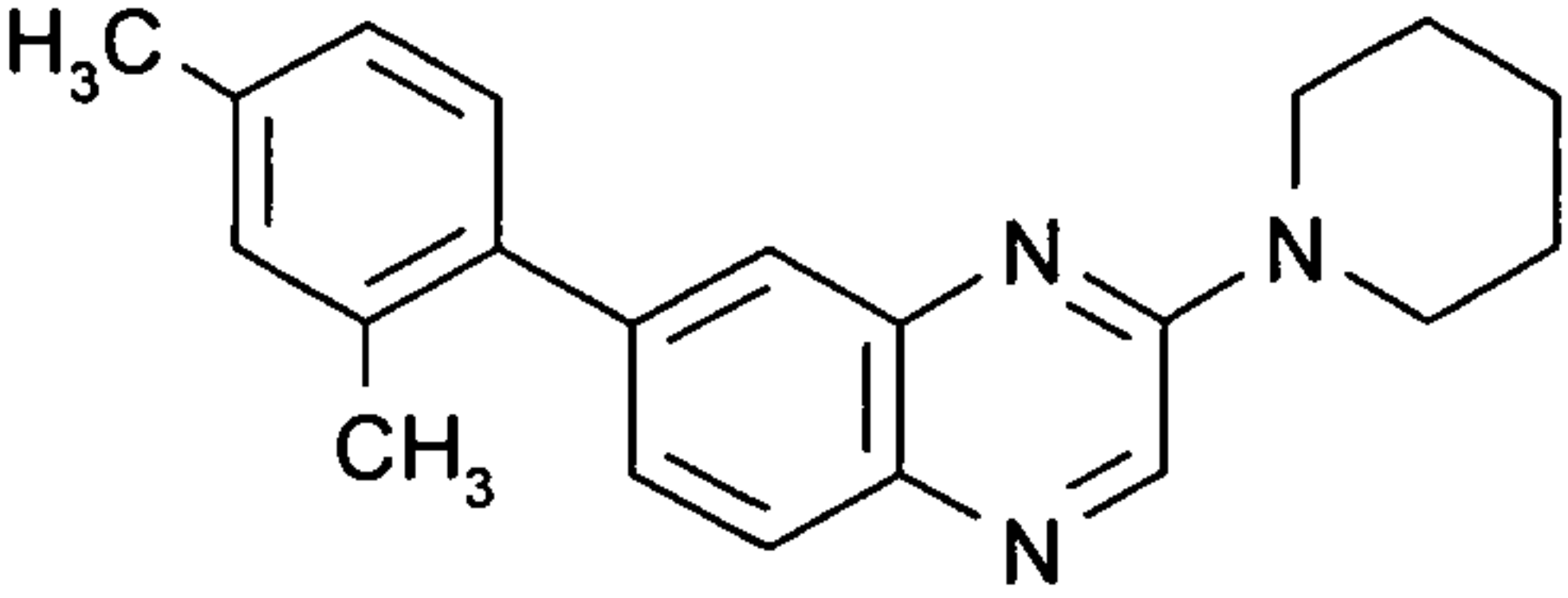
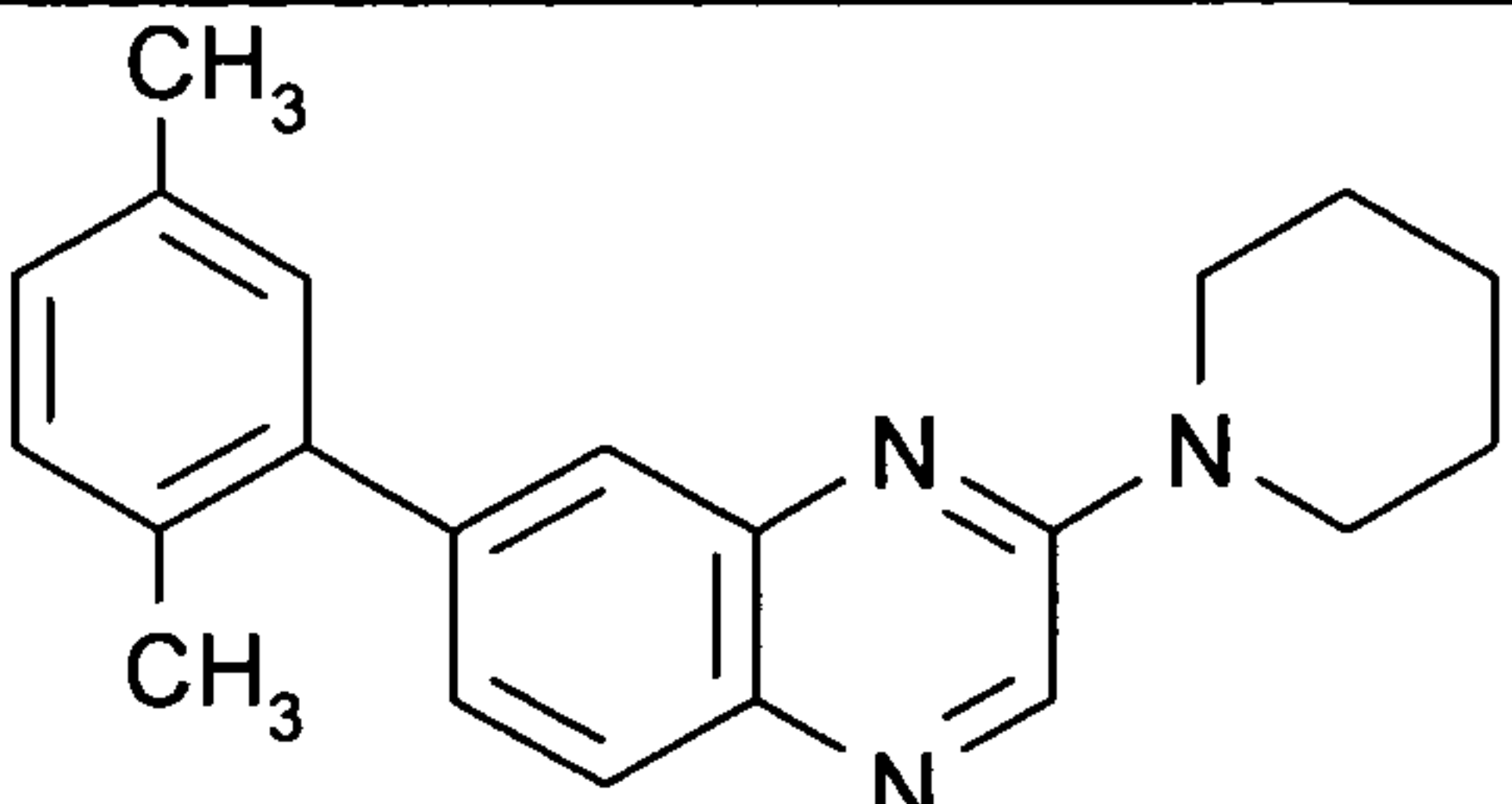
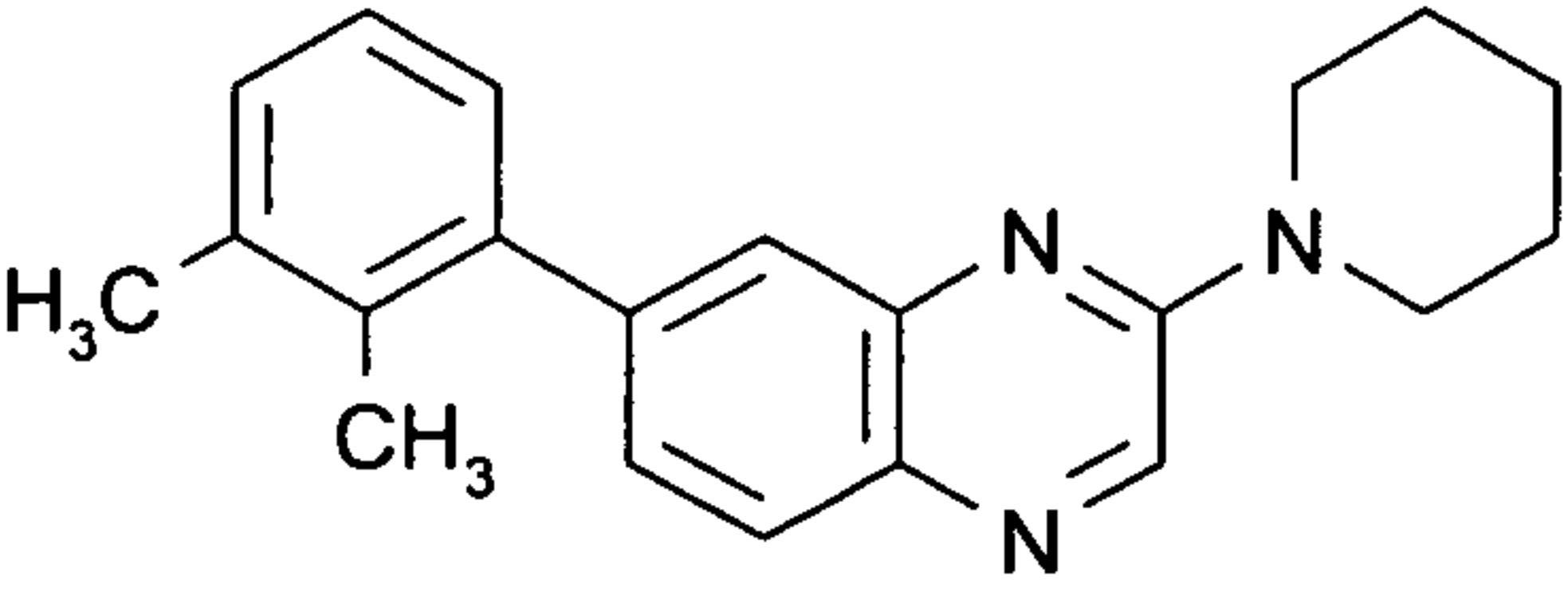
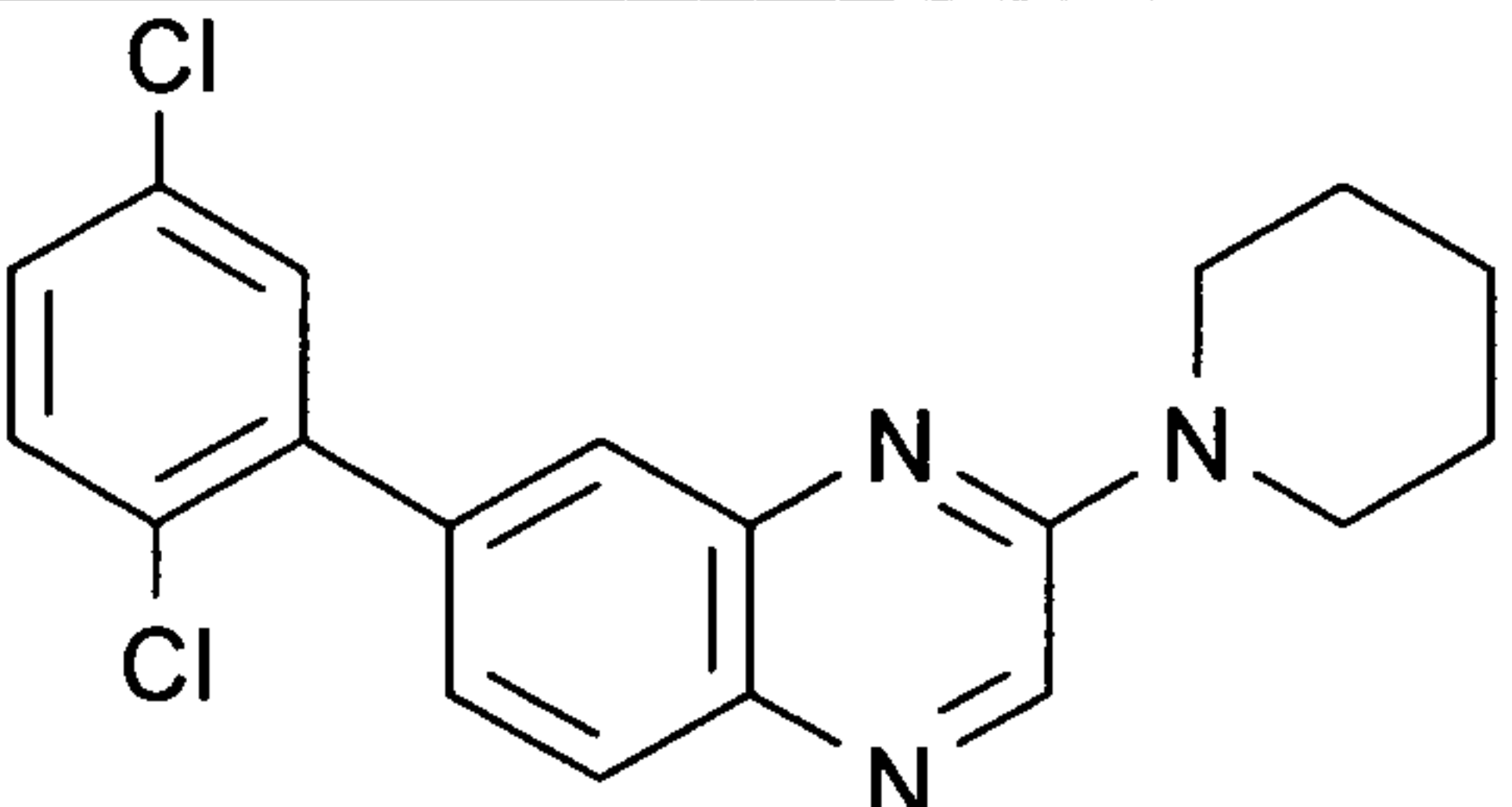
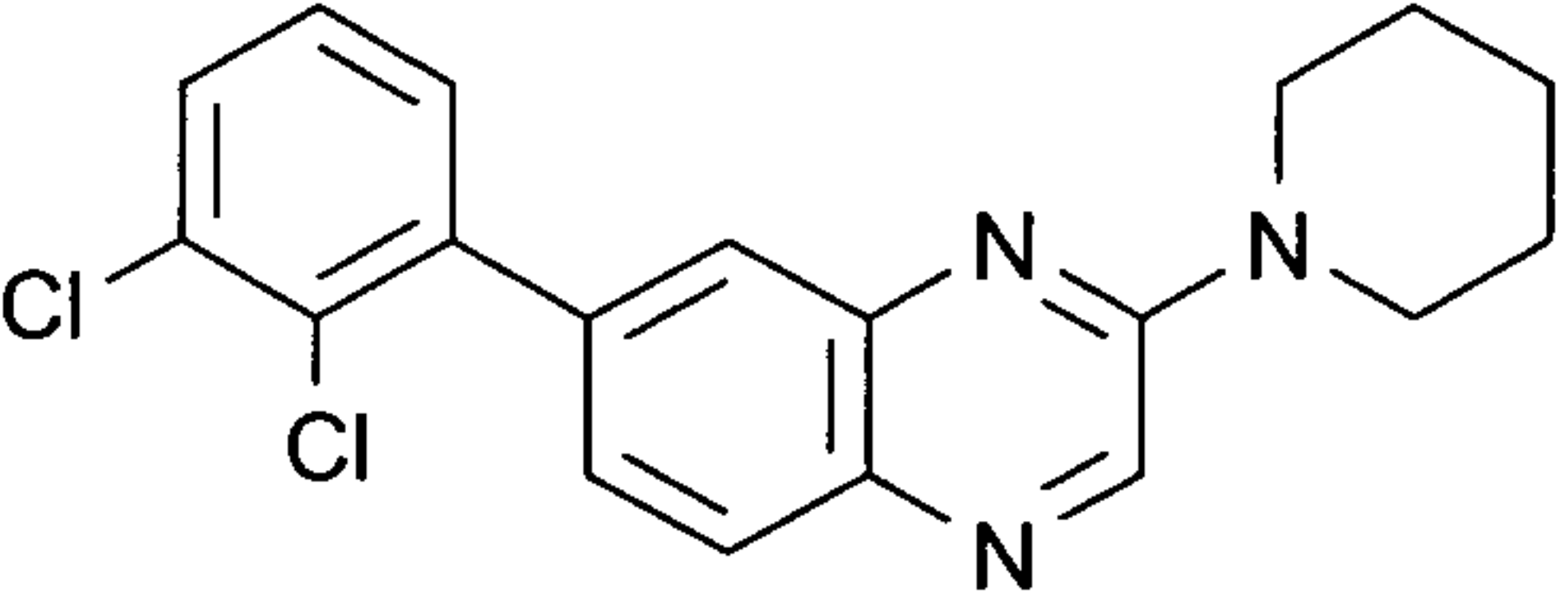
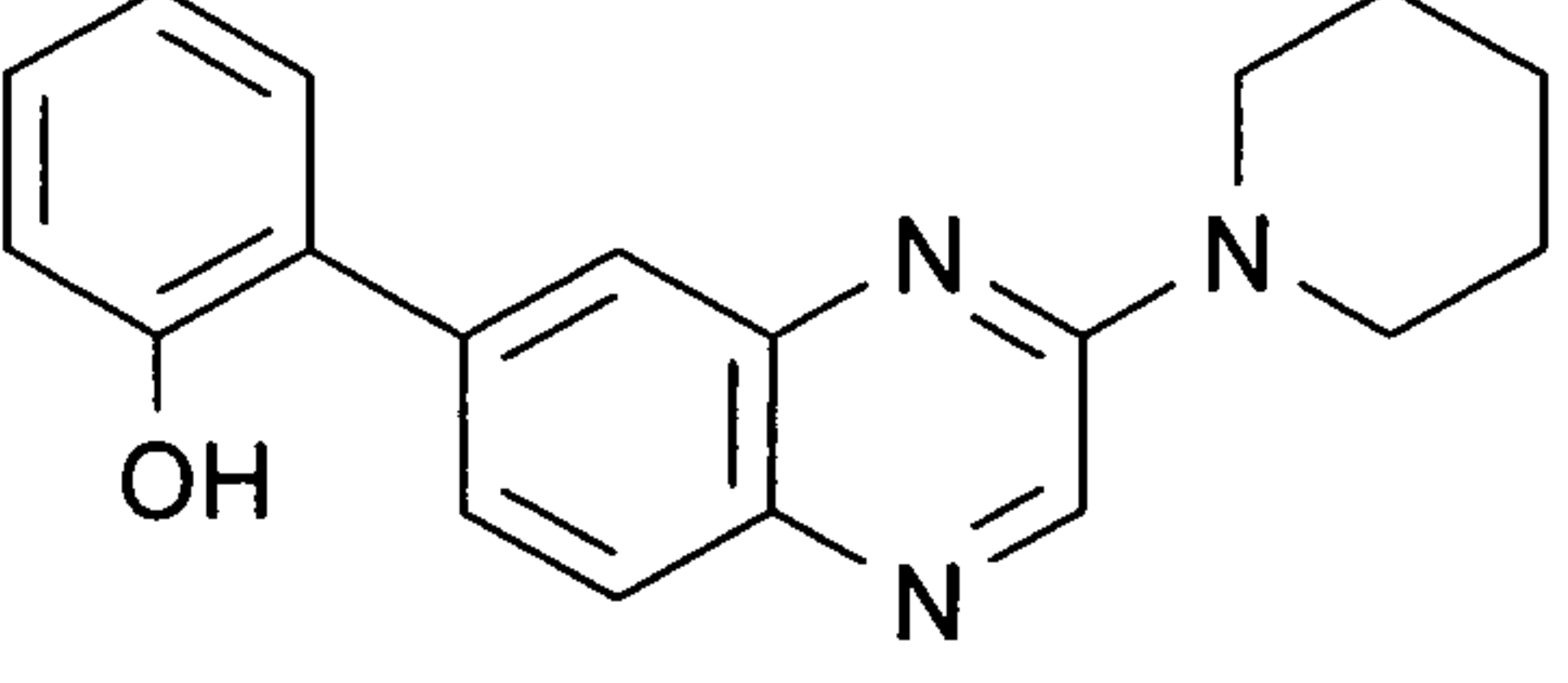
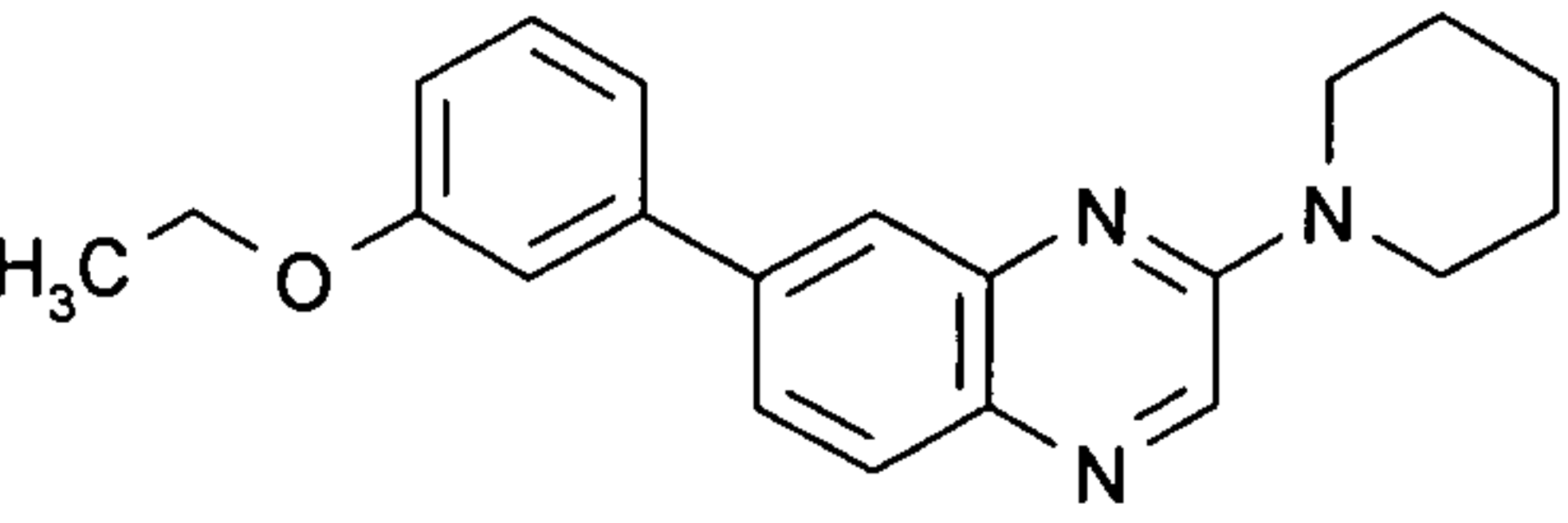
2.61		7-(2,6-difluorophenyl)- 2-(piperidin-1- yl)quinoxaline	RT = 1.46 MW _{found} = 326.4 MW _{calc} = 325.4
2.62		7-(3,4-difluorophenyl)- 2-(piperidin-1- yl)quinoxaline	RT = 1.54 MW _{found} = 326.4 MW _{calc} = 325.4
2.63		7-(4-methoxy-3,5- dimethylphenyl)-2- (piperidin-1- yl)quinoxaline	RT = 1.61 MW _{found} = 348.5 MW _{calc} = 347.5
2.64		7-(3,5-difluorophenyl)- 2-(piperidin-1- yl)quinoxaline	RT = 1.57 MW _{found} = 326.4 MW _{calc} = 325.4
2.65		3-[3-(piperidin-1- yl)quinoxalin-6- yl]benzonitrile	RT = 1.40 MW _{found} = 315.4 MW _{calc} = 314.4
2.66		7-(2,4-difluorophenyl)- 2-(piperidin-1- yl)quinoxaline	RT = 1.52 MW _{found} = 326.4 MW _{calc} = 325.4
2.67		7-(2,3-difluorophenyl)- 2-(piperidin-1- yl)quinoxaline	RT = 1.52 MW _{found} = 326.4 MW _{calc} = 325.4

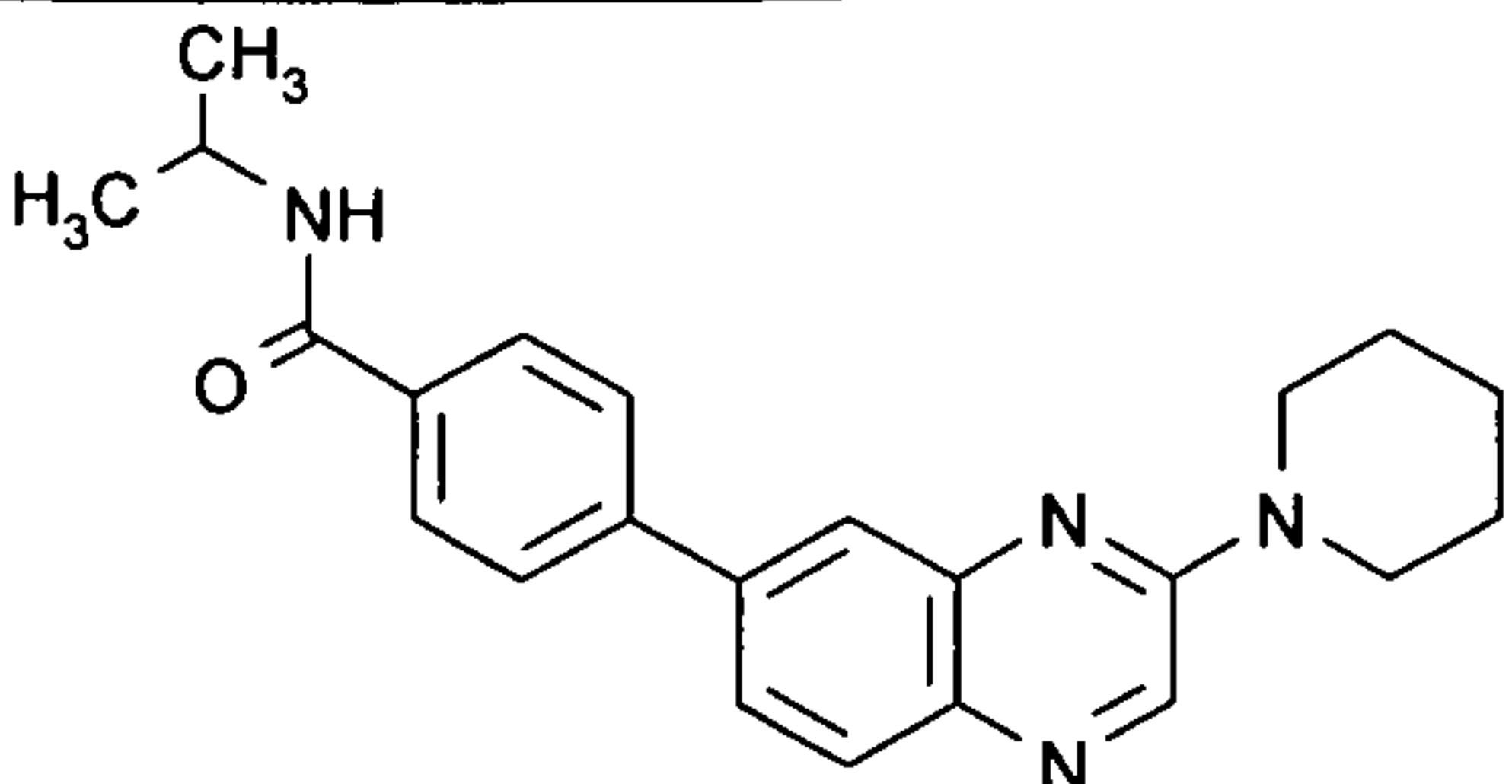
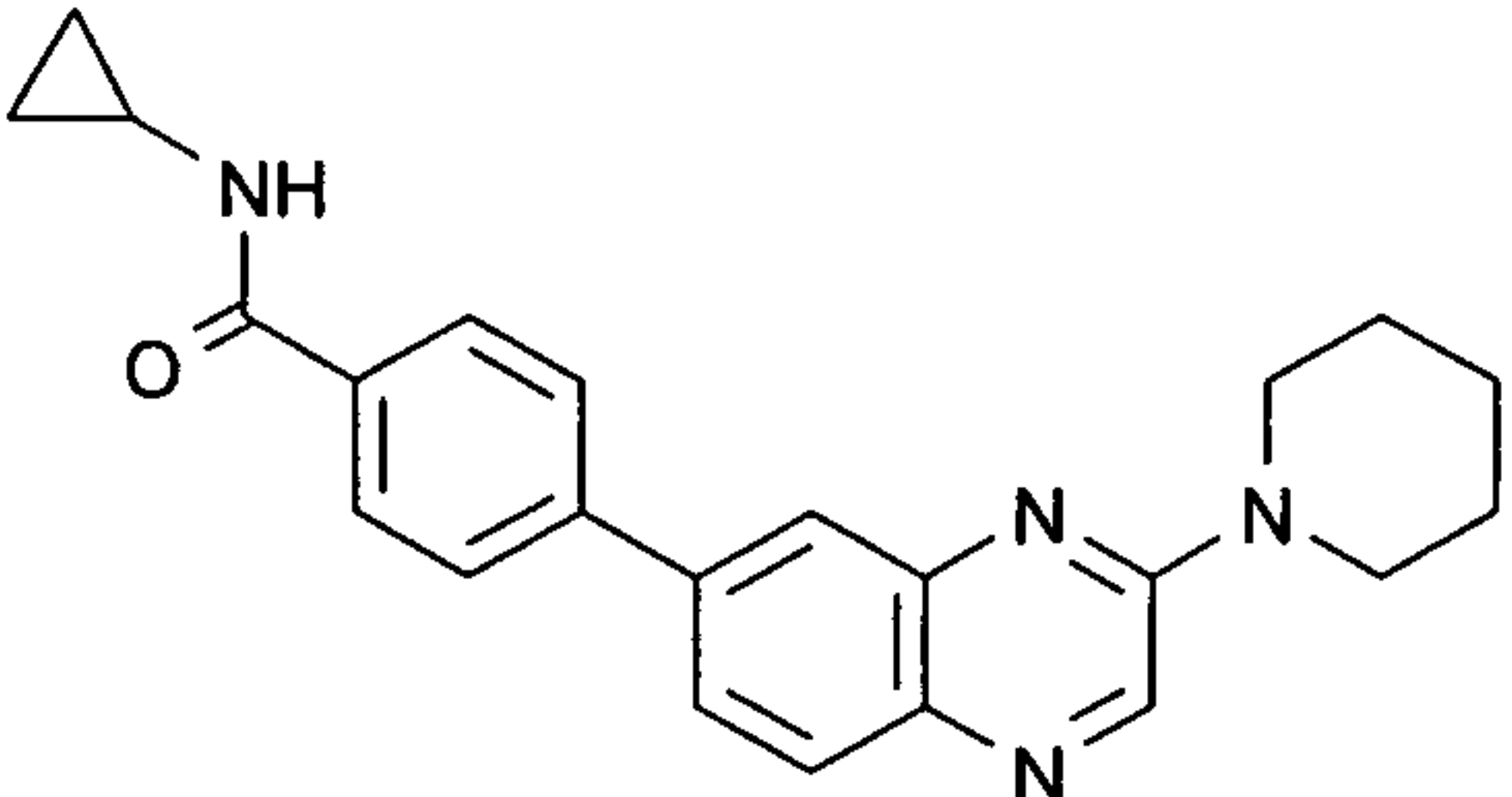
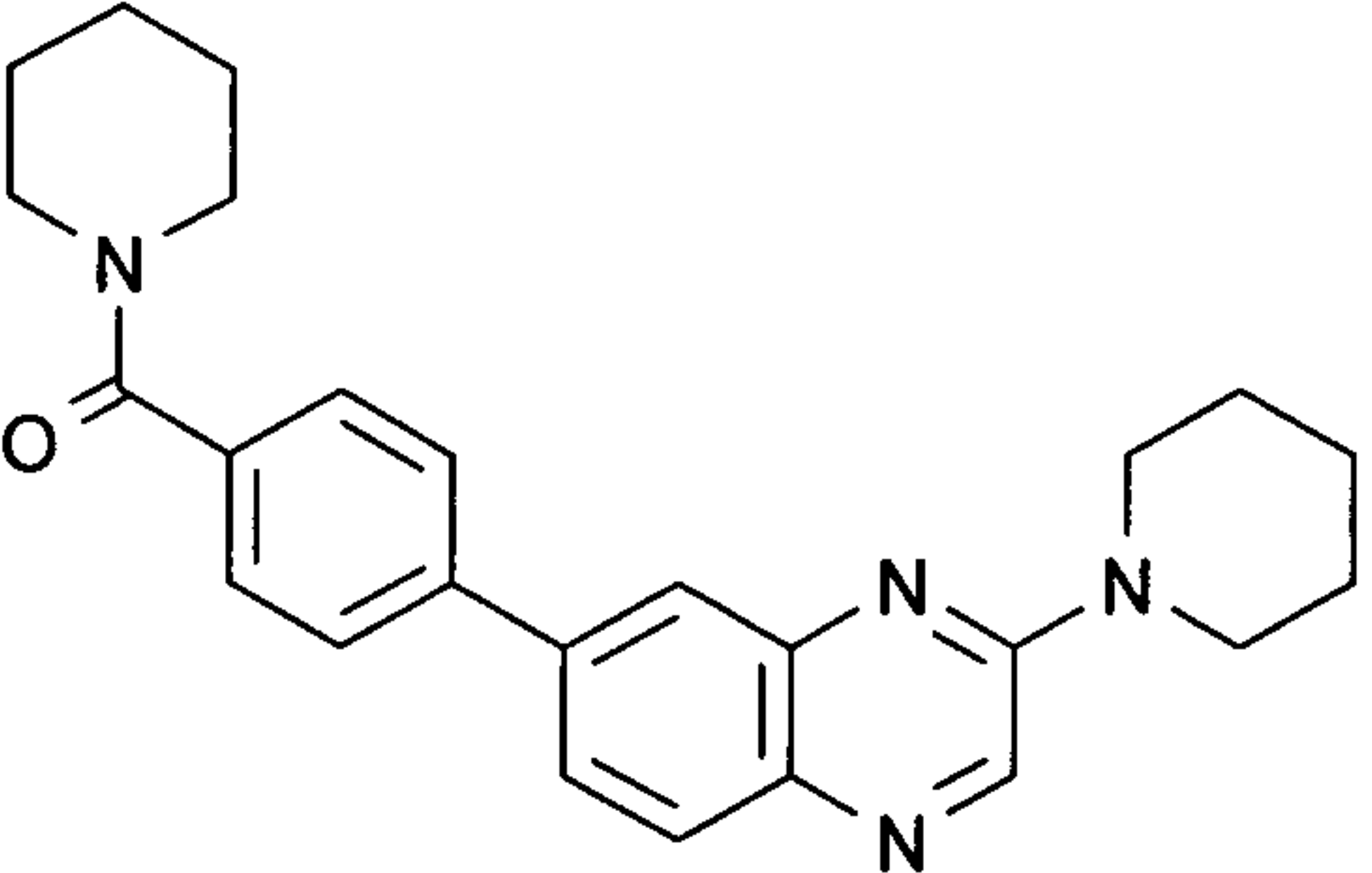
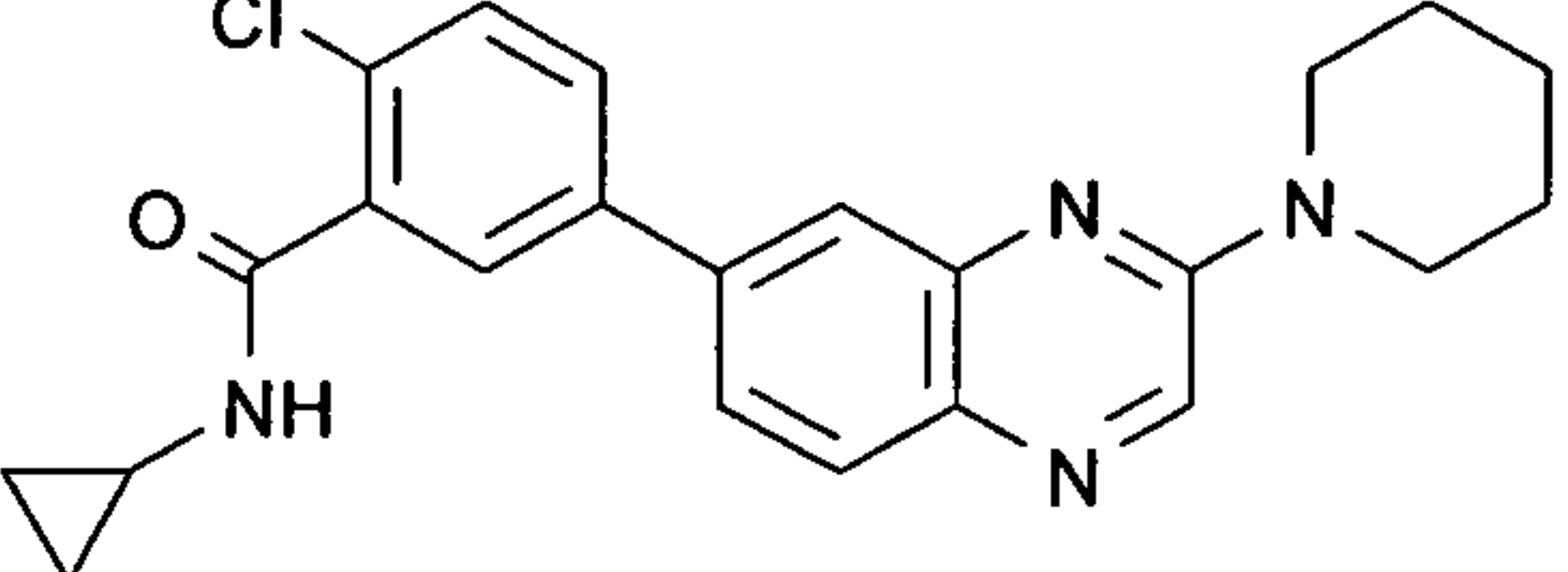
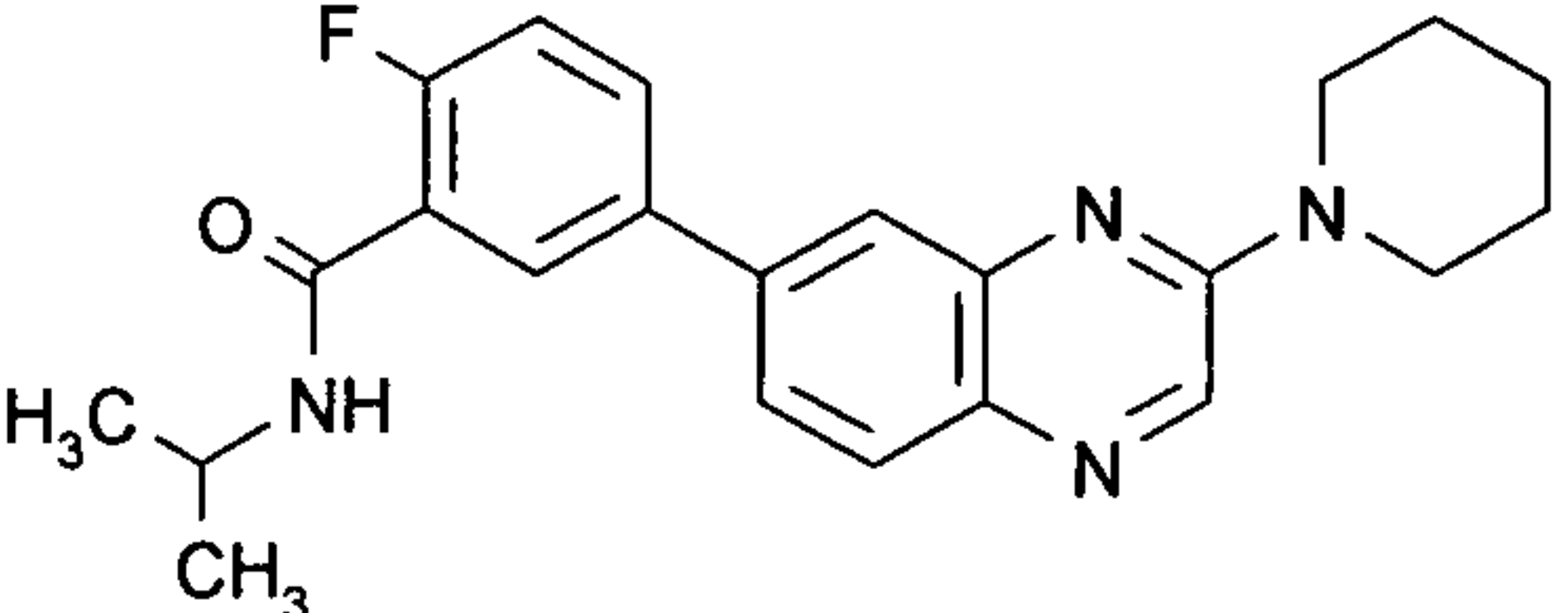
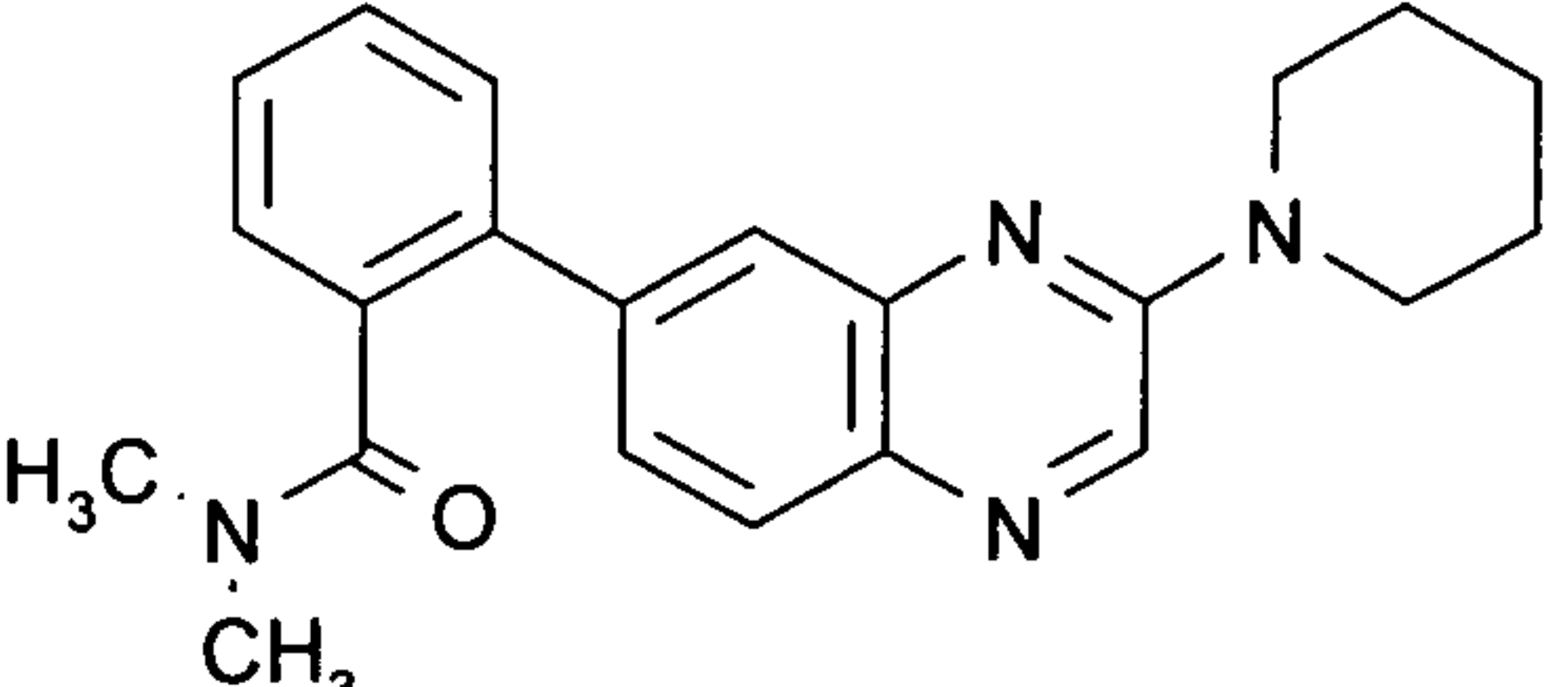
2.68		7-(2,5-difluorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.52 MW _{found} = 326.4 MW _{calc} = 325.4
2.69		methyl 3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzoate	RT = 1.47 MW _{found} = 348.4 MW _{calc} = 347.4
2.70		7-(2-fluoro-3-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.45 MW _{found} = 338.4 MW _{calc} = 337.4
2.71		methyl 2-[3-(piperidin-1-yl)quinoxalin-6-yl]benzoate	RT = 1.39 MW _{found} = 348.4 MW _{calc} = 347.4
2.72		3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.08 MW _{found} = 333.4 MW _{calc} = 332.4
2.73		1-{4-[(4-methylpiperazin-1-yl)methyl]-3-(trifluoromethyl)phenyl}-3-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}urea	RT = 1.01 MW _{found} = 604.7 MW _{calc} = 603.7

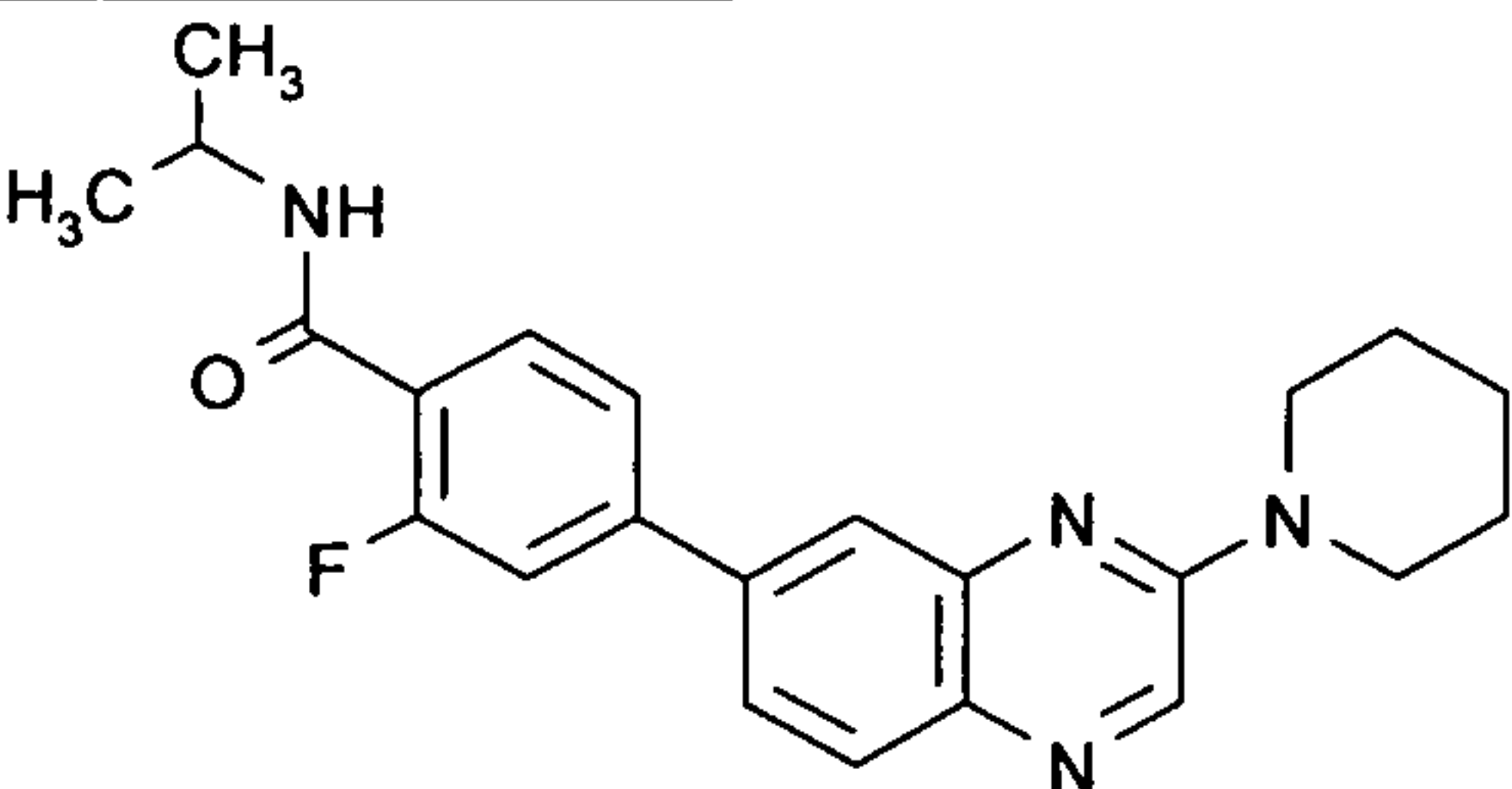
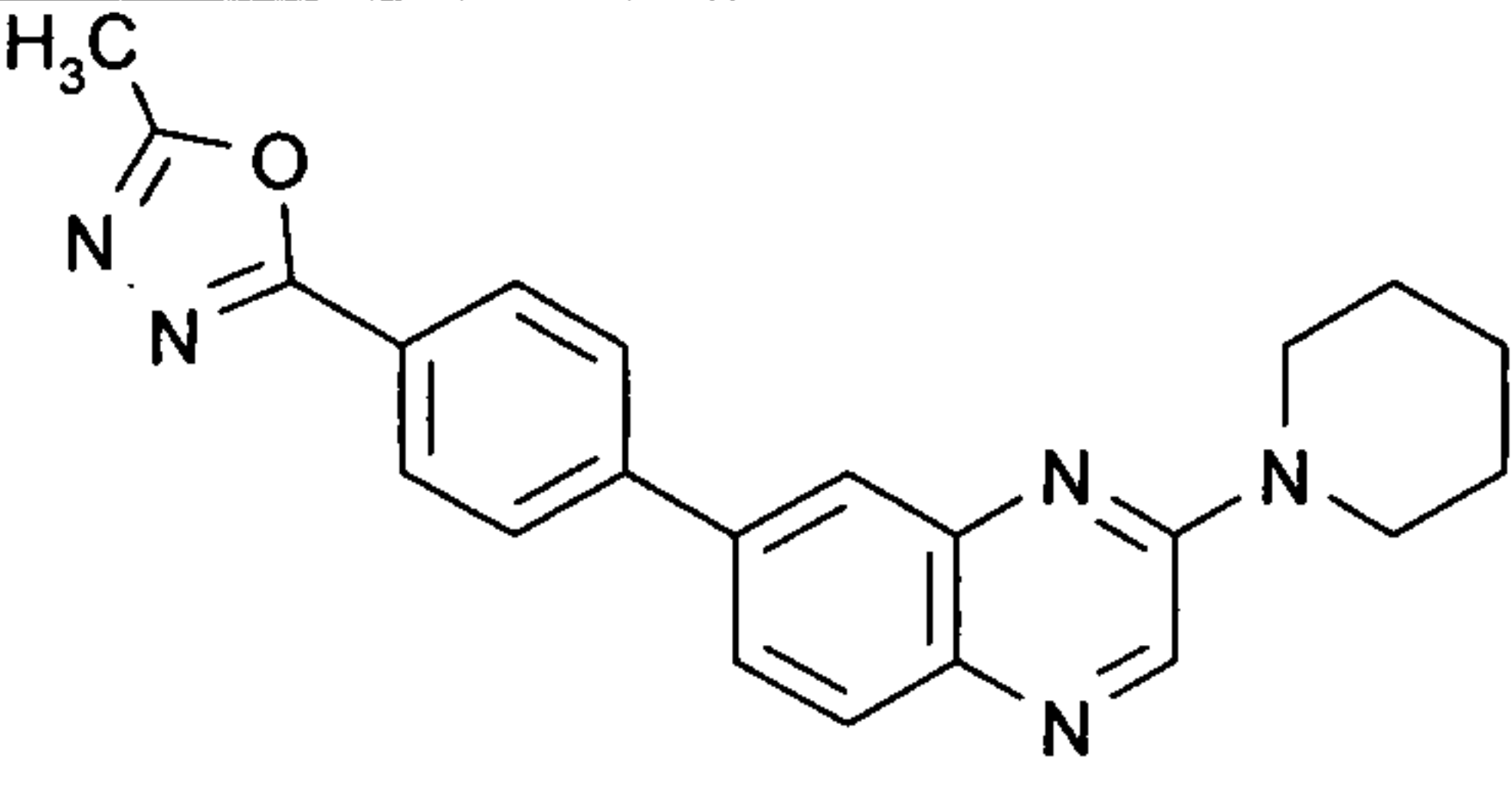
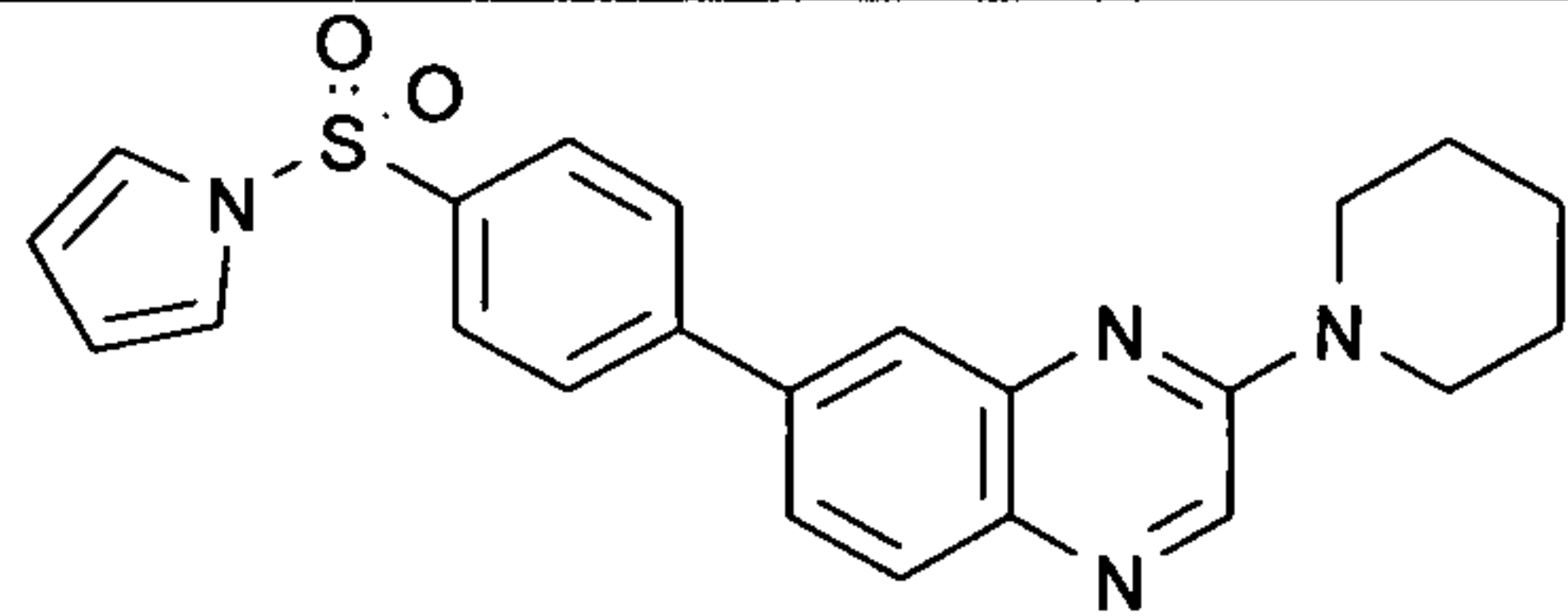
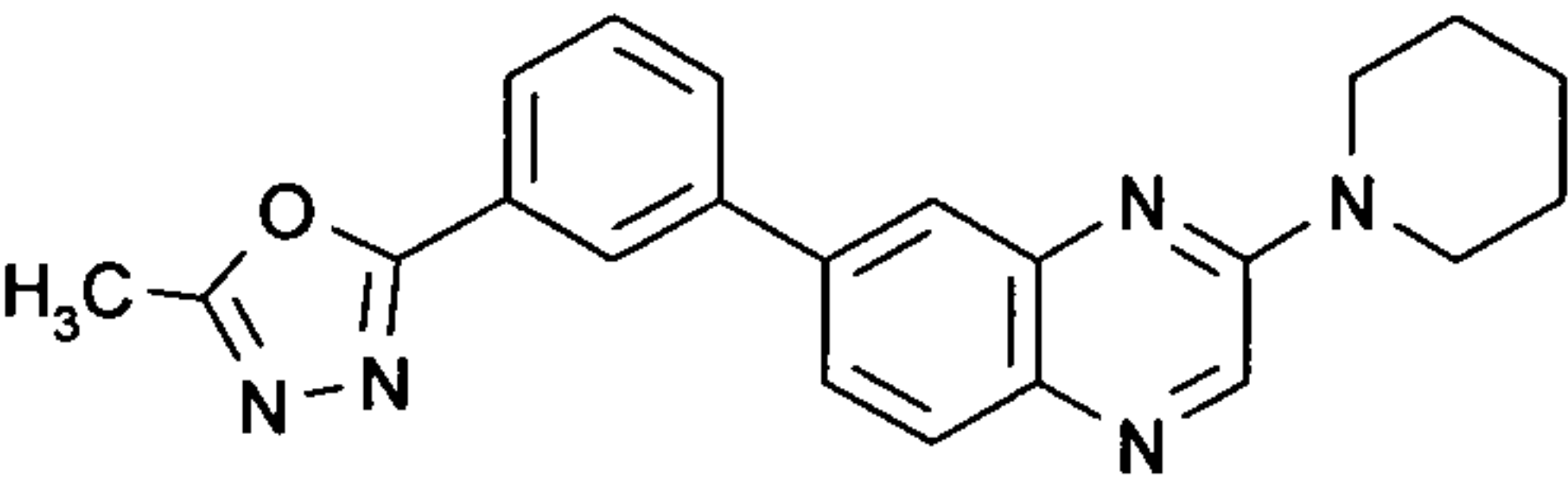
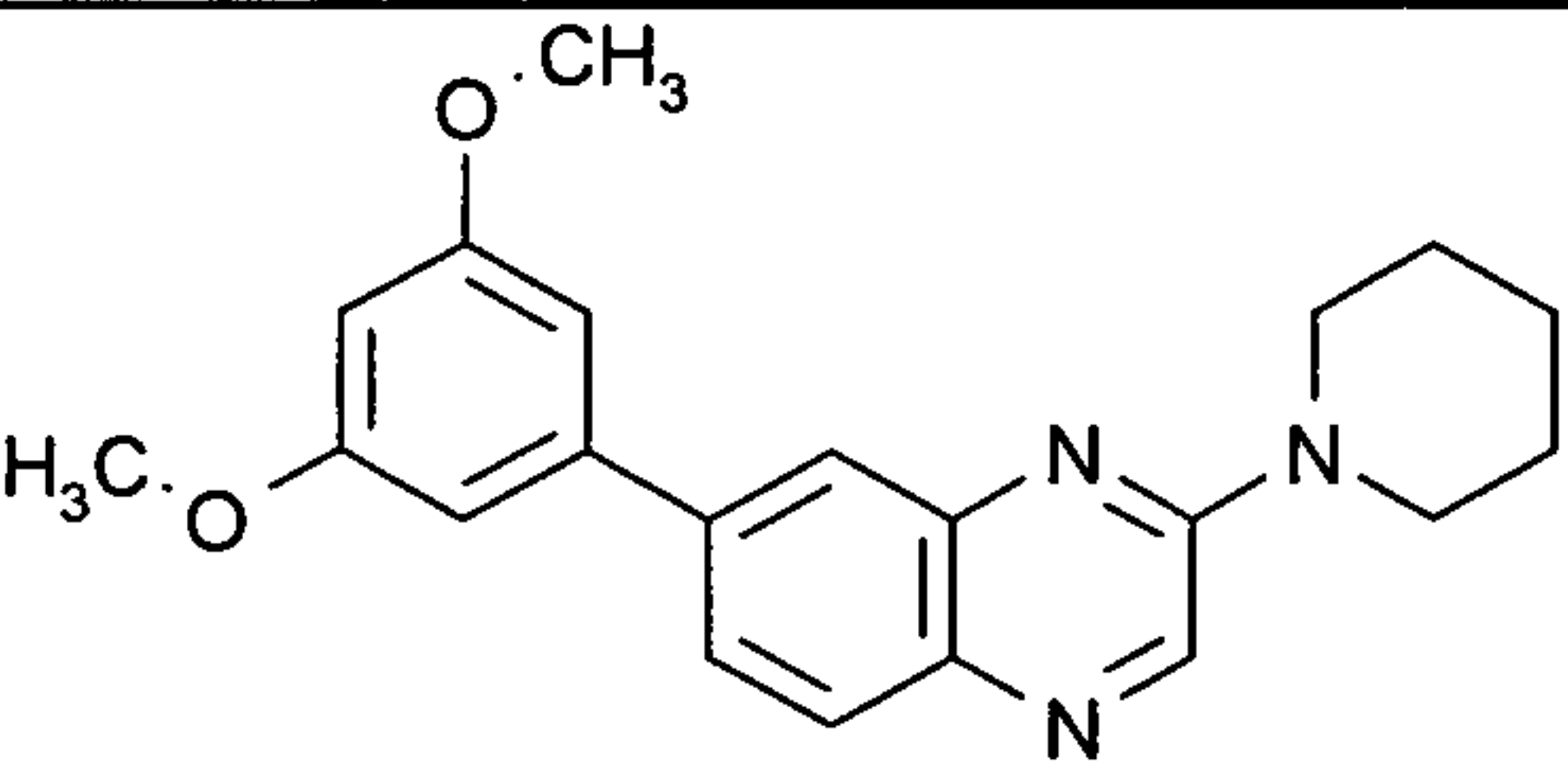
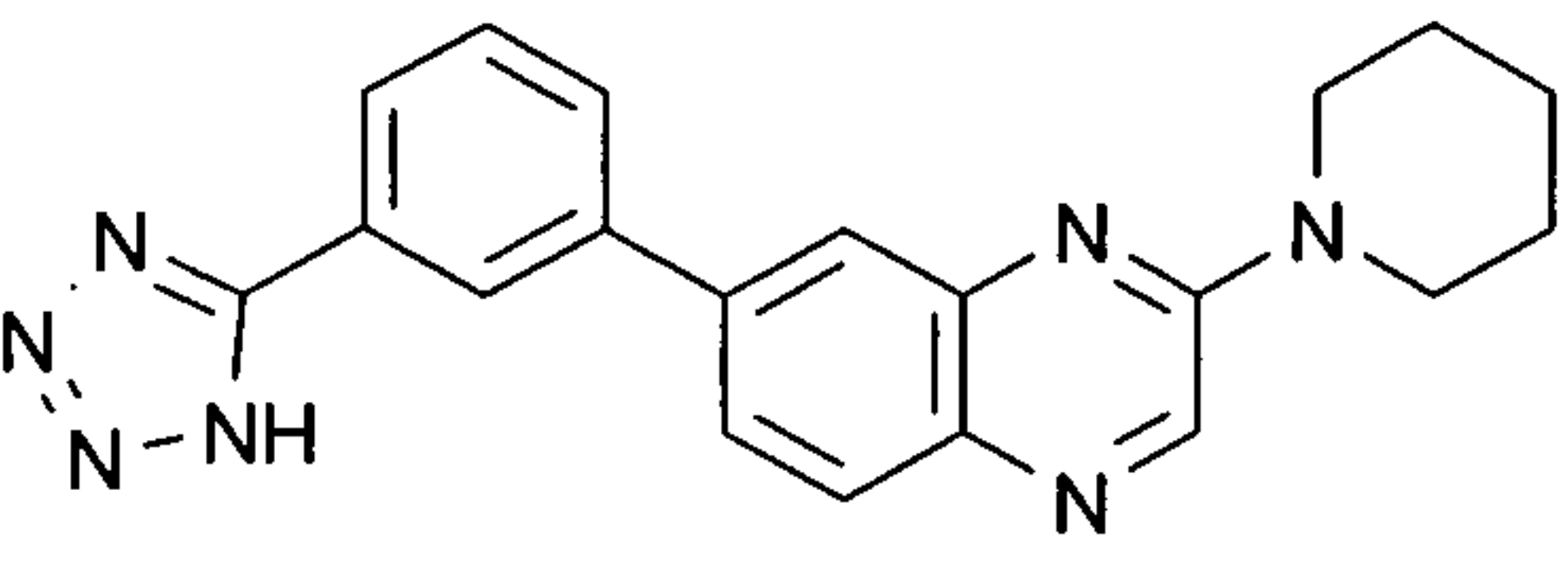
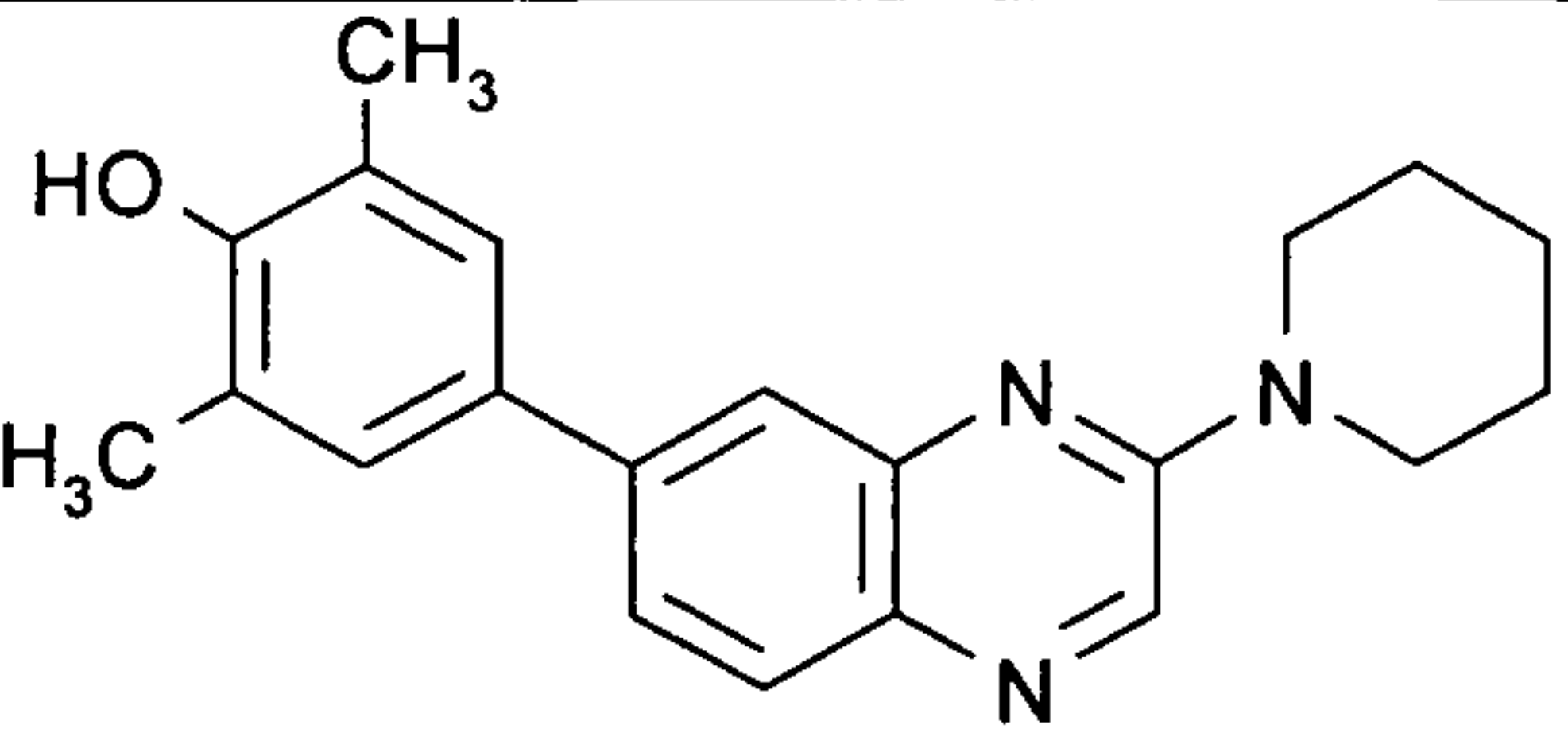
2.74		7-(3,5-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.67 MW _{found} = 318.4 MW _{calc} = 317.4
2.75		N-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.16 MW _{found} = 347.4 MW _{calc} = 346.4
2.76		7-(3-fluoro-5-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.53 MW _{found} = 338.4 MW _{calc} = 337.4
2.77		7-(3,4-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.72 MW _{found} = 359.3 MW _{calc} = 358.3
2.78		7-[4-(methylsulfonyl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.22 MW _{found} = 368.5 MW _{calc} = 367.5
2.79		2-chloro-N-cyclopropyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.67 MW _{found} = 407.9 MW _{calc} = 406.9

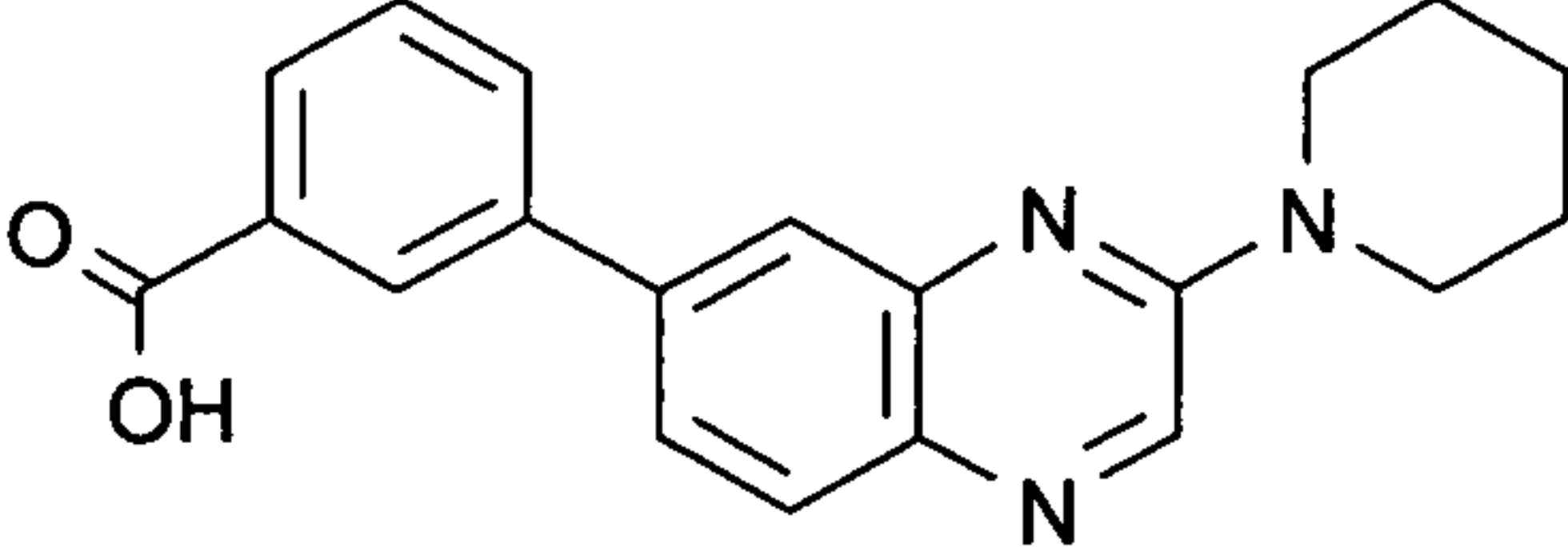
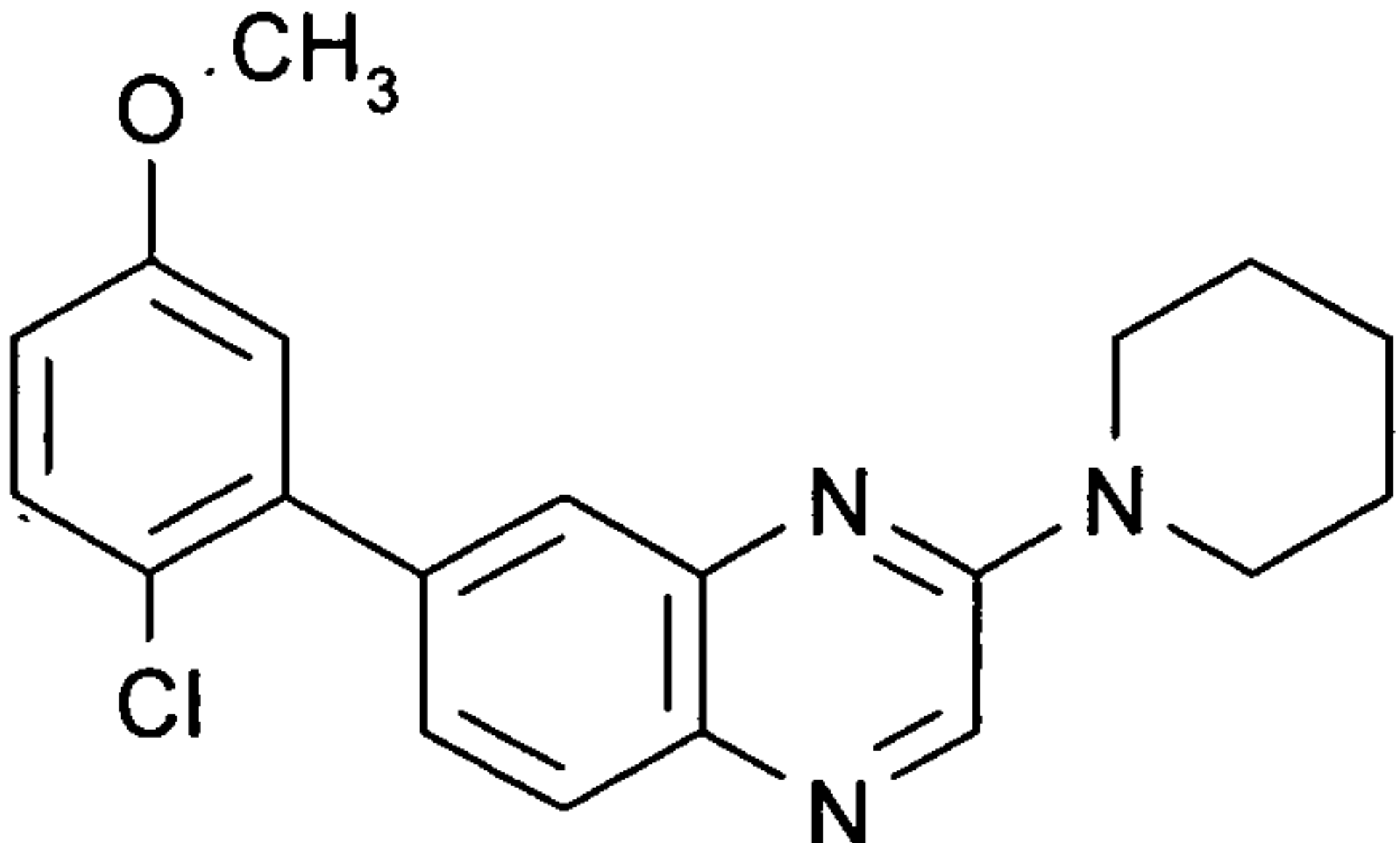
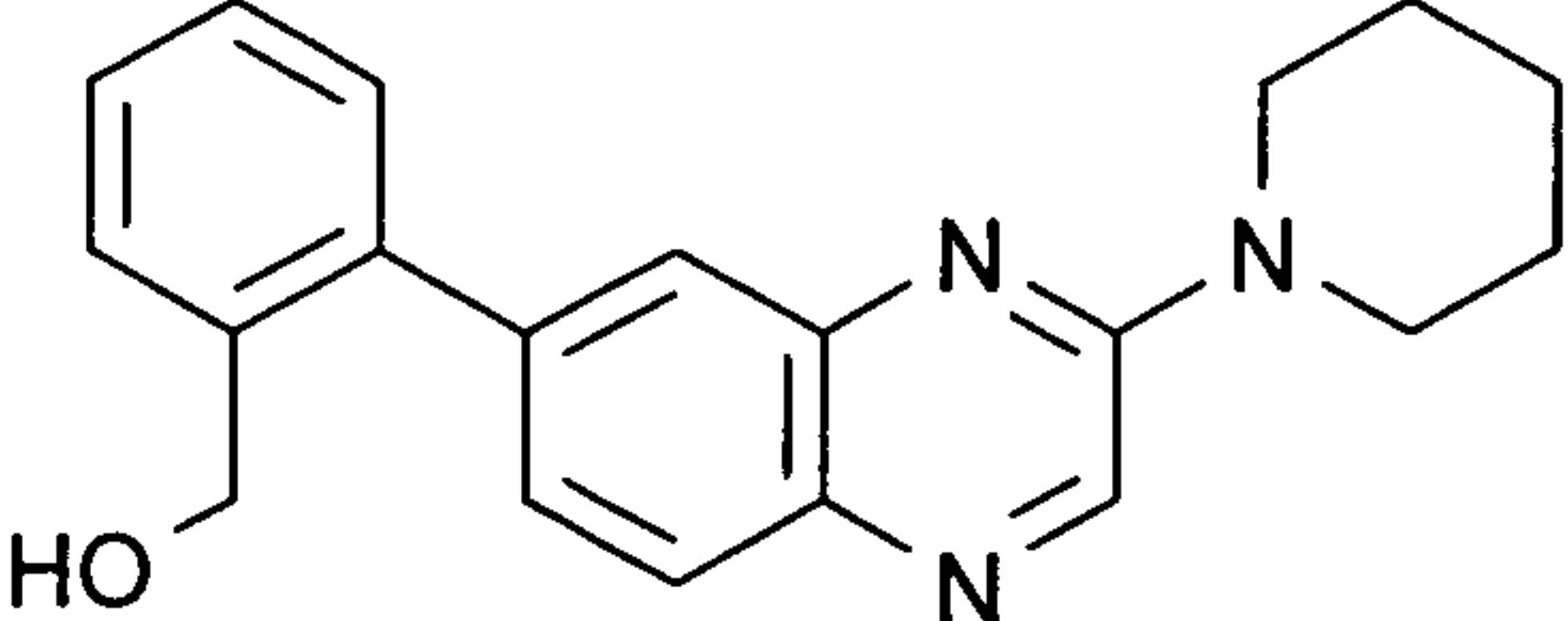
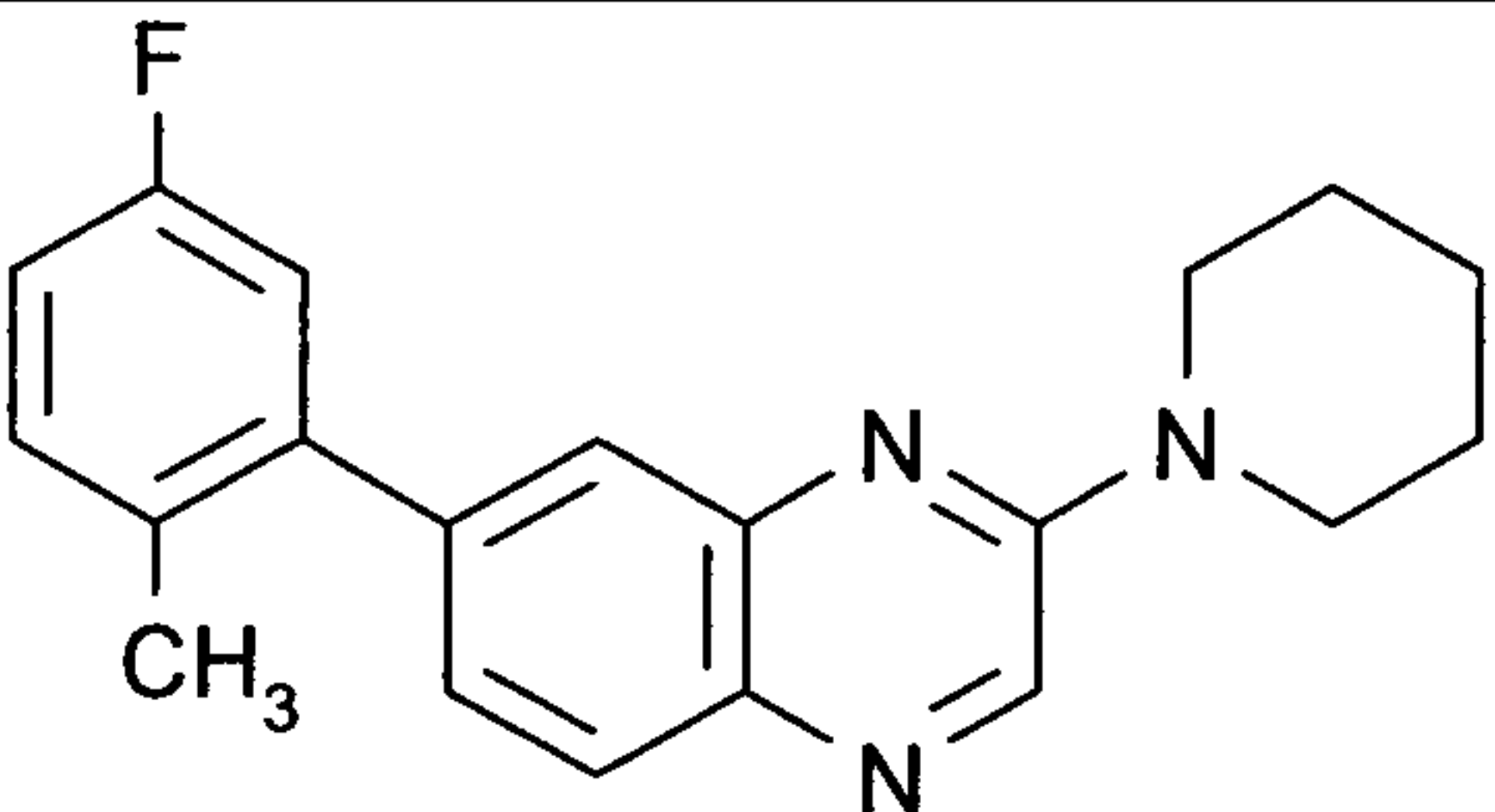
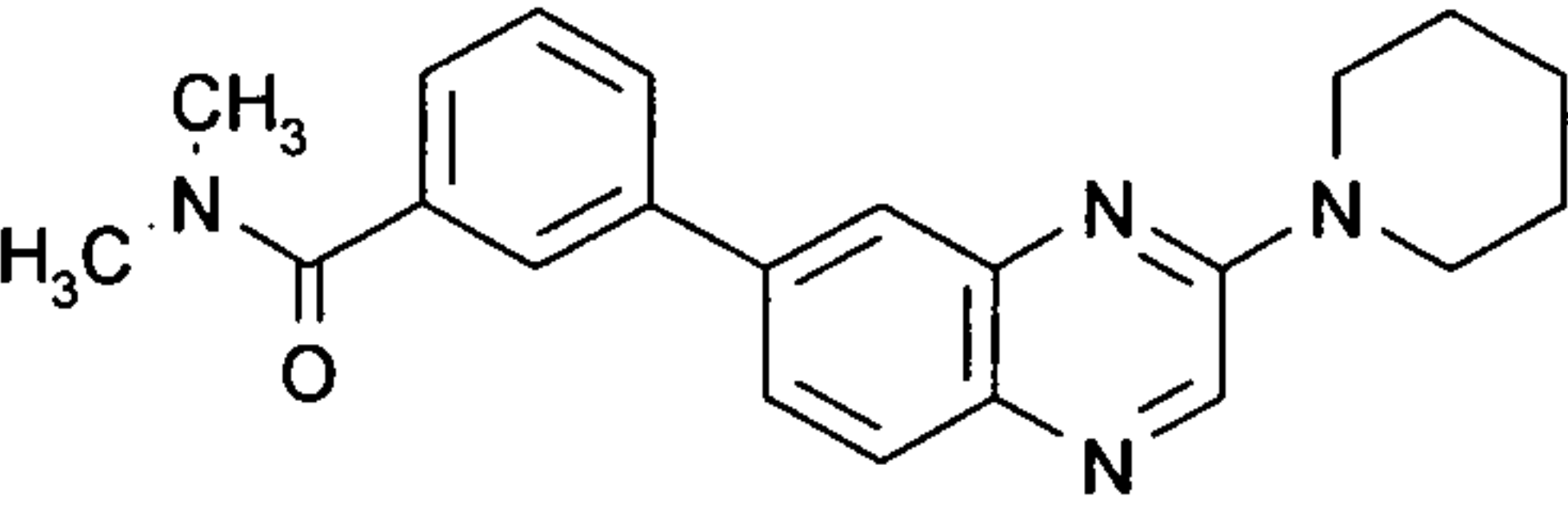
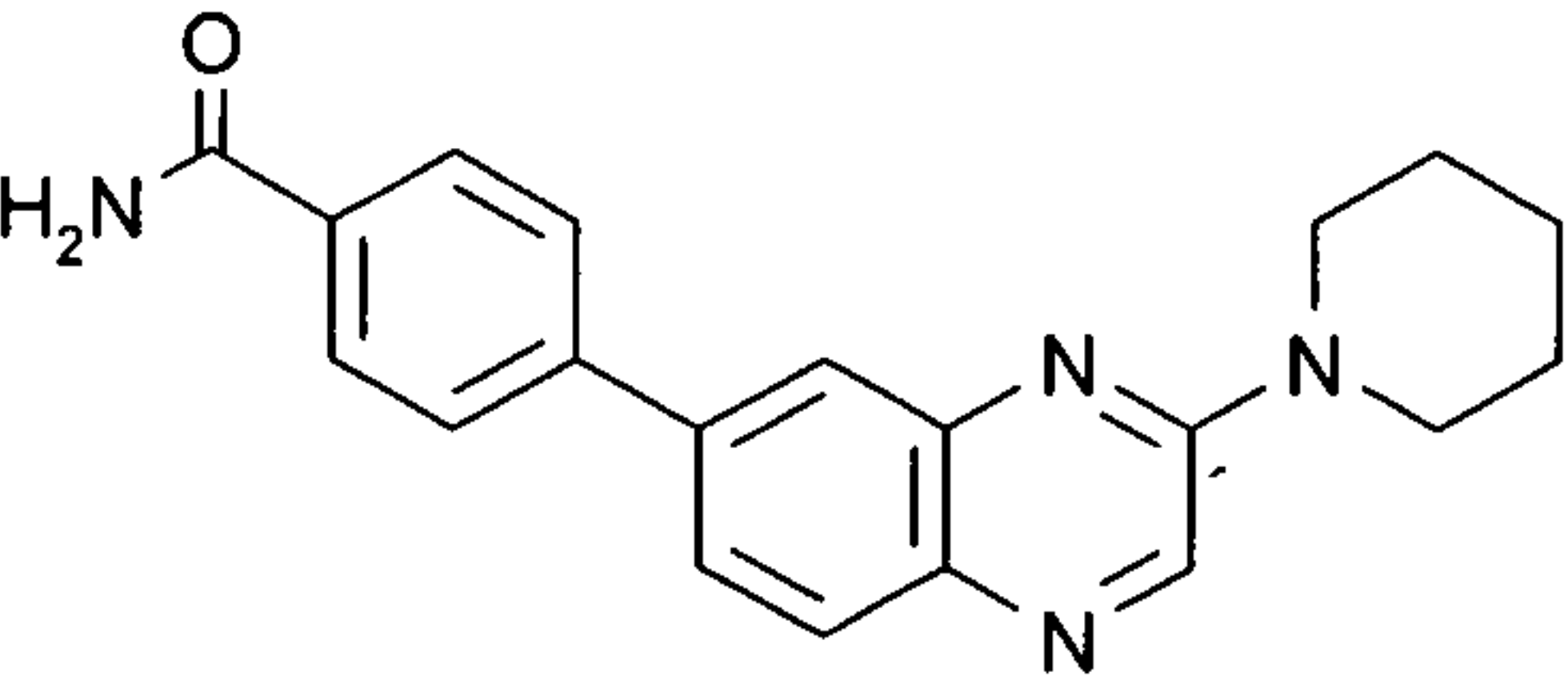
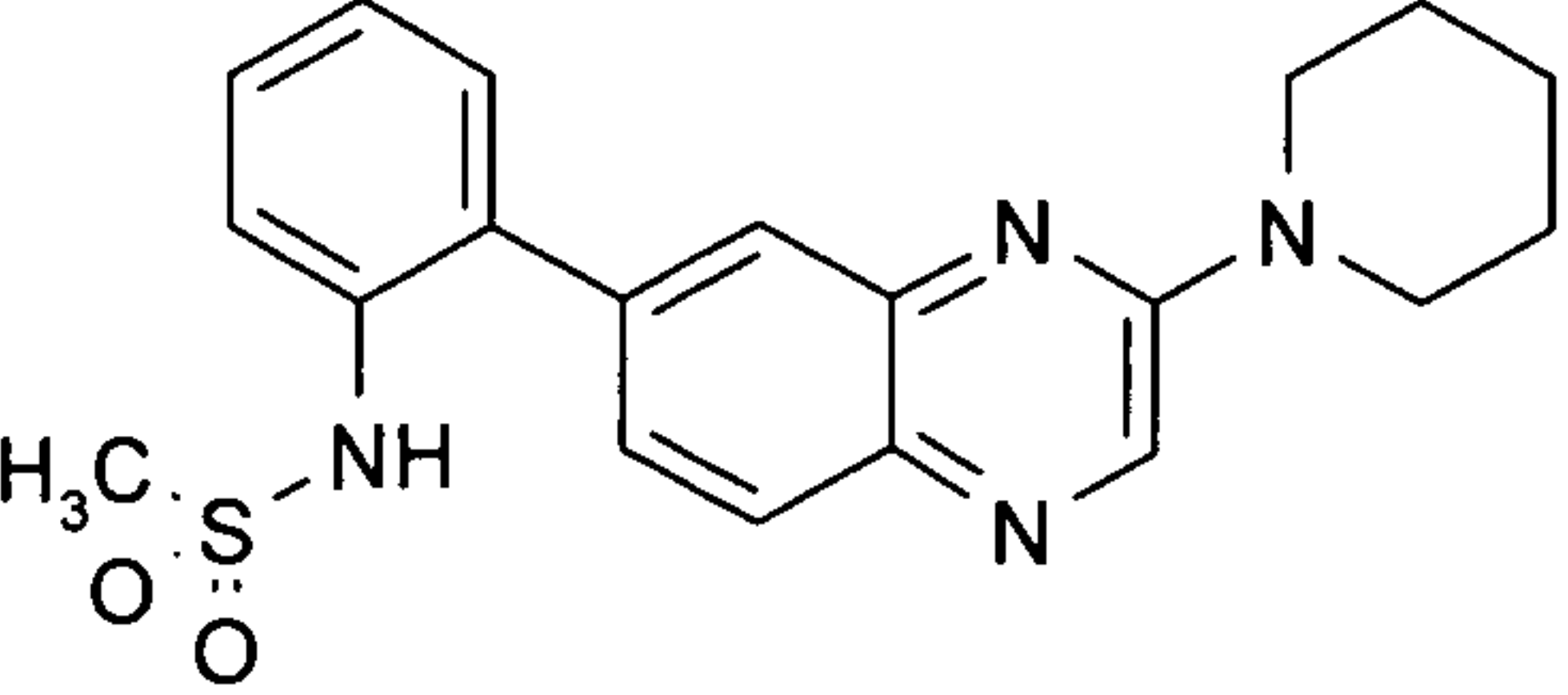
2.80		2-chloro-N-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.19 MW _{found} = 381.9 MW _{calc} = 380.9
2.81		7-[4-(2-methylpropoxy)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.71 MW _{found} = 362.5 MW _{calc} = 361.5
2.82		7-(2-chloro-5-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.64 MW _{found} = 338.9 MW _{calc} = 337.9
2.83		N-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzyl}acetamide	RT = 1.12 MW _{found} = 361.5 MW _{calc} = 360.5
2.84		N-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzyl}acetamide	RT = 1.10 MW _{found} = 361.5 MW _{calc} = 360.5
2.85		N-phenyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.40 MW _{found} = 409.5 MW _{calc} = 408.5
2.86		3-[3-(piperidin-1-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide	RT = 1.27 MW _{found} = 375.5 MW _{calc} = 374.5

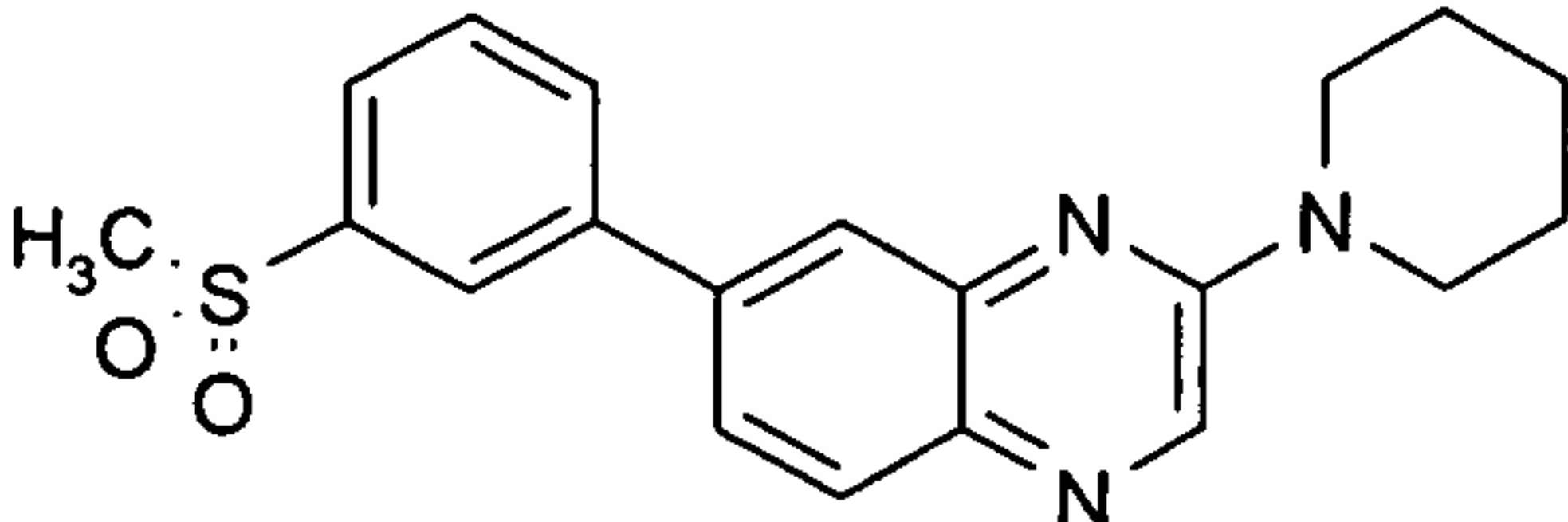
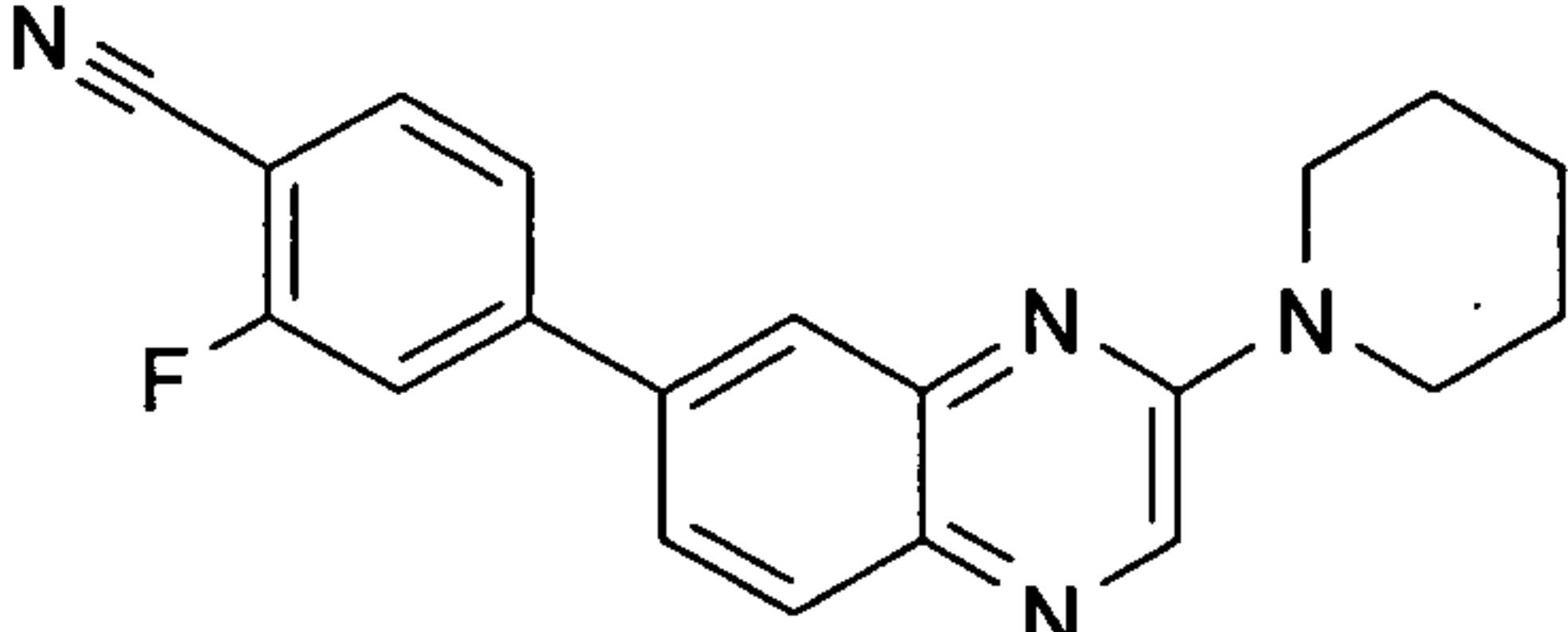
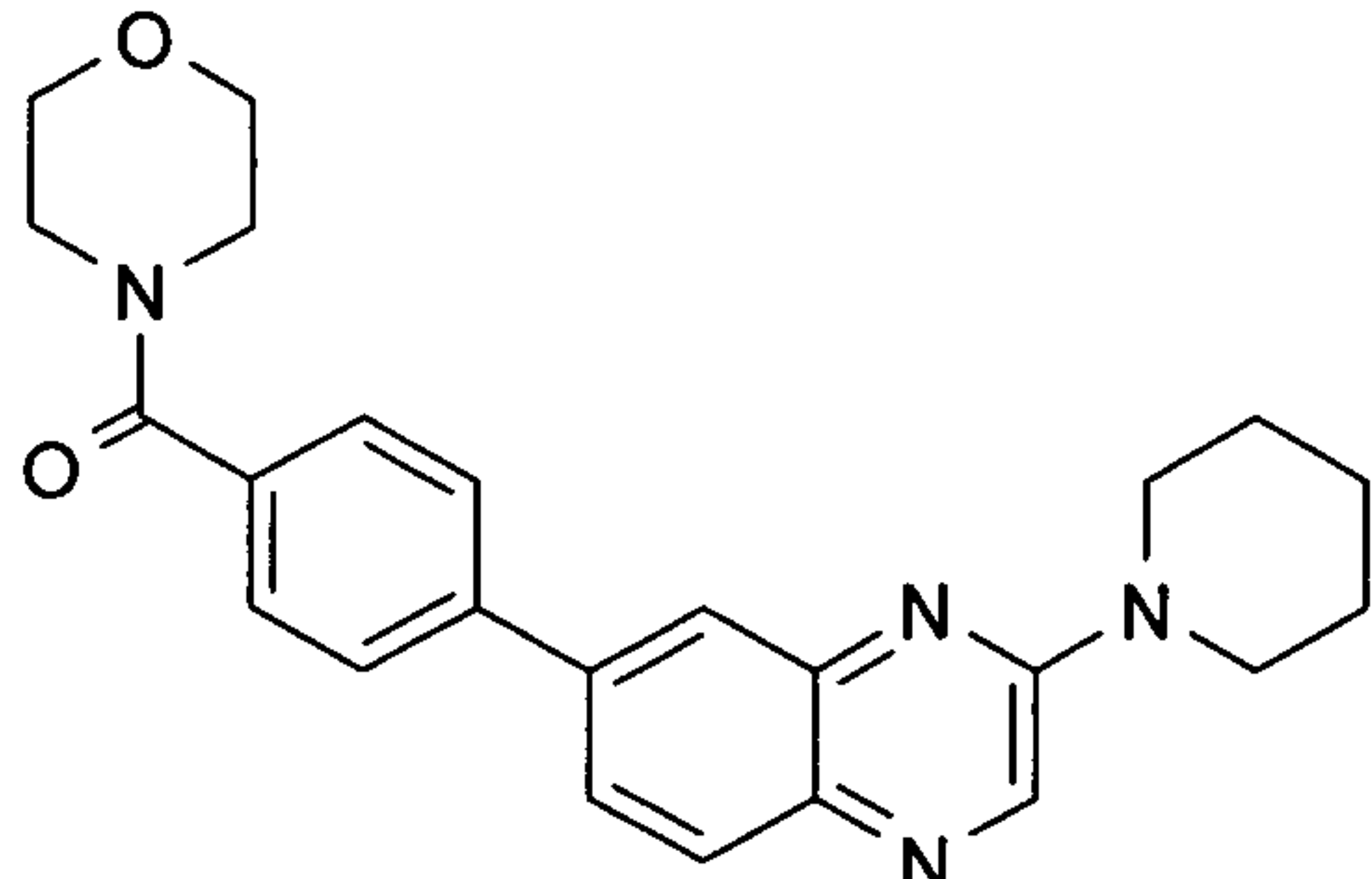
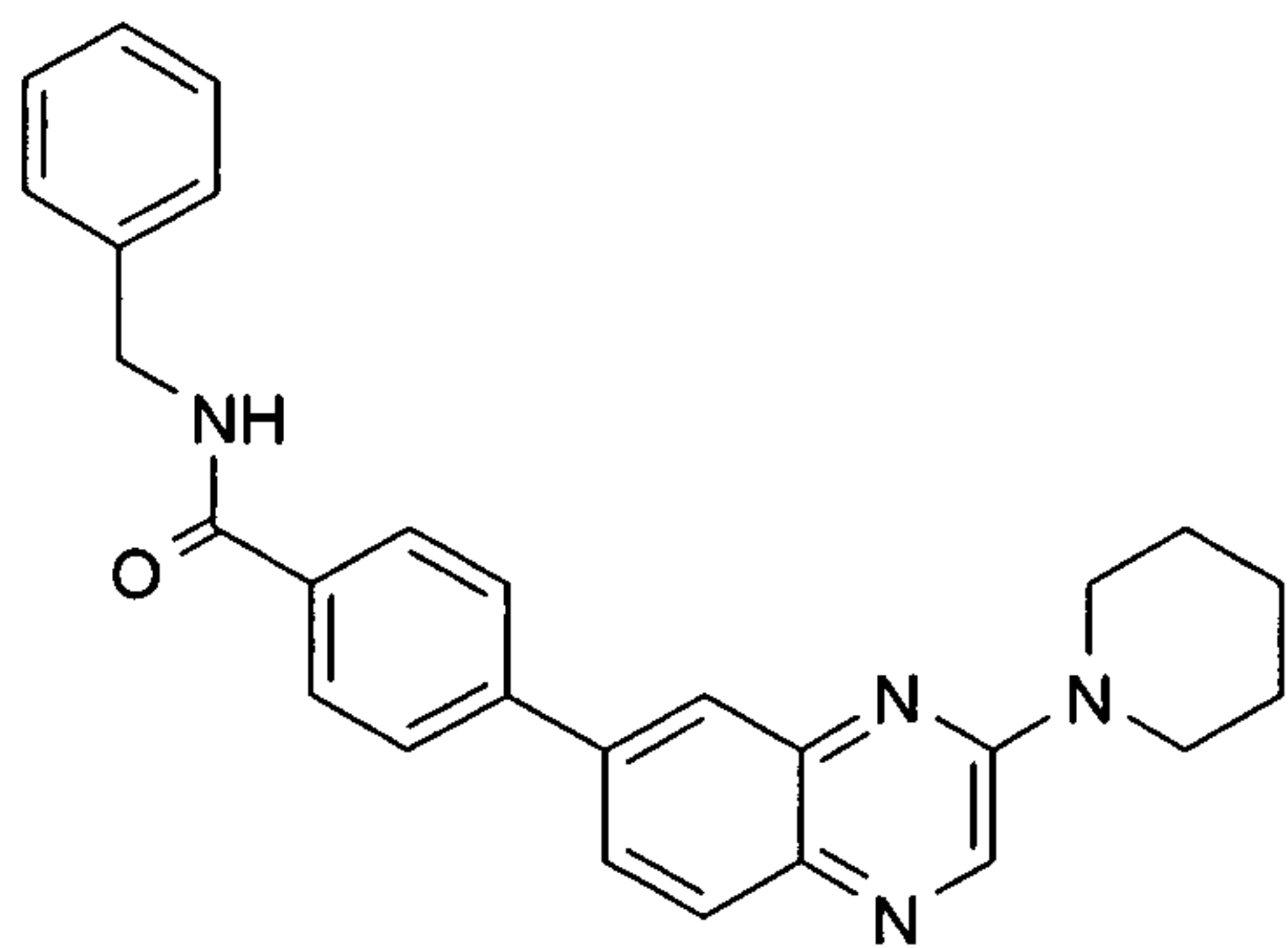
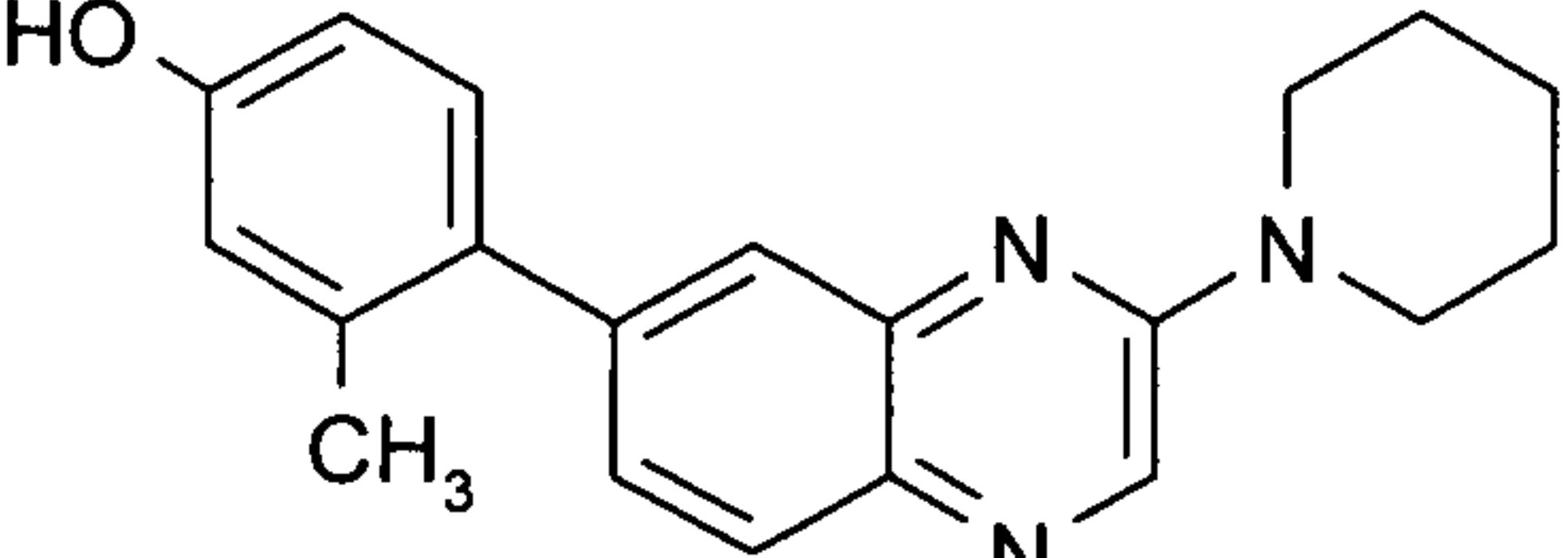
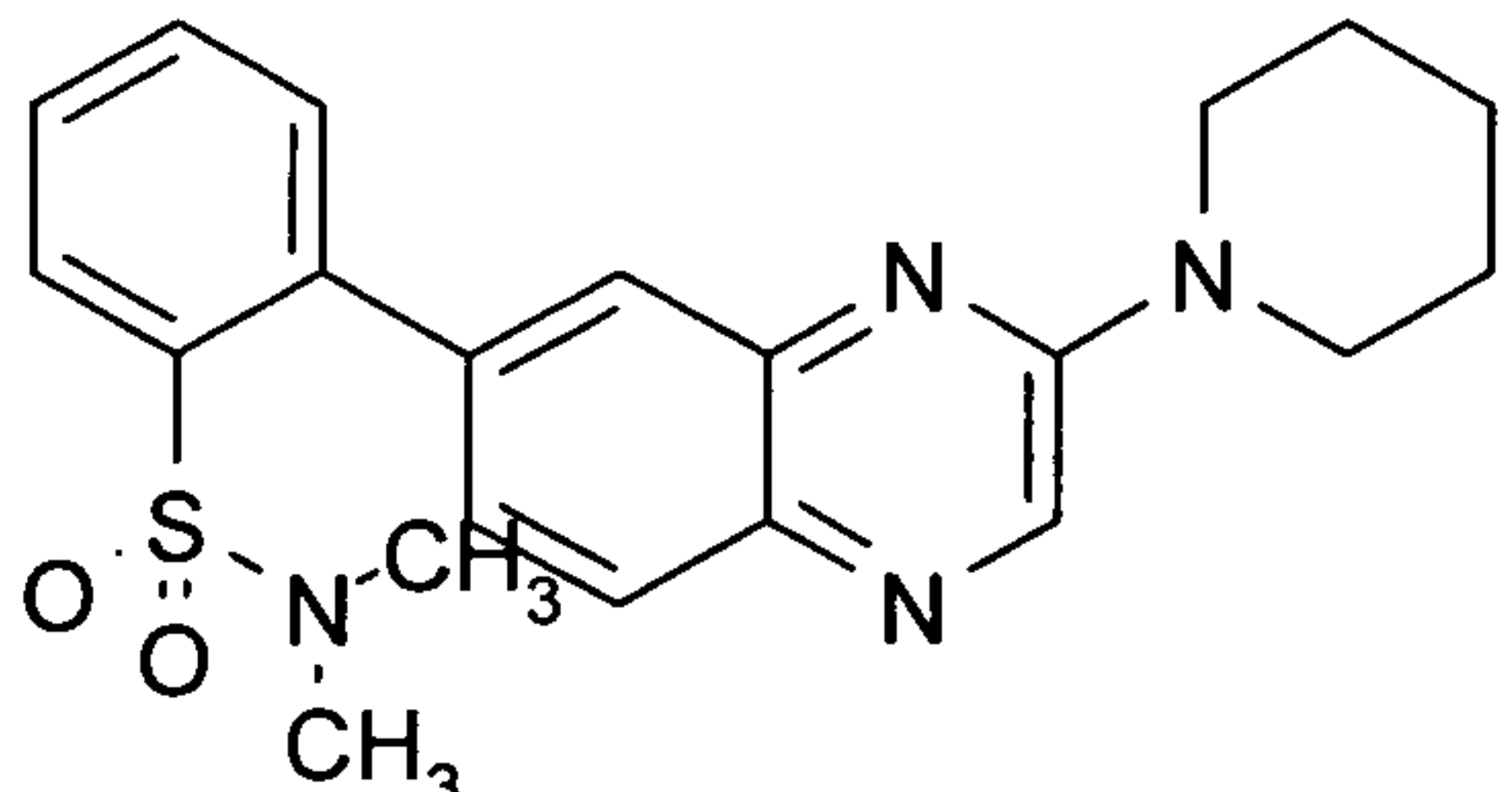
2.87		N-benzyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.36 MW _{found} = 423.5 MW _{calc} = 422.5
2.88		{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}(pyrrolidin-1-yl)methanone	RT = 1.26 MW _{found} = 387.5 MW _{calc} = 386.5
2.89		N,N-diethyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.34 MW _{found} = 389.5 MW _{calc} = 388.5
2.90		N-phenyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.38 MW _{found} = 409.5 MW _{calc} = 408.5
2.91		7-[3-(methoxymethyl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.43 MW _{found} = 334.4 MW _{calc} = 333.4
2.92		{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}(pyrrolidin-1-yl)methanone	RT = 1.26 MW _{found} = 387.5 MW _{calc} = 386.5
2.93		7-(2,3-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.40 MW _{found} = 350.4 MW _{calc} = 349.4

2.94		7-(2,4-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.63 MW _{found} = 318.4 MW _{calc} = 317.4
2.95		7-(2,5-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.63 MW _{found} = 318.4 MW _{calc} = 317.4
2.96		7-(2,3-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.62 MW _{found} = 318.4 MW _{calc} = 317.4
2.97		7-(2,5-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.67 MW _{found} = 359.3 MW _{calc} = 358.3
2.98		7-(2,3-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.64 MW _{found} = 359.3 MW _{calc} = 358.3
2.99		2-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.20 MW _{found} = 306.4 MW _{calc} = 305.4
2.100		7-(3-ethoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.55 MW _{found} = 334.4 MW _{calc} = 333.4

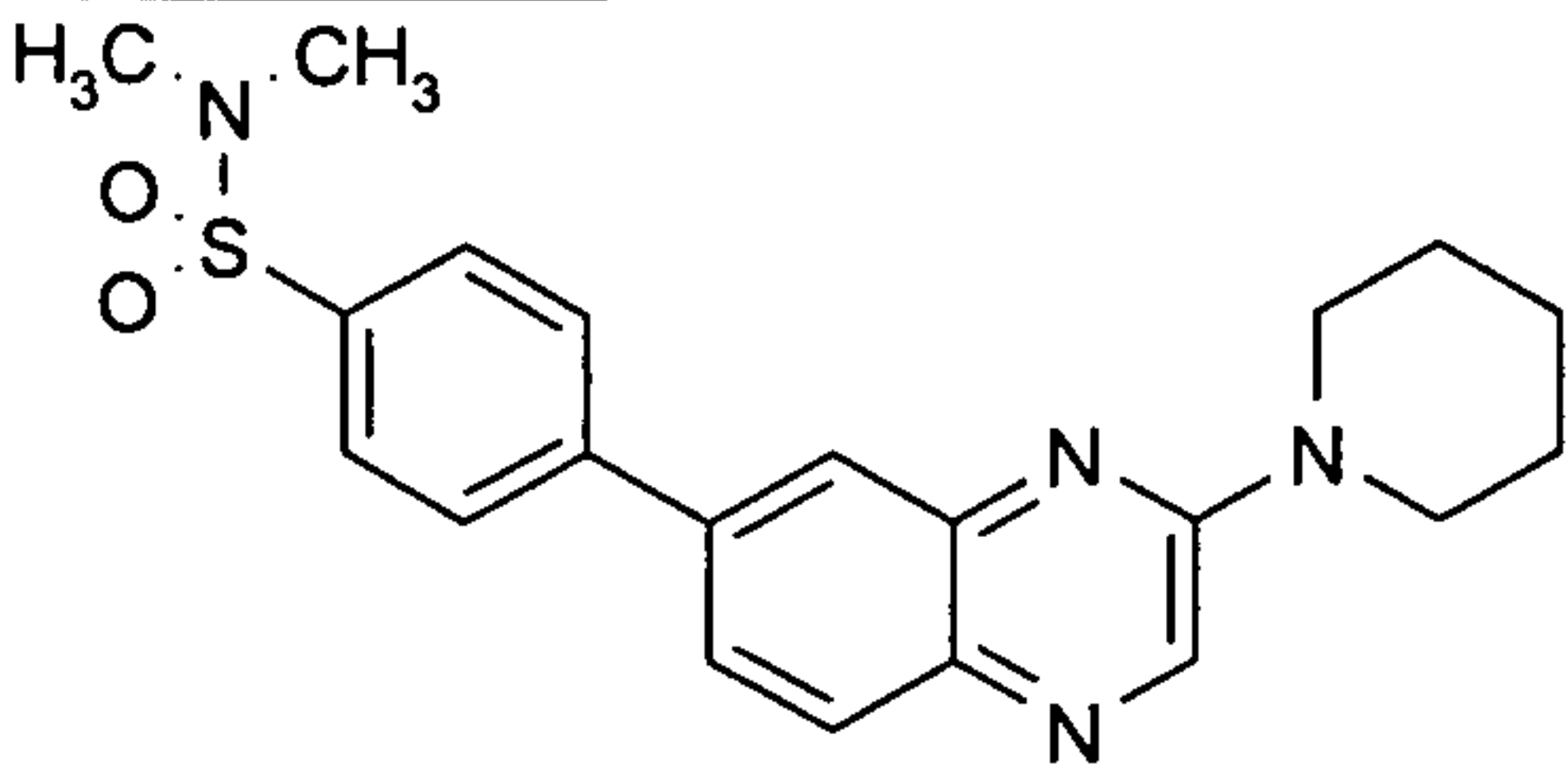
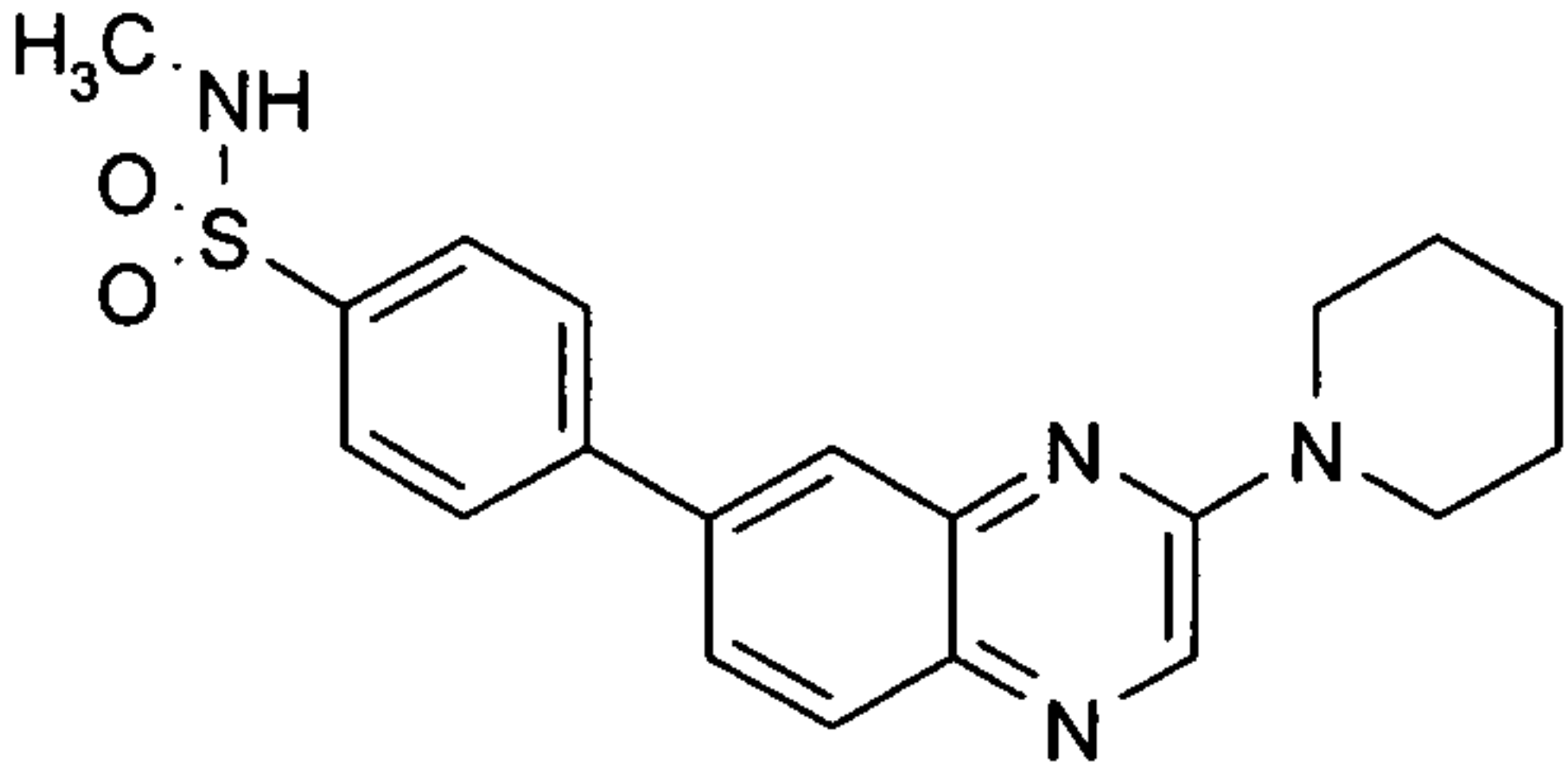
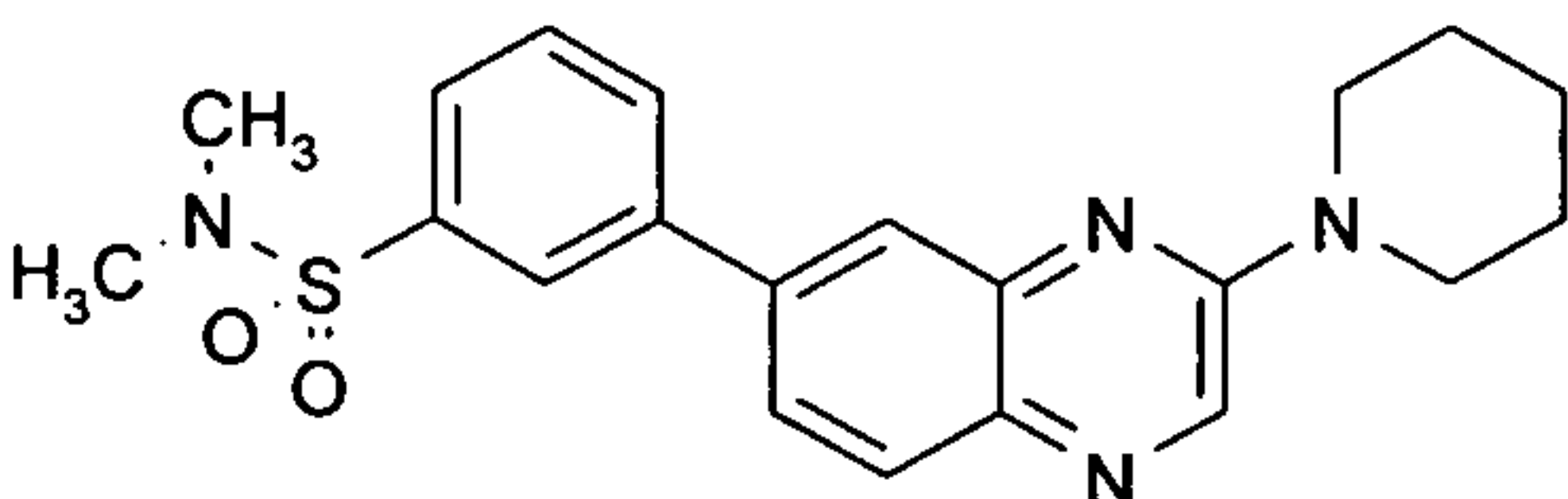
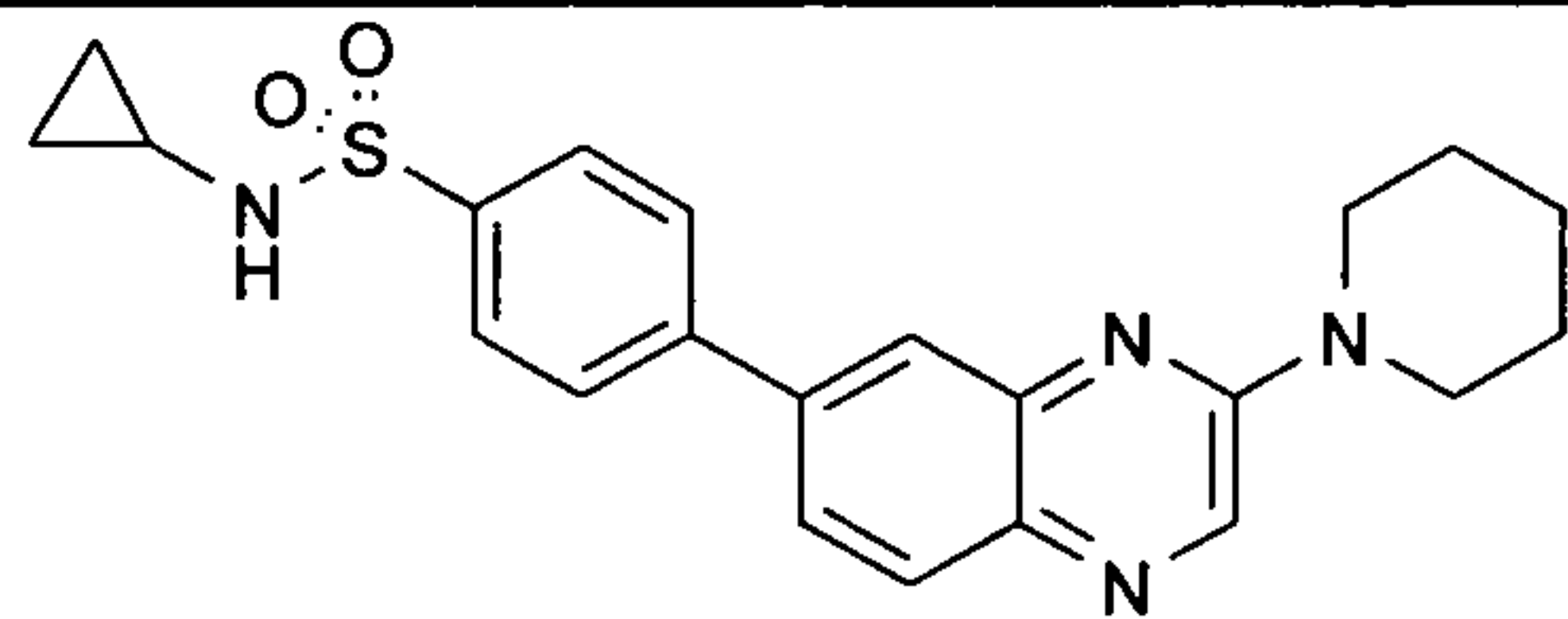
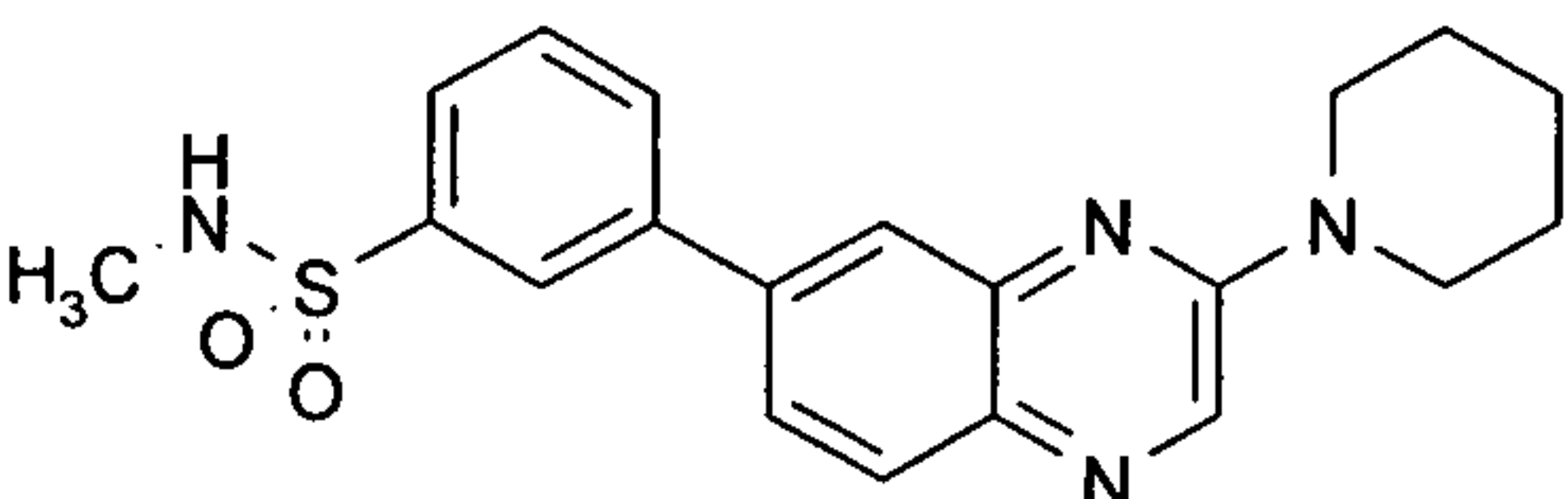
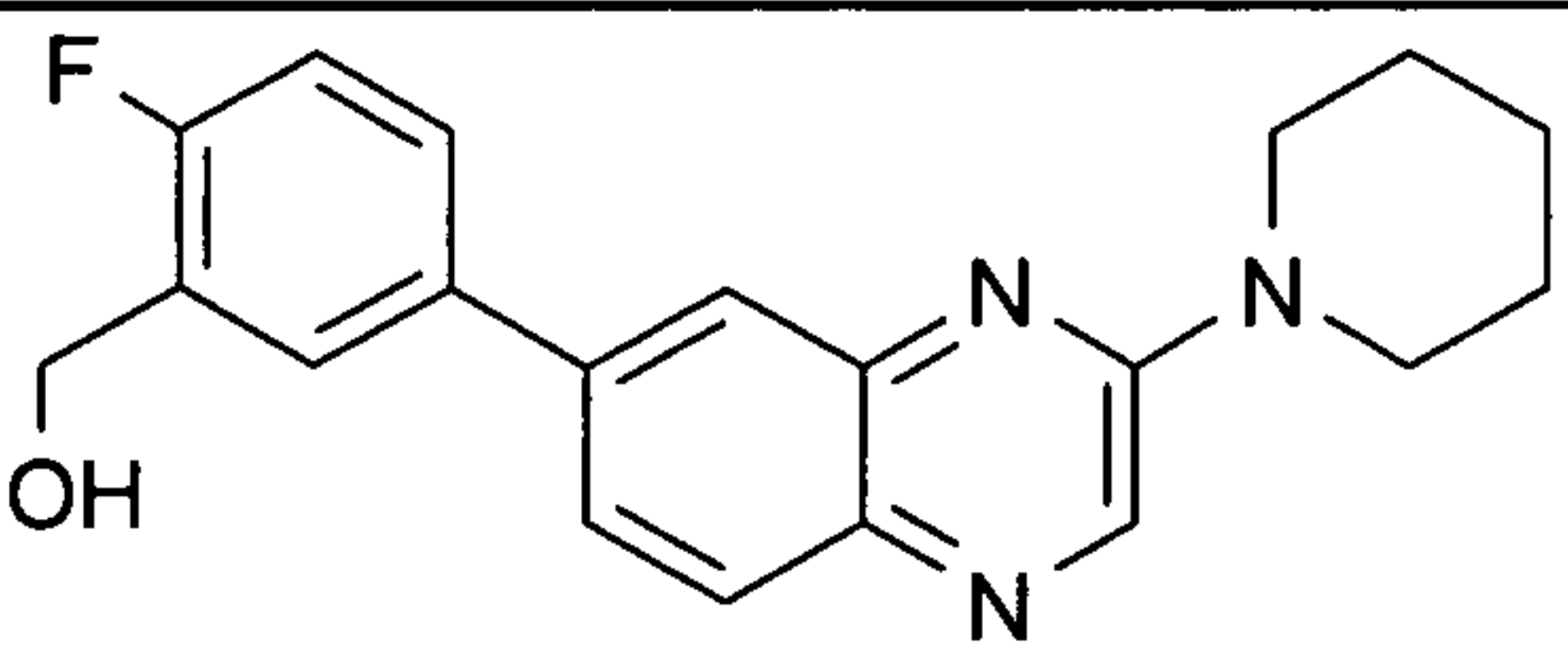
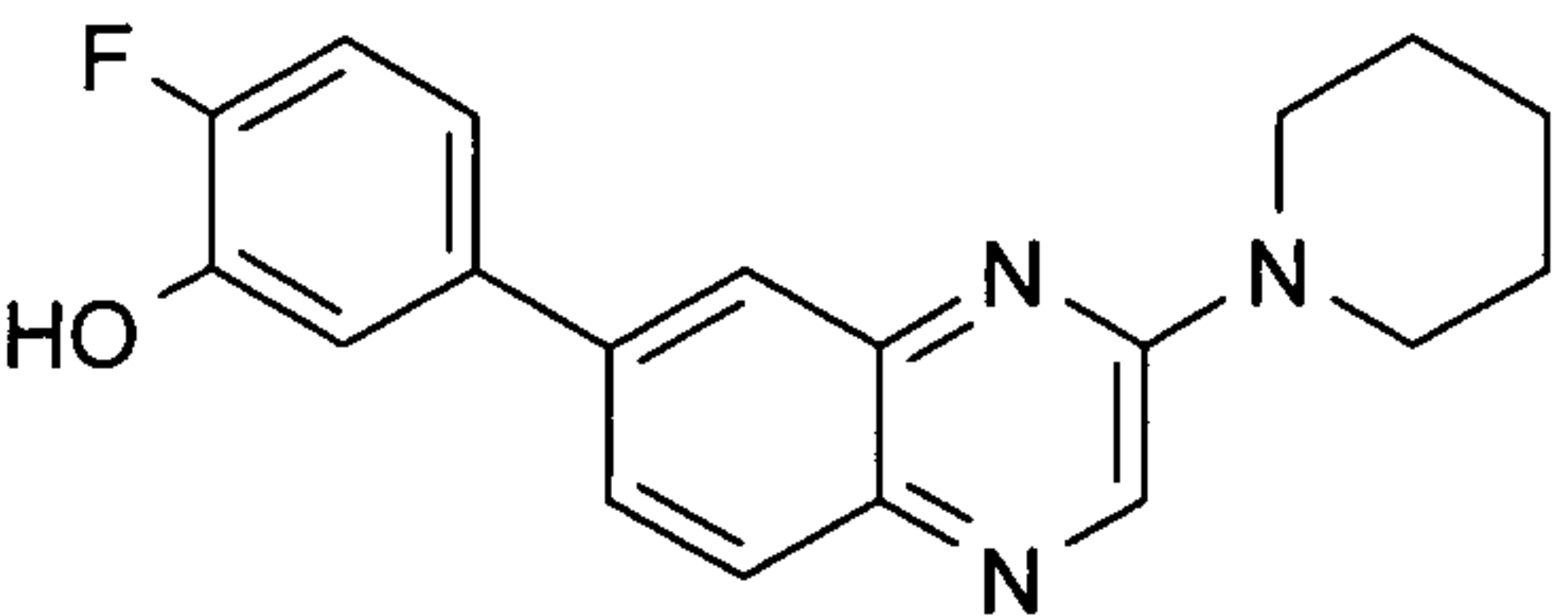
2.101		4-[3-(piperidin-1-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide	RT = 1.26 MW _{found} = 375.5 MW _{calc} = 374.5
2.102		N-cyclopropyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.19 MW _{found} = 373.5 MW _{calc} = 372.5
2.103		piperidin-1-yl{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanone	RT = 1.37 MW _{found} = 401.5 MW _{calc} = 400.5
2.104		2-chloro-N-cyclopropyl-5-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.25 MW _{found} = 407.9 MW _{calc} = 406.9
2.105		2-fluoro-5-[3-(piperidin-1-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide	RT = 1.32 MW _{found} = 393.5 MW _{calc} = 392.5
2.106		N,N-dimethyl-2-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.16 MW _{found} = 361.5 MW _{calc} = 360.5

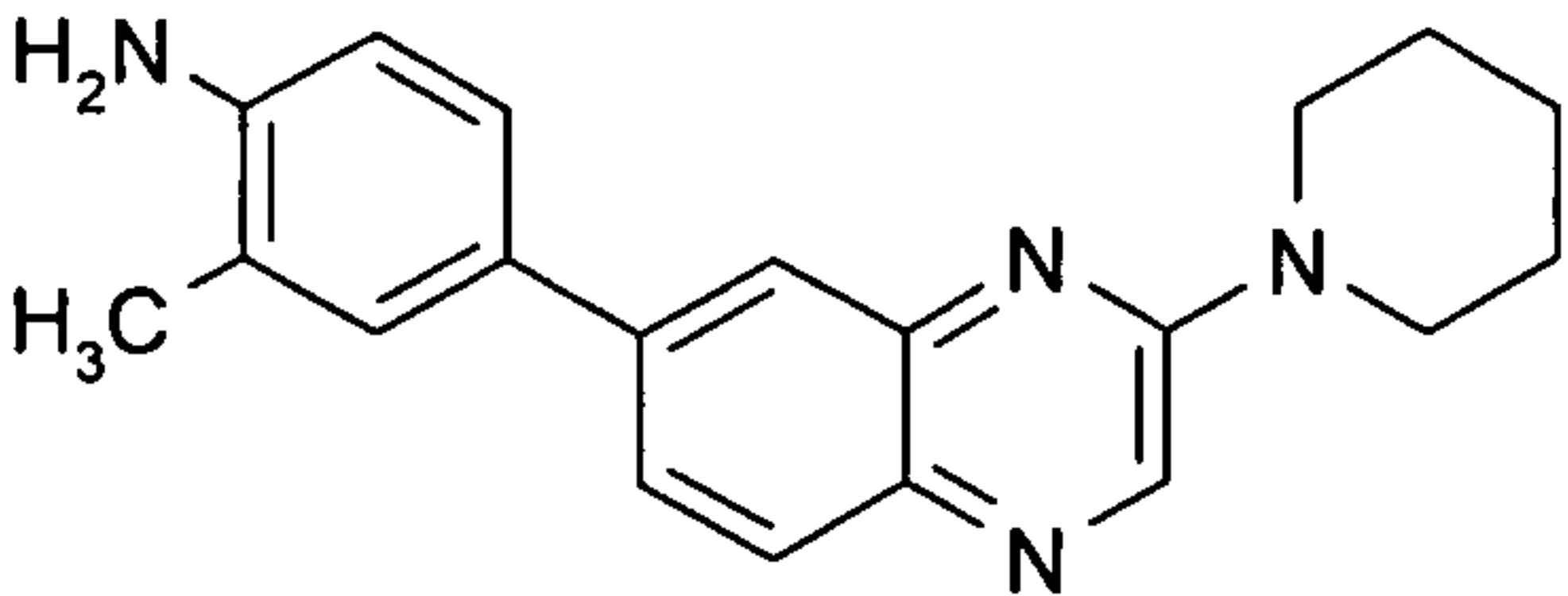
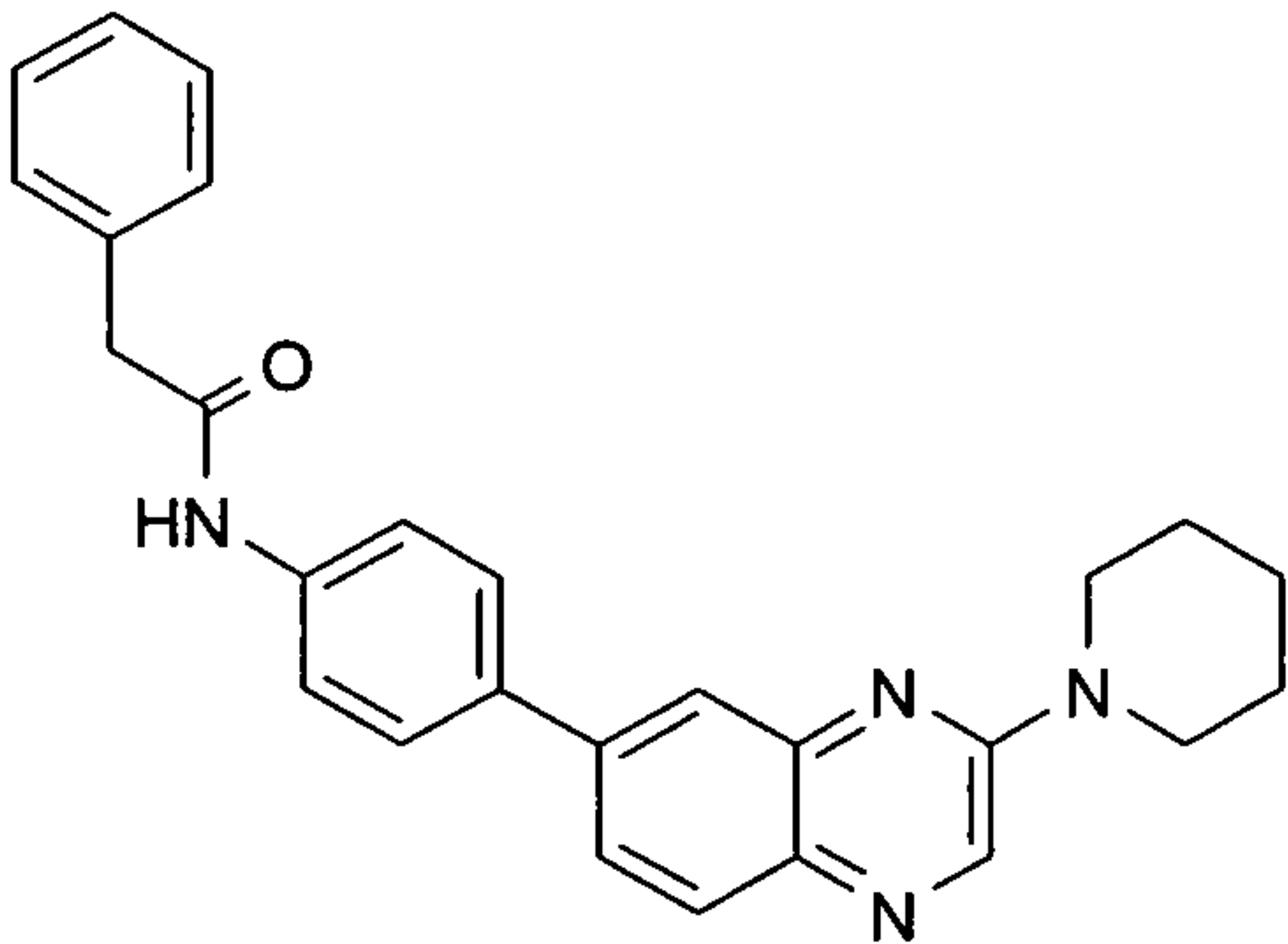
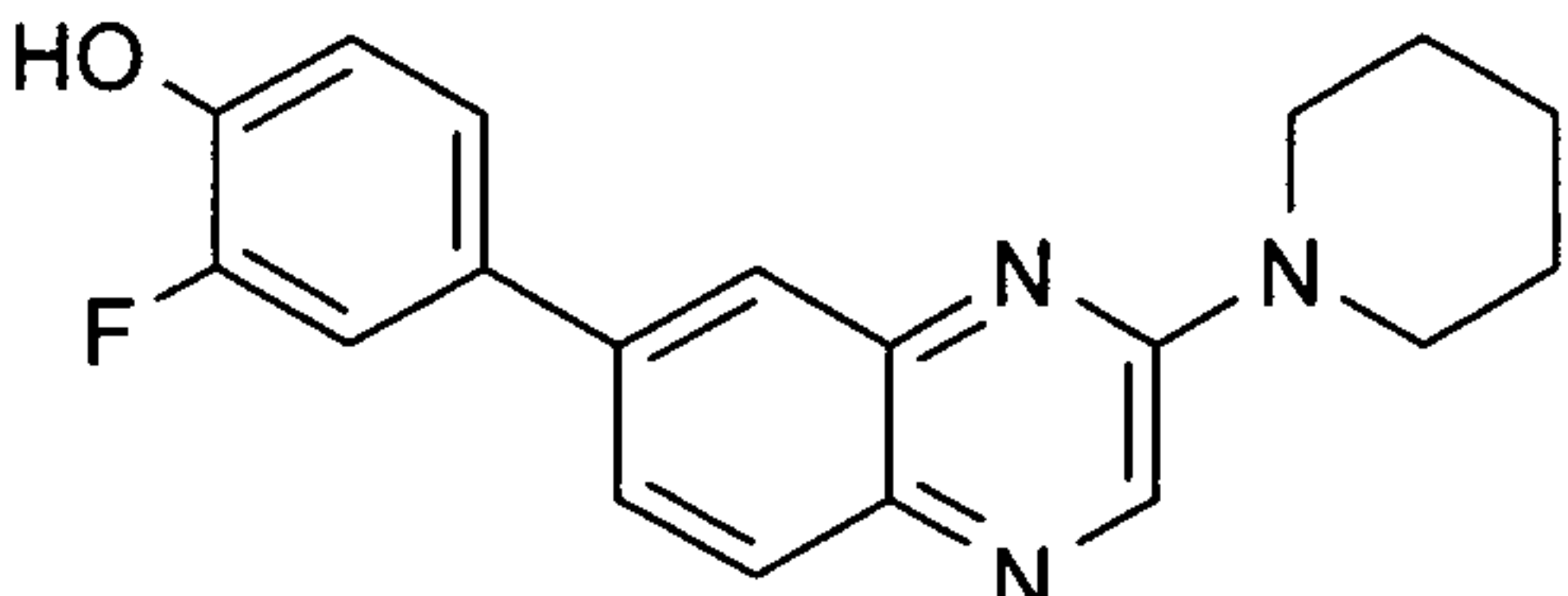
2.107		2-fluoro-4-[3-(piperidin-1-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide	RT = 1.35 MW _{found} = 393.5 MW _{calc} = 392.5
2.108		7-[4-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.29 MW _{found} = 372.4 MW _{calc} = 371.4
2.109		2-(piperidin-1-yl)-7-[4-(1H-pyrrol-1-ylsulfonyl)phenyl]quinoxaline	RT = 1.50 MW _{found} = 419.5 MW _{calc} = 418.5
2.110		7-[3-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.30 MW _{found} = 372.4 MW _{calc} = 371.4
2.111		7-(3,5-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.48 MW _{found} = 350.4 MW _{calc} = 349.4
2.112		2-(piperidin-1-yl)-7-[3-(1H-tetrazol-5-yl)phenyl]quinoxaline	RT = 1.15 MW _{found} = 358.4 MW _{calc} = 357.4
2.113		2,6-dimethyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.32 MW _{found} = 334.4 MW _{calc} = 333.4

2.114		3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzoic acid	RT = 1.19 MW _{found} = 334.4 MW _{calc} = 333.4
2.115		7-(2-chloro-5-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.53 MW _{found} = 354.9 MW _{calc} = 353.9
2.116		{2-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanol	RT = 1.18 MW _{found} = 320.4 MW _{calc} = 319.4
2.117		7-(5-fluoro-2-methylphenyl)-2-(piperidin-1-yl)quinoxaline	RT = 1.57 MW _{found} = 322.4 MW _{calc} = 321.4
2.118		N,N-dimethyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.18 MW _{found} = 361.5 MW _{calc} = 360.5
2.119		4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.03 MW _{found} = 333.4 MW _{calc} = 332.4
2.120		N-{2-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanesulfonamide	RT = 1.22 MW _{found} = 383.5 MW _{calc} = 382.5

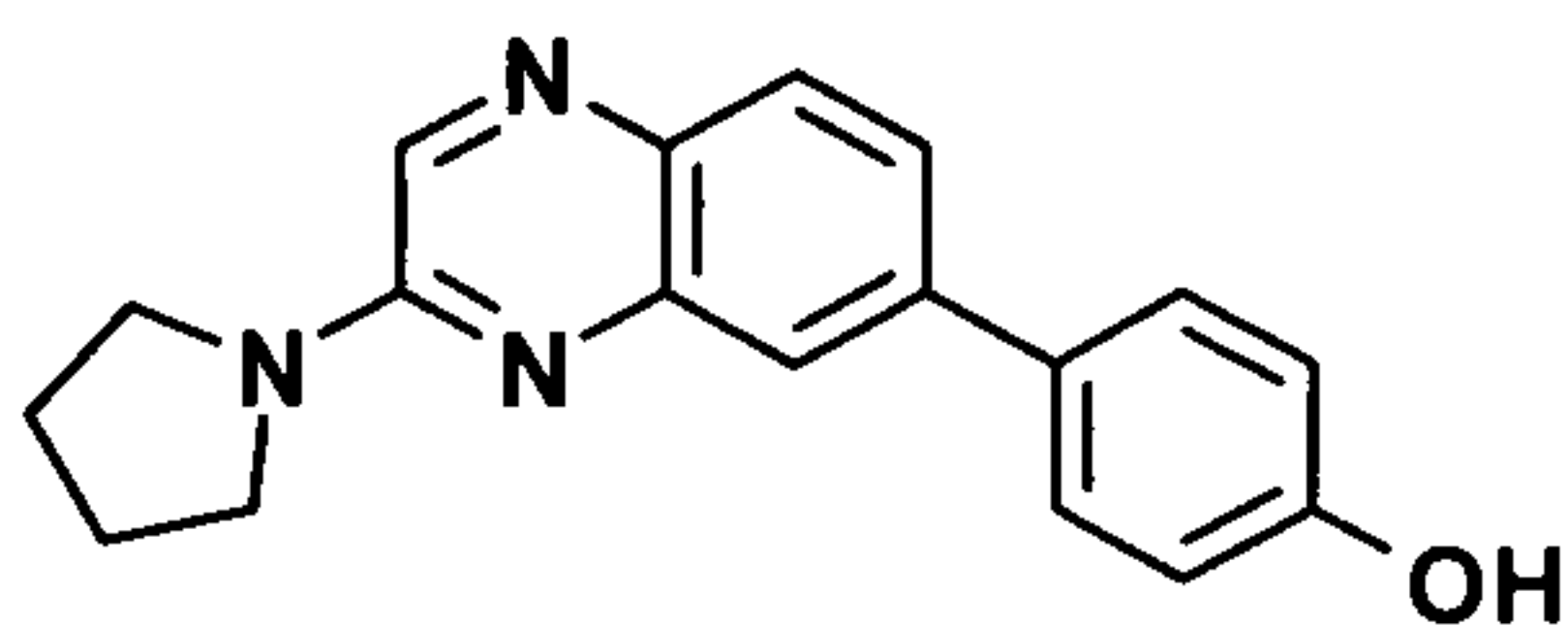
2.121		7-[3-(methylsulfonyl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.23 MW _{found} = 368.5 MW _{calc} = 367.5
2.122		2-fluoro-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzonitrile	RT = 1.44 MW _{found} = 333.4 MW _{calc} = 332.4
2.123		morpholin-4-yl{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanone	RT = 1.20 MW _{found} = 403.5 MW _{calc} = 402.5
2.124		N-benzyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 1.38 MW _{found} = 423.5 MW _{calc} = 422.5
2.125		3-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.25 MW _{found} = 320.4 MW _{calc} = 319.4
2.126		N,N-dimethyl-2-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.31 MW _{found} = 397.5 MW _{calc} = 396.5

2.127		piperidin-1-yl{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanone	RT = 1.40 MW _{found} = 401.5 MW _{calc} = 400.5
2.128		N,N-dimethyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]aniline	RT = 1.46 MW _{found} = 333.4 MW _{calc} = 332.4
2.129		7-[4-(morpholin-4-yl)phenyl]-2-(piperidin-1-yl)quinoxaline	RT = 1.39 MW _{found} = 375.5 MW _{calc} = 374.5
2.130		N-[2-(dimethylamino)ethyl]-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	RT = 0.83 MW _{found} = 404.5 MW _{calc} = 403.5
2.131		N-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzyl}methanesulfonamide	RT = 1.20 MW _{found} = 397.5 MW _{calc} = 396.5
2.132		N-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzyl}methanesulfonamide	RT = 1.22 MW _{found} = 397.5 MW _{calc} = 396.5
2.133		4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.13 MW _{found} = 369.5 MW _{calc} = 368.5
2.134		3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.14 MW _{found} = 369.5 MW _{calc} = 368.5

2.135		N,N-dimethyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.36 MW _{found} = 397.5 MW _{calc} = 396.5
2.136		N-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.24 MW _{found} = 383.5 MW _{calc} = 382.5
2.137		N,N-dimethyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.36 MW _{found} = 397.5 MW _{calc} = 396.5
2.138		N-cyclopropyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.32 MW _{found} = 409.5 MW _{calc} = 408.5
2.139		N-methyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide	RT = 1.24 MW _{found} = 383.5 MW _{calc} = 382.5
2.140		{2-fluoro-5-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanol	RT = 1.24 MW _{found} = 338.4 MW _{calc} = 337.4
2.141		2-fluoro-5-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.26 MW _{found} = 324.4 MW _{calc} = 323.4

2.142		2-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]aniline	RT = 1.22 MW _{found} = 319.4 MW _{calc} = 318.4
2.143		2-phenyl-N-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.39 MW _{found} = 423.5 MW _{calc} = 422.5
2.144		2-fluoro-4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.24 MW _{found} = 324.4 MW _{calc} = 323.4

Example 3.1 : Preparation of 4-(3-pyrrolidin-1-yl-quinoxalin-6-yl)-phenol

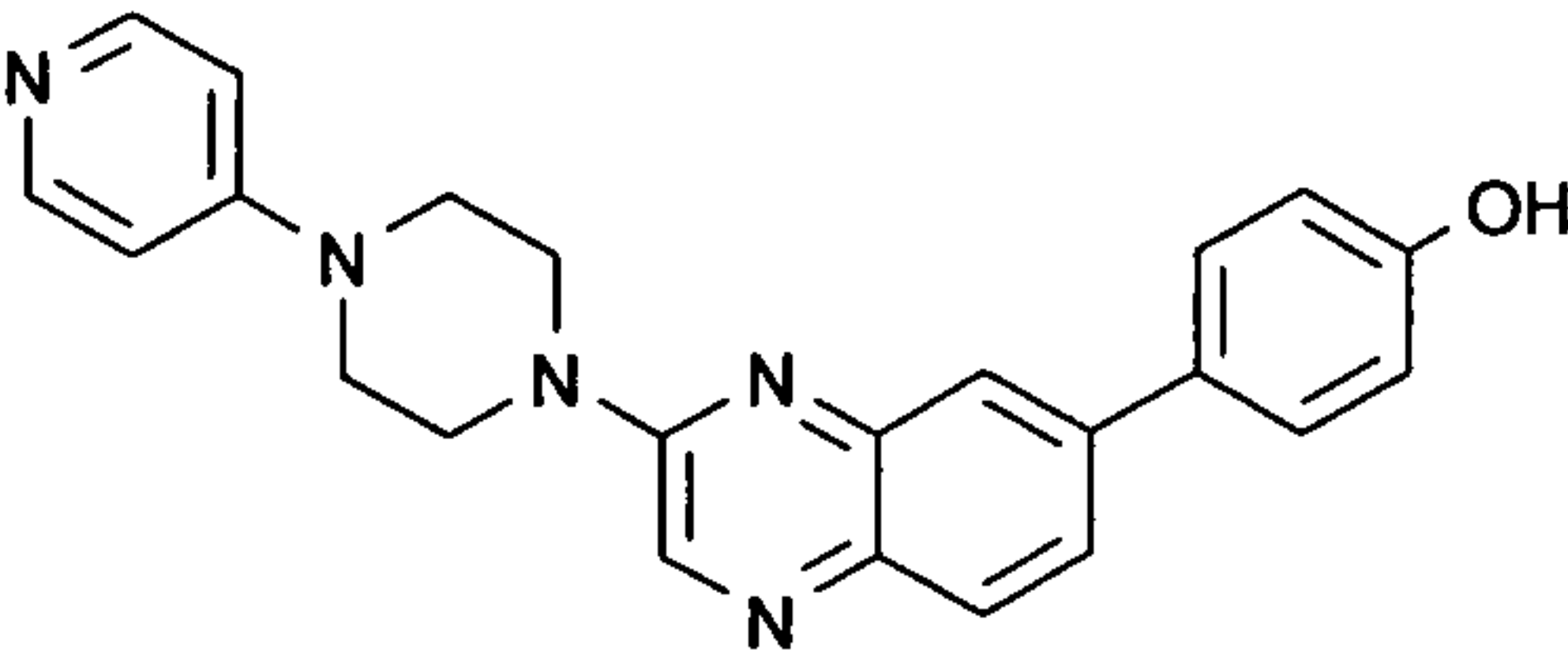
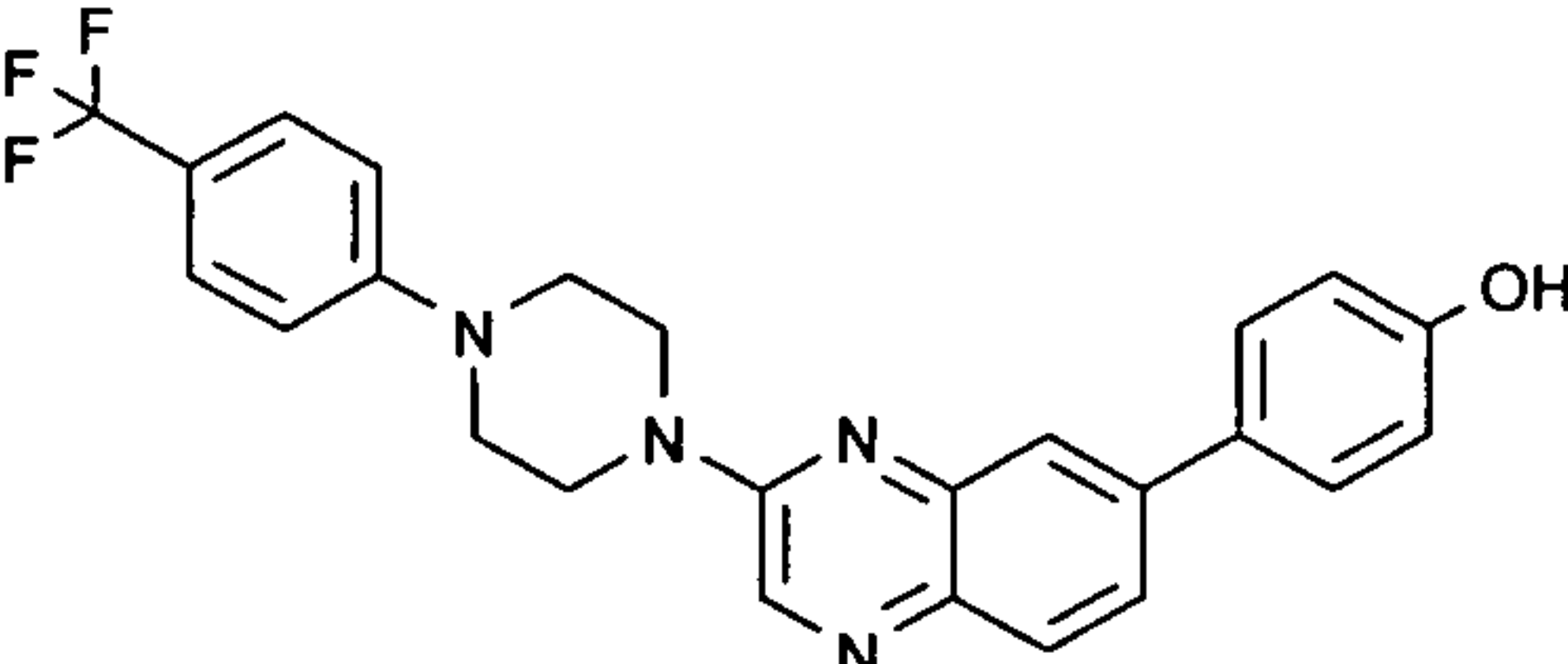
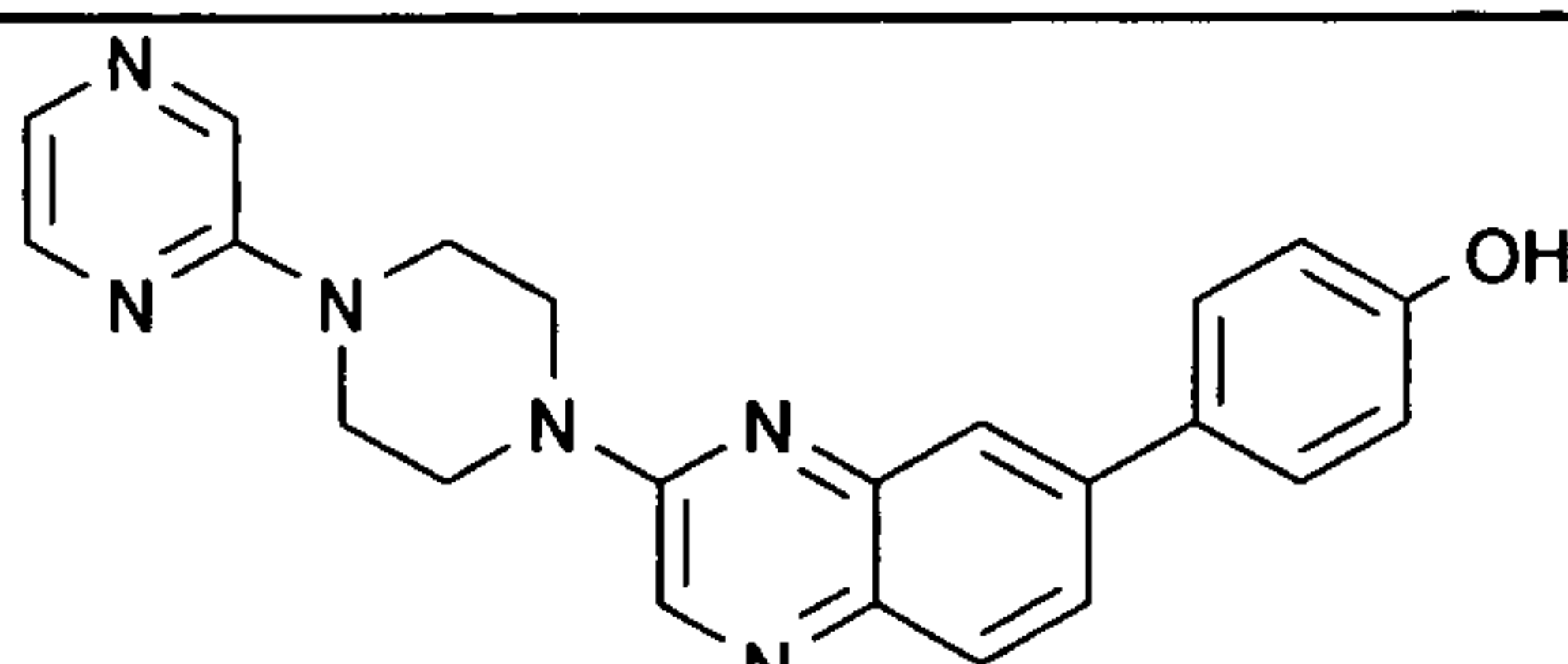
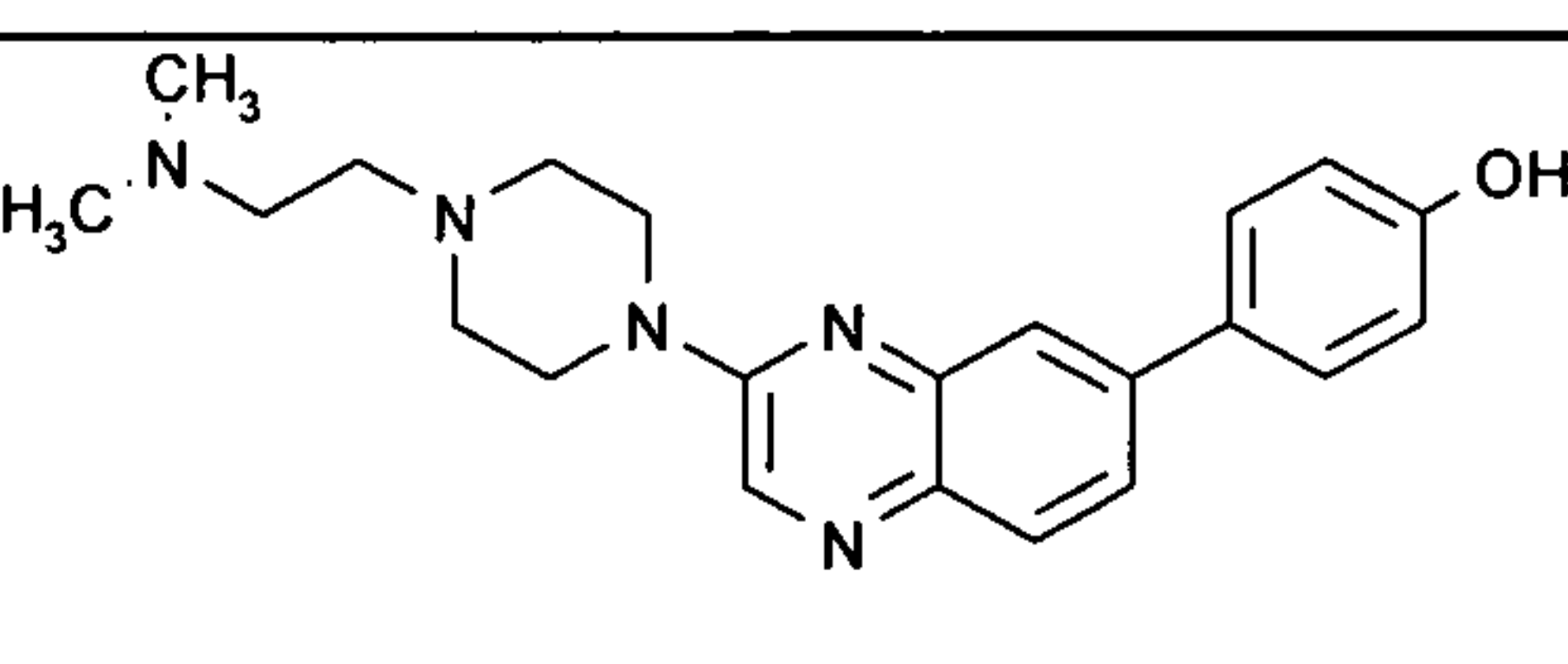
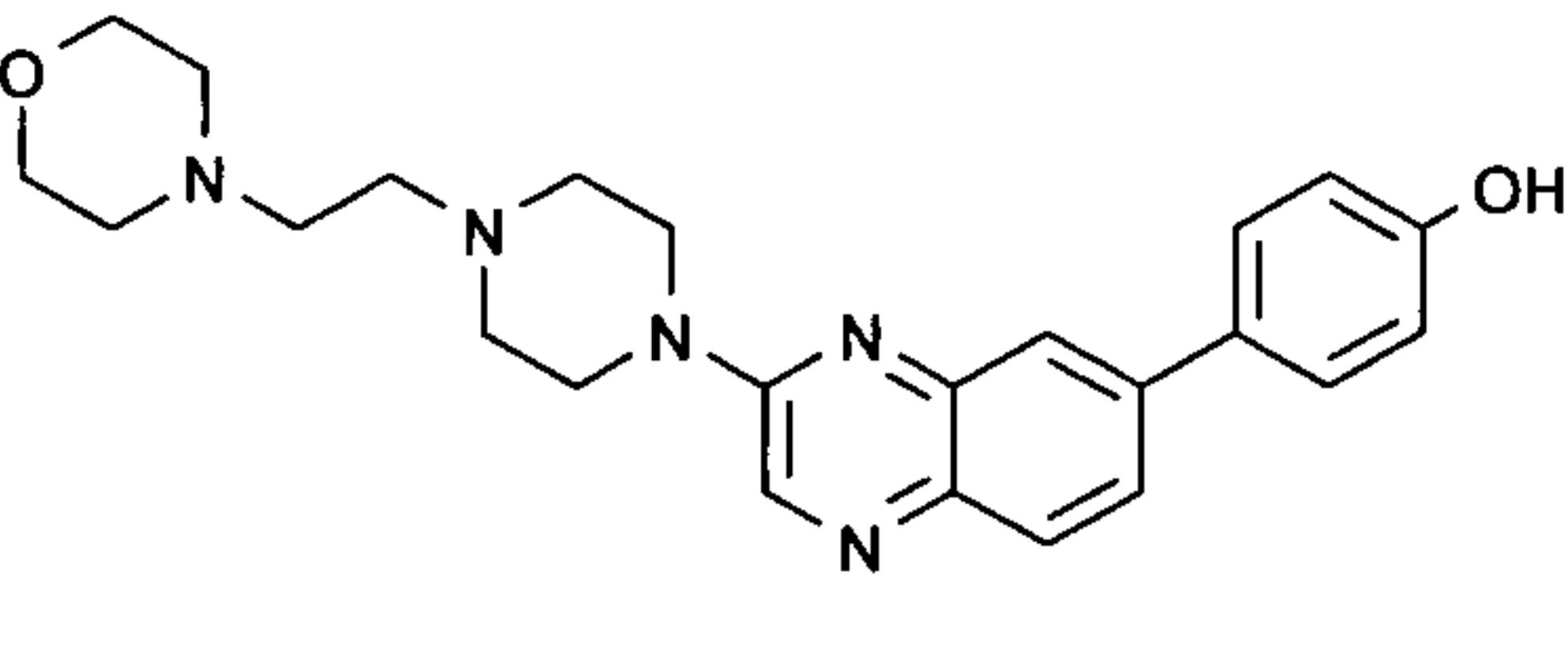
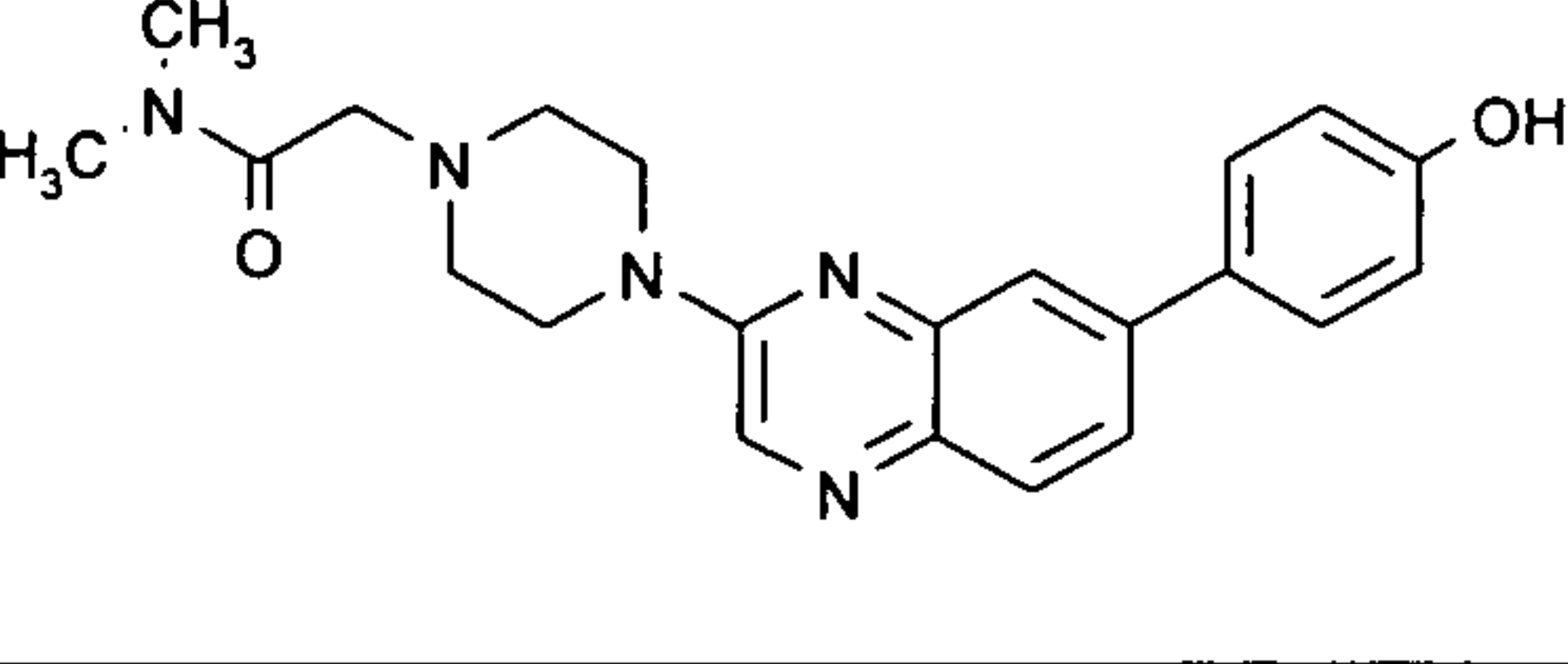
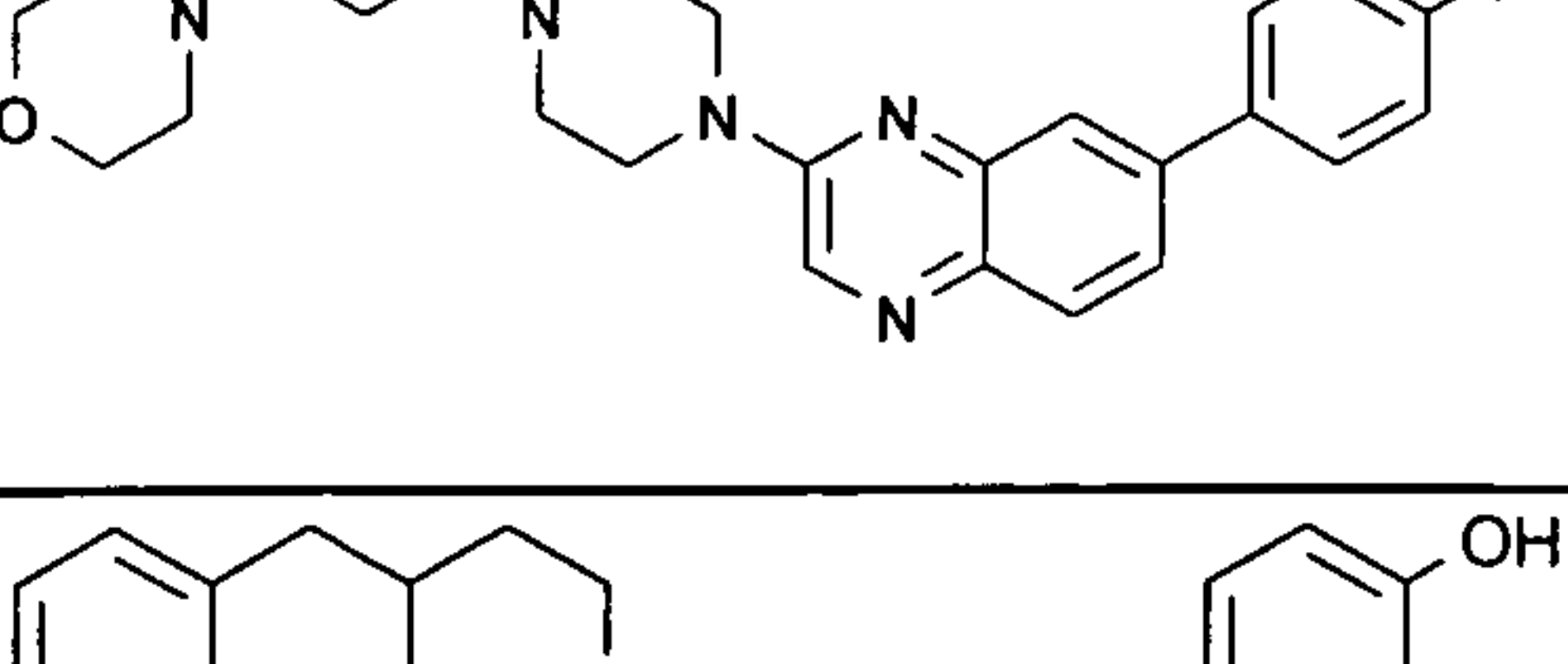
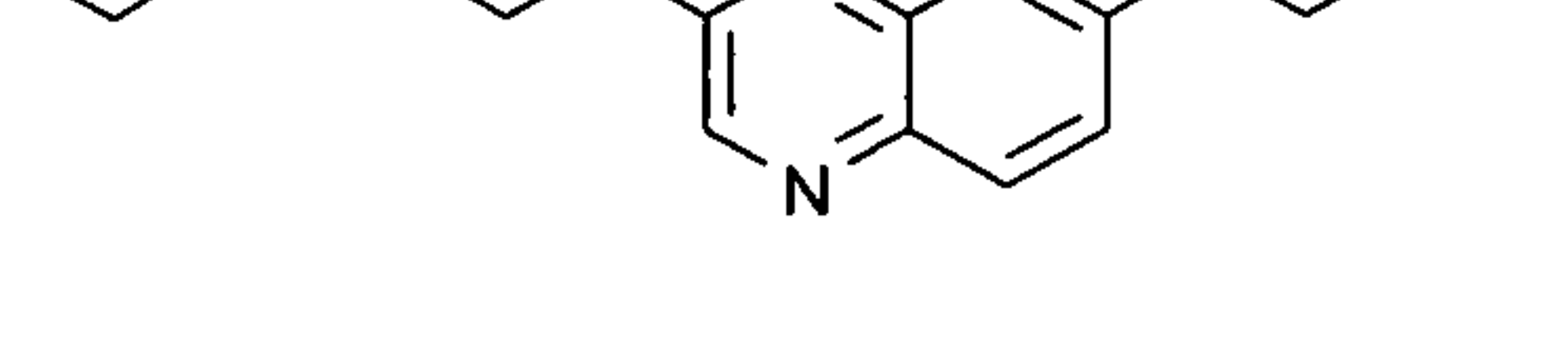


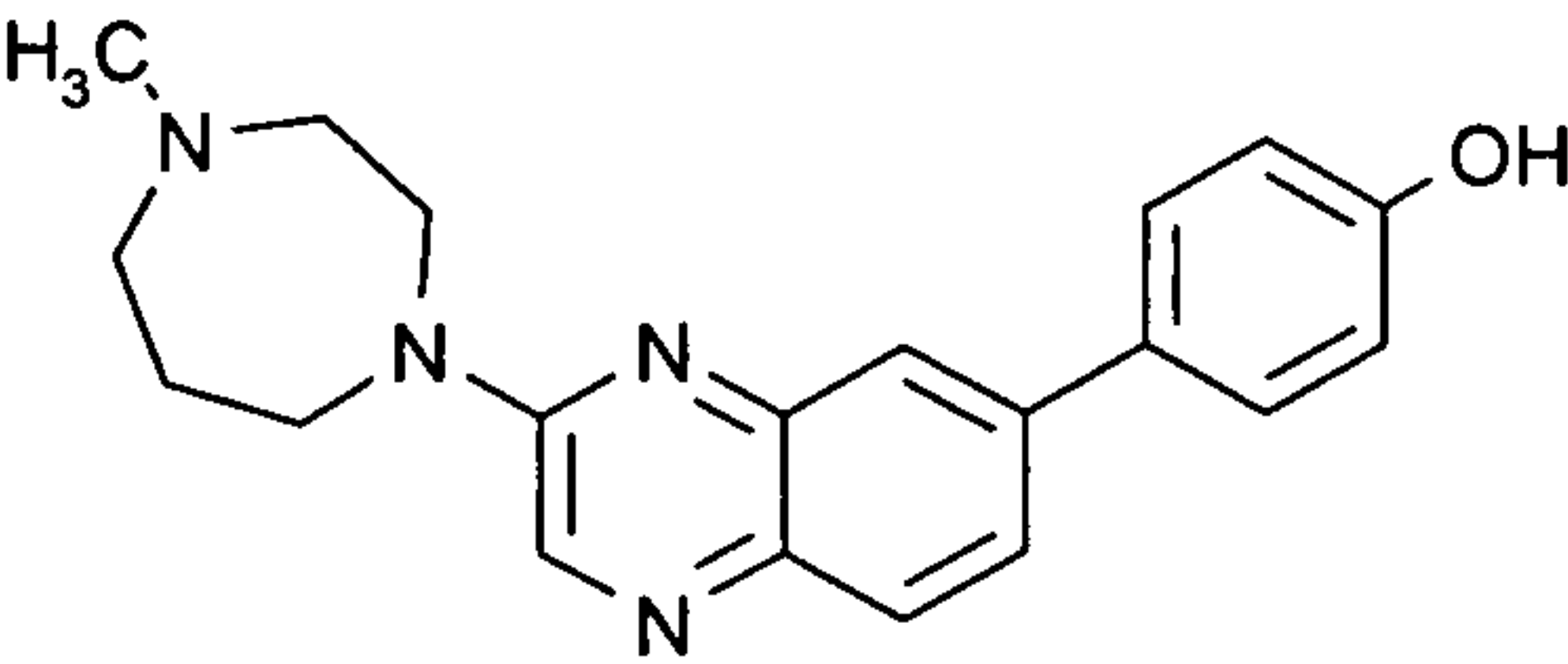
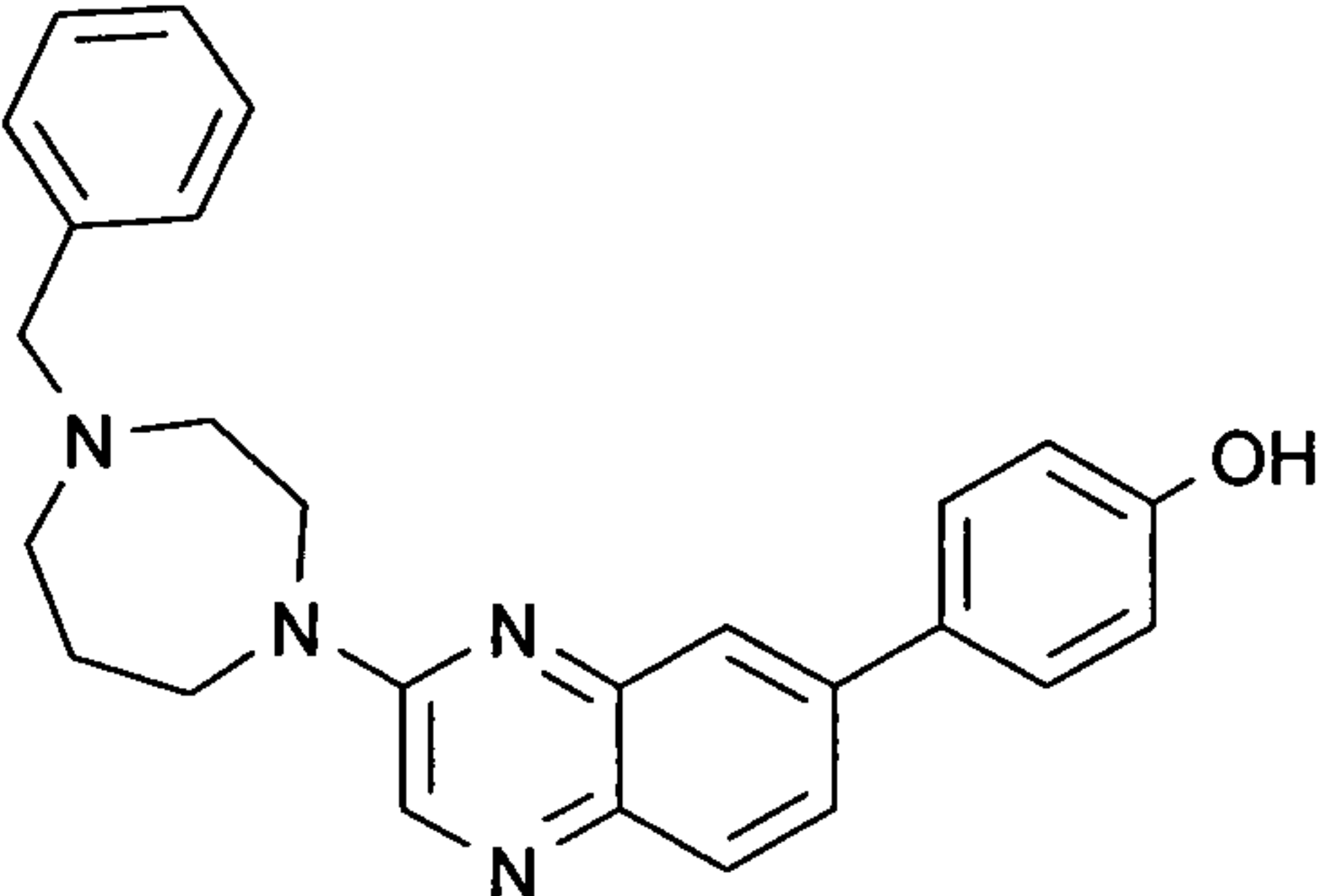
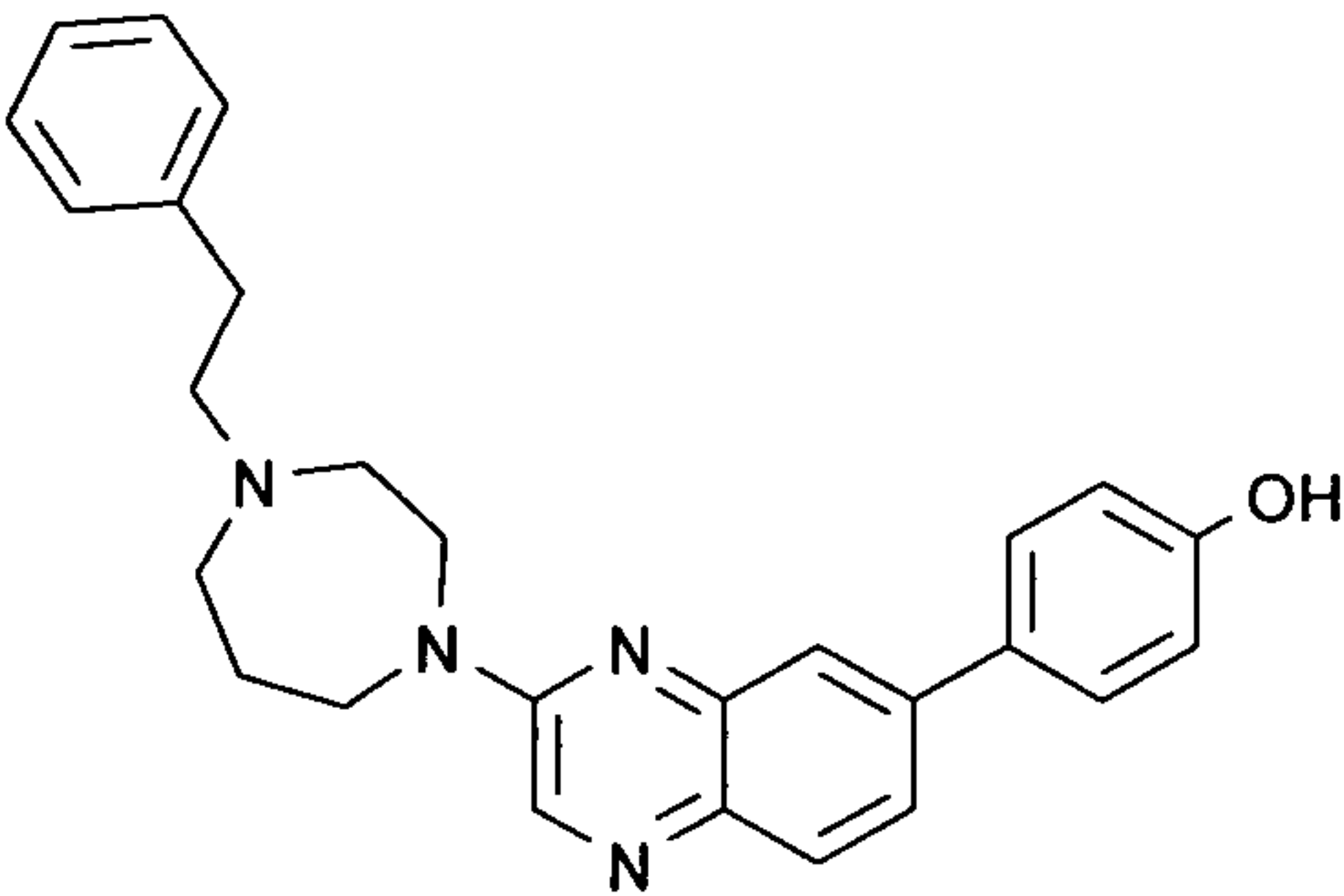
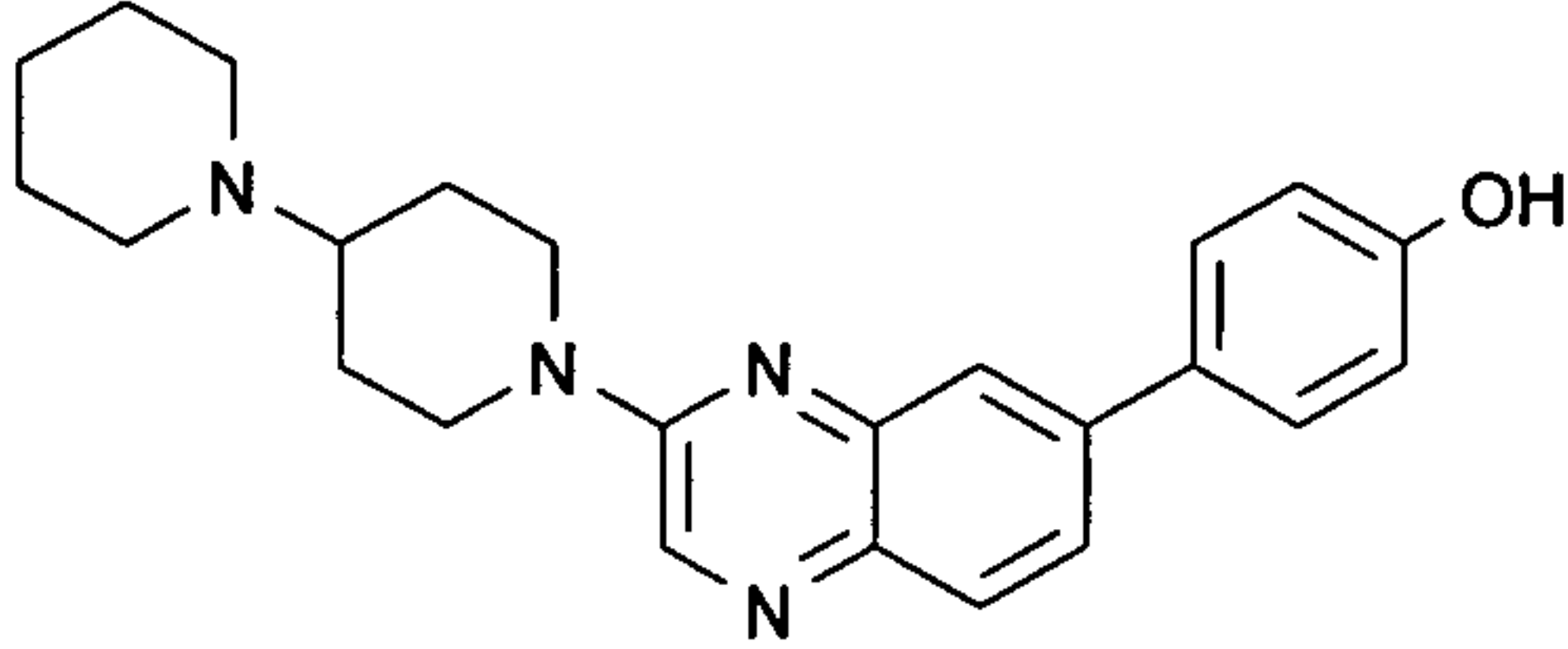
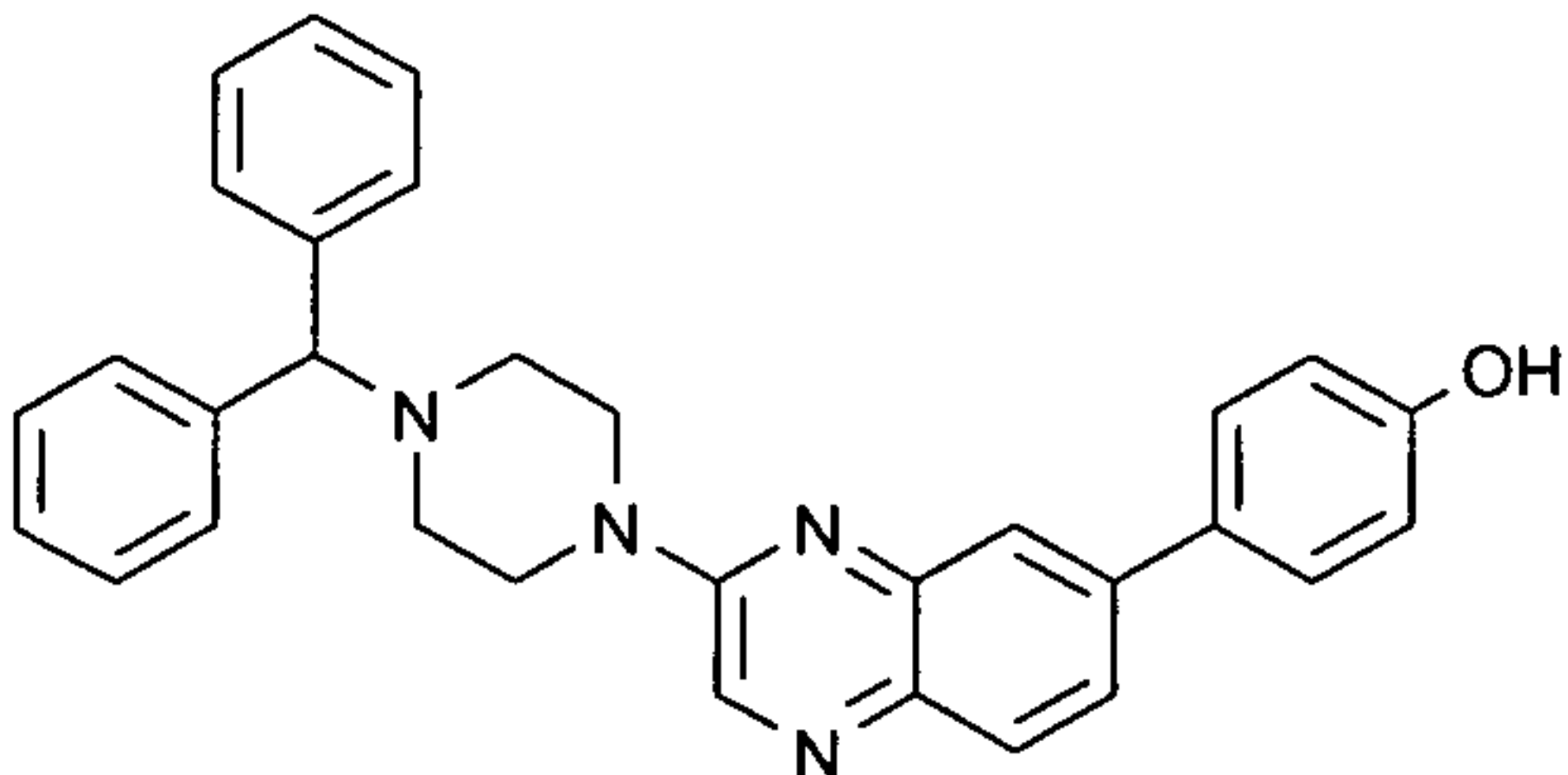
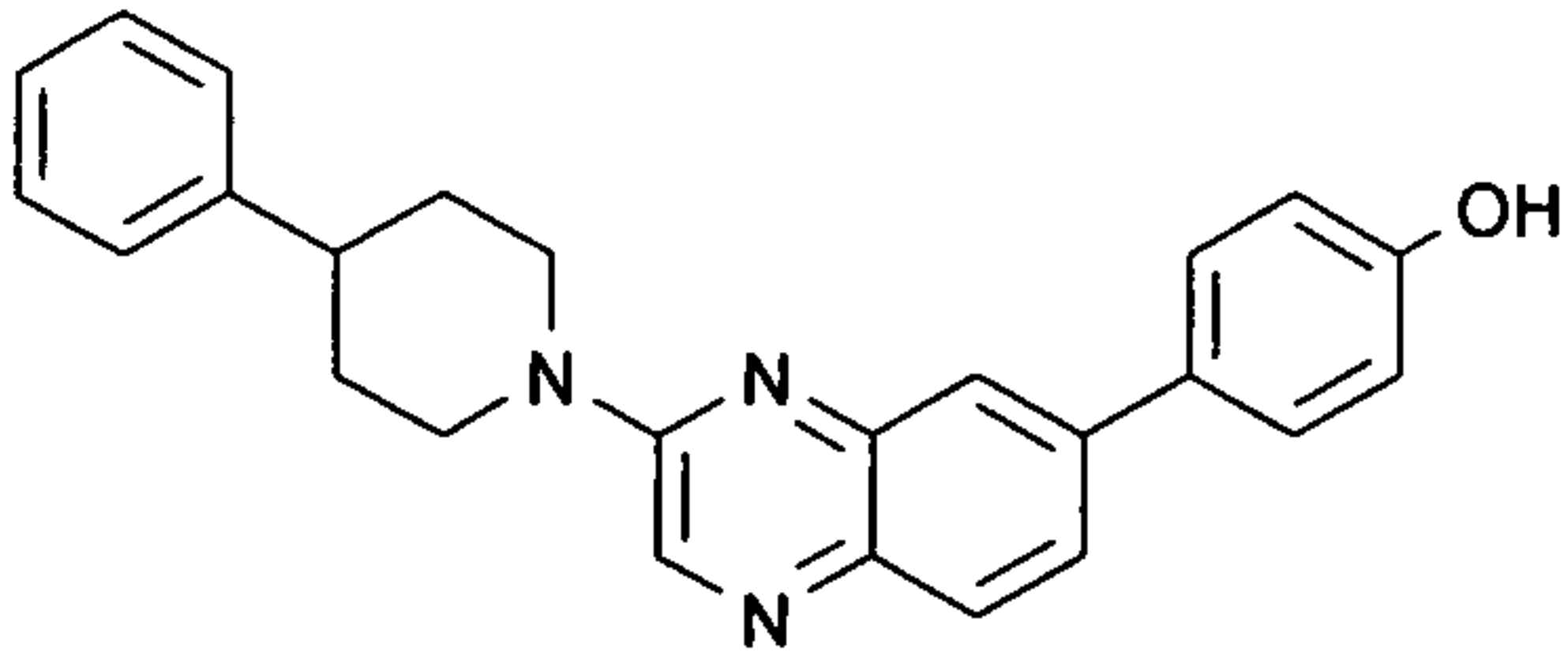
- 5 0.1 mmol intermediate example 3.1 (1 mL, 0.12 M in NMP), 0.2 mmol 1-pentanamine (0.4 mL, 0.5 M in NMP, 2 eq) and 0.2 mmol NMM (0.25 mL, 0.8 M in NMP, 2 eq) were combined in a sealed vial and heated at 180 °C under microwave irradiation for 60 min. After cooling, the solution was filtered and subjected to preparative HPLC to give 4.5 mg 4-(3-pyrrolidin-1-yl-quinoxalin-6-yl)-phenol (15%): ¹H-NMR (300 MHz, d₆-DMSO): δ = 9.61 (1H, s), 8.39 (1H, s), 7.78 (1H, d), 7.68 (1H, s), 7.61 (2H, d), 7.55 (1H, d), 6.84 (2H, d), 3.58 (4H, tr), 1.96 (4H, tr) ppm; UPLC-MS: RT = 0.86 min; m/z (ES⁺) 292.4 [MH⁺]; required MW = 291.4.
- 10

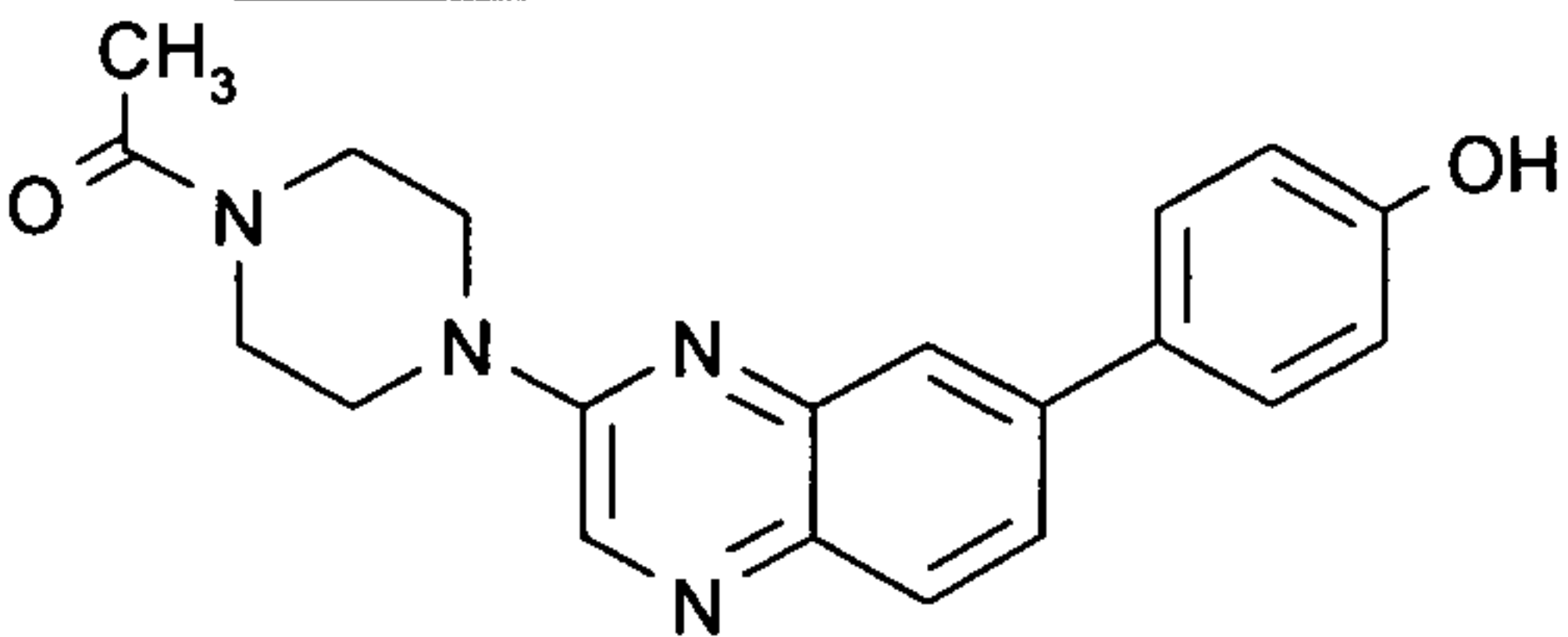
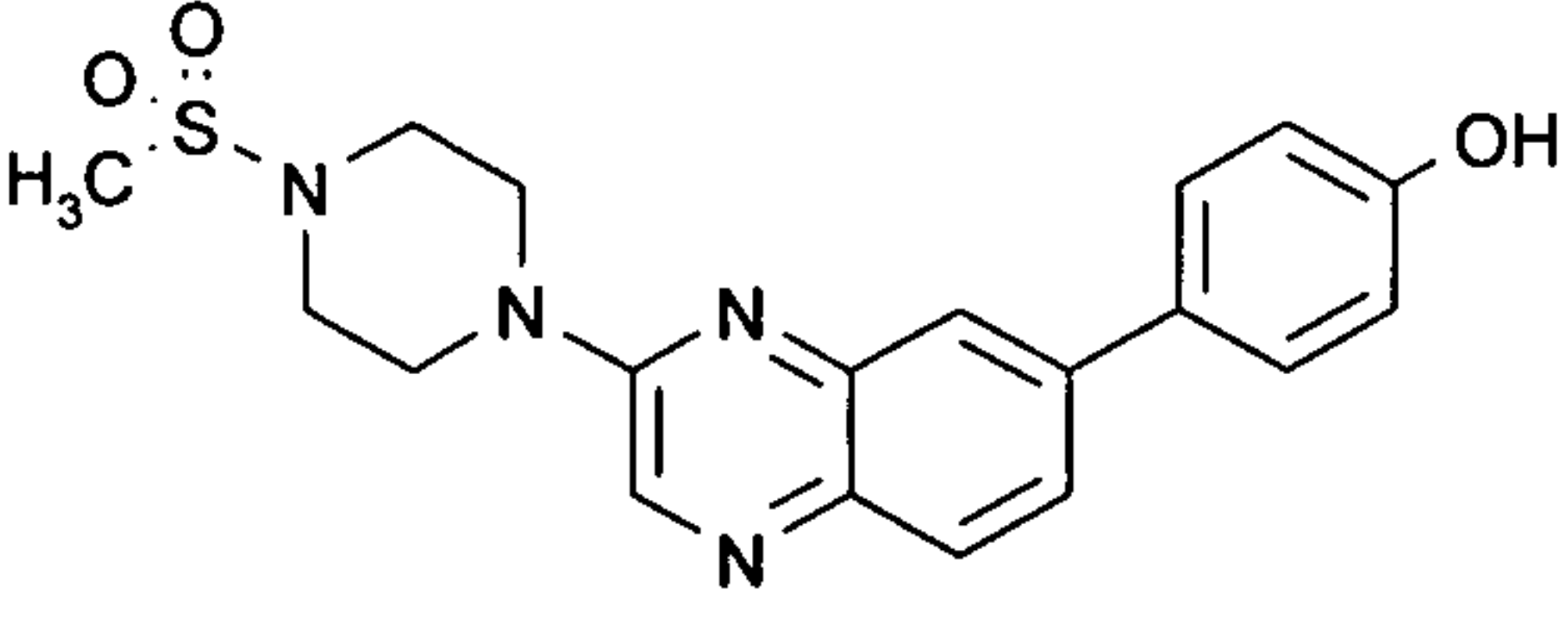
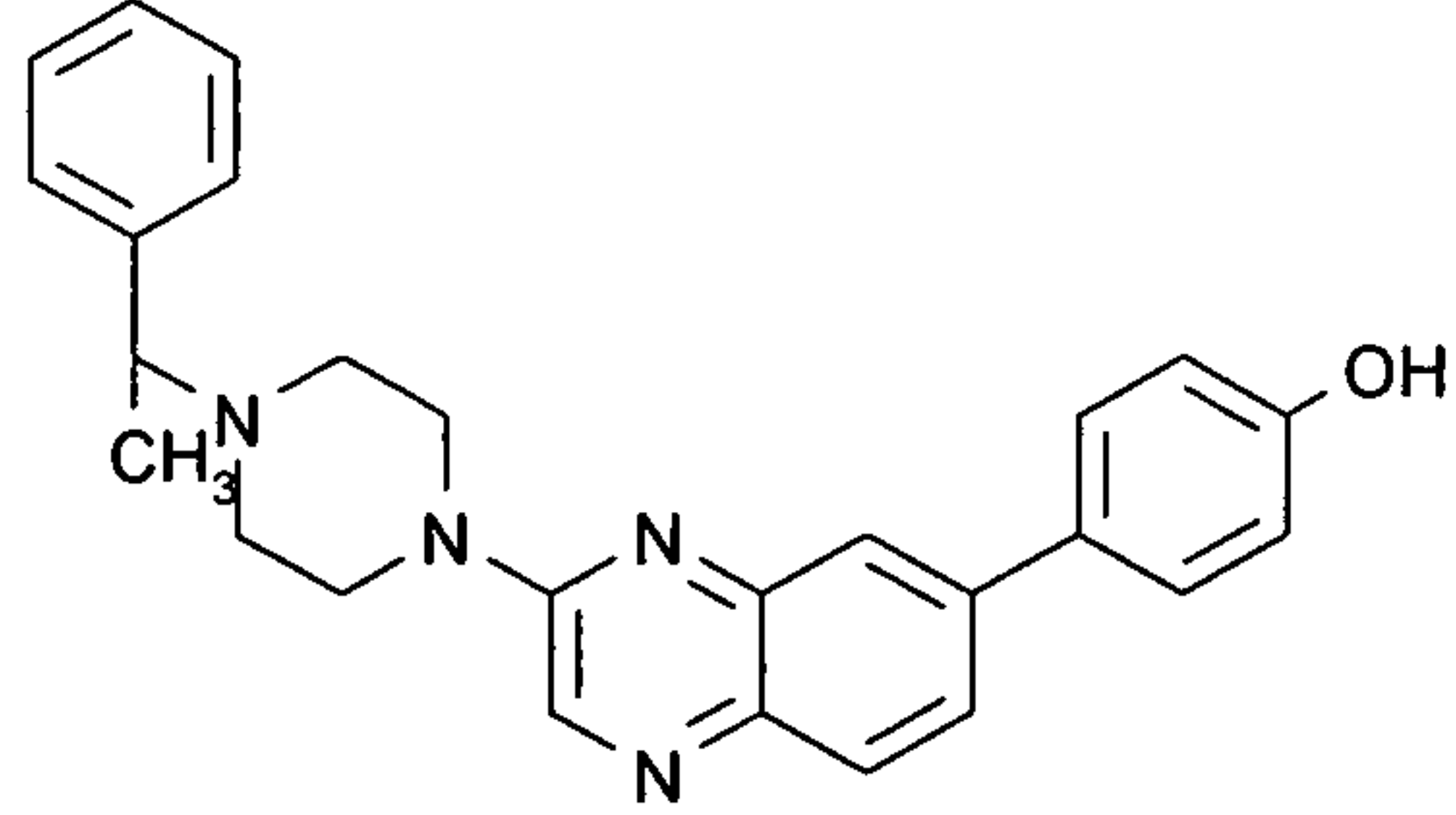
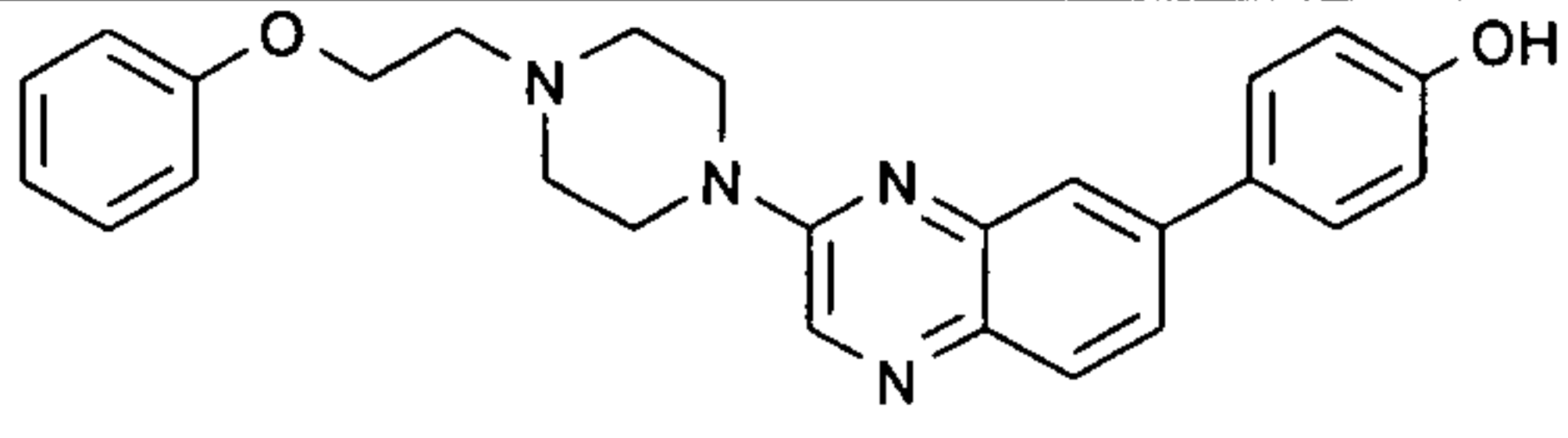
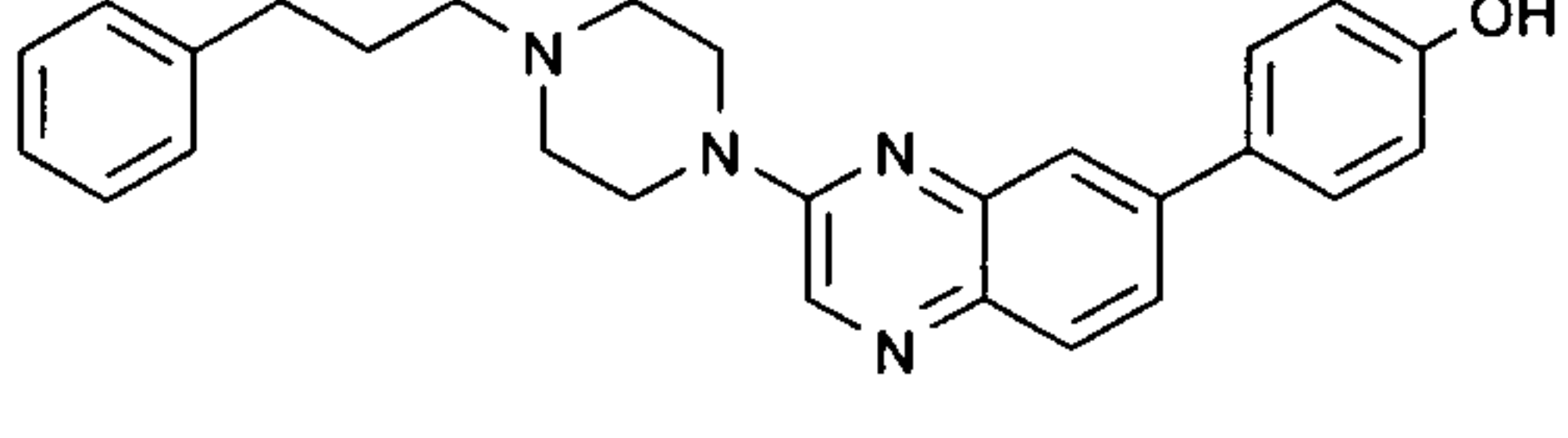
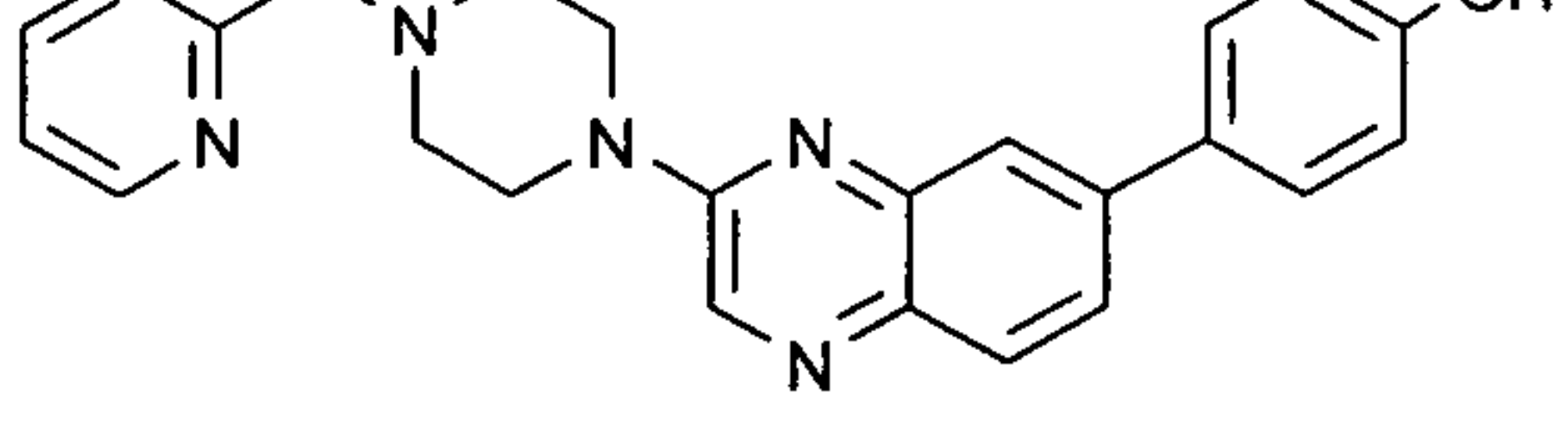
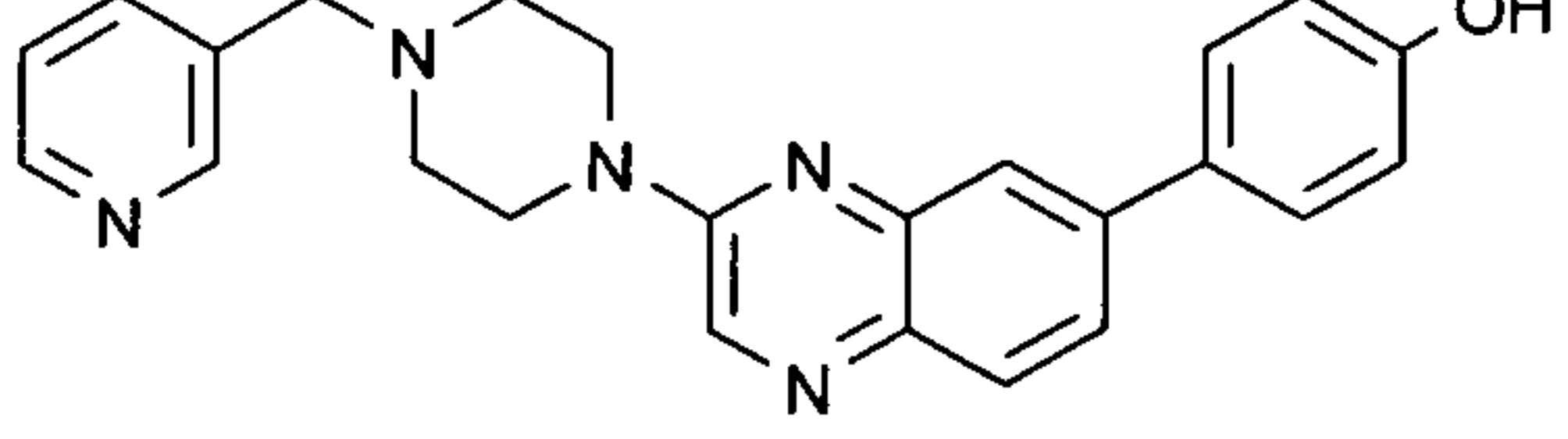
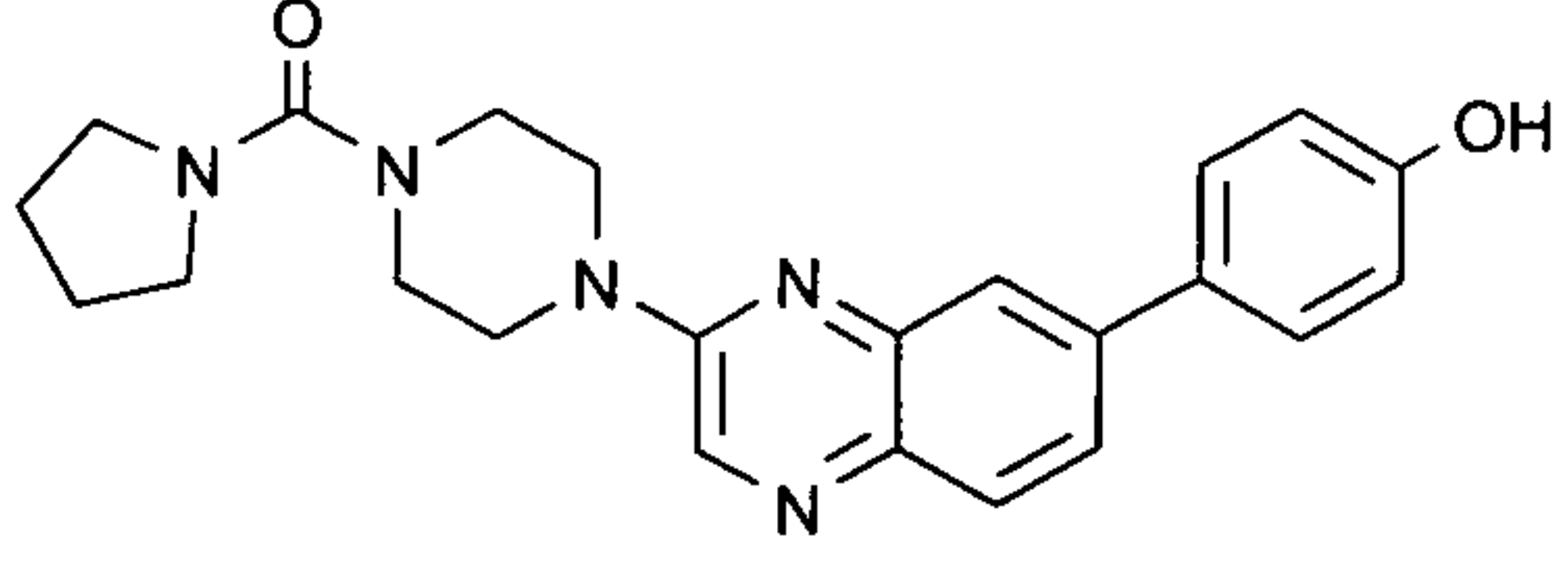
The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

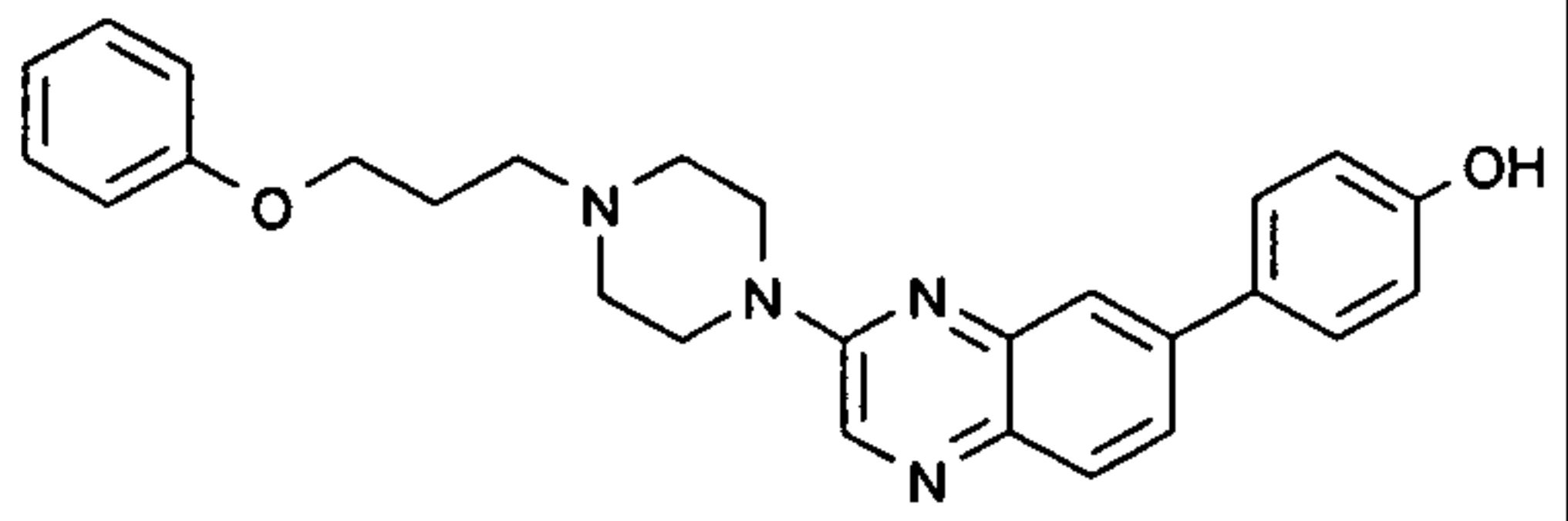
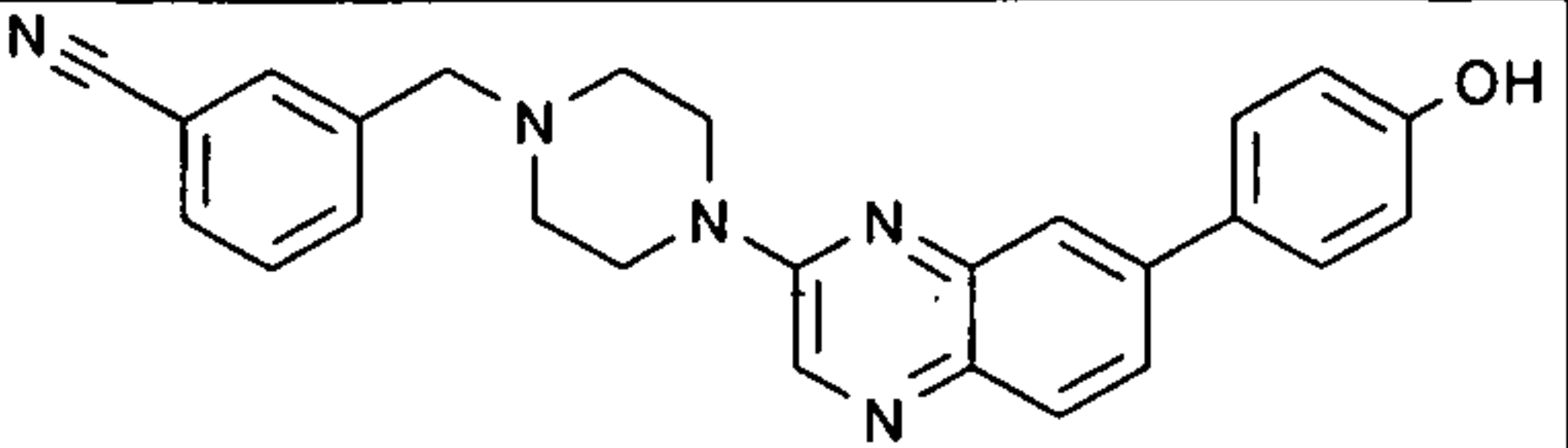
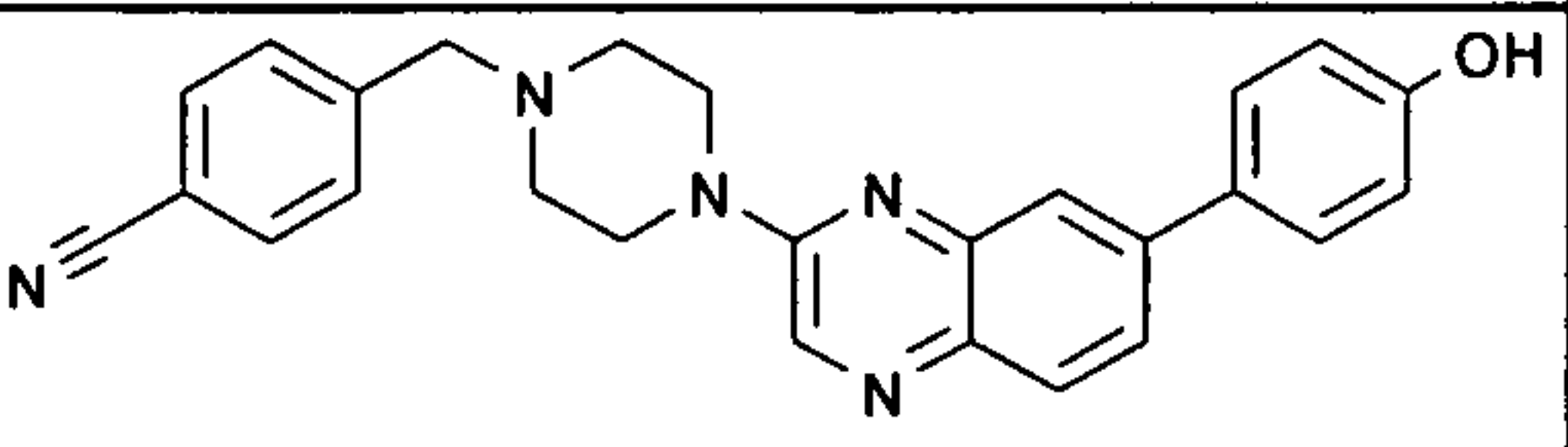
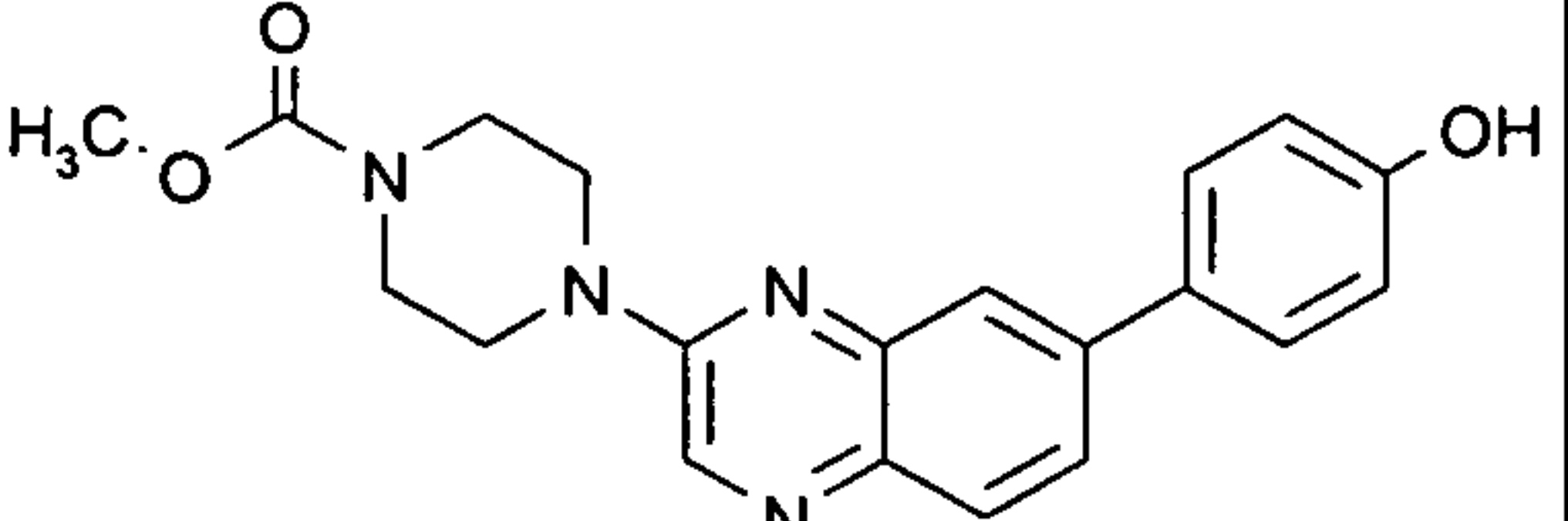
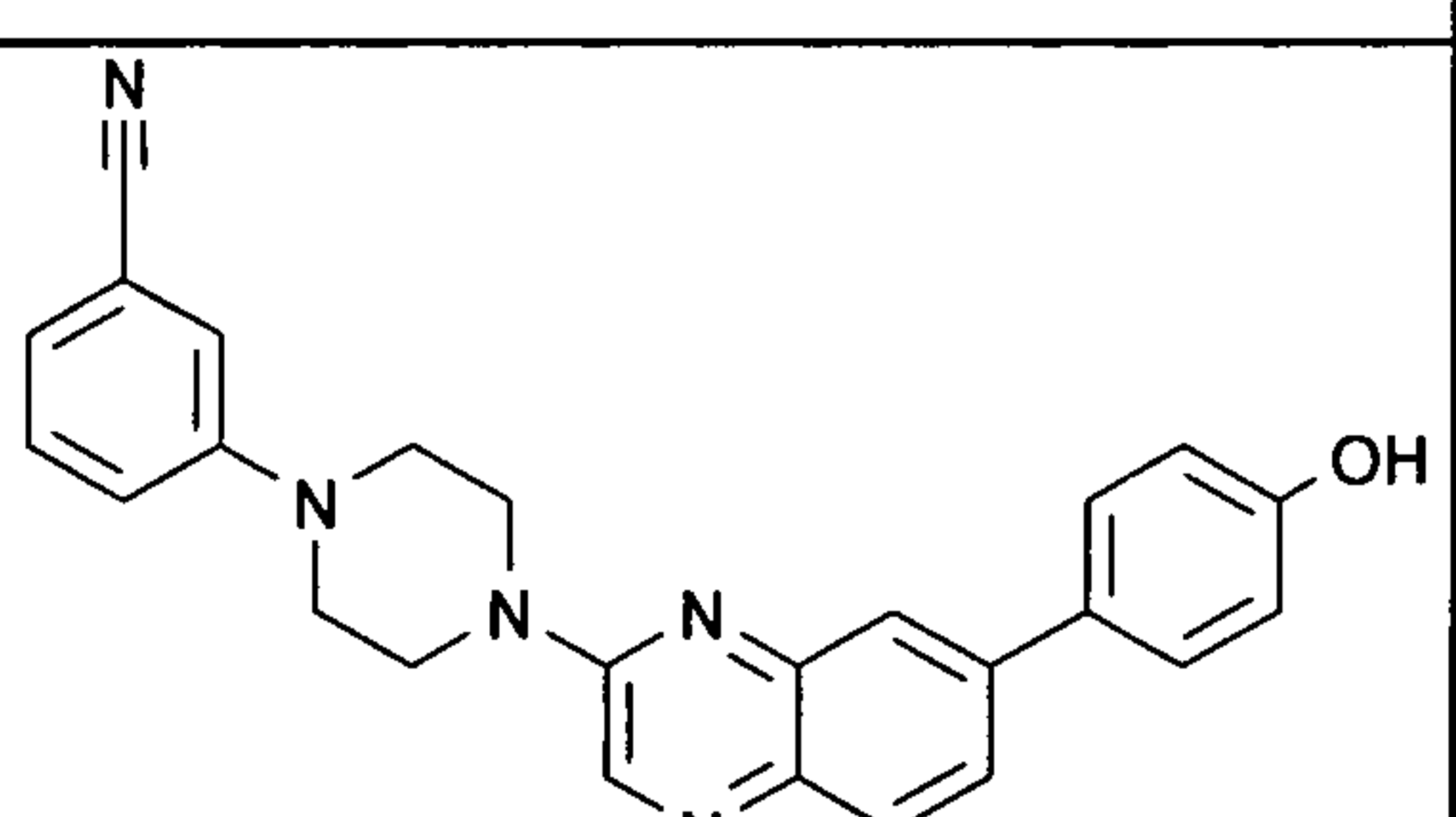
Example	Structure	Name	Analytical Data
3.2		4-[3-(4-methylpiperazin-1-yl)quinoxalin-6-yl]phenol	RT = 0.64 MW _{found} = 321.4 MW _{calc} = 320.4
3.3		4-[3-(3-methylpiperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.27 MW _{found} = 320.4 MW _{calc} = 319.4
3.4		4-[3-(4-methylpiperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.27 MW _{found} = 320.4 MW _{calc} = 319.4
3.5		4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	RT = 0.96 MW _{found} = 308.4 MW _{calc} = 307.4
3.6		4-[3-(4-phenylpiperazin-1-yl)quinoxalin-6-yl]phenol	RT = 1.27 MW _{found} = 383.5 MW _{calc} = 382.5
3.7		4-{3-[4-(pyridin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.78 MW _{found} = 384.5 MW _{calc} = 383.5

3.8		benzyl 4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazine-1-carboxylate	RT = 1.23 MW _{found} = 441.5 MW _{calc} = 440.5
3.9		1-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperidine-3-carboxamide	RT = 0.83 MW _{found} = 349.4 MW _{calc} = 348.4
3.10		4-(3-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 1.41 MW _{found} = 451.5 MW _{calc} = 450.5
3.11		4-[3-(3,4-dihydroisoquinolin-2(1H)-yl)quinoxalin-6-yl]phenol	RT = 1.29 MW _{found} = 354.4 MW _{calc} = 353.4
3.12		4-[3-(4-benzylpiperazin-1-yl)quinoxalin-6-yl]phenol	RT = 0.79 MW _{found} = 397.5 MW _{calc} = 396.5
3.13		4-{3-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.79 MW _{found} = 441.5 MW _{calc} = 440.5
3.14		4-{3-[4-(2-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.83 MW _{found} = 411.5 MW _{calc} = 410.5

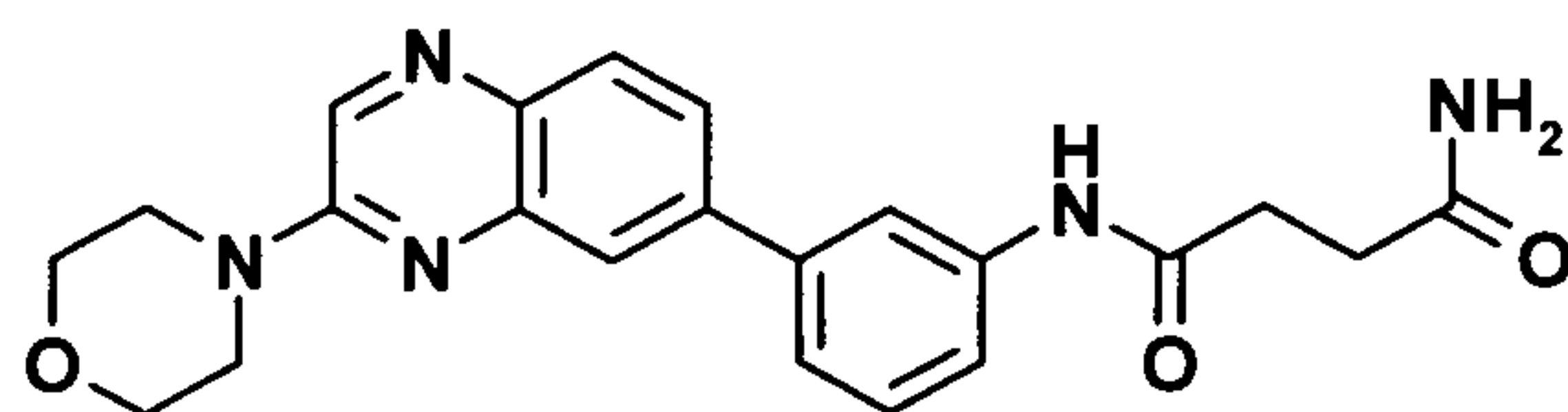
3.15		4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.73 MW _{found} = 384.5 MW _{calc} = 383.5
3.16		4-(3-{4-[4-(trifluoromethyl)phenyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 1.39 MW _{found} = 451.5 MW _{calc} = 450.5
3.17		4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 1.03 MW _{found} = 385.4 MW _{calc} = 384.4
3.18		4-(3-{4-[2-(dimethylamino)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 0.66 MW _{found} = 378.5 MW _{calc} = 377.5
3.19		4-(3-{4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 0.67 MW _{found} = 420.5 MW _{calc} = 419.5
3.20		2-{4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}-N,N-dimethylacetamide	RT = 0.66 MW _{found} = 392.5 MW _{calc} = 391.5
3.21		4-(3-{4-[3-(morpholin-4-yl)propyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 0.56 MW _{found} = 434.6 MW _{calc} = 433.6
3.22		4-[3-(4-benzylpiperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.43 MW _{found} = 396.5 MW _{calc} = 395.5

3.23		4-[3-(4-methyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenol	RT = 0.66 MW _{found} = 335.4 MW _{calc} = 334.4
3.24		4-[3-(4-benzyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenol	RT = 0.80 MW _{found} = 411.5 MW _{calc} = 410.5
3.25		4-{3-[4-(2-phenylethyl)-1,4-diazepan-1-yl]quinoxalin-6-yl}phenol	RT = 0.84 MW _{found} = 425.5 MW _{calc} = 424.5
3.26		4-[3-(1,4'-bipiperidin-1'-yl)quinoxalin-6-yl]phenol	RT = 0.73 MW _{found} = 389.5 MW _{calc} = 388.5
3.27		4-{3-[4-(diphenylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 1.22 MW _{found} = 473.6 MW _{calc} = 472.6
3.28		4-[3-(4-phenylpiperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.35 MW _{found} = 382.5 MW _{calc} = 381.5

3.29		1-{4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}ethanone	RT = 0.85 MW _{found} = 349.4 MW _{calc} = 348.4
3.30		4-{3-[4-(methylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.93 MW _{found} = 385.5 MW _{calc} = 384.5
3.31		4-{3-[4-(1-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.81 MW _{found} = 411.5 MW _{calc} = 410.5
3.32		4-{3-[4-(2-phenoxyethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.83 MW _{found} = 427.5 MW _{calc} = 426.5
3.33		4-{3-[4-(3-phenylpropyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.85 MW _{found} = 425.5 MW _{calc} = 424.5
3.34		4-{3-[4-(pyridin-2-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.70 MW _{found} = 398.5 MW _{calc} = 397.5
3.35		4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.66 MW _{found} = 398.5 MW _{calc} = 397.5
3.36		{4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}(pyrrolidin-1-yl)methanone	RT = 1.01 MW _{found} = 404.5 MW _{calc} = 403.5

3.37		4-{3-[4-(3-phenoxypropyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.86 MW _{found} = 441.5 MW _{calc} = 440.5
3.38		3-({4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}methyl)benzonitrile	RT = 0.77 MW _{found} = 422.5 MW _{calc} = 421.5
3.39		4-({4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}methyl)benzonitrile	RT = 0.78 MW _{found} = 422.5 MW _{calc} = 421.5
3.40		methyl 4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazine-1-carboxylate	RT = 0.99 MW _{found} = 365.4 MW _{calc} = 364.4
3.41		3-{4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}benzonitrile	RT = 1.22 MW _{found} = 408.5 MW _{calc} = 407.5

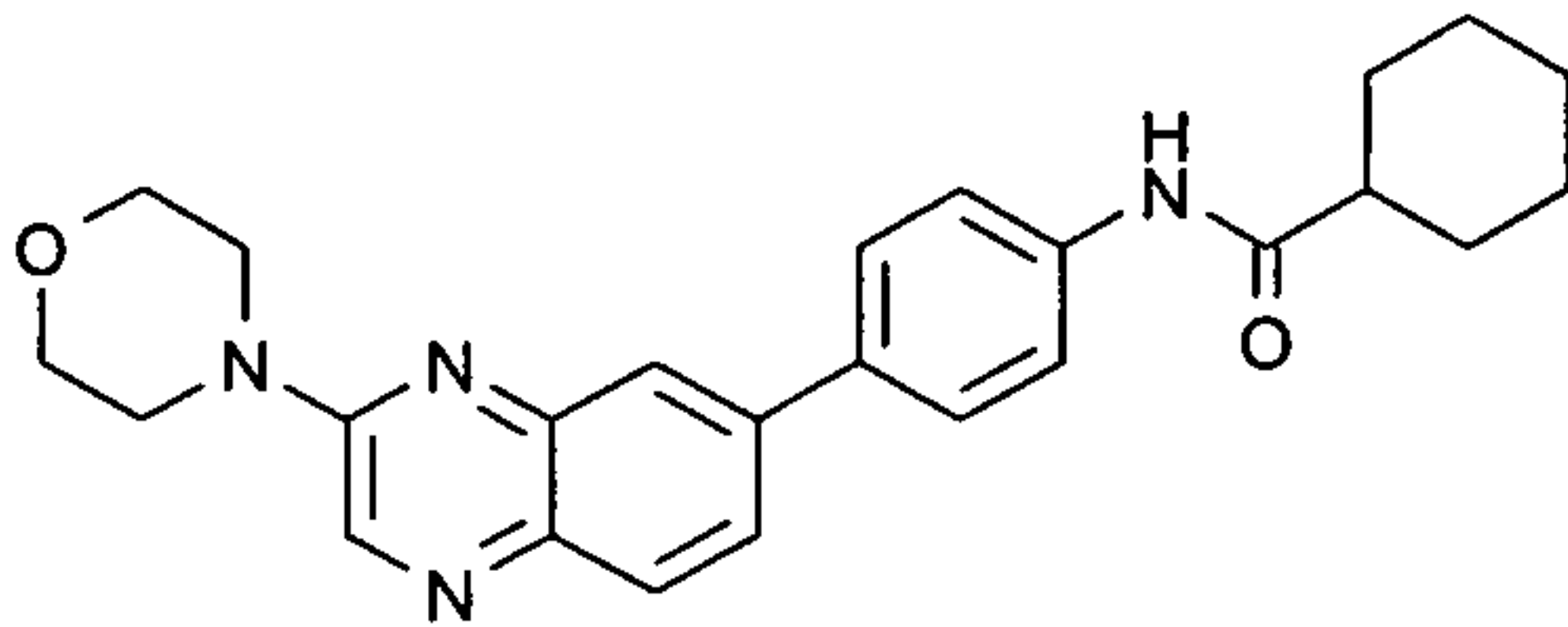
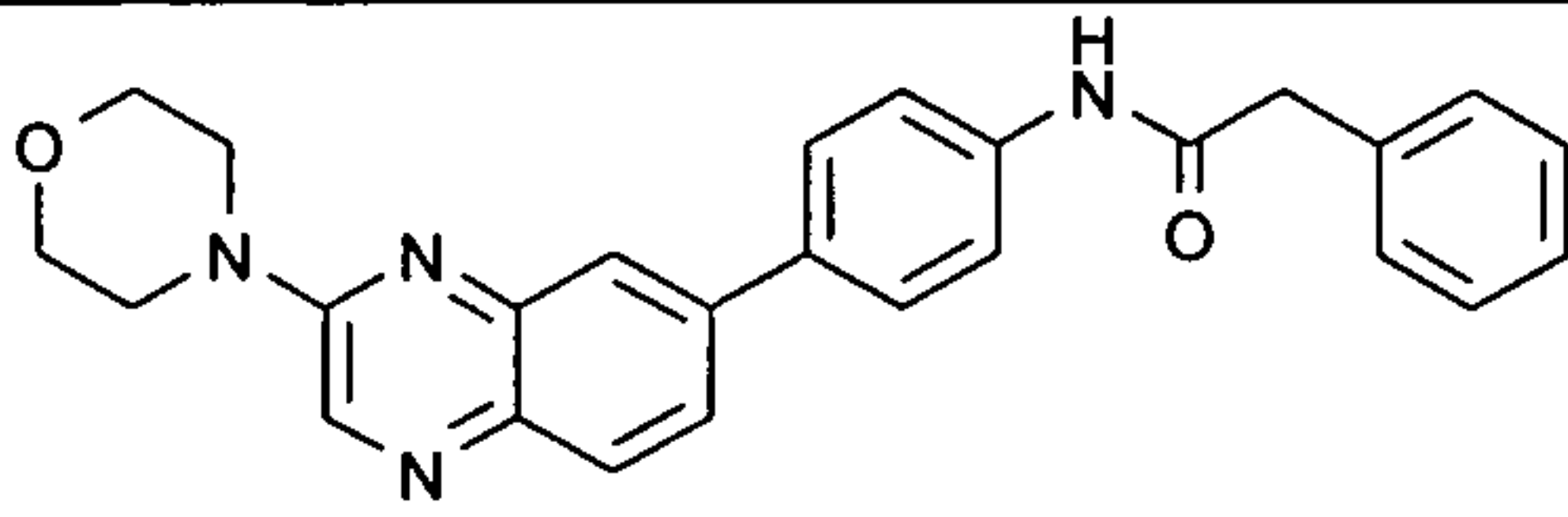
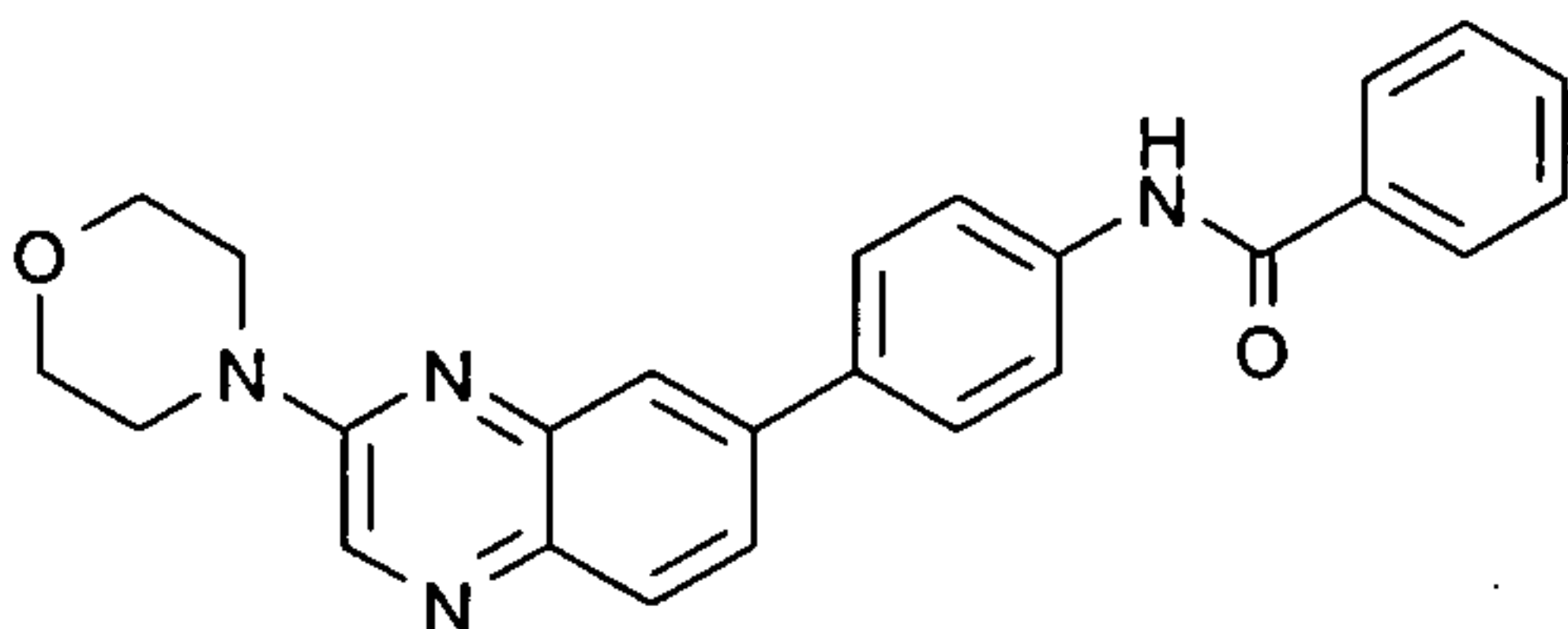
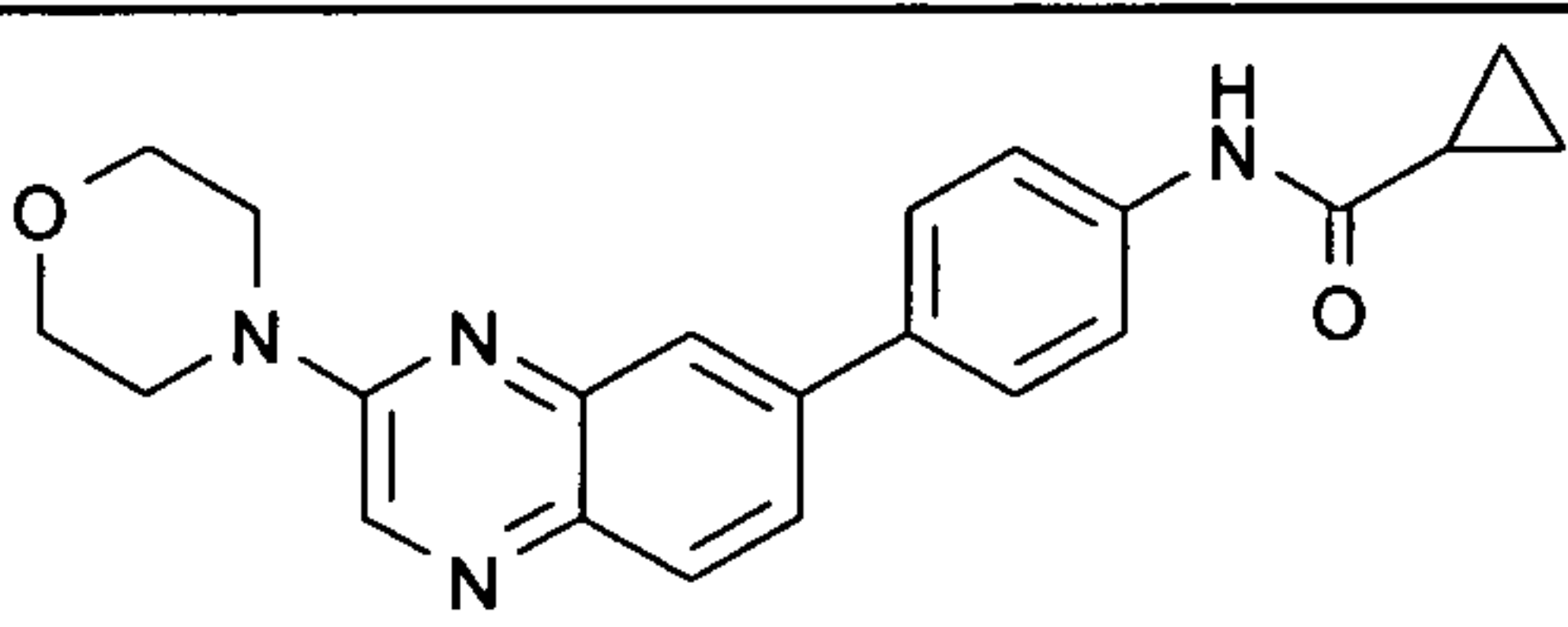
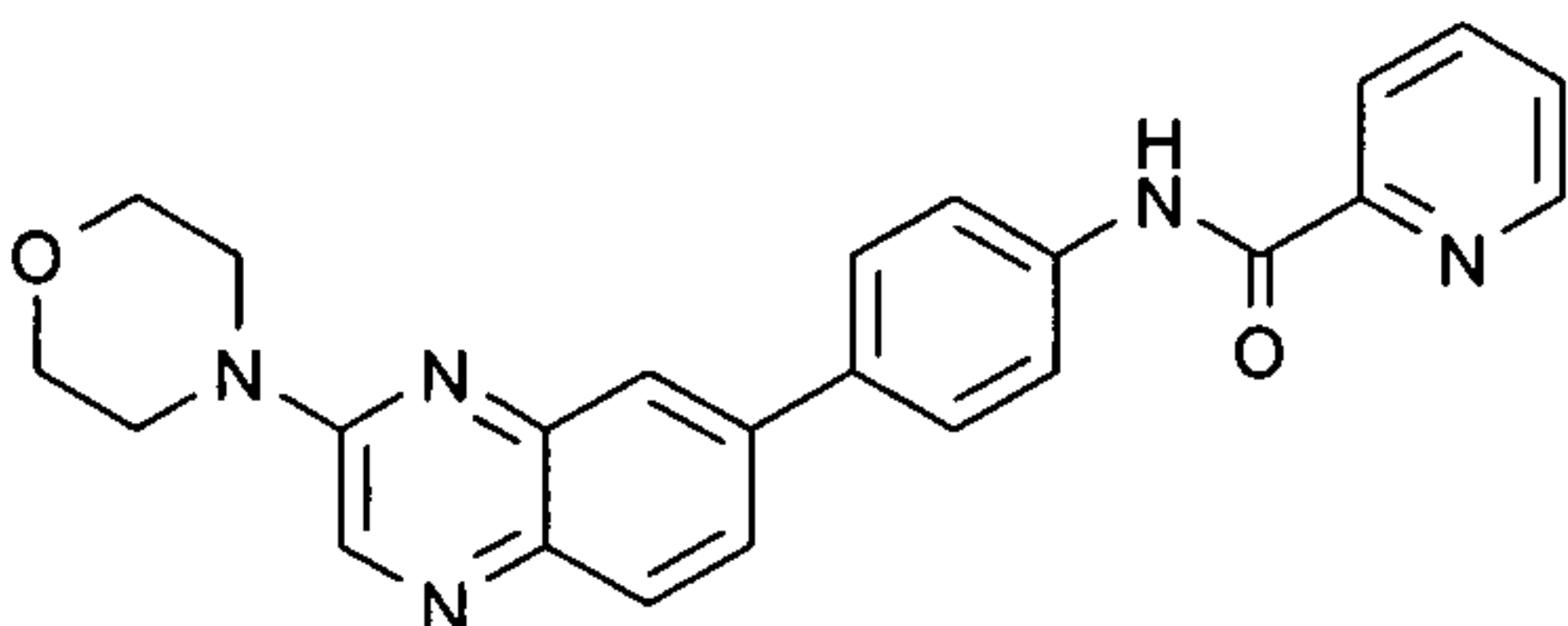
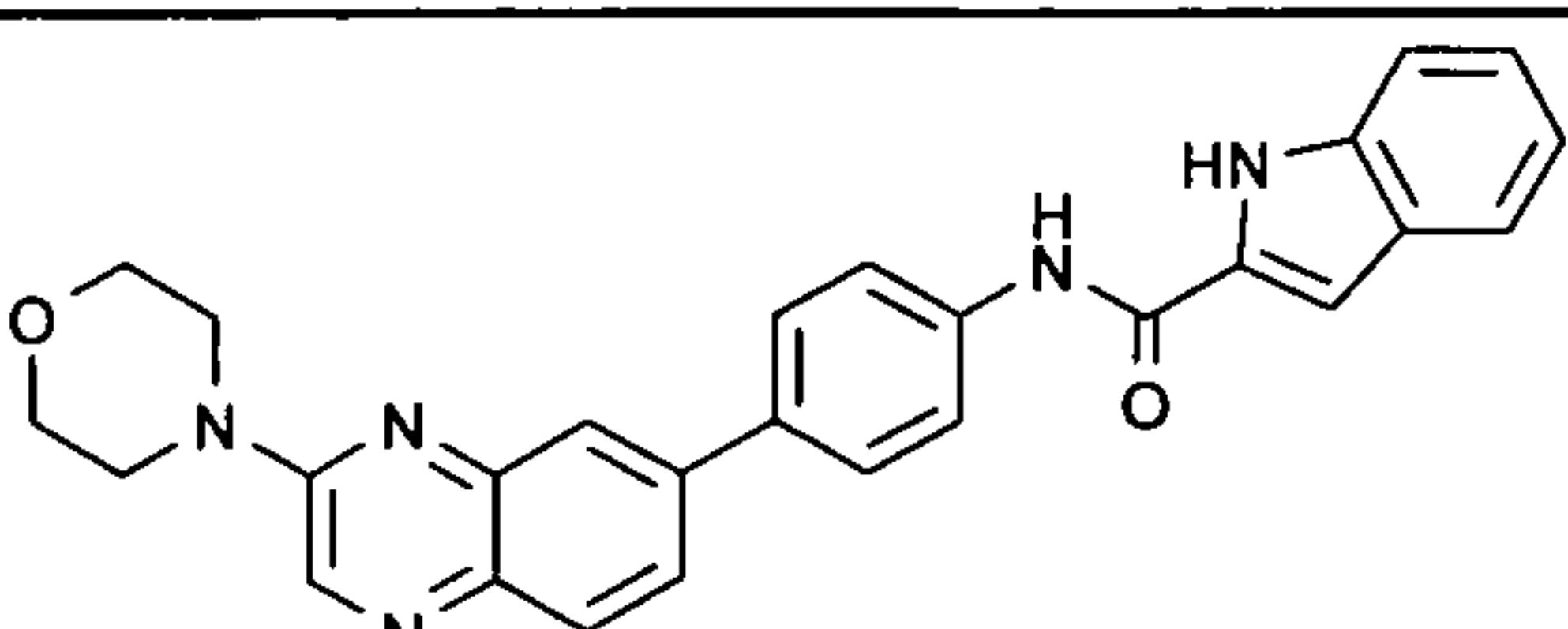
Example 4.1 : Preparation of N-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-succinamide

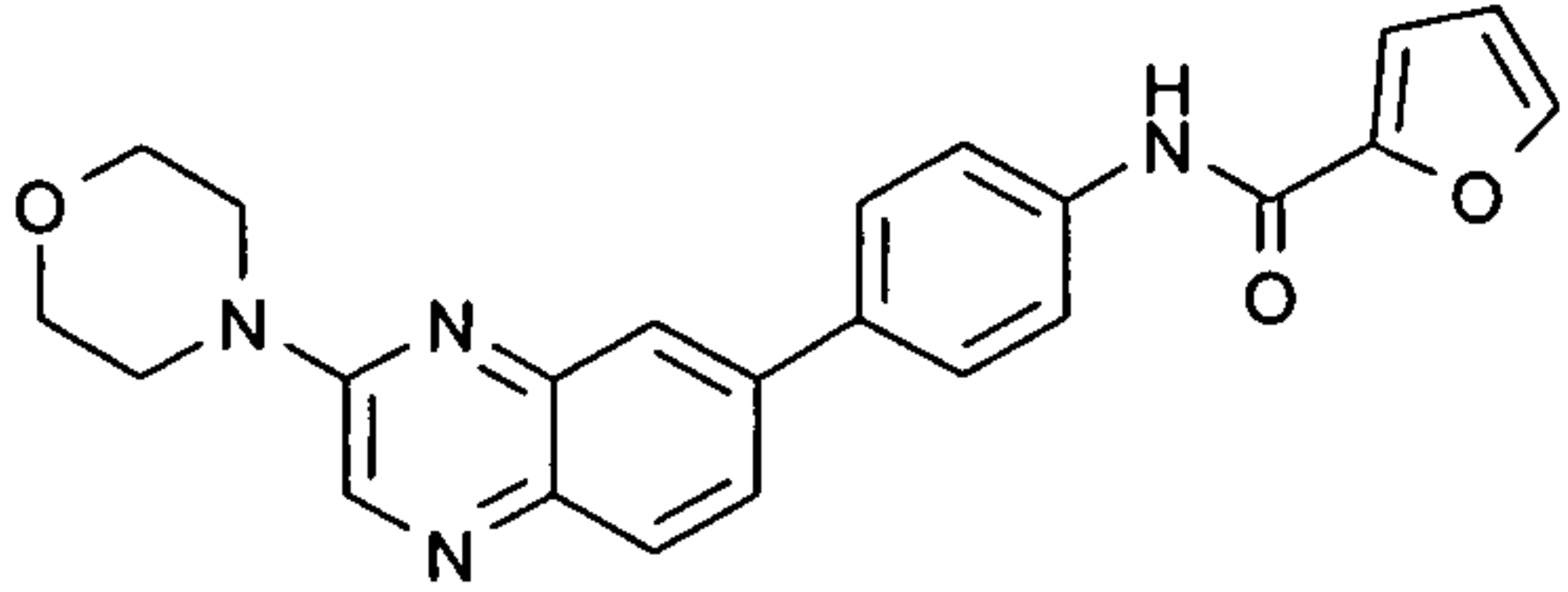
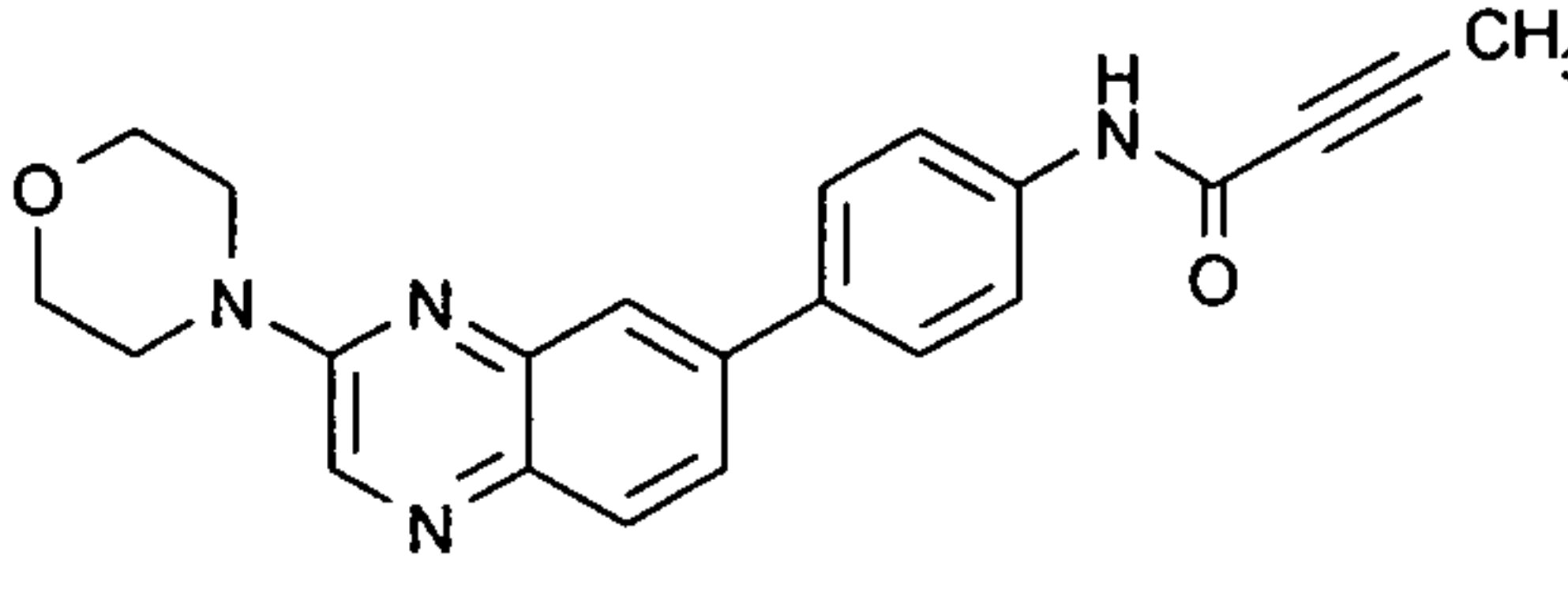
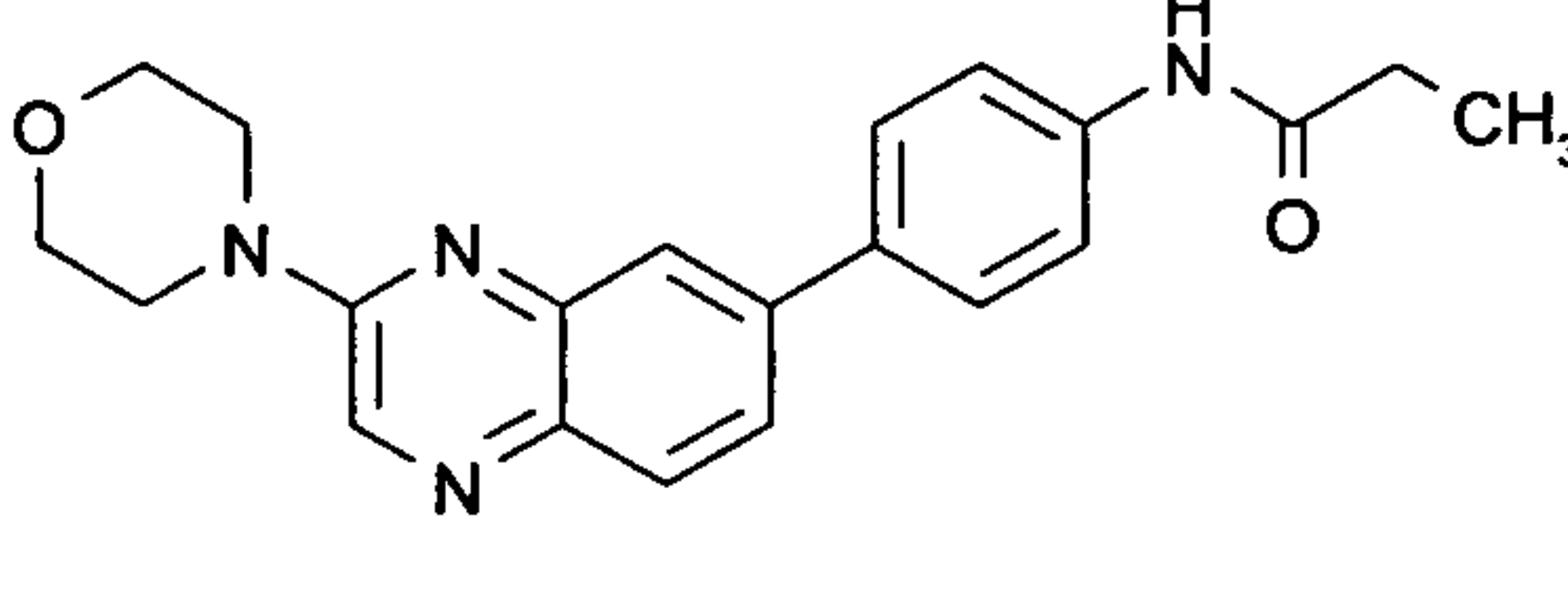
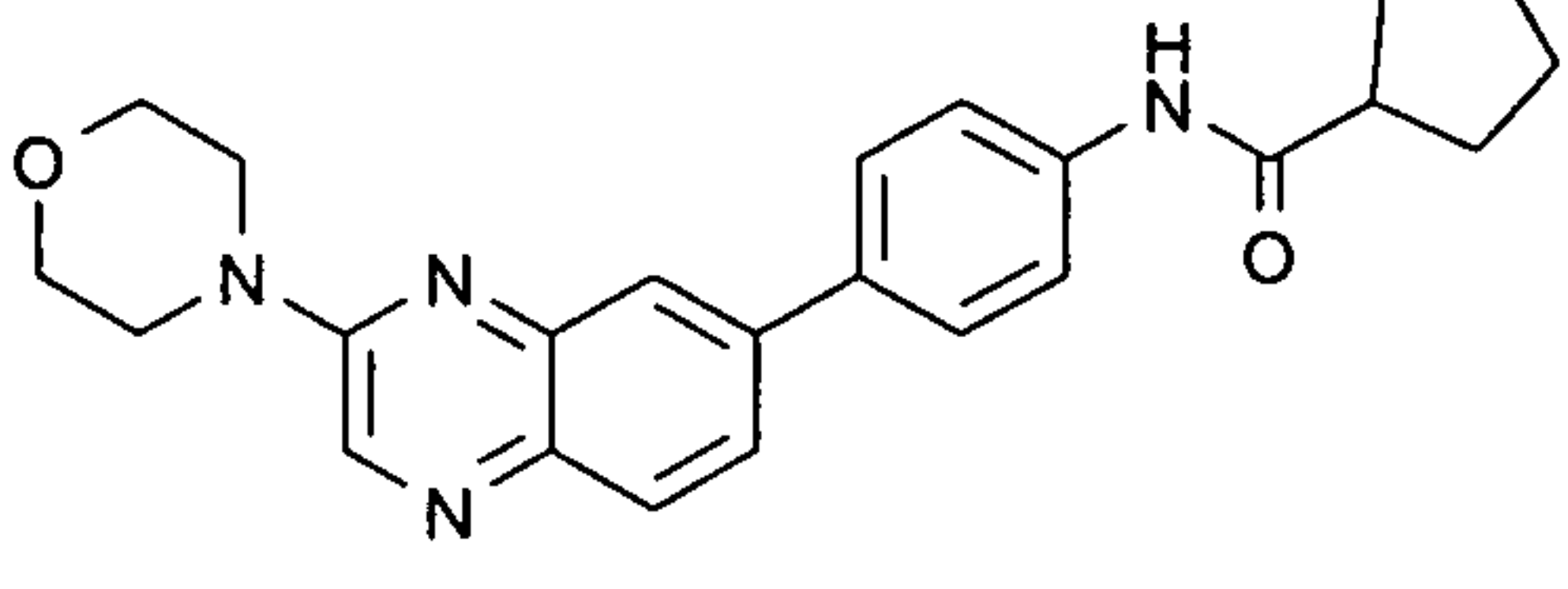
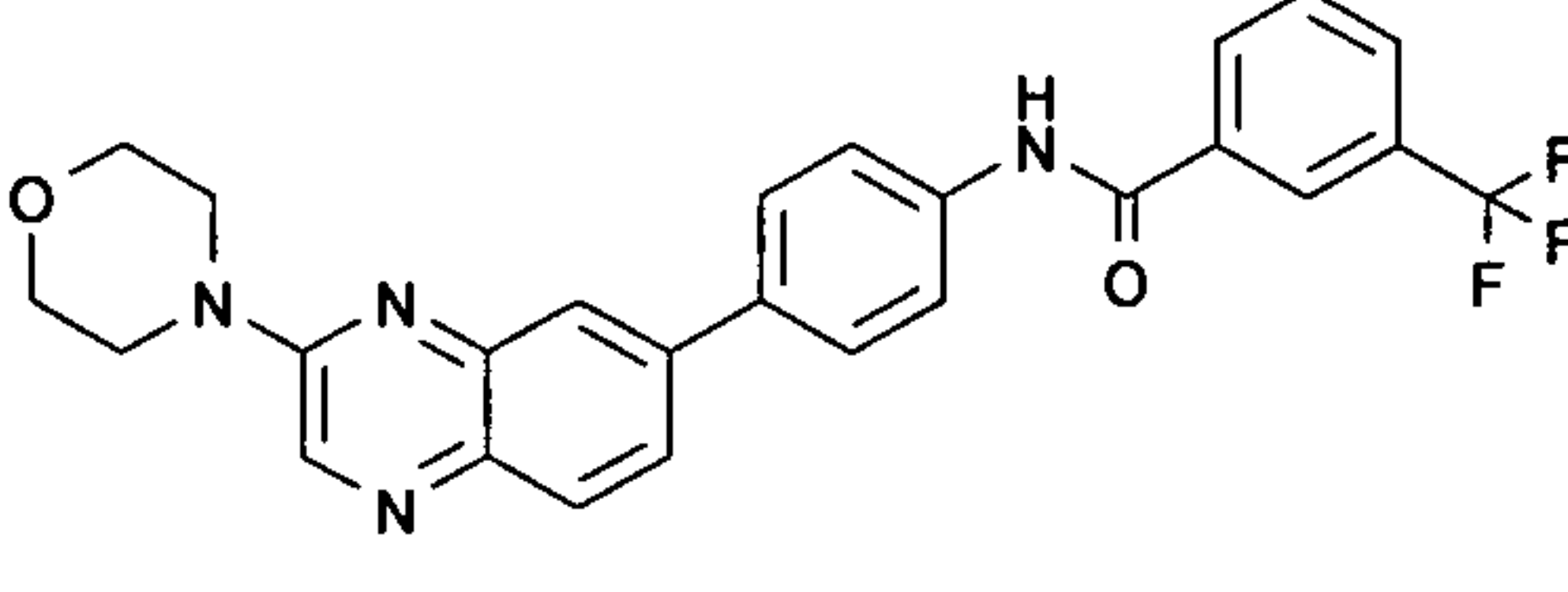
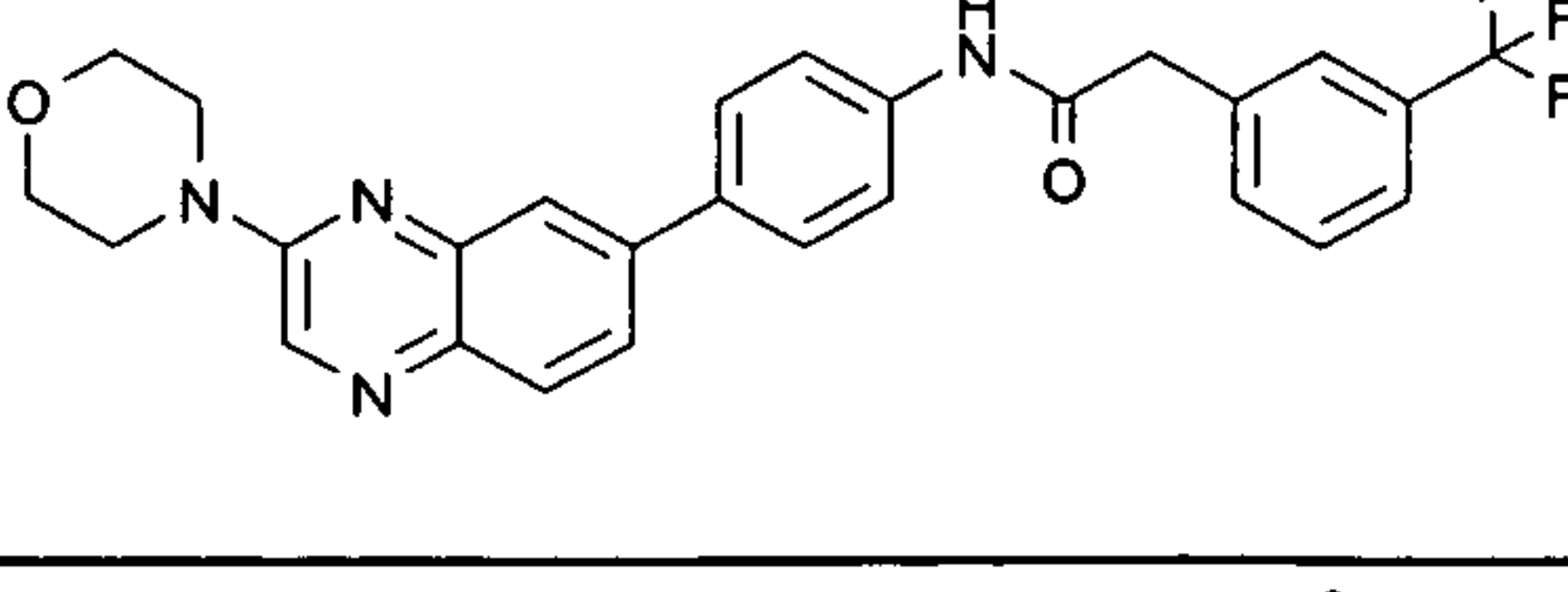
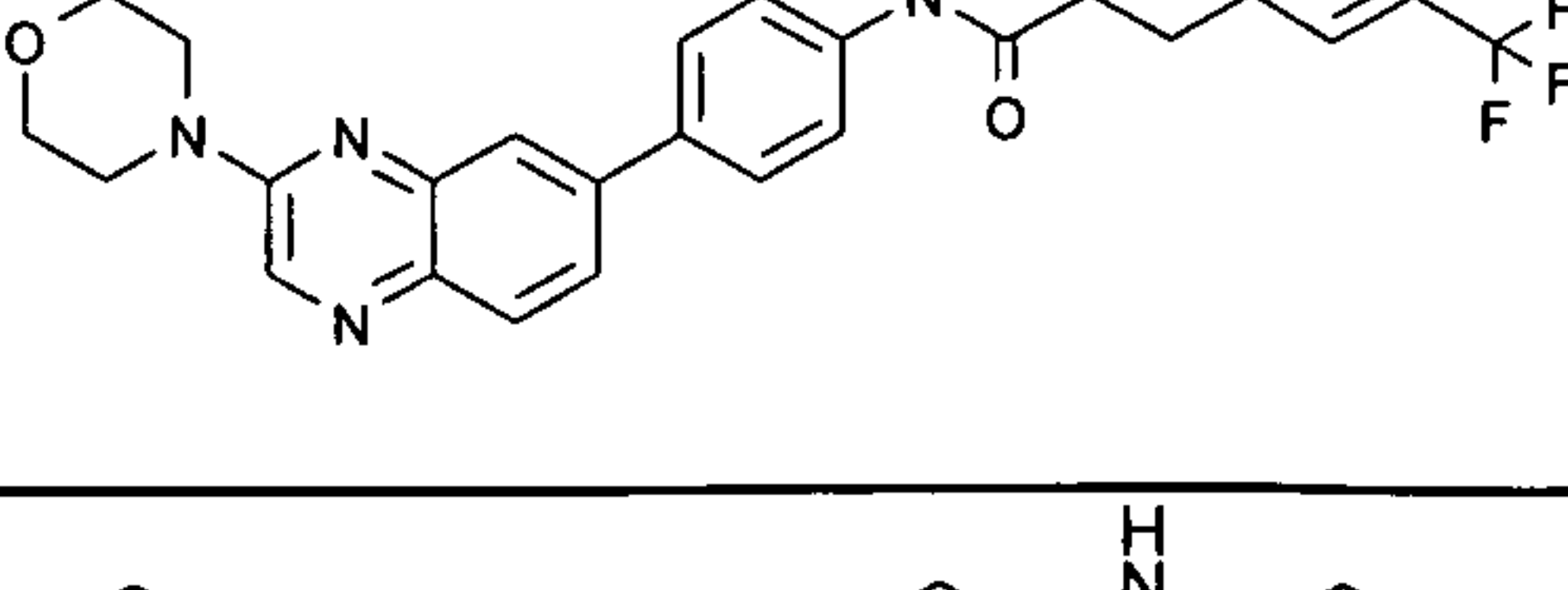
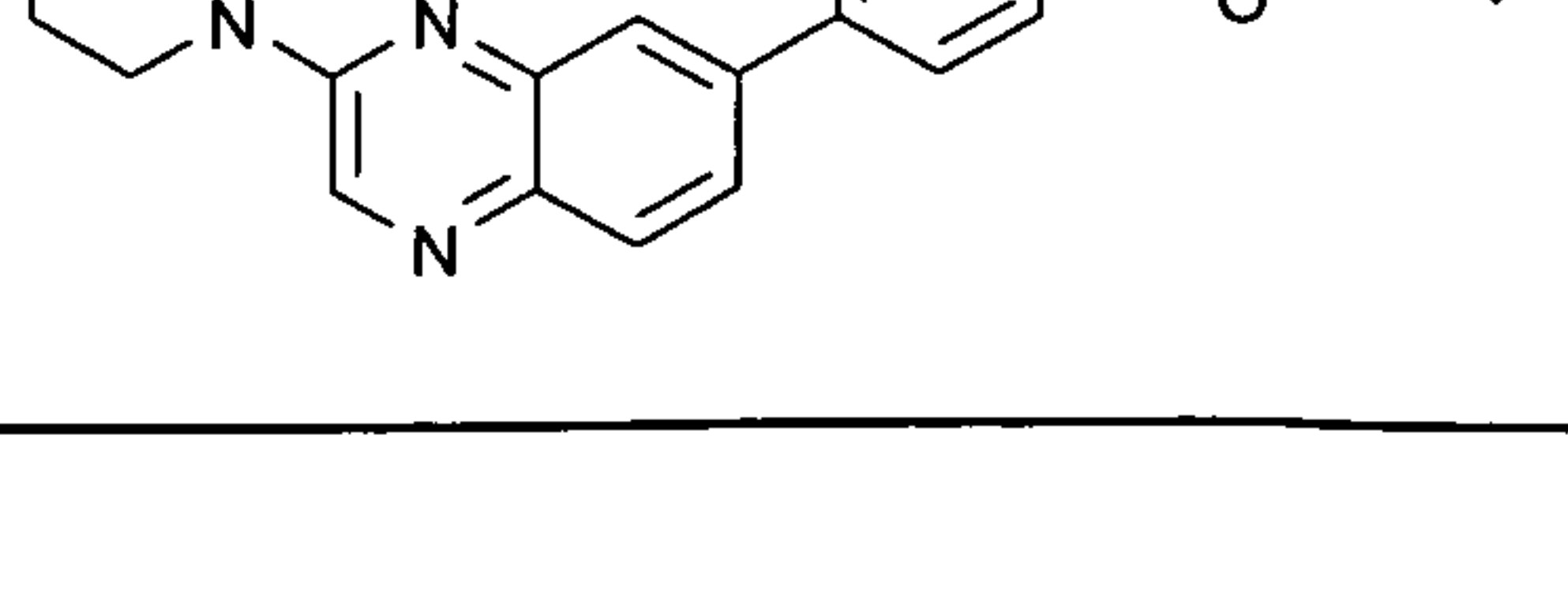


- 5 0.1 mmol intermediate example 4.1 (1.0 mL, 0.1 M in NMP), 0.2 mmol succinamic acid (0.4 mL, 0.5 M in NMP, 2 eq), 0.2 mmol HATU (0.4 mL, 0.5 M in NMP, 2 eq) and 0.4 mmol NMM (0.5 mL, 0.8 M in NMP, 4 eq) were combined, shaken at rt for 24 h and subjected to preparative HPLC to give 6.4 mg N-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-succinamide (16 %): ¹H-NMR (300
- 10 MHz, d₆-DMSO): δ = 10.05 (1H, s), 8.76 (1H, s), 7.84 (1H, d), 7.79 (1H, s), 7.75 - 7.67 (5H, m), 7.32 (1H, s), 6.75 (1H, s), 3.73 (8H, bs), 2.53 (2H, tr), 2.38 (2H,

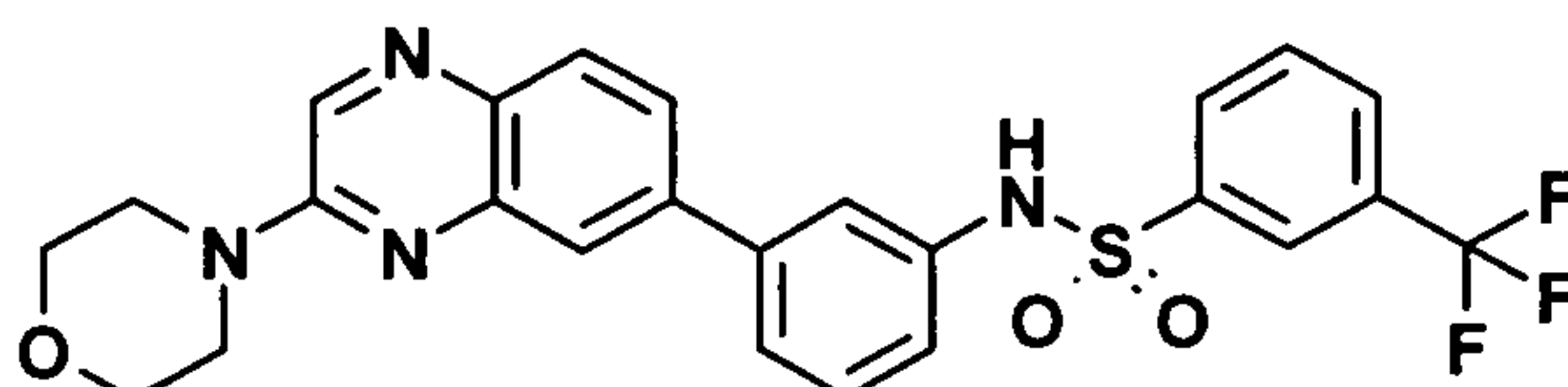
tr) ppm; UPLC-MS: RT = 0.86 min; m/z (ES+) 406.5 [MH⁺]; required MW = 405.5.

The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or
5 observed mass peak were collected using LC-MS Method A]:

Example	Structure	Name	Analytical Data
4.2		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}cyclohexanecarboxamide	RT = 1.31 MW _{found} = 417.5 MW _{calc} = 416.5
4.3		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.22 MW _{found} = 425.5 MW _{calc} = 424.5
4.4		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}benzamide	RT = 1.22 MW _{found} = 411.5 MW _{calc} = 410.5
4.5		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}cyclopropanecarboxamide	RT = 1.09 MW _{found} = 375.4 MW _{calc} = 374.4
4.6		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}pyridine-2-carboxamide	RT = 1.84 MW _{found} = 412.5 MW _{calc} = 411.5
4.7		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-1H-indole-2-carboxamide	RT = 1.27 MW _{found} = 450.5 MW _{calc} = 449.5

4.8		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}furan-2-carboxamide	RT = 1.12 MW _{found} = 401.4 MW _{calc} = 400.4
4.9		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}but-2-ynamide	RT = 1.08 MW _{found} = 373.4 MW _{calc} = 372.4
4.10		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}propanamide	RT = 1.05 MW _{found} = 363.4 MW _{calc} = 362.4
4.11		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}cyclopentanecarboxamide	RT = 1.25 MW _{found} = 403.5 MW _{calc} = 402.5
4.12		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-(trifluoromethyl)benzamide	RT = 1.37 MW _{found} = 479.5 MW _{calc} = 478.5
4.13		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-2-[3-(trifluoromethyl)phenyl]acetamide	RT = 1.34 MW _{found} = 493.5 MW _{calc} = 492.5
4.14		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-[3-(trifluoromethyl)phenyl]propanamide	RT = 1.37 MW _{found} = 507.5 MW _{calc} = 506.5
4.15		2-cyclopropyl-N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.14 MW _{found} = 389.5 MW _{calc} = 388.5

Example 5.1: Preparation of N-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-3-trifluoromethyl-benzenesulfonamide

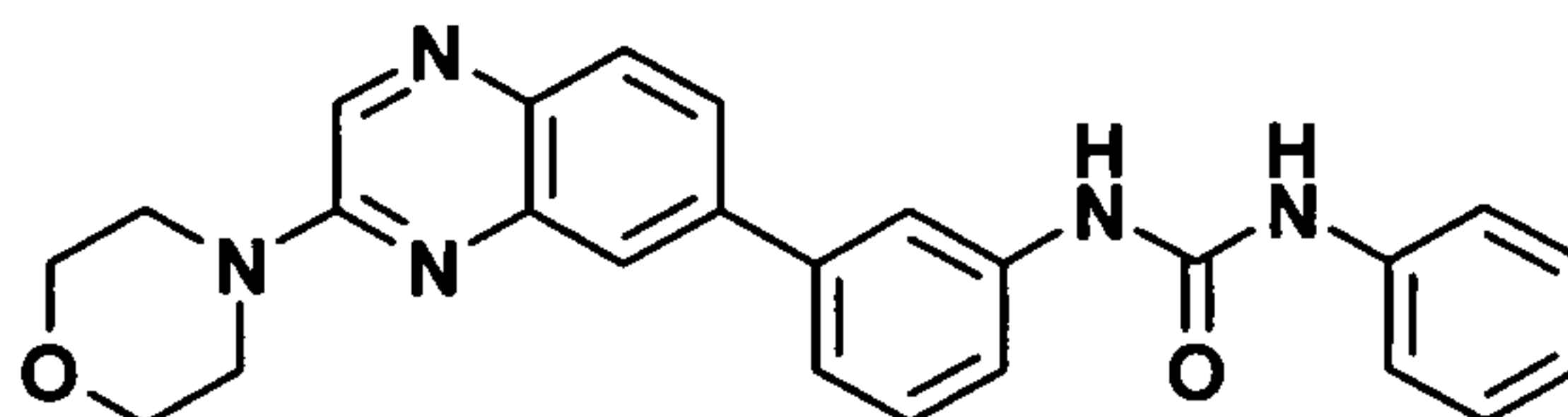


- 5 0.1 mmol intermediate example 4.1 (1.0 mL, 0.1 M in NMP), 0.2 mmol 3-Trifluoromethyl-benzenesulfonyl chloride (0.4 mL, 0.5 M in NMP, 2 eq) and 0.4 mmol NMM (0.5 mL, 0.8 M in NMP, 4 eq) were combined, shaken at rt for 24 h and subjected to preparative HPLC to give 8.0 mg N-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-3-trifluoromethyl-benzenesulfonamide (16%): ¹H-NMR
- 10 (300 MHz, d₆-DMSO): δ = 10.05 (1H, s), 10.61 (1H, s), 8.76 (1H, s), 8.03 (3H, m), 7.81 (2H, m), 7.72 (3H, m), 7.63 (1H, d), 7.19 (2H, d), 3.72 (8H, bs) ppm; UPLC-MS: RT = 1.31 min; m/z (ES+) 515.5 [MH⁺]; required MW = 514.5.

The following compound example was prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

Example	Structure	Name	Analytical Data
5.2		N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}benzenesulfonamide	RT = 1.18 MW _{found} = 447.5 MW _{calc} = 446.5

Example 6.1: Preparation of 1-methyl-3-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-urea



- 5 0.1 mmol intermediate example 4.1 (1.0 mL, 0.1 M in NMP), 0.2 mmol isocyanato-benzene (0.4 mL, 0.5 M in NMP, 2 eq) and 0.4 mmol NMM (0.5 mL, 0.8 M in NMP, 4 eq) were combined, shaken at rt for 24 h and subjected to preparative HPLC to give 7.0 mg (17%) 1-methyl-3-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-urea: $^1\text{H-NMR}$ (300 MHz, $\text{d}_6\text{-DMSO}$): δ = 8.81 (1H, s), 8.76 (1H, s), 8.70 (1H, s), 7.84 (1H, d), 7.79 (1H, s), 7.76 - 7.69 (3H, m), 7.56 (1H, d), 7.49 - 7.37 (3H, m), 7.27 (1H, d), 7.25 (1H, d), 6.95 (1H, tr), 3.73 (8H, bs) ppm; UPLC-MS: RT = 1.20 min; m/z (ES⁺) 426.5 [MH^+]; required MW = 425.5.
- 10

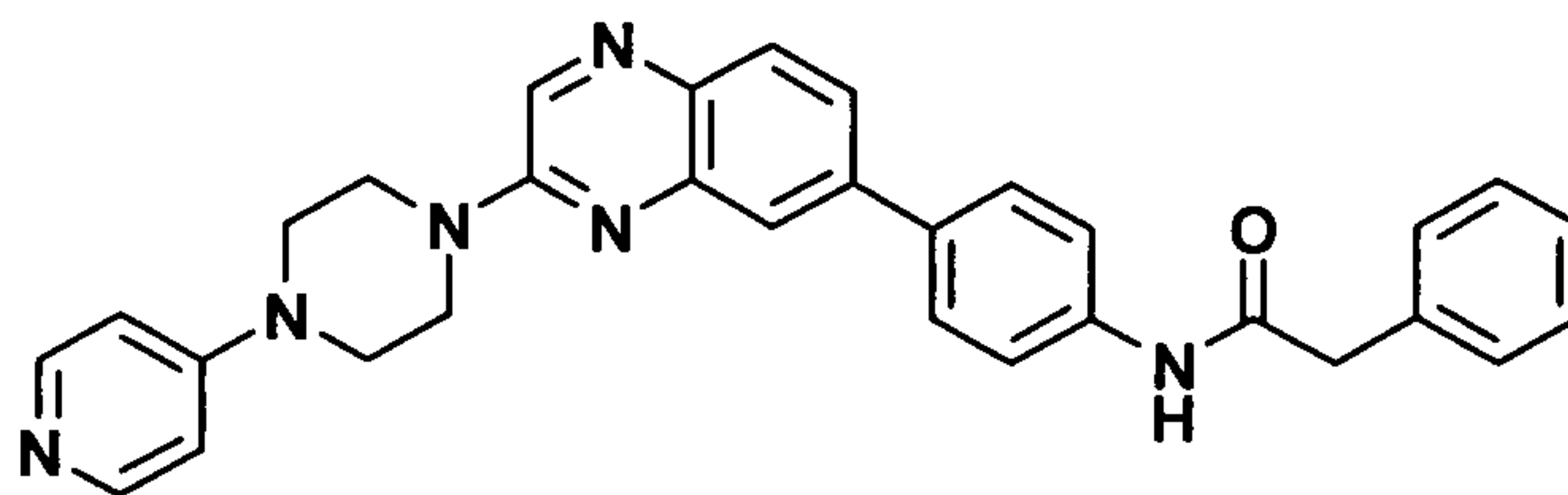
The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

15

Example	Structure	Name	Analytical Data
6.2		1-methyl-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea	RT = 0.93 MW _{found} = 364.4 MW _{calc} = 363.4
6.3		1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-[3-(trifluoromethyl)phenyl]urea	RT = 1.36 MW _{found} = 494.5 MW _{calc} = 493.5

6.4		1-(2-fluorophenyl)-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea	RT = 1.25 MW _{found} = 444.5 MW _{calc} = 443.5
6.5		1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-[4-(trifluoromethyl)phenyl]urea	RT = 1.36 MW _{found} = 494.5 MW _{calc} = 493.5
6.6		1-benzyl-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea	RT = 1.17 MW _{found} = 440.5 MW _{calc} = 439.5
6.7		1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-(2-phenylethyl)urea	RT = 1.21 MW _{found} = 454.5 MW _{calc} = 453.5
6.8		1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-pyridin-3-ylurea	RT = 0.82 MW _{found} = 427.5 MW _{calc} = 426.5
6.9		1-ethyl-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea	RT = 1.00 MW _{found} = 378.4 MW _{calc} = 377.4
6.10		1-[2-fluoro-5-(trifluoromethyl)phenyl]-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea	RT = 1.40 MW _{found} = 512.5 MW _{calc} = 511.5

Example 7.1 : Preparation of 2-phenyl-N-{4-[3-(4-pyridin-4-ylpiperazin-1-yl)-quinoxalin-6-yl]-phenyl}-acetamide



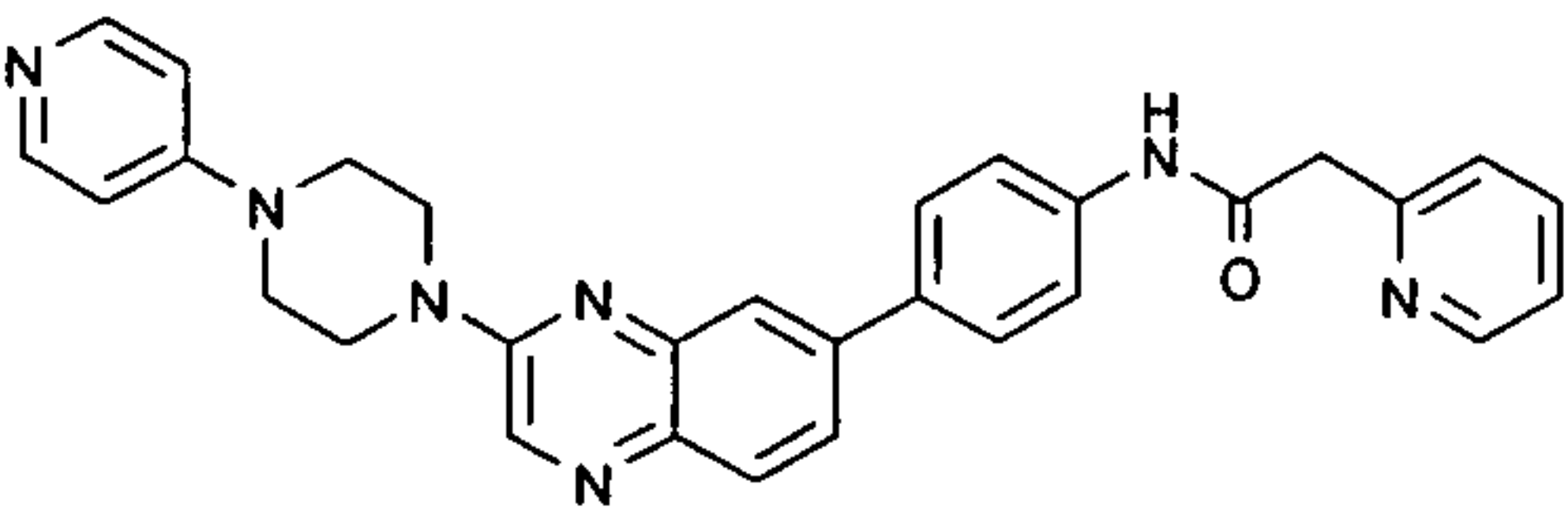
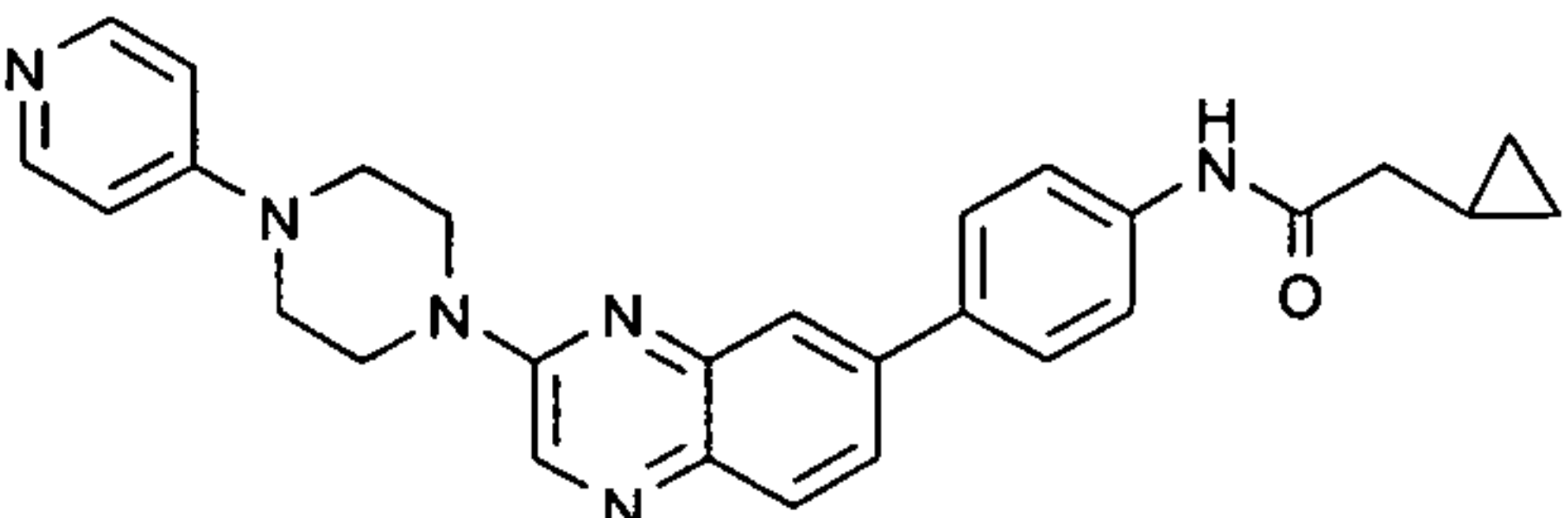
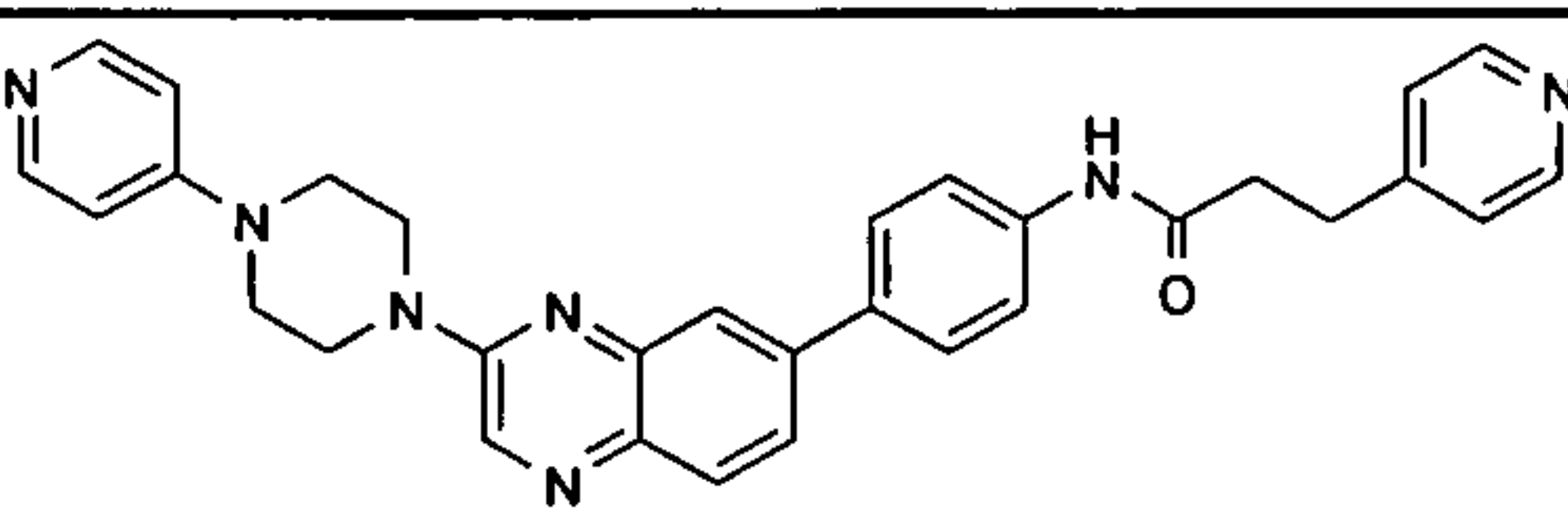
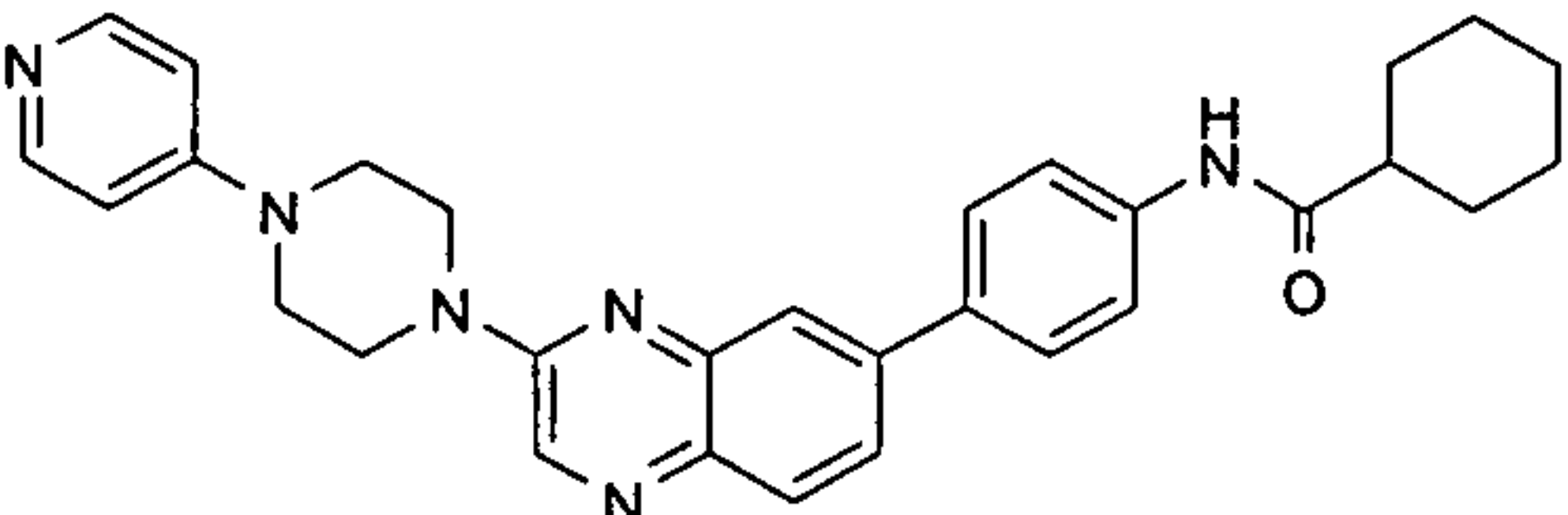
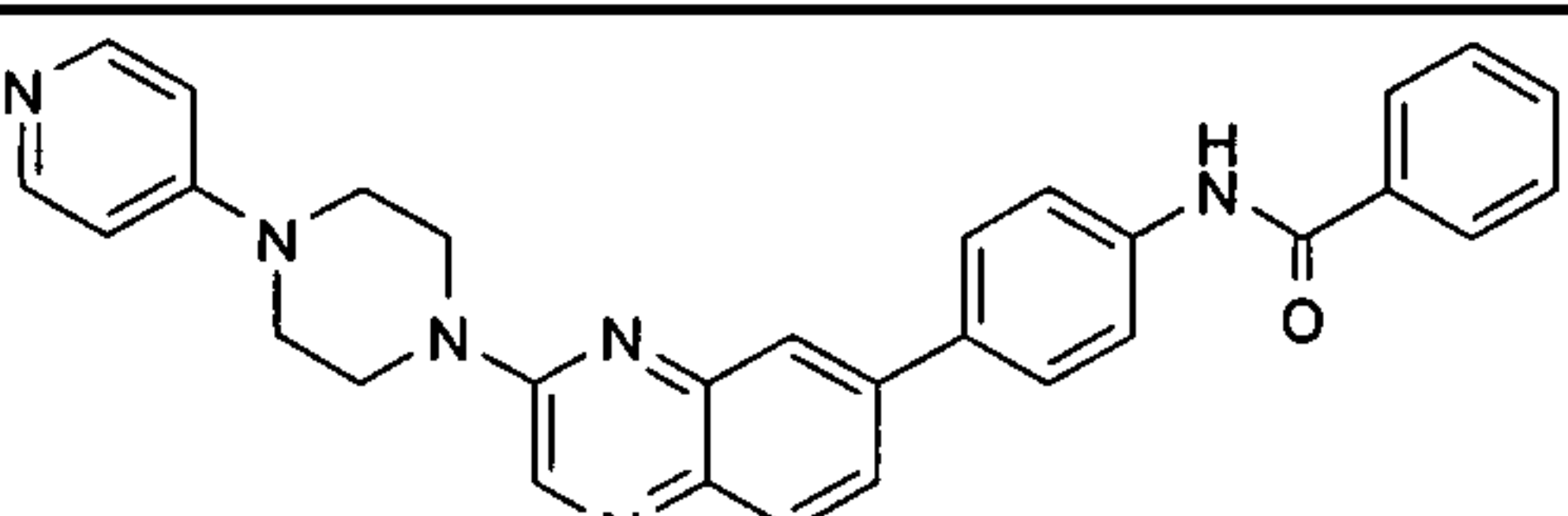
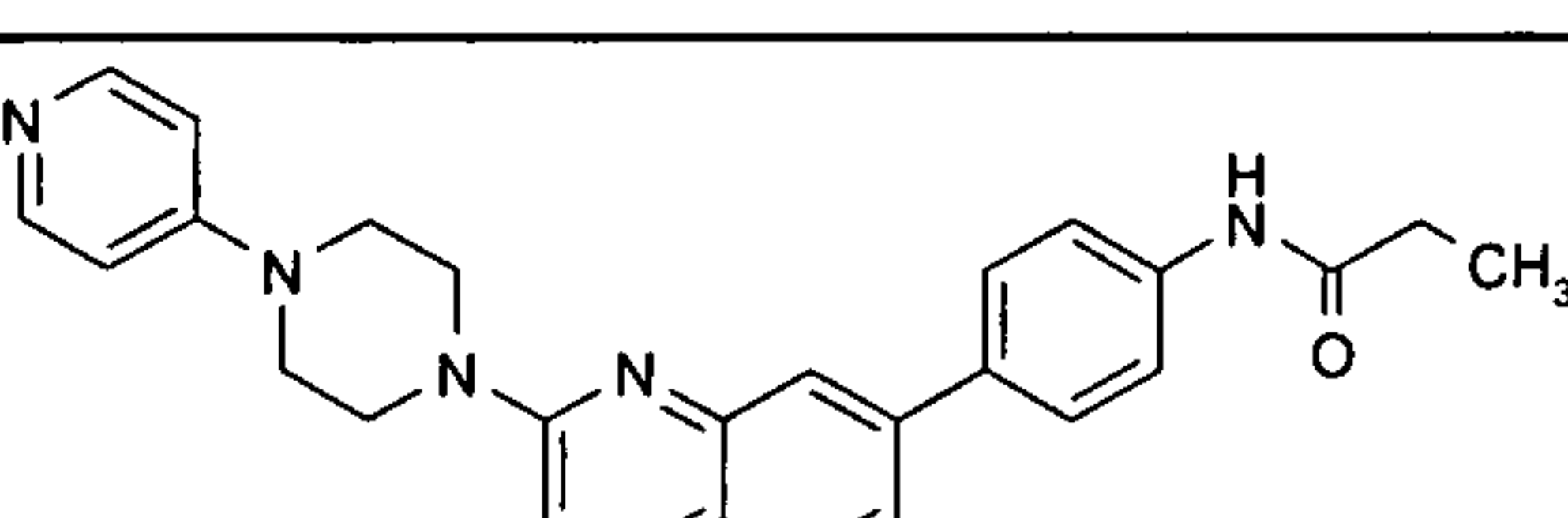
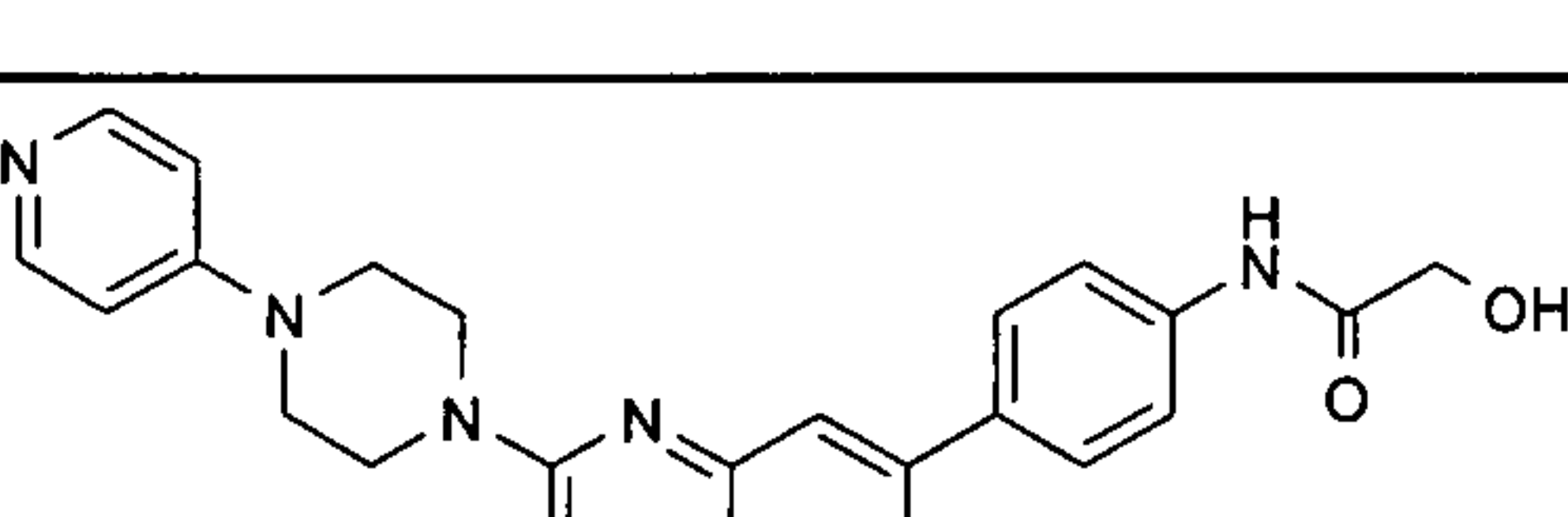
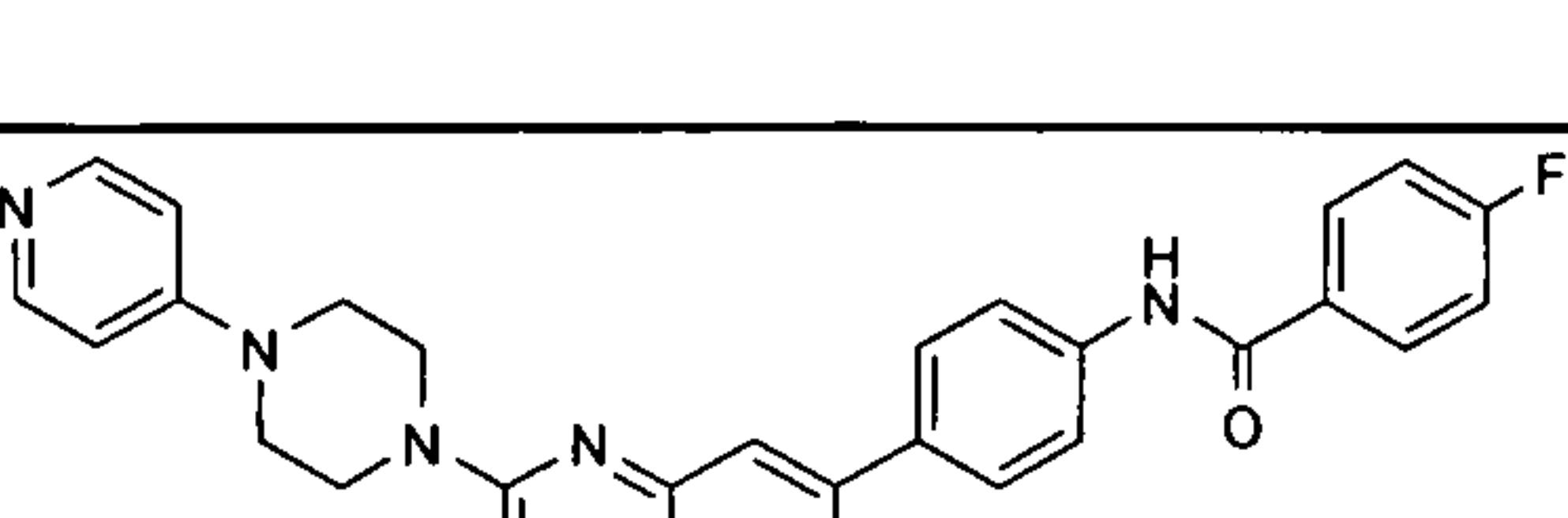
0.3 mmol intermediate example 4.2 (0.6 mL, 0.5 M in NMP), 0.9 mmol phenylacetic acid (1.8 mL, 0.5 M in NMP, 2 eq), 0.9 mmol HATU (1.3 mL, 0.7 M in NMP, 2 eq) and 1.2 mmol NMM (0.4 mL, 3 M in NMP, 4 eq) were combined, shaken at rt for 24 h and subjected to preparative HPLC to give 38.2 mg (25%)

5 2-phenyl-N-{4-[3-(4-pyridin-4-yl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-acetamide: ¹H-NMR (300 MHz, d₆-DMSO): δ = 10.29 (1H, s), 8.80 (1H, s), 8.27 (1H, d), 7.87 (1H, d), 7.82 (1H, s), 7.78 - 7.68 (5H, m), 7.34 - 7.28 (4H, m), 7.25 - 7.20 (3H, m), 3.99 (4H, m), 3.89 (4H, m), 3.65 (2H, s) ppm; UPLC-MS: RT = 0.87 min; m/z (ES+) 501.6 [MH⁺]; required MW = 500.6.

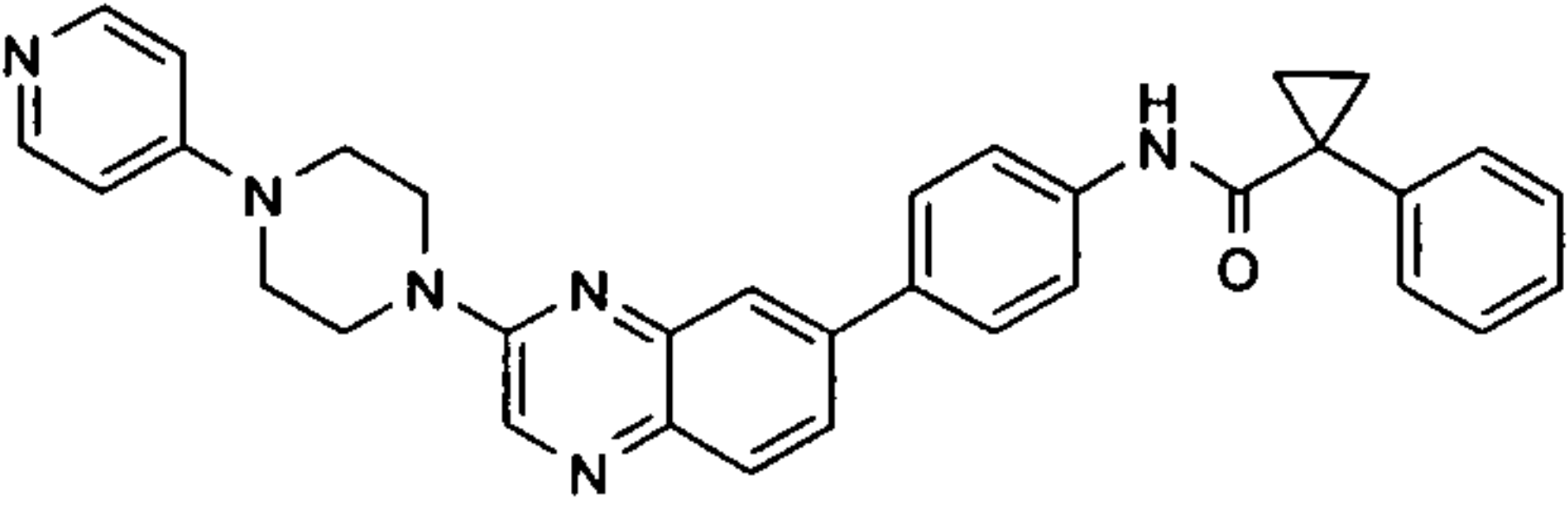
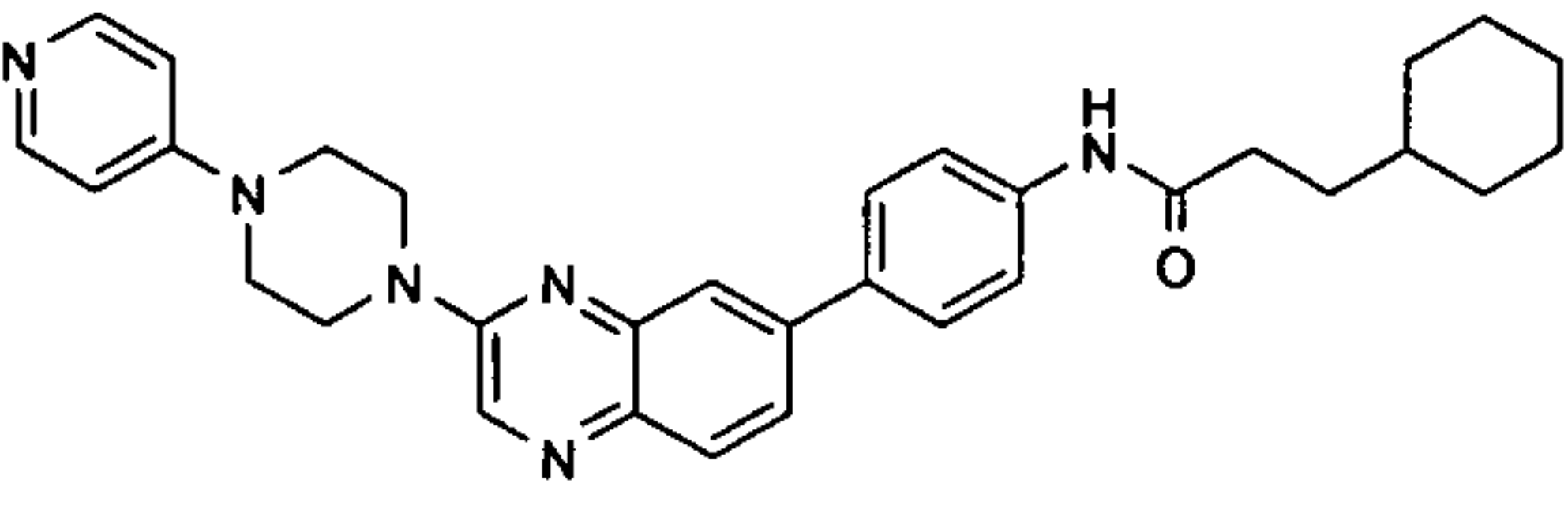
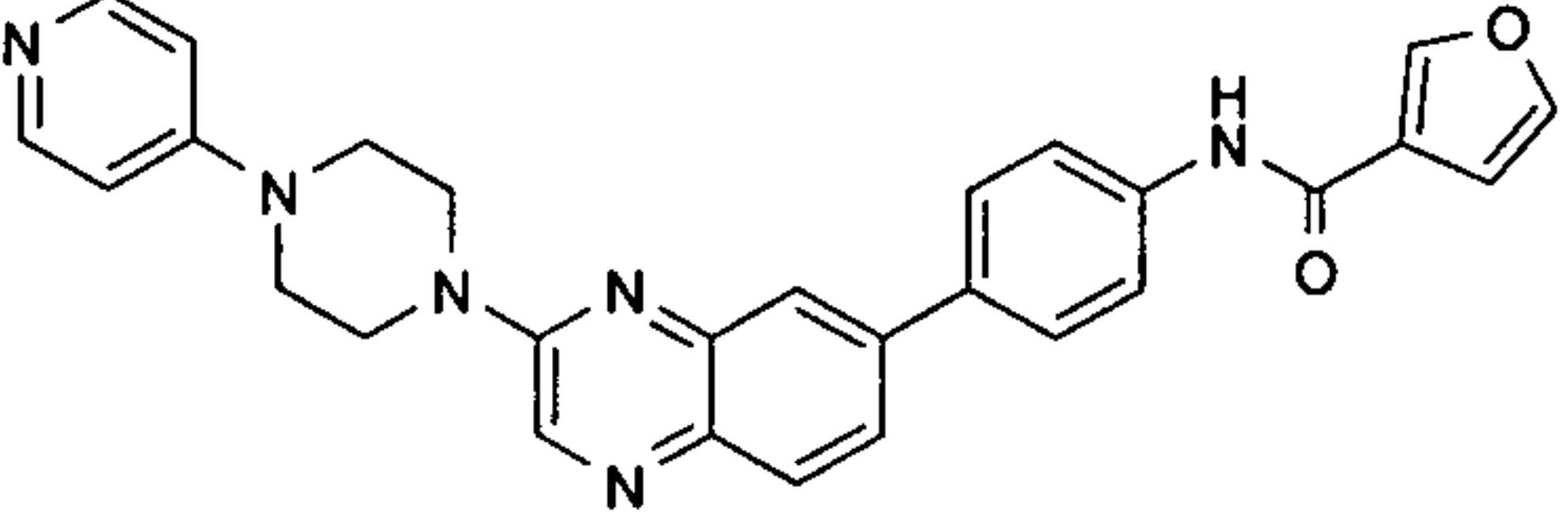
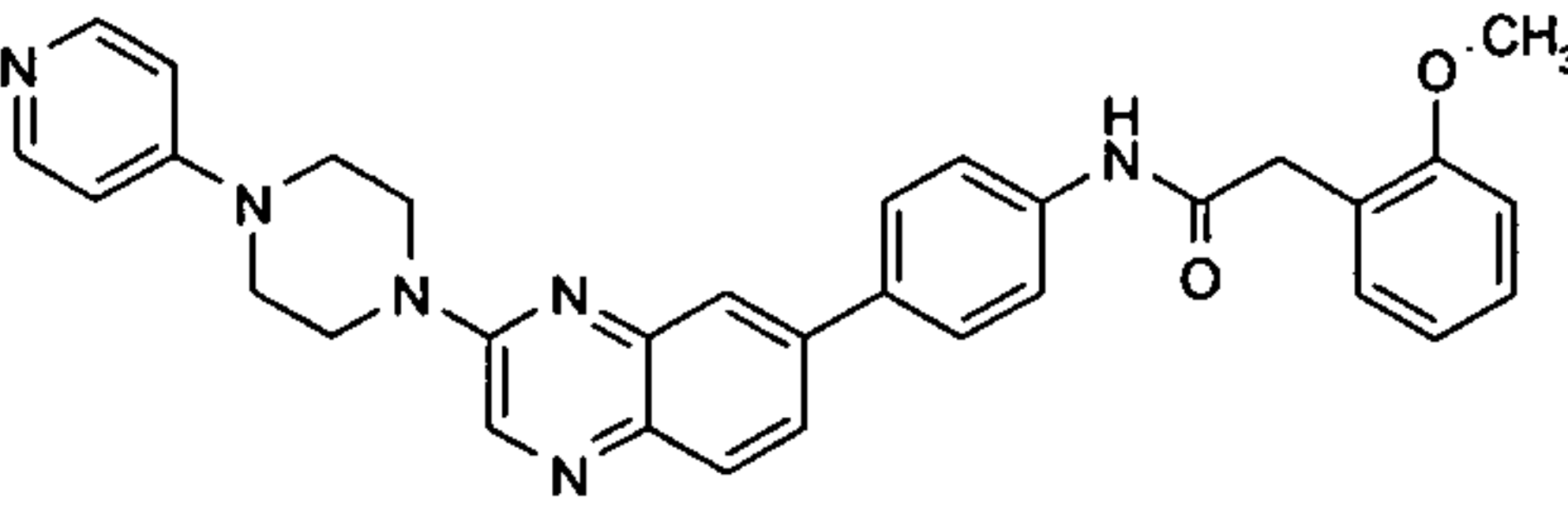
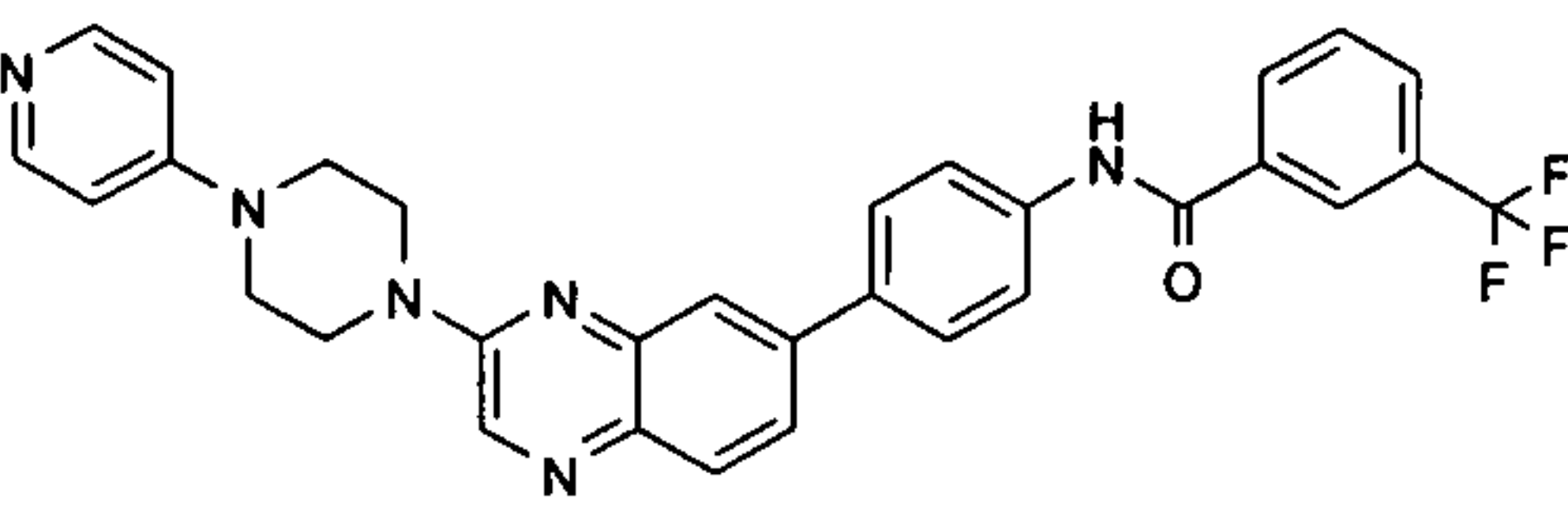
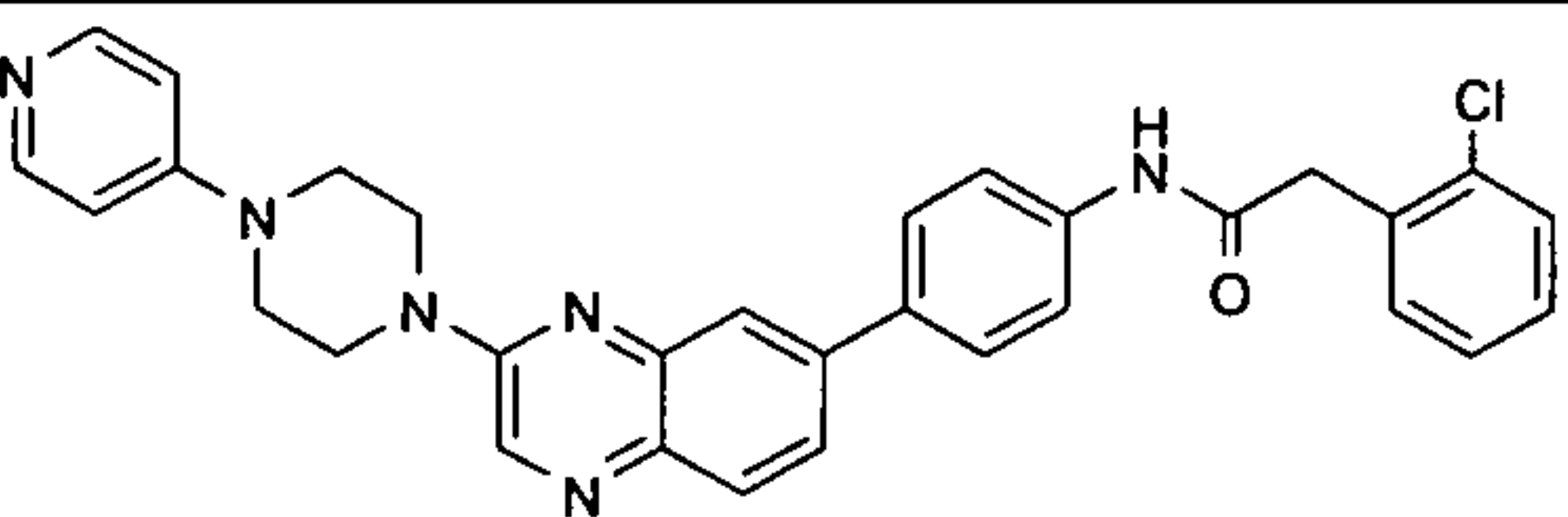
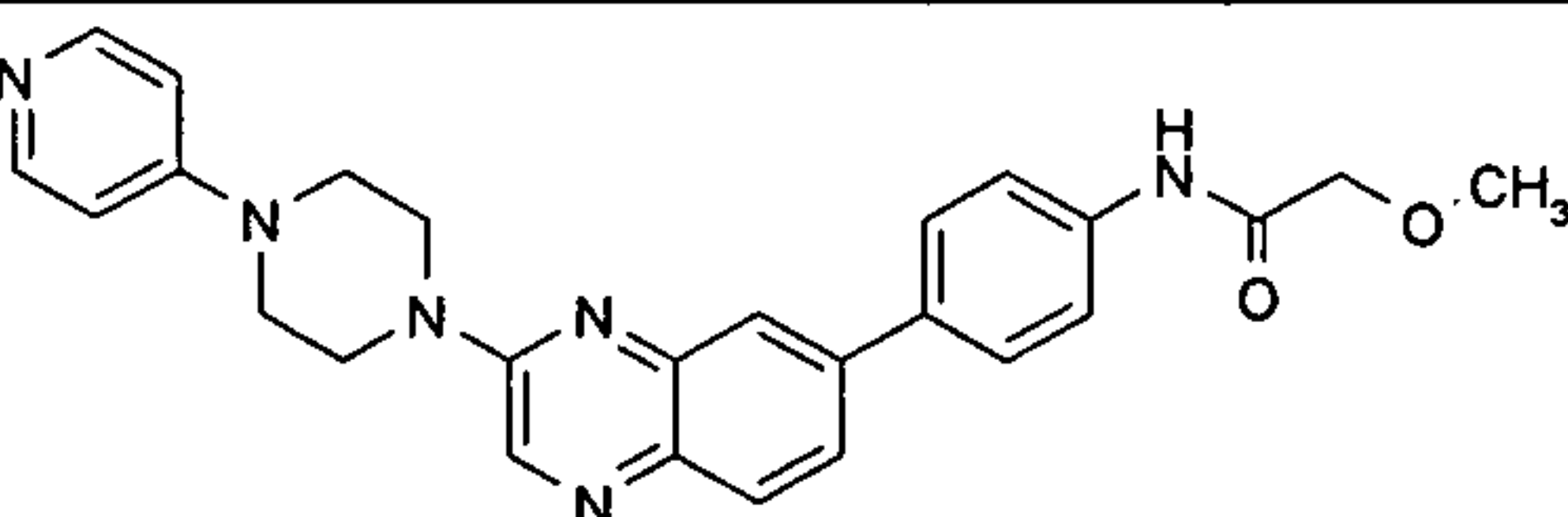
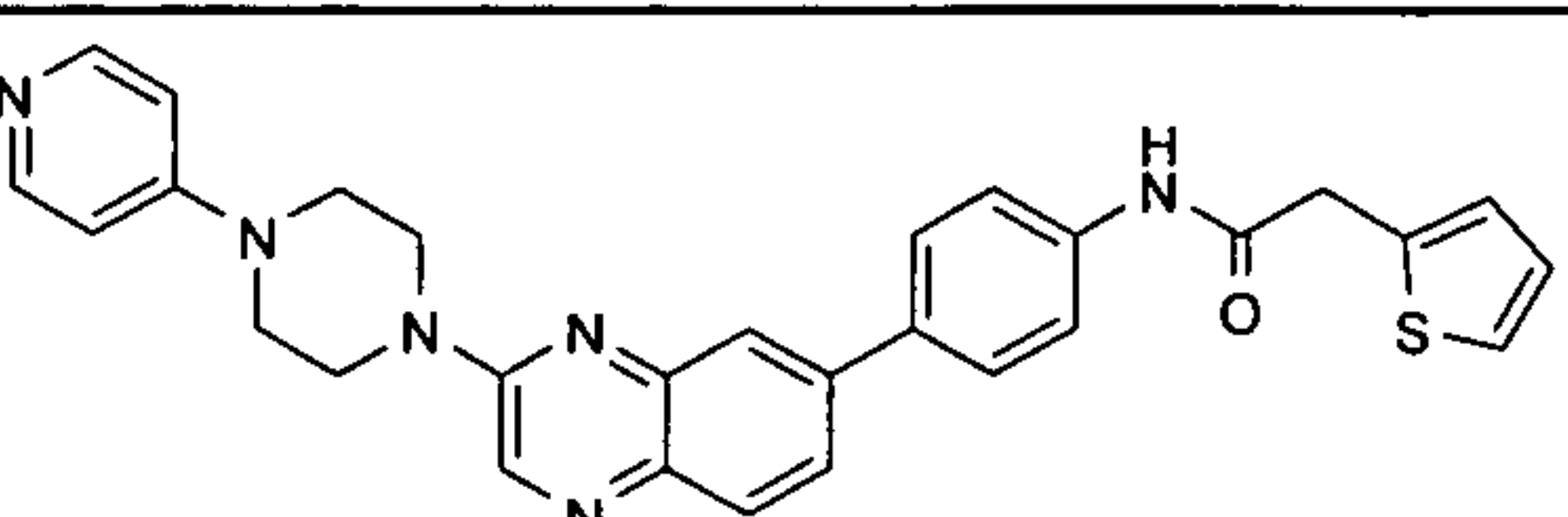
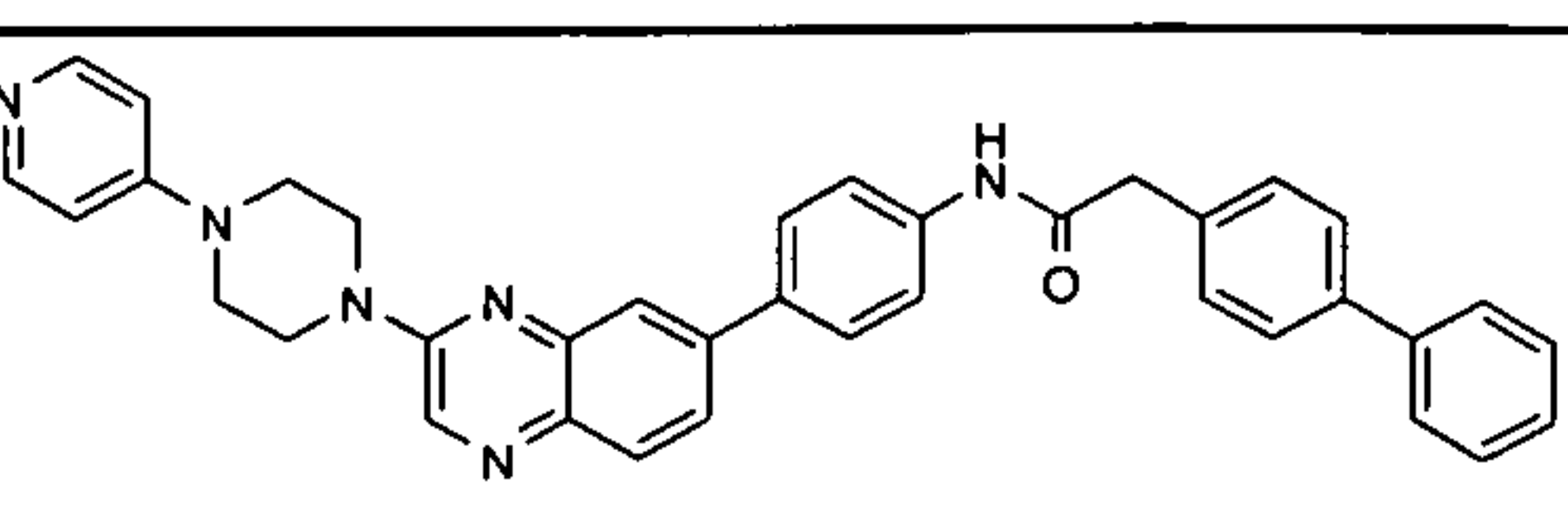
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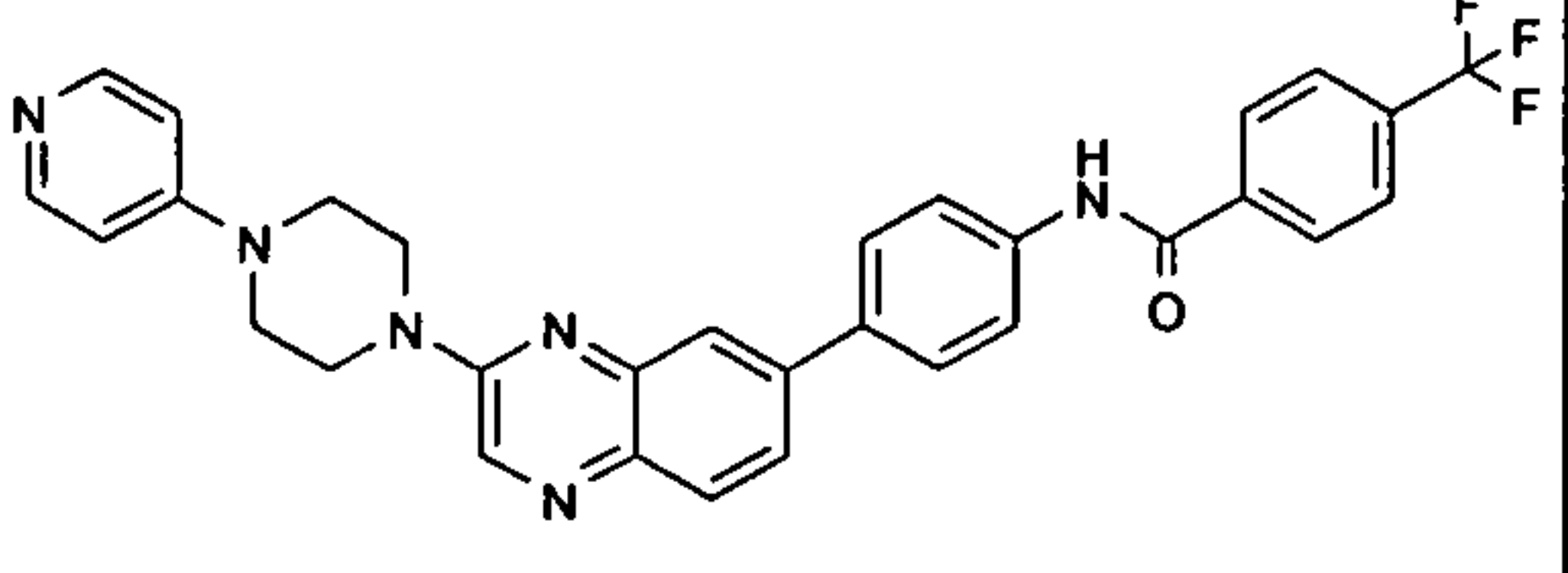
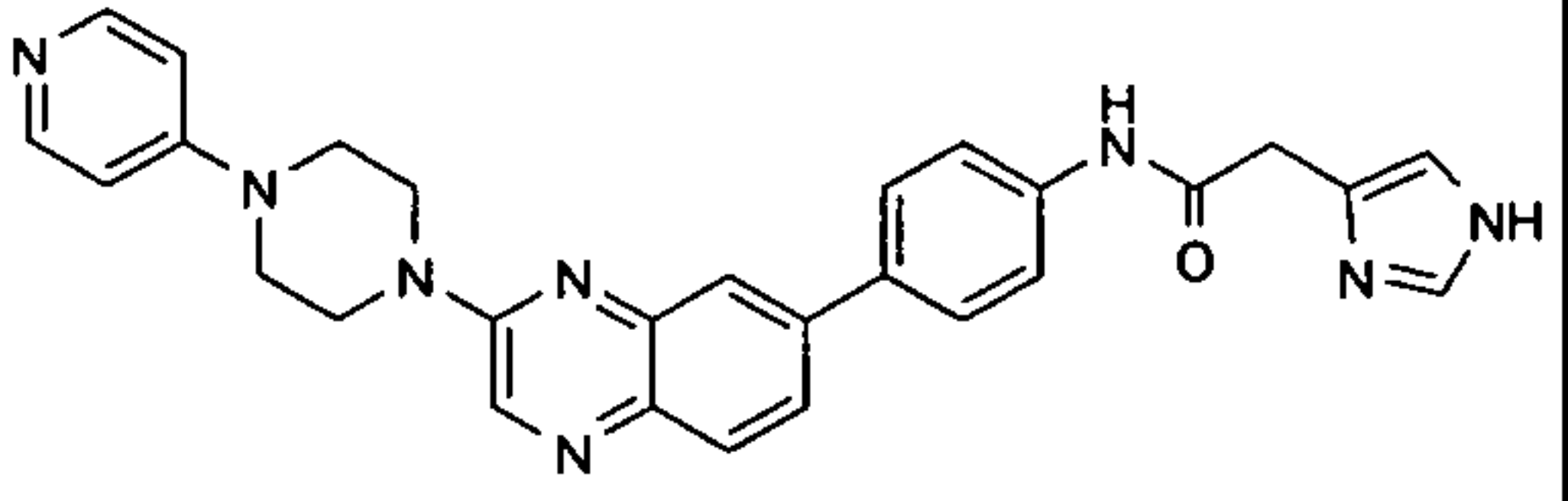
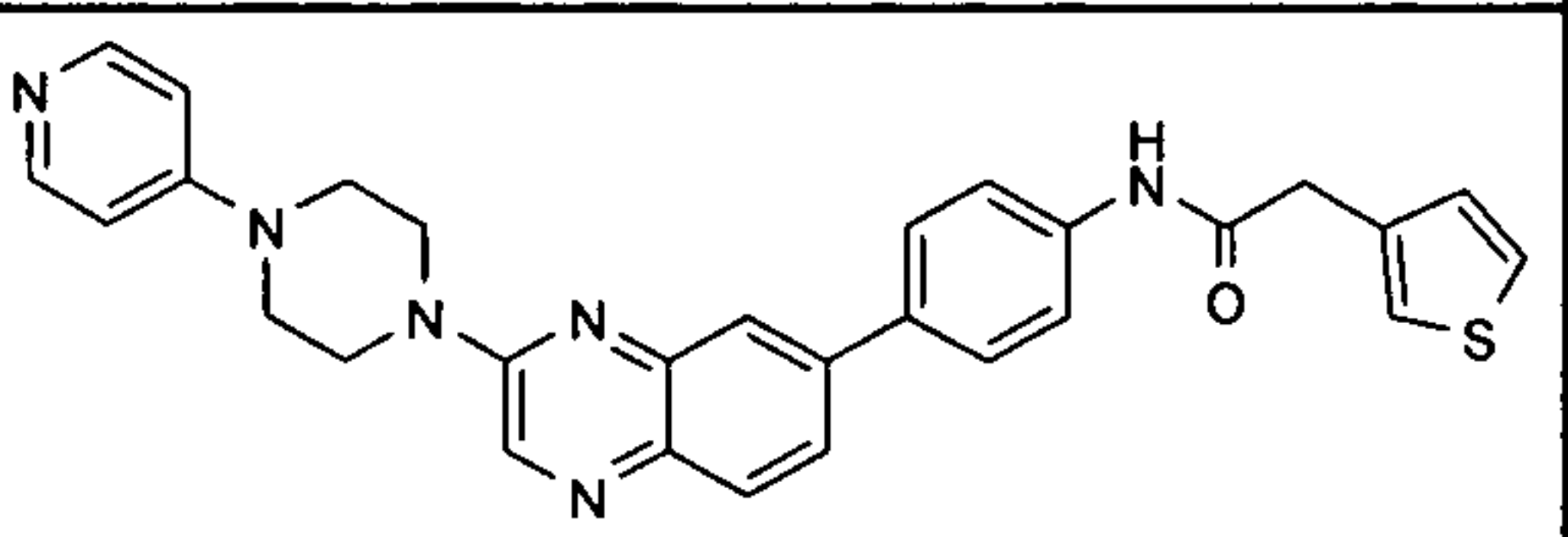
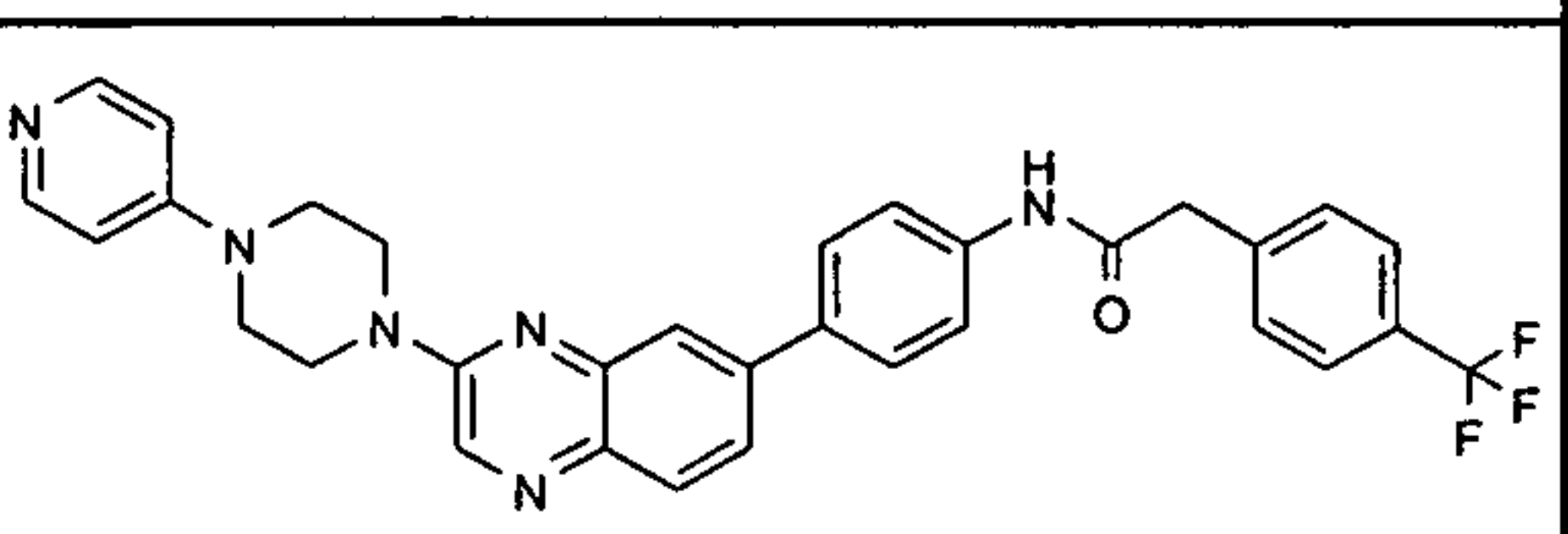
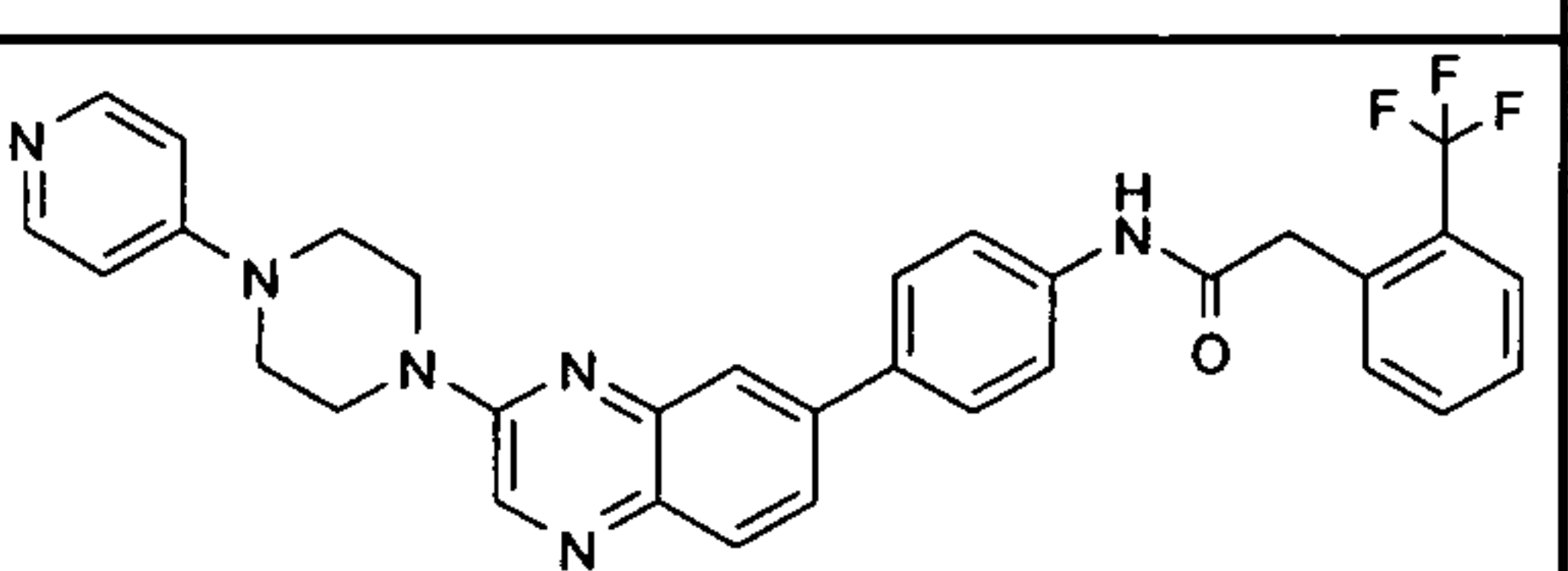
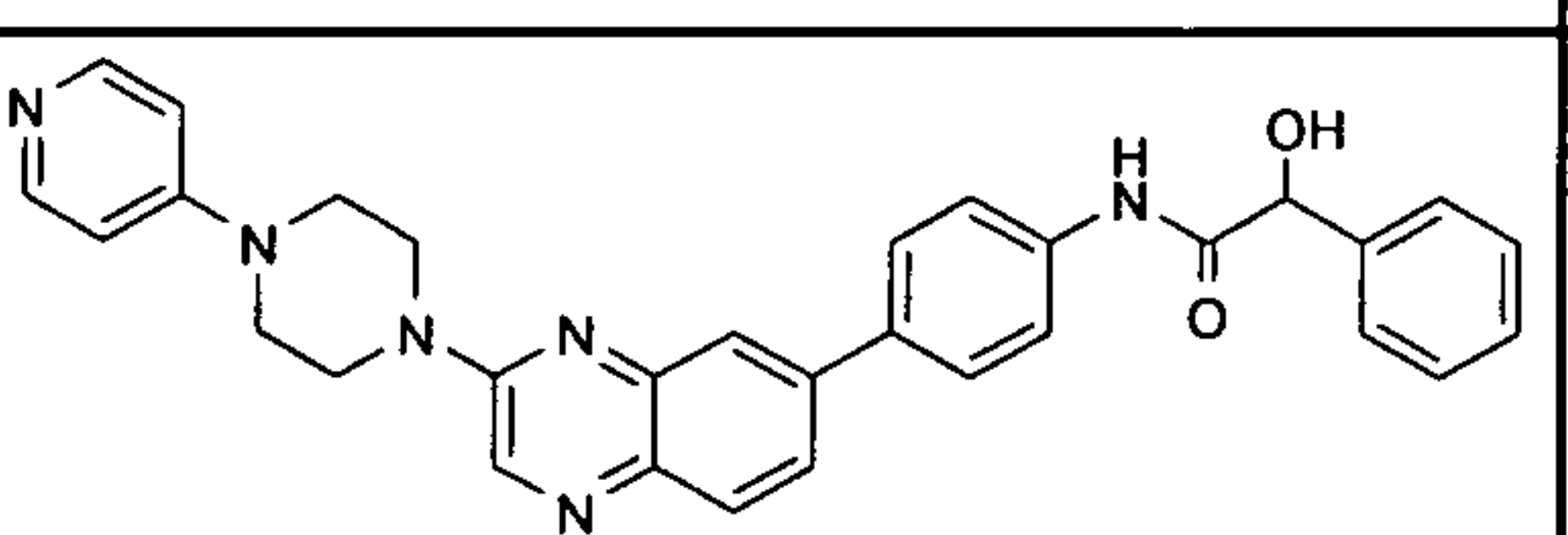
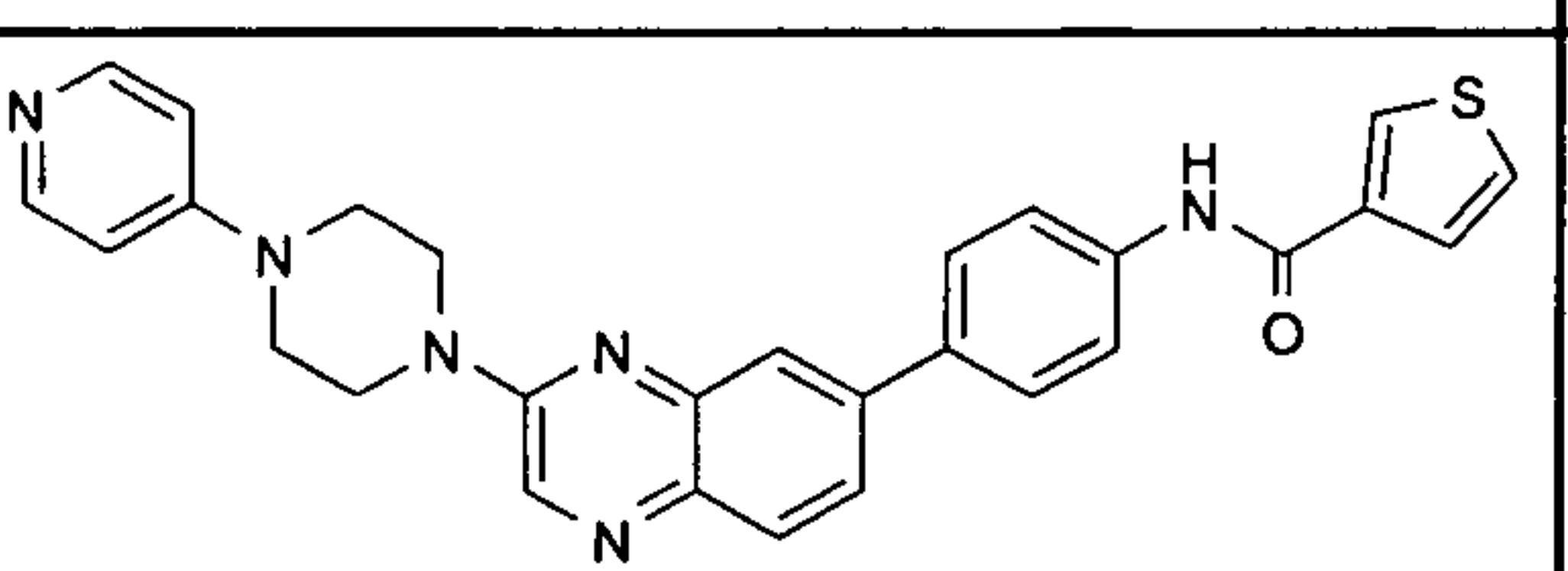
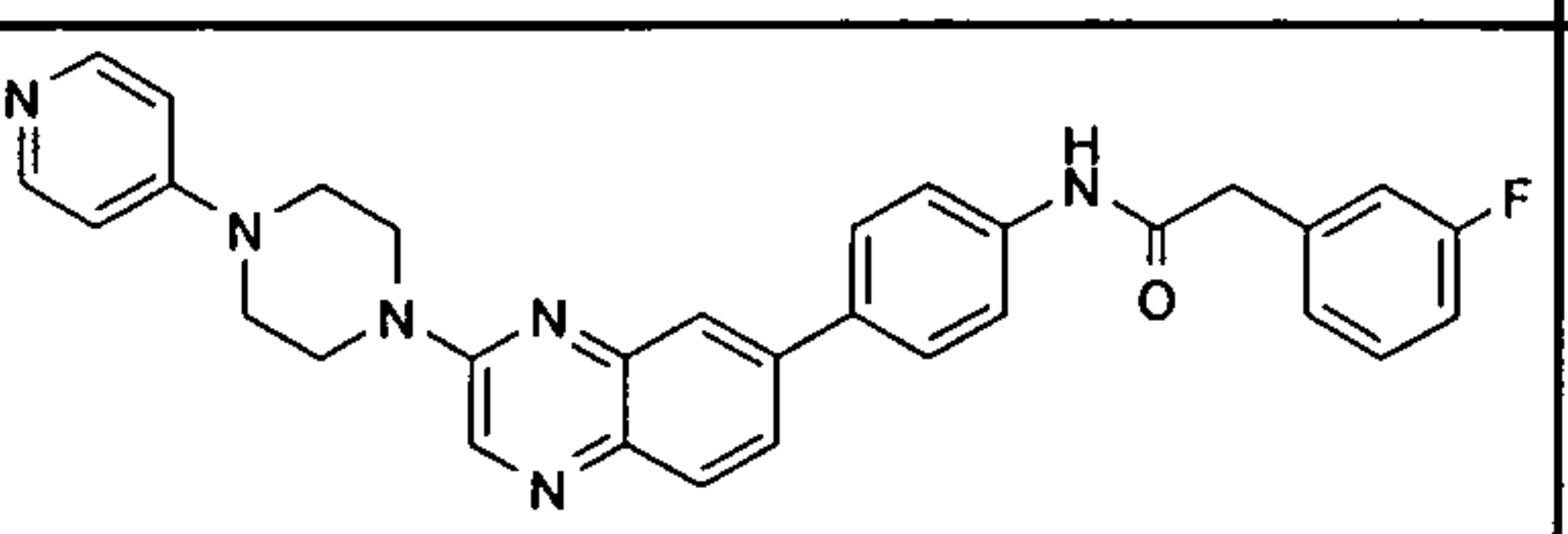
The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

Example	Structure	Name	Analytical Data
7.2		2-(2-fluorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.88 MW _{found} = 519.6 MW _{calc} = 518.6
7.3		2-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.92 MW _{found} = 515.6 MW _{calc} = 514.6
7.4		3-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.91 MW _{found} = 515.6 MW _{calc} = 514.6
7.5		2-(pyridin-3-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.62 MW _{found} = 502.6 MW _{calc} = 501.6

7.6		2-(pyridin-2-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.67 MW _{found} = 502.6 MW _{calc} = 501.6
7.7		2-cyclopropyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.81 MW _{found} = 465.6 MW _{calc} = 464.6
7.8		3-(pyridin-4-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.61 MW _{found} = 516.6 MW _{calc} = 515.6
7.9		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclohexanecarboxamide	RT = 0.91 MW _{found} = 493.6 MW _{calc} = 492.6
7.10		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.86 MW _{found} = 487.6 MW _{calc} = 486.6
7.11		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.75 MW _{found} = 439.5 MW _{calc} = 438.5
7.12		2-hydroxy-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.66 MW _{found} = 441.5 MW _{calc} = 440.5
7.13		4-fluoro-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.88 MW _{found} = 505.6 MW _{calc} = 504.6

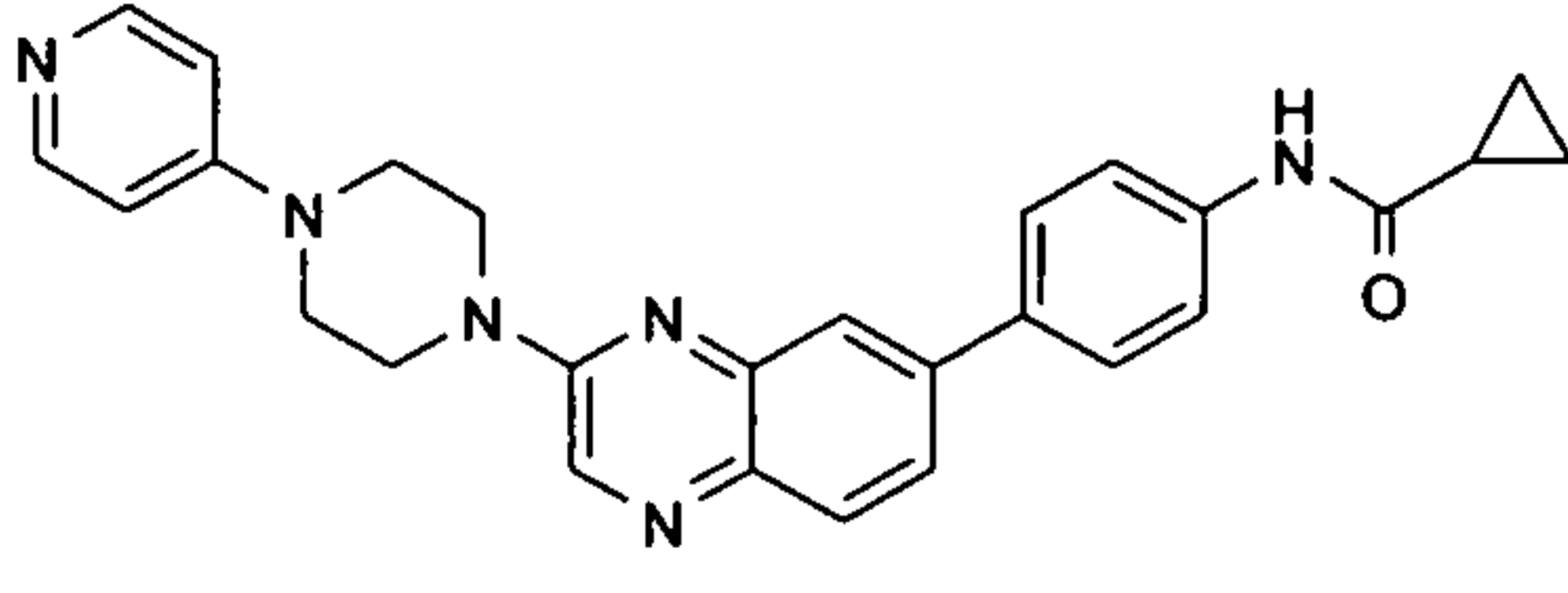
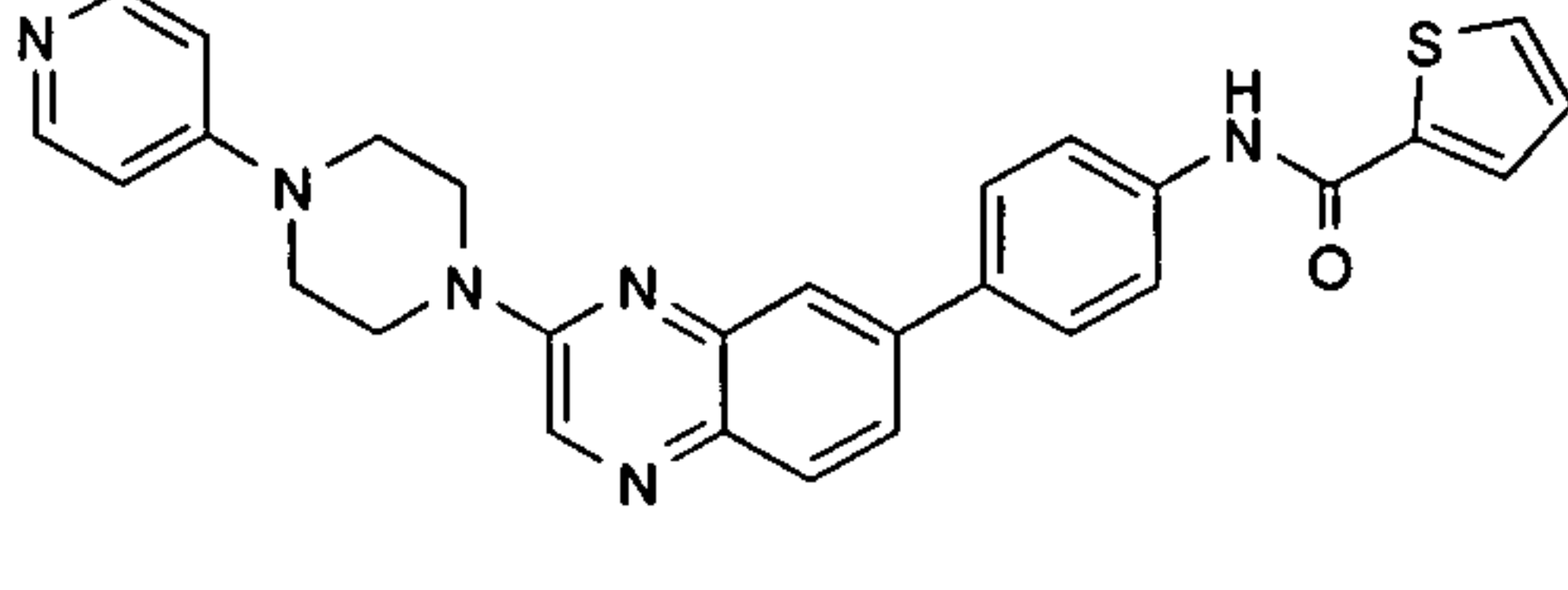
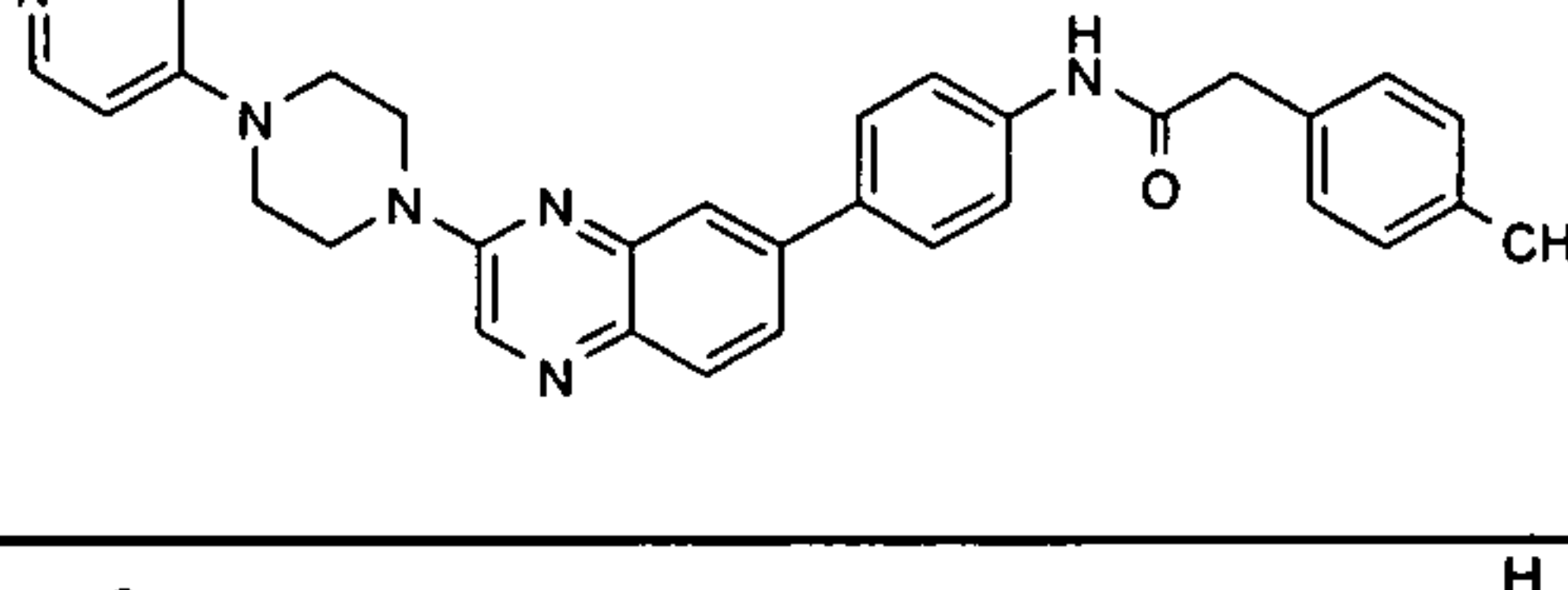
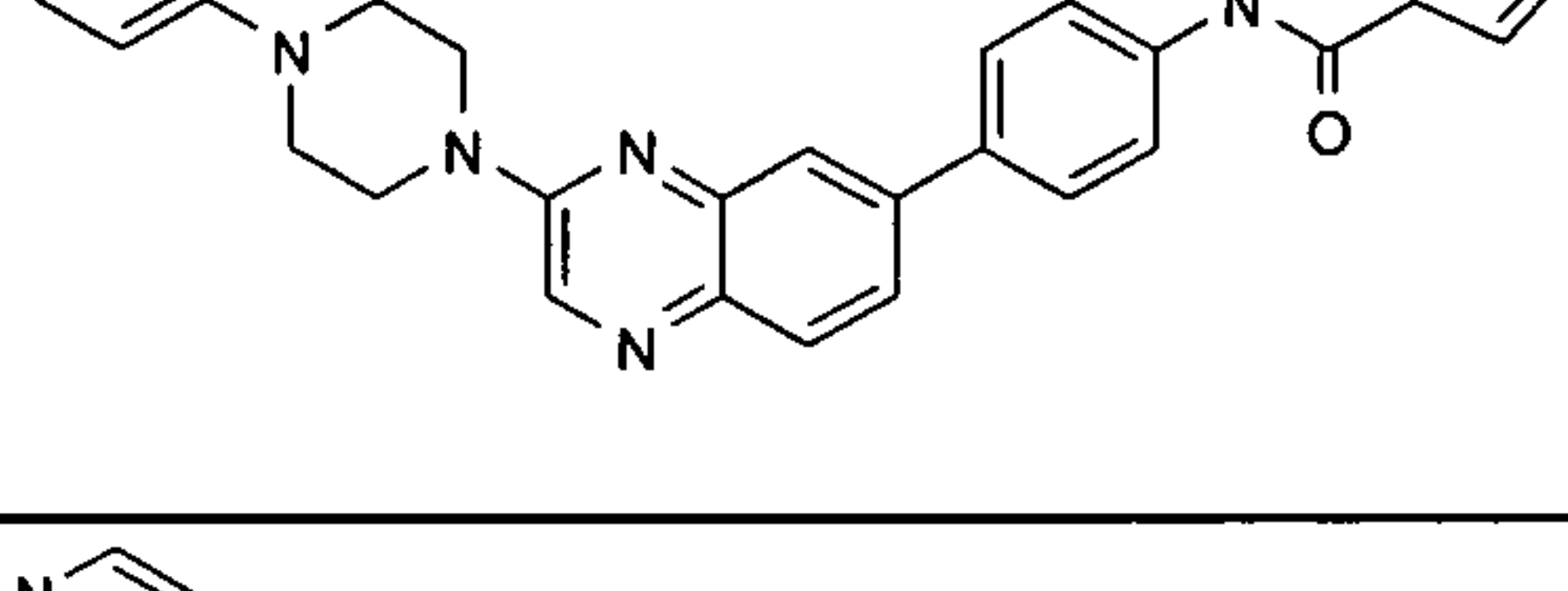
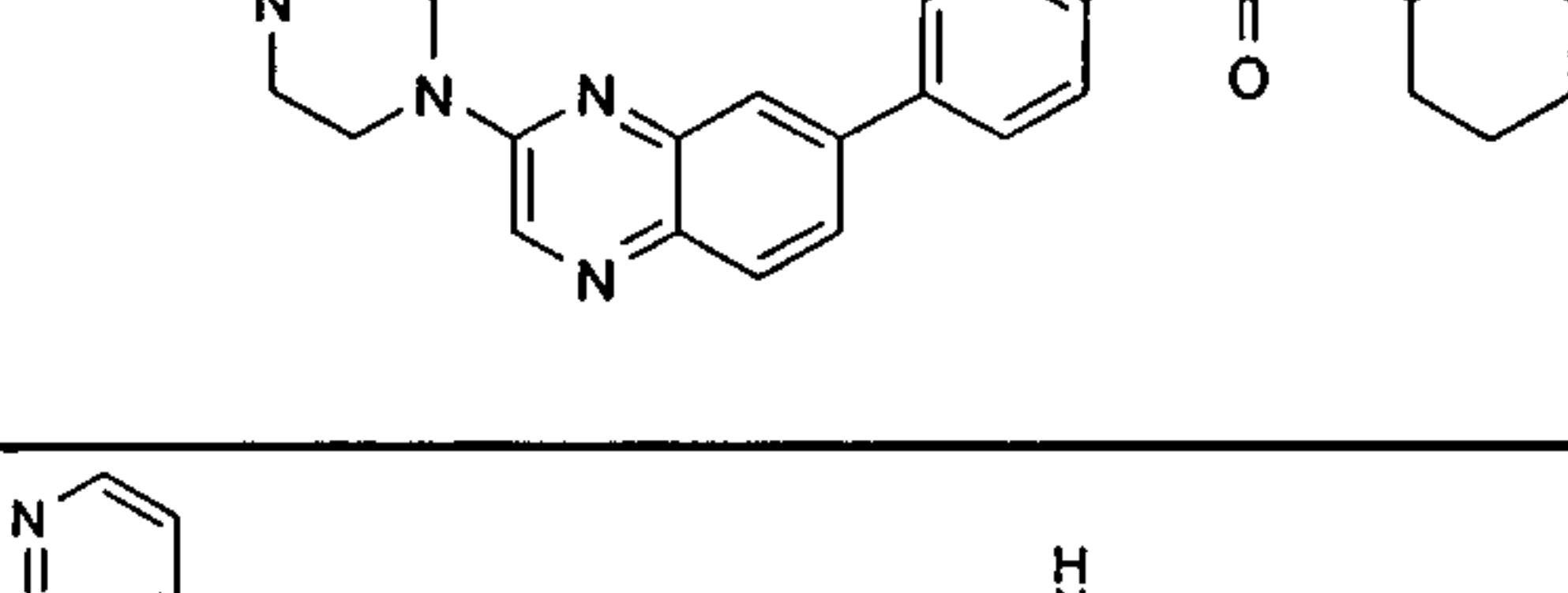
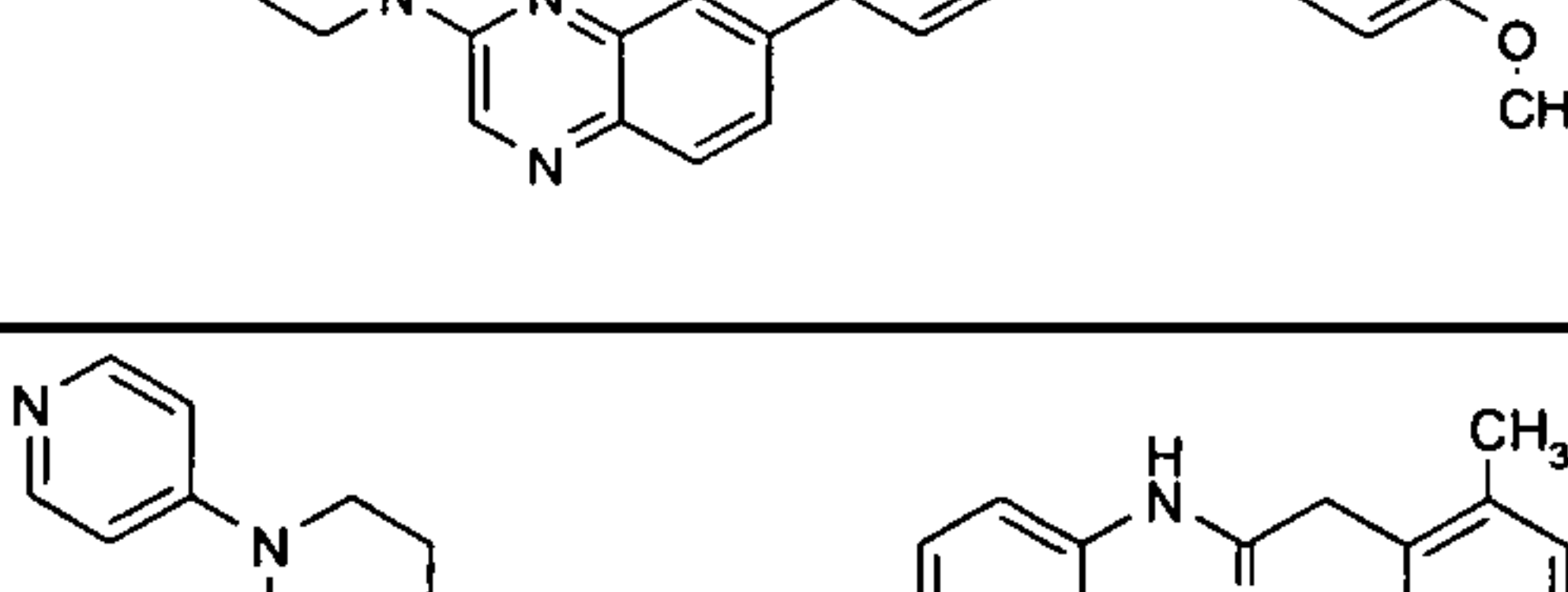
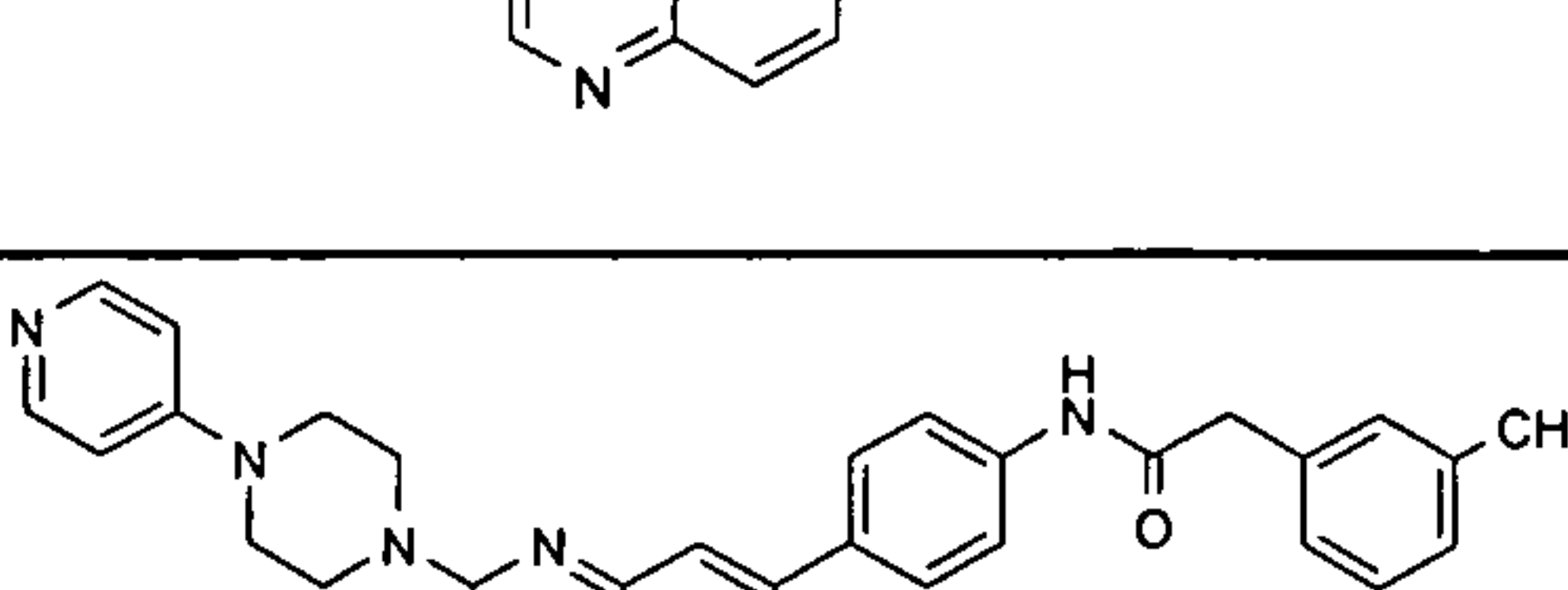
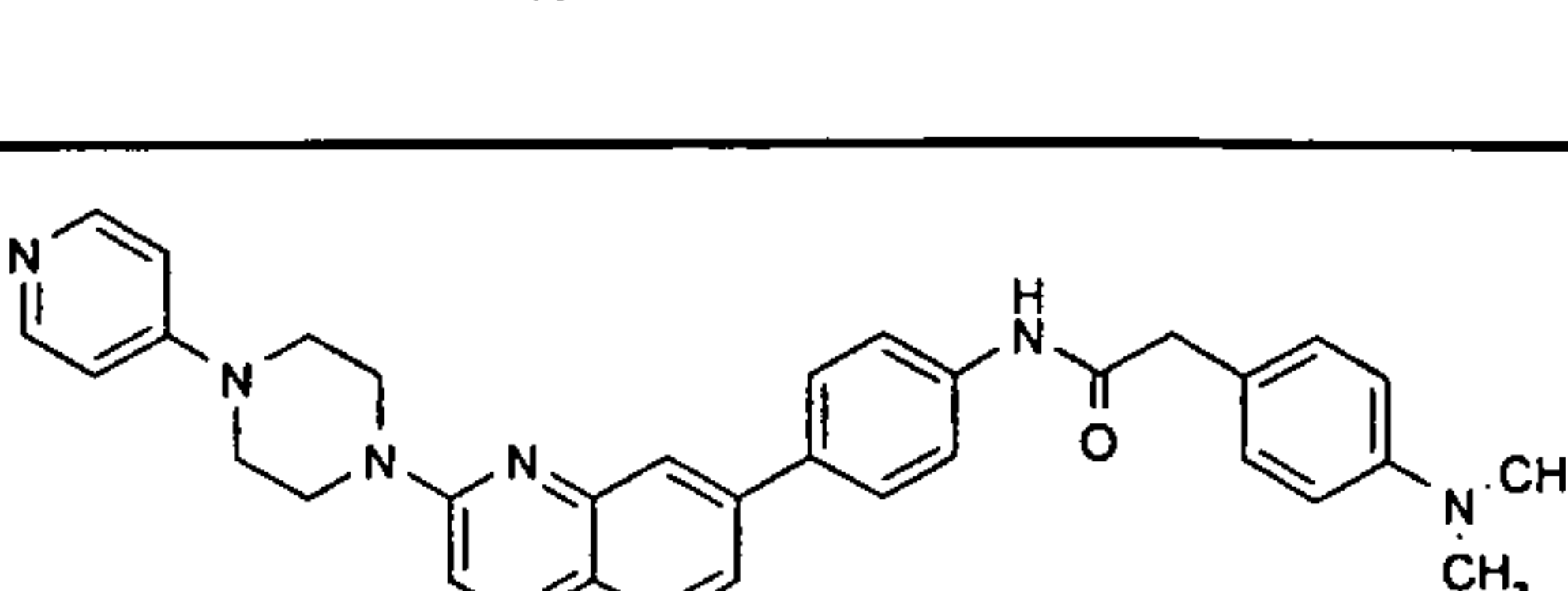
7.14		3-methyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide	RT = 0.85 MW _{found} = 467.6 MW _{calc} = 466.6
7.15		4-(acetylamino)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.77 MW _{found} = 544.6 MW _{calc} = 543.6
7.16		1-methyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-indole-2-carboxamide	RT = 0.98 MW _{found} = 540.6 MW _{calc} = 539.6
7.17		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanediamide	RT = 0.65 MW _{found} = 482.5 MW _{calc} = 481.5
7.18		2-(4-chlorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.93 MW _{found} = 536.0 MW _{calc} = 535.0
7.19		1-methyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrrole-2-carboxamide	RT = 0.86 MW _{found} = 490.6 MW _{calc} = 489.6
7.20		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide	RT = 0.91 MW _{found} = 481.6 MW _{calc} = 480.6
7.21		3-cyano-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.85 MW _{found} = 512.6 MW _{calc} = 511.6

7.22		1-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide	RT = 0.96 MW _{found} = 527.6 MW _{calc} = 526.6
7.23		3-cyclohexyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 1.02 MW _{found} = 521.7 MW _{calc} = 520.7
7.24		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)furan-3-carboxamide	RT = 0.81 MW _{found} = 477.5 MW _{calc} = 476.5
7.25		2-(2-methoxyphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.88 MW _{found} = 531.6 MW _{calc} = 530.6
7.26		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-3-(trifluoromethyl)benzamide	RT = 0.97 MW _{found} = 555.6 MW _{calc} = 554.6
7.27		2-(2-chlorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.91 MW _{found} = 536.0 MW _{calc} = 535.0
7.28		2-methoxy-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.74 MW _{found} = 455.5 MW _{calc} = 454.5
7.29		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-2-yl)acetamide	RT = 0.85 MW _{found} = 507.6 MW _{calc} = 506.6
7.30		2-(biphenyl-4-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.01 MW _{found} = 577.7 MW _{calc} = 576.7

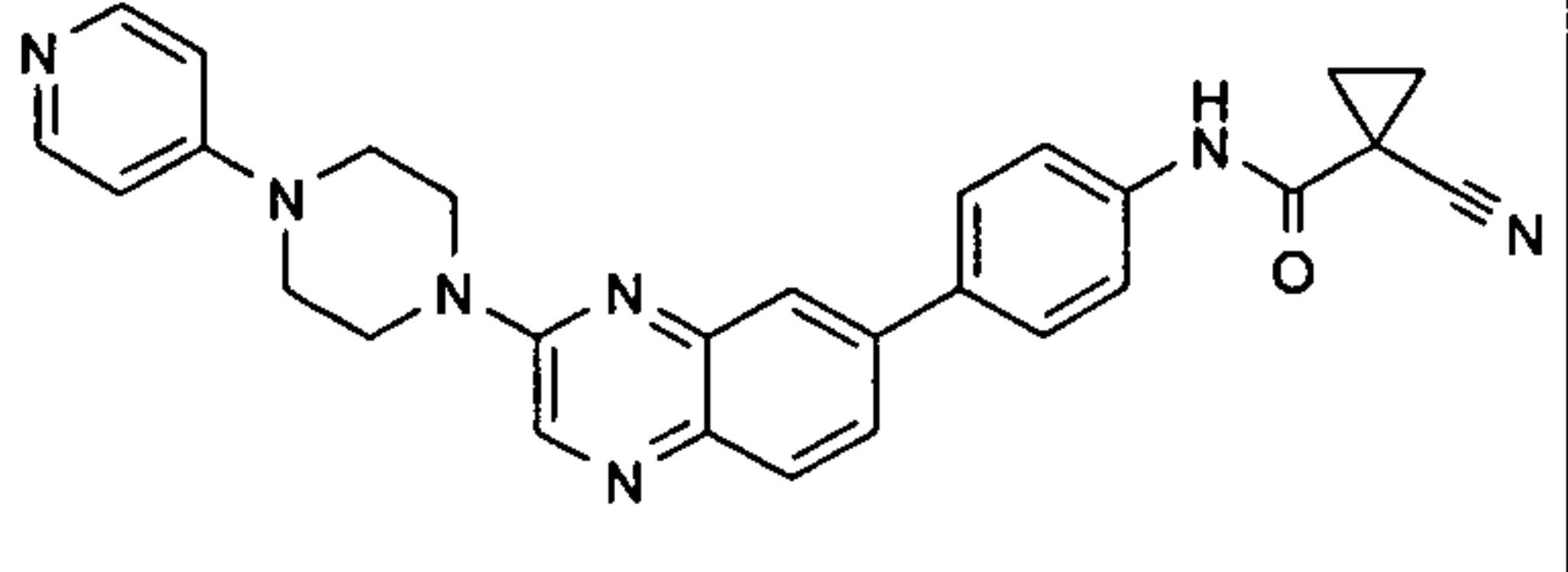
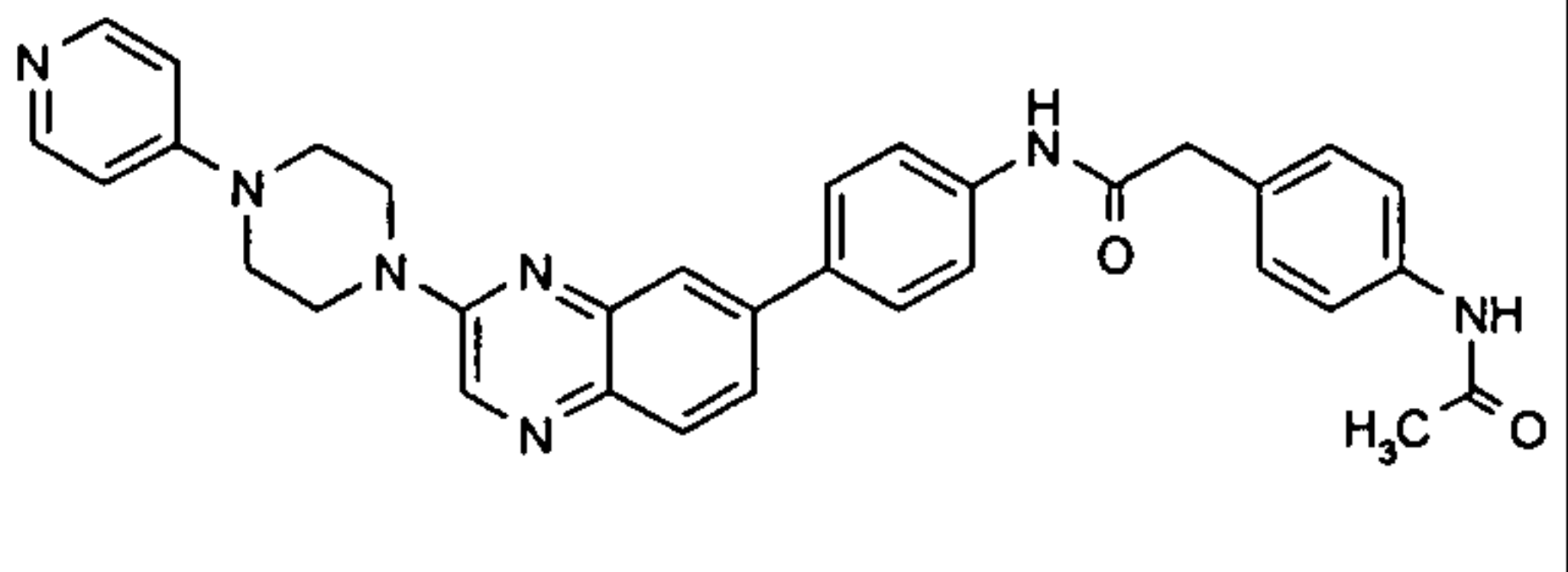
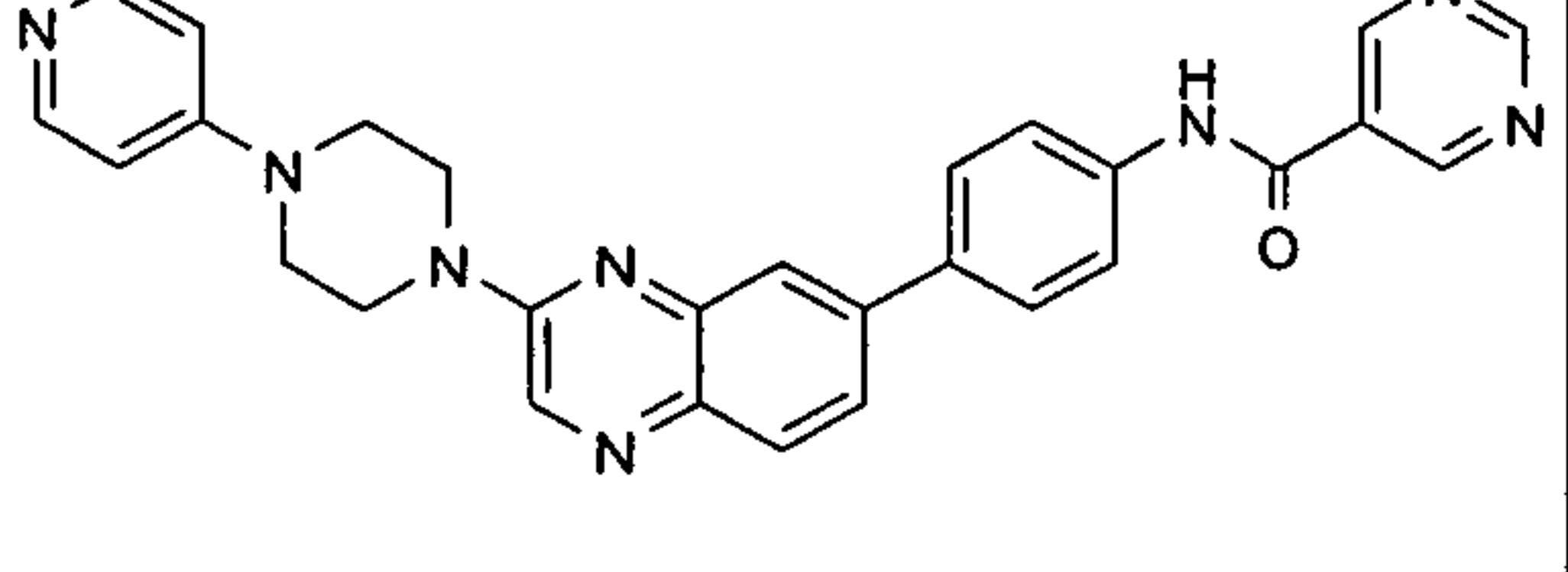
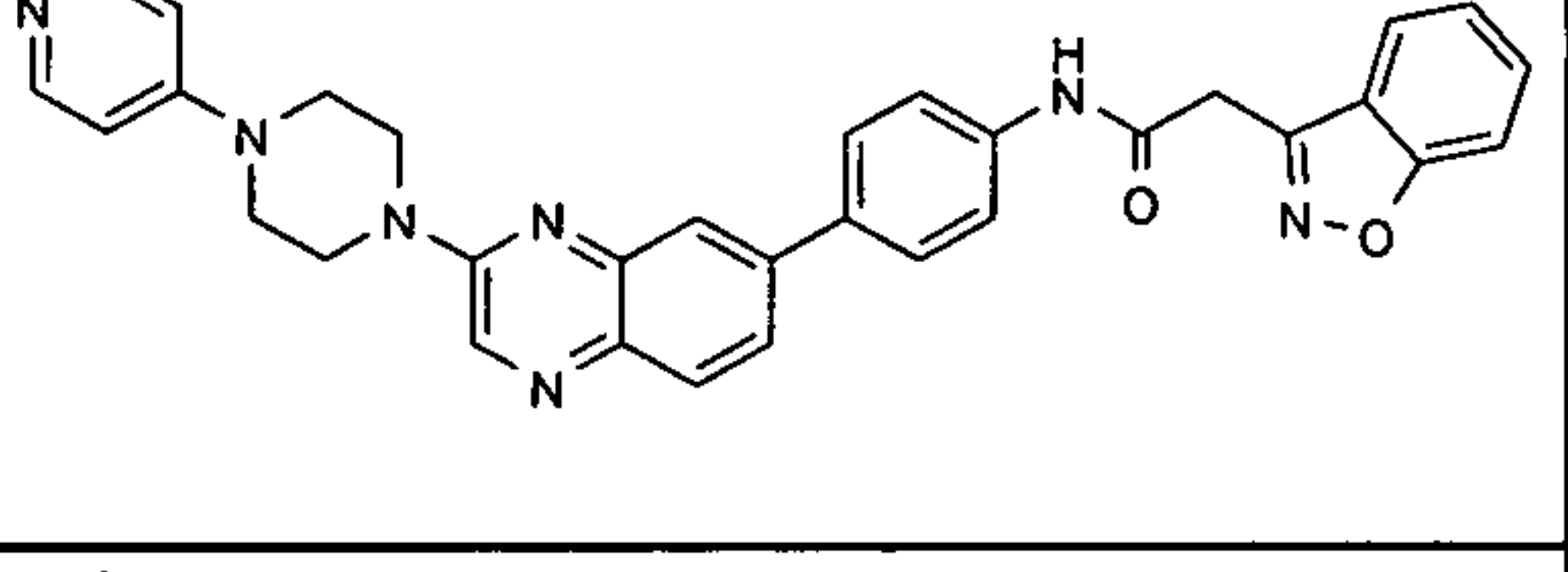
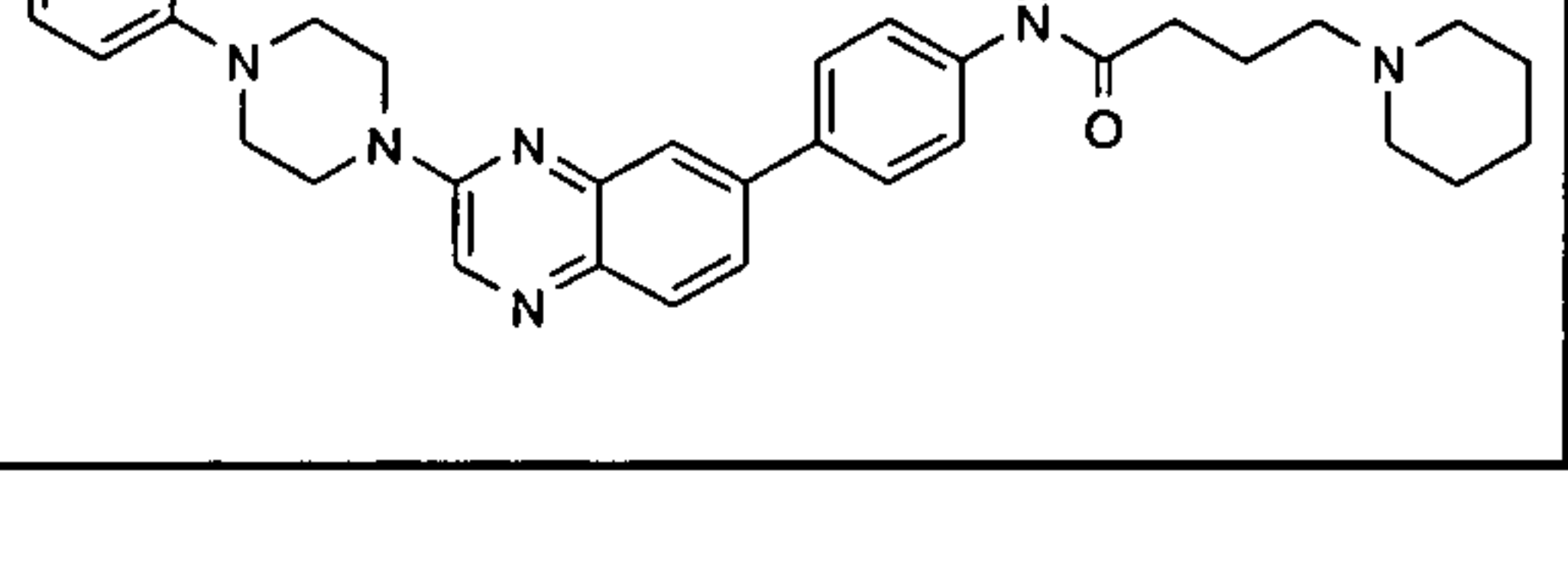
7.31		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-4-(trifluoromethyl)benzamide	RT = 0.97 MW _{found} = 555.6 MW _{calc} = 554.6
7.32		2-(1H-imidazol-4-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.56 MW _{found} = 491.6 MW _{calc} = 490.6
7.33		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-3-yl)acetamide	RT = 0.85 MW _{found} = 507.6 MW _{calc} = 506.6
7.34		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-[4-(trifluoromethyl)phenyl]acetamide	RT = 0.96 MW _{found} = 569.6 MW _{calc} = 568.6
7.35		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-[2-(trifluoromethyl)phenyl]acetamide	RT = 0.94 MW _{found} = 569.6 MW _{calc} = 568.6
7.36		2-hydroxy-2-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.81 MW _{found} = 517.6 MW _{calc} = 516.6
7.37		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-3-carboxamide	RT = 0.84 MW _{found} = 493.6 MW _{calc} = 492.6
7.38		2-(3-fluorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.88 MW _{found} = 519.6 MW _{calc} = 518.6

7.39		5-oxo-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)prolinamide	RT = 0.66 MW _{found} = 494.6 MW _{calc} = 493.6
7.40		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-benzimidazole-5-carboxamide	RT = 0.66 MW _{found} = 527.6 MW _{calc} = 526.6
7.41		2-(1,3-benzodioxol-5-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.85 MW _{found} = 545.6 MW _{calc} = 544.6
7.42		6-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide	RT = 1.02 MW _{found} = 557.7 MW _{calc} = 556.7
7.43		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)tetrahydrofuran-2-carboxamide	RT = 0.78 MW _{found} = 481.6 MW _{calc} = 480.6
7.44		2-(3-chlorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.93 MW _{found} = 536.0 MW _{calc} = 535.0
7.45		4-cyano-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.85 MW _{found} = 512.6 MW _{calc} = 511.6
7.46		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclobutane carboxamide	RT = 0.83 MW _{found} = 465.6 MW _{calc} = 464.6
7.47		2-(4-fluorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.88 MW _{found} = 519.6 MW _{calc} = 518.6

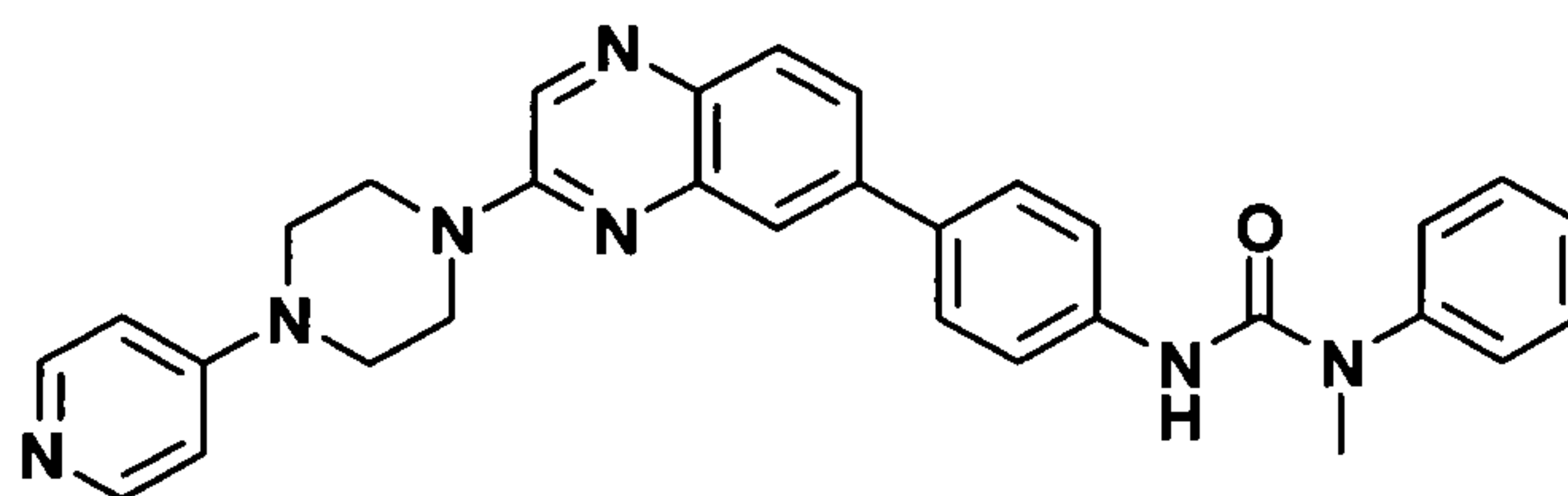
7.48		2-fluoro-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.87 MW _{found} = 505.6 MW _{calc} = 504.6
7.49		3-fluoro-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.89 MW _{found} = 505.6 MW _{calc} = 504.6
7.50		N-{4-[3-(Pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl}-2-ureidoacetamide	RT = 0.62 MW _{found} = 483.5 MW _{calc} = 482.5
7.51		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-4-carboxamide	RT = 0.72 MW _{found} = 488.6 MW _{calc} = 487.6
7.52		2-(1H-indol-3-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.85 MW _{found} = 540.6 MW _{calc} = 539.6
7.53		2-(3-methoxyphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.86 MW _{found} = 531.6 MW _{calc} = 530.6
7.54		4-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide	RT = 0.94 MW _{found} = 529.6 MW _{calc} = 528.6
7.55		1-methyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide	RT = 0.78 MW _{found} = 491.6 MW _{calc} = 490.6
7.56		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopentanecarboxamide	RT = 0.87 MW _{found} = 479.6 MW _{calc} = 478.6

7.57		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide	RT = 0.78 MW _{found} = 451.5 MW _{calc} = 450.5
7.58		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-2-carboxamide	RT = 0.85 MW _{found} = 493.6 MW _{calc} = 492.6
7.59		2-(4-methylphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.91 MW _{found} = 515.6 MW _{calc} = 514.6
7.60		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide	RT = 0.73 MW _{found} = 477.5 MW _{calc} = 476.5
7.61		2-cyclohexyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.95 MW _{found} = 507.6 MW _{calc} = 506.6
7.62		2-(4-methoxyphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.86 MW _{found} = 531.6 MW _{calc} = 530.6
7.63		2-(2-methylphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.90 MW _{found} = 515.6 MW _{calc} = 514.6
7.64		2-(3-methylphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.91 MW _{found} = 515.6 MW _{calc} = 514.6
7.65		2-[4-(dimethylamino)phenyl]-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.73 MW _{found} = 544.7 MW _{calc} = 543.7

7.66		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)tetrahydro-2H-pyran-4-carboxamide	RT = 0.75 MW _{found} = 495.6 MW _{calc} = 494.6
7.67		5-chloro-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-2-carboxamide	RT = 0.95 MW _{found} = 528.0 MW _{calc} = 527.0
7.68		3-hydroxy-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.66 MW _{found} = 455.5 MW _{calc} = 454.5
7.69		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-imidazole-4-carboxamide	RT = 0.67 MW _{found} = 477.5 MW _{calc} = 476.5
7.70		3-cyclopropyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.77 MW _{found} = 479.6 MW _{calc} = 478.6
7.71		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(1H-tetrazol-5-yl)acetamide	RT = 0.66 MW _{found} = 493.5 MW _{calc} = 492.5
7.72		2-{4-[(methanesulfonyl)amino]phenyl}-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.77 MW _{found} = 594.7 MW _{calc} = 593.7
7.73		3-{4-[(methanesulfonyl)amino]phenyl}-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.79 MW _{found} = 580.7 MW _{calc} = 579.7

7.74		1-cyano-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide	RT = 0.79 MW _{found} = 476.5 MW _{calc} = 475.5
7.75		2-[4-(acetylamino)phenyl]-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.76 MW _{found} = 558.6 MW _{calc} = 557.6
7.76		N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyrimidine-5-carboxamide	RT = 0.72 MW _{found} = 489.5 MW _{calc} = 488.5
7.77		2-(1,2-benzoxazol-3-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.87 MW _{found} = 542.6 MW _{calc} = 541.6
7.78		4-(piperidin-1-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide	RT = 0.61 MW _{found} = 536.7 MW _{calc} = 535.7

Example 8.1 : Preparation of 1-methyl-1-phenyl-3-{4-[3-(4-pyridin-4-yl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-urea



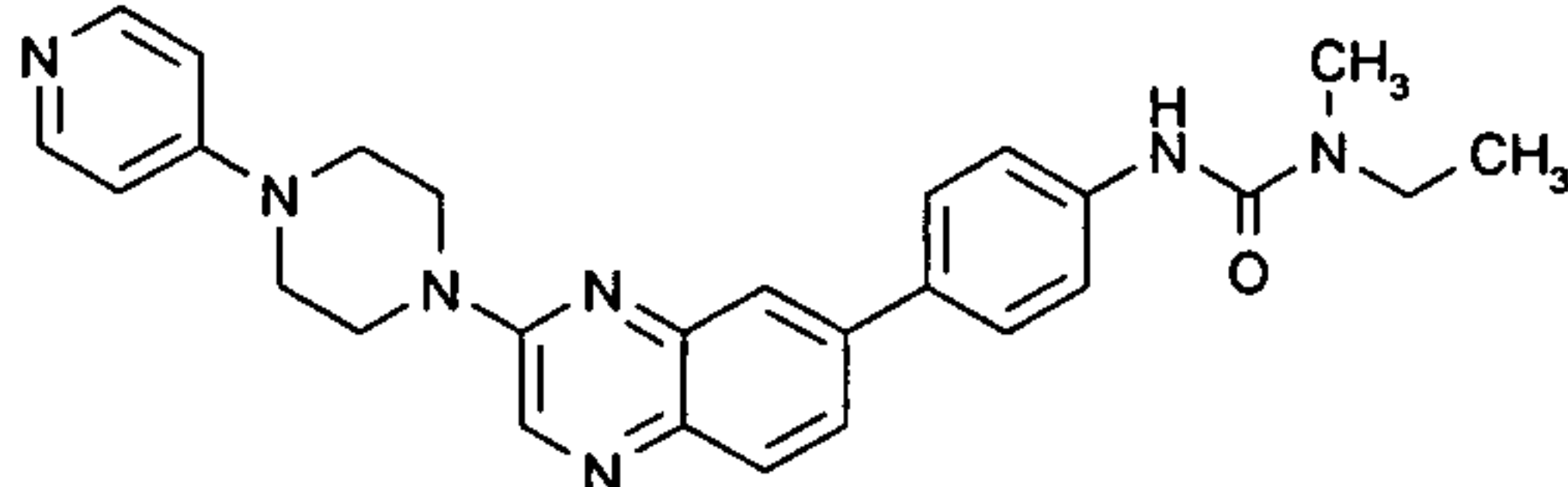
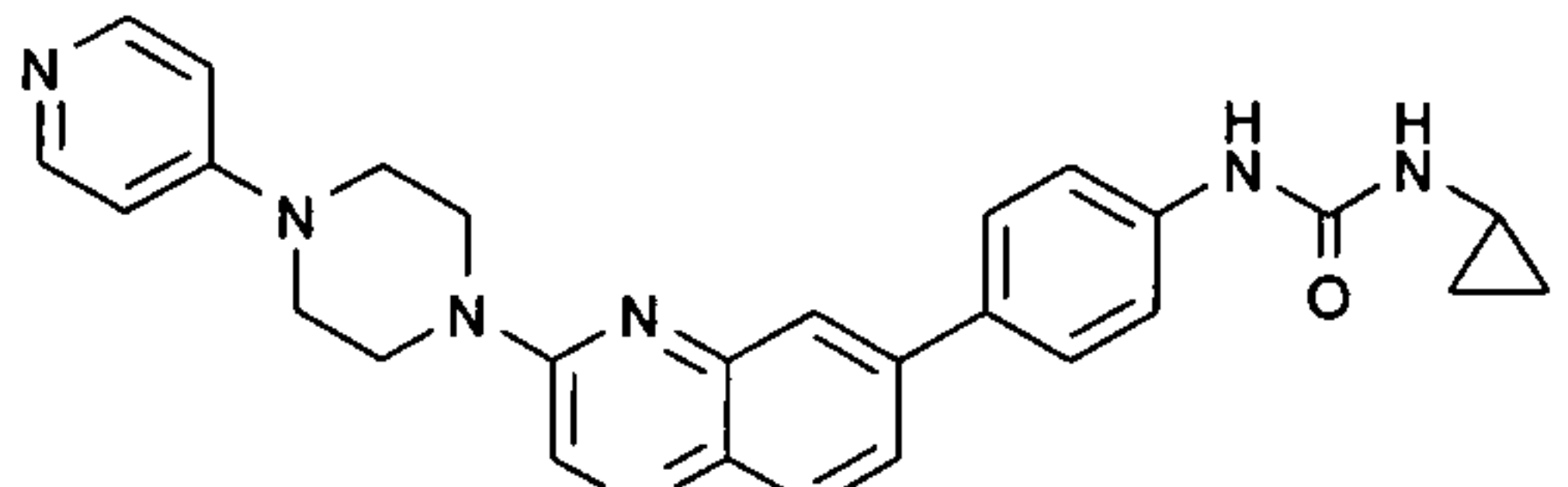
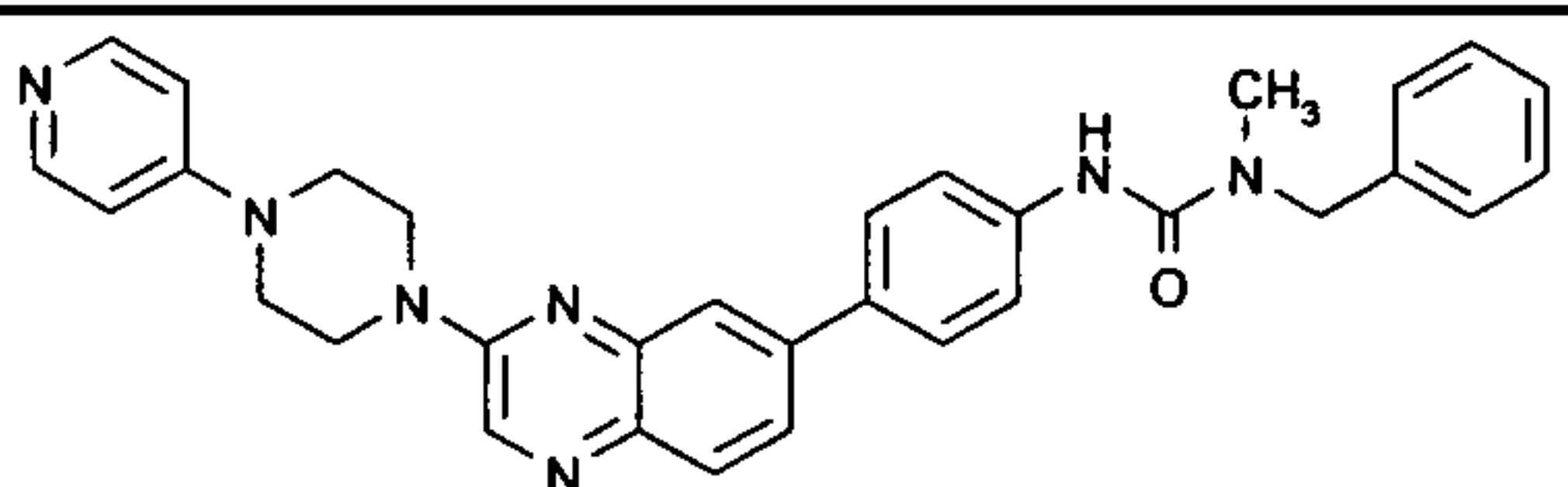
5

To 0.3 mmol intermediate example 4.2 (115 mg) in 1.8 mL NMP are added 0.6 mmol TEA (83 μ L, 61 mg, 2 eq), 0.3 mmol DMAP (37 mg, 1 eq) and 0.9 mmol (4-nitrophenyl)-carbonochloridic acid, (181 mg, 3 eq) at -10°C. After shaking overnight, 0.9 mmol methyl-phenyl-amine (1.8 mL, 0.5M in NMP) were added and the mixture was heated at 150 °C under microwave irradiation for 60 min. After cooling, the solution was filtered and subjected to preparative HPLC to give 76.3 mg (49%) 1-methyl-1-phenyl-3-{4-[3-(4-pyridin-4-yl-piperazin-1-yl)-

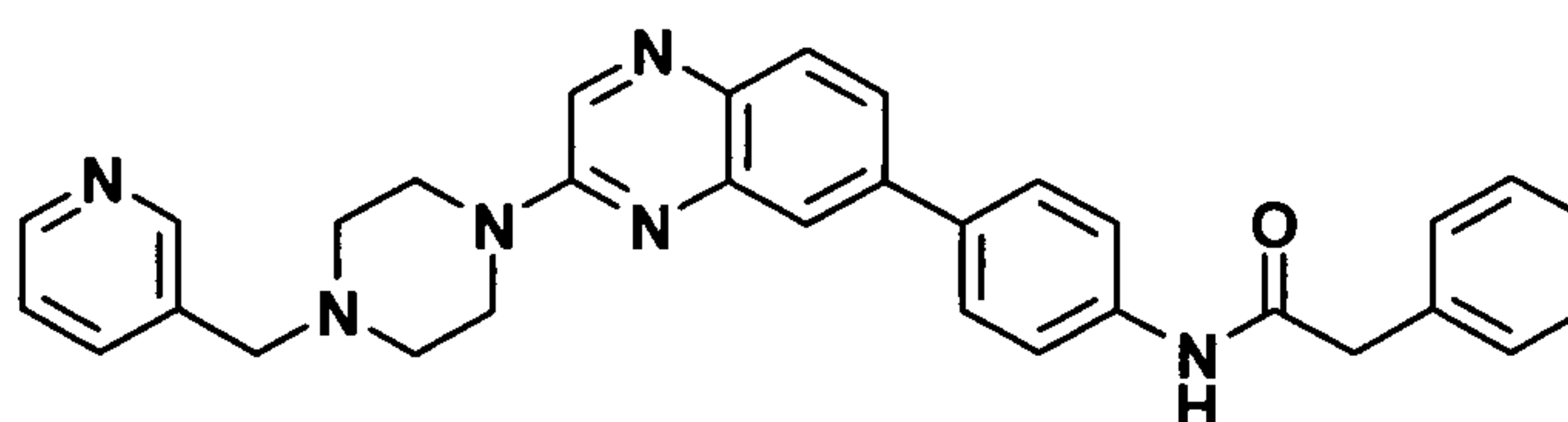
10

quinoxalin-6-yl]-phenyl}-urea: UPLC-MS: RT = 0.89 min; m/z (ES+) 516.6 [MH⁺]; required MW = 515.6.

The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

Example	Structure	Name	Analytical Data
8.2		1-ethyl-1-methyl-3-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	RT = 0.77 MW _{found} = 468.6 MW _{calc} = 467.6
8.3		1-cyclopropyl-3-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	RT = 0.74 MW _{found} = 466.6 MW _{calc} = 465.6
8.4		1-benzyl-1-methyl-3-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	RT = 0.91 MW _{found} = 530.6 MW _{calc} = 529.6

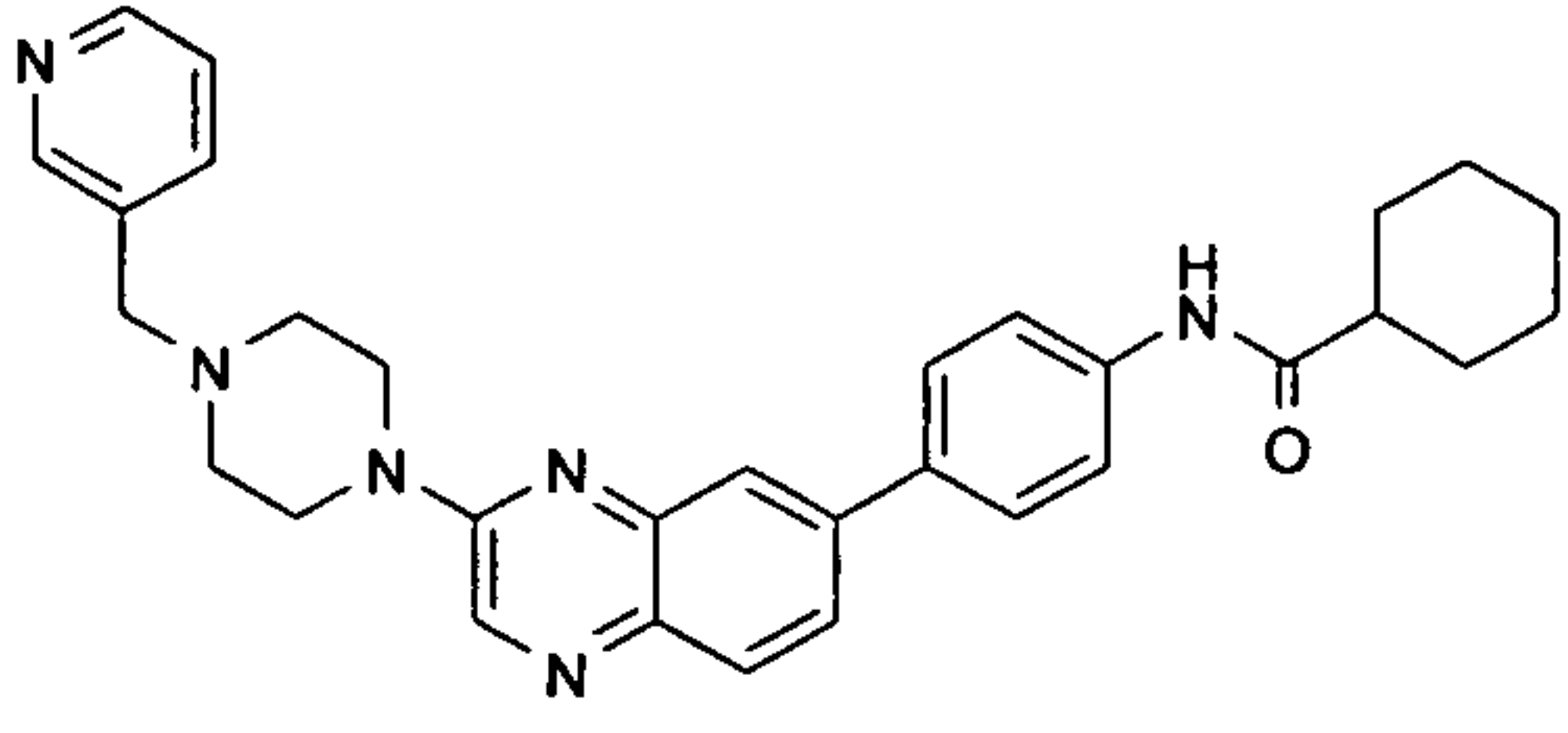
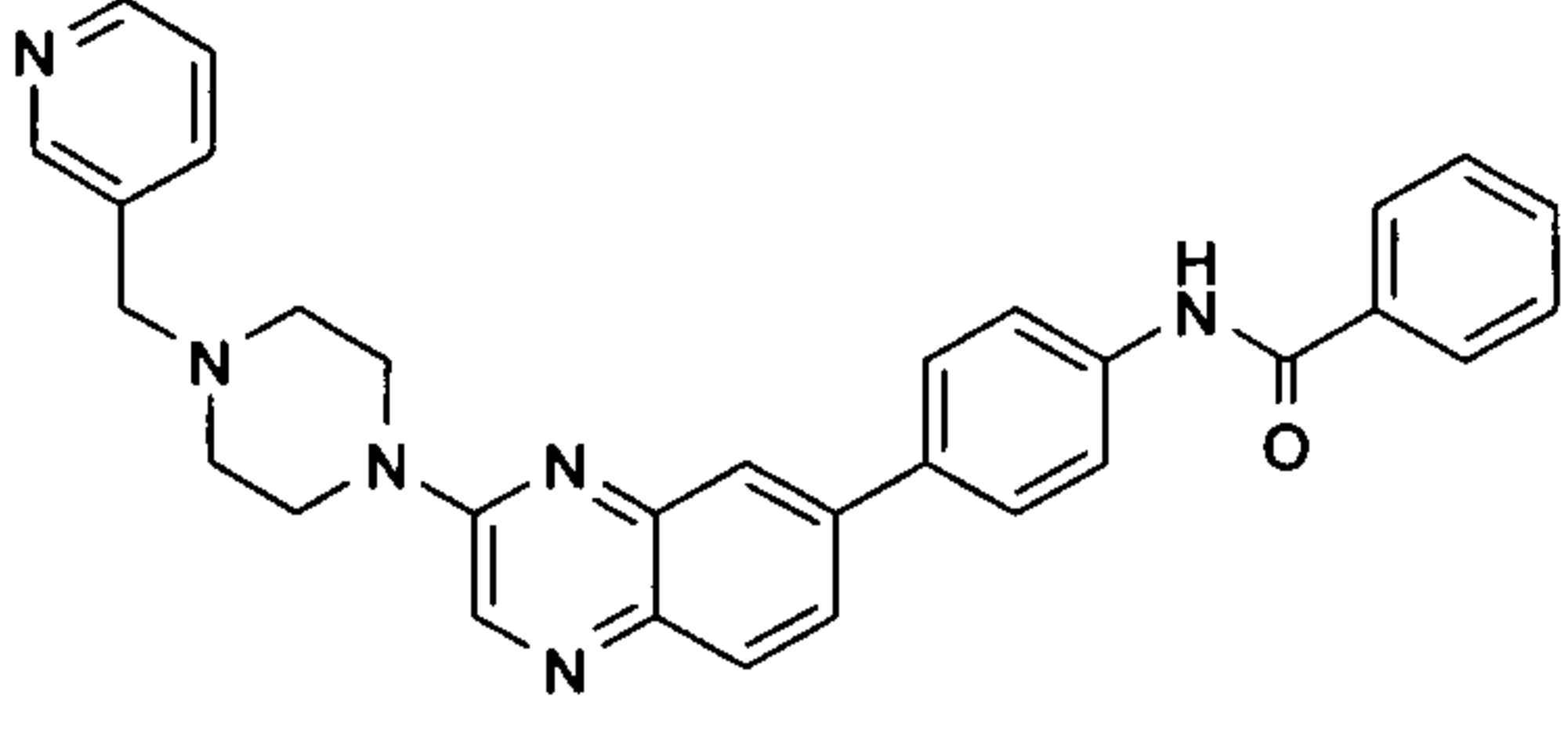
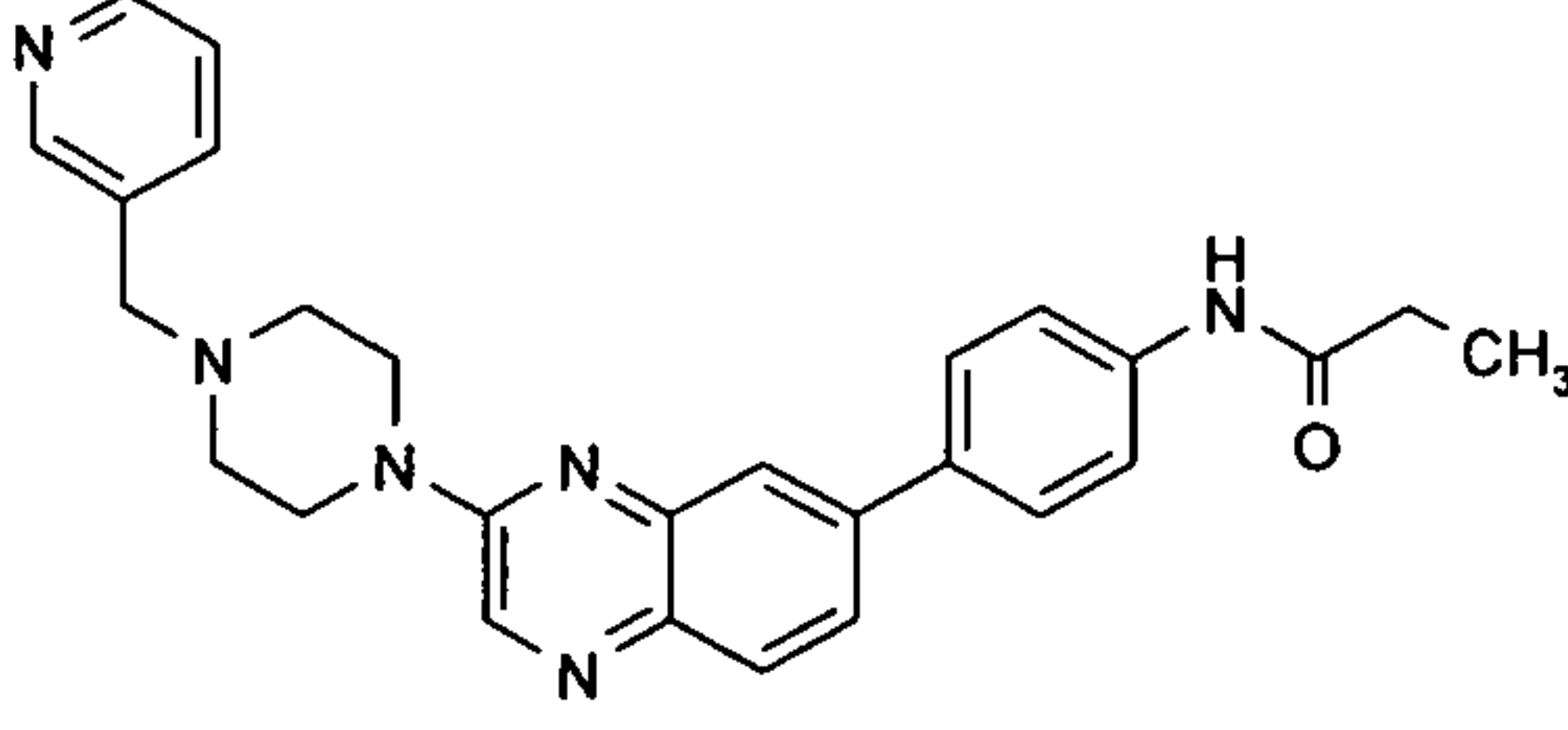
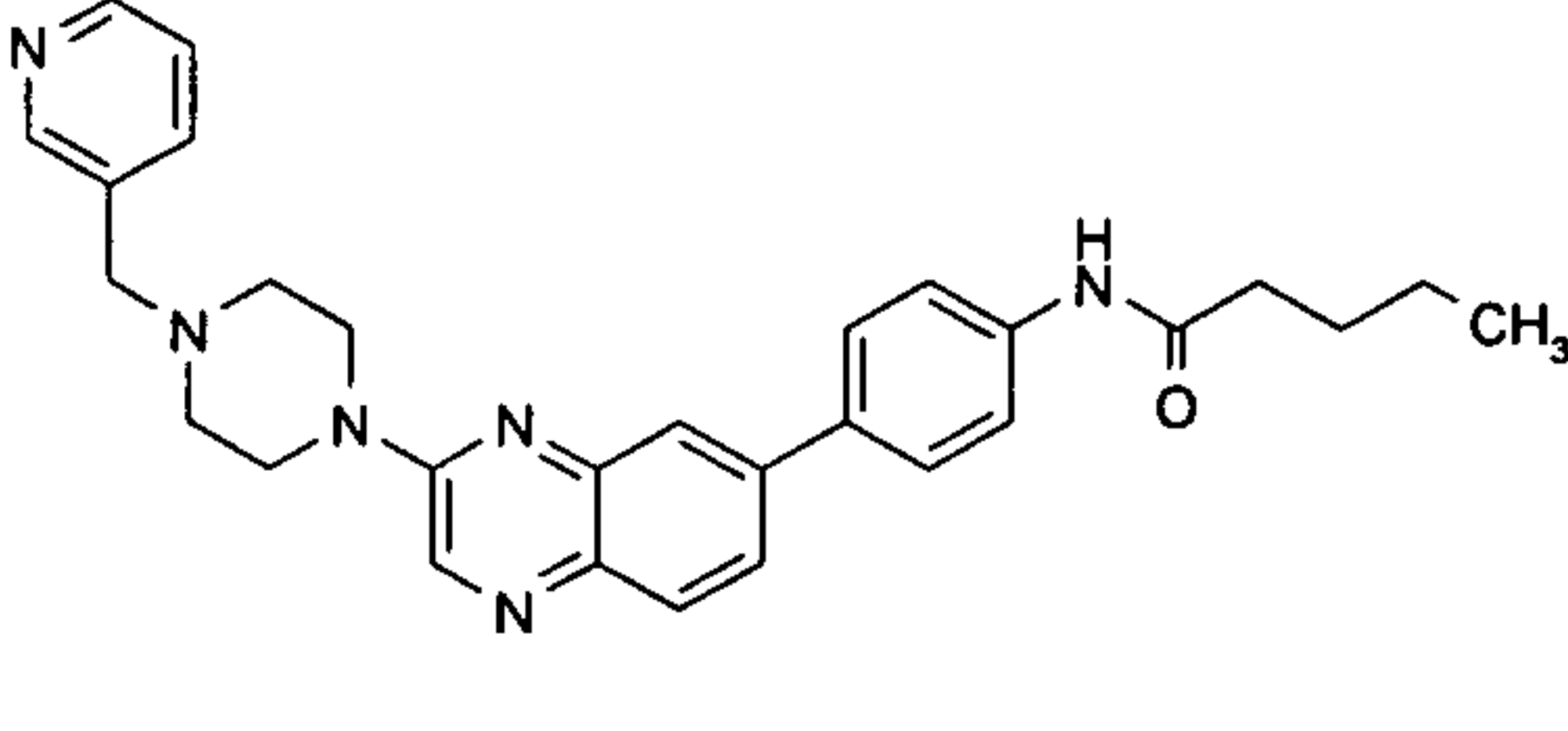
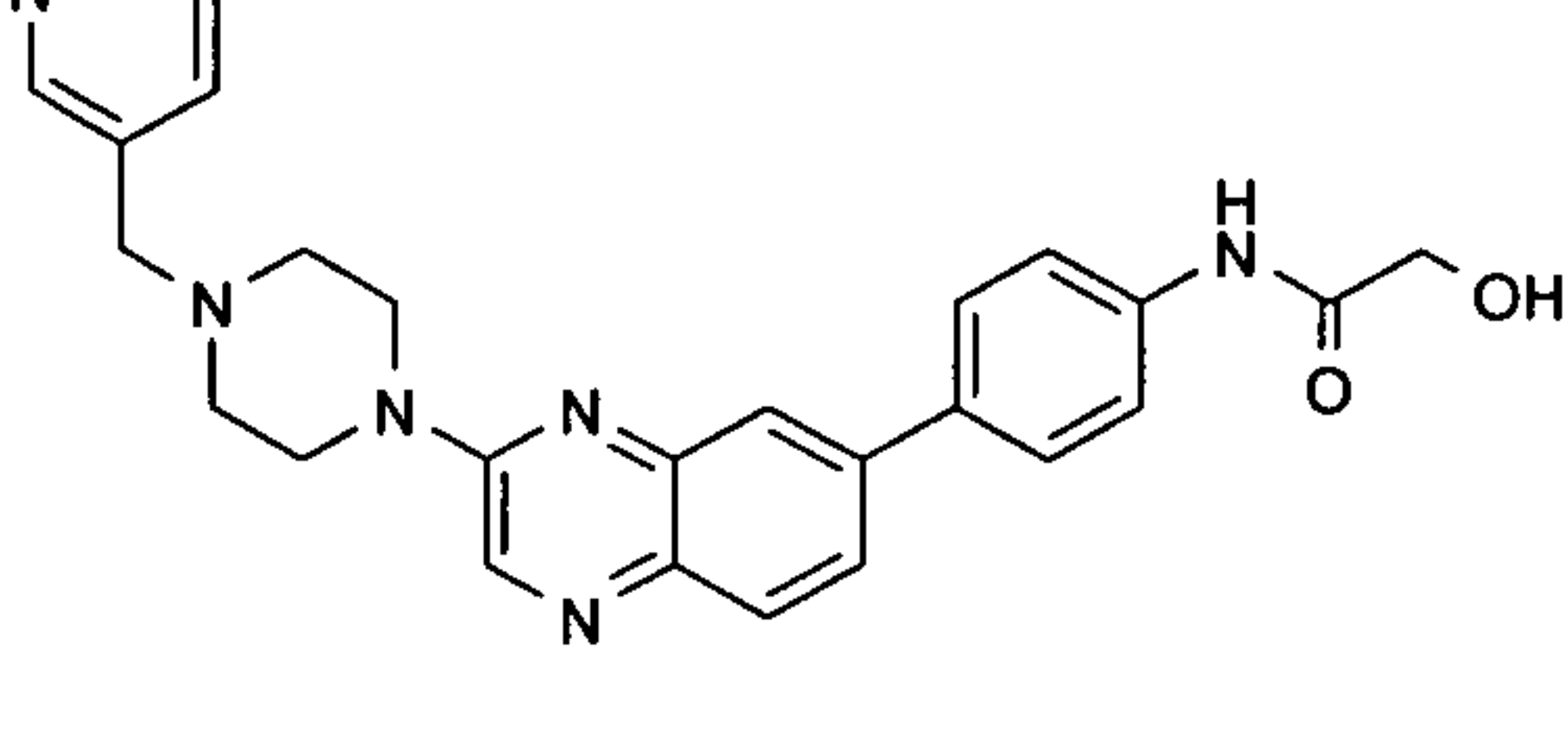
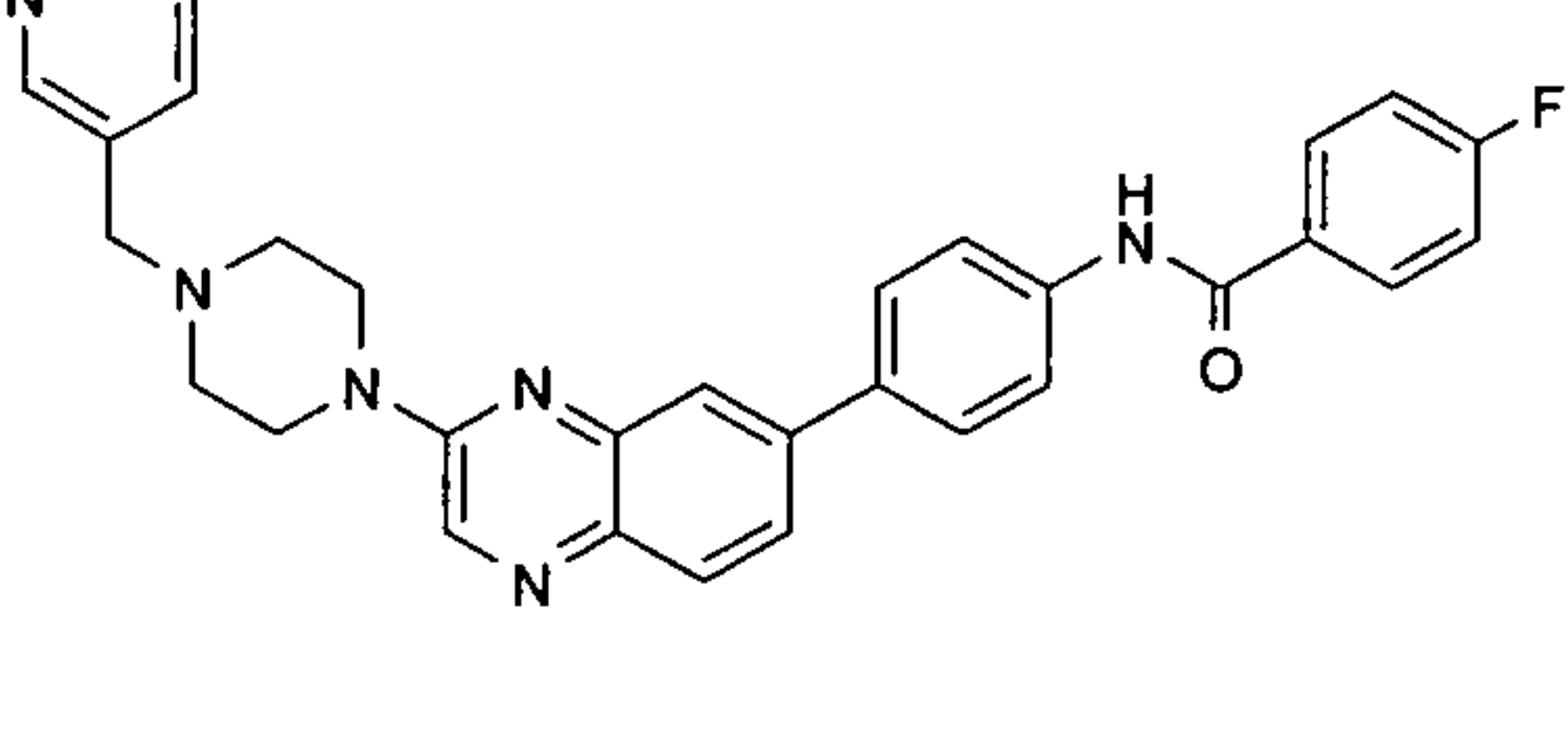
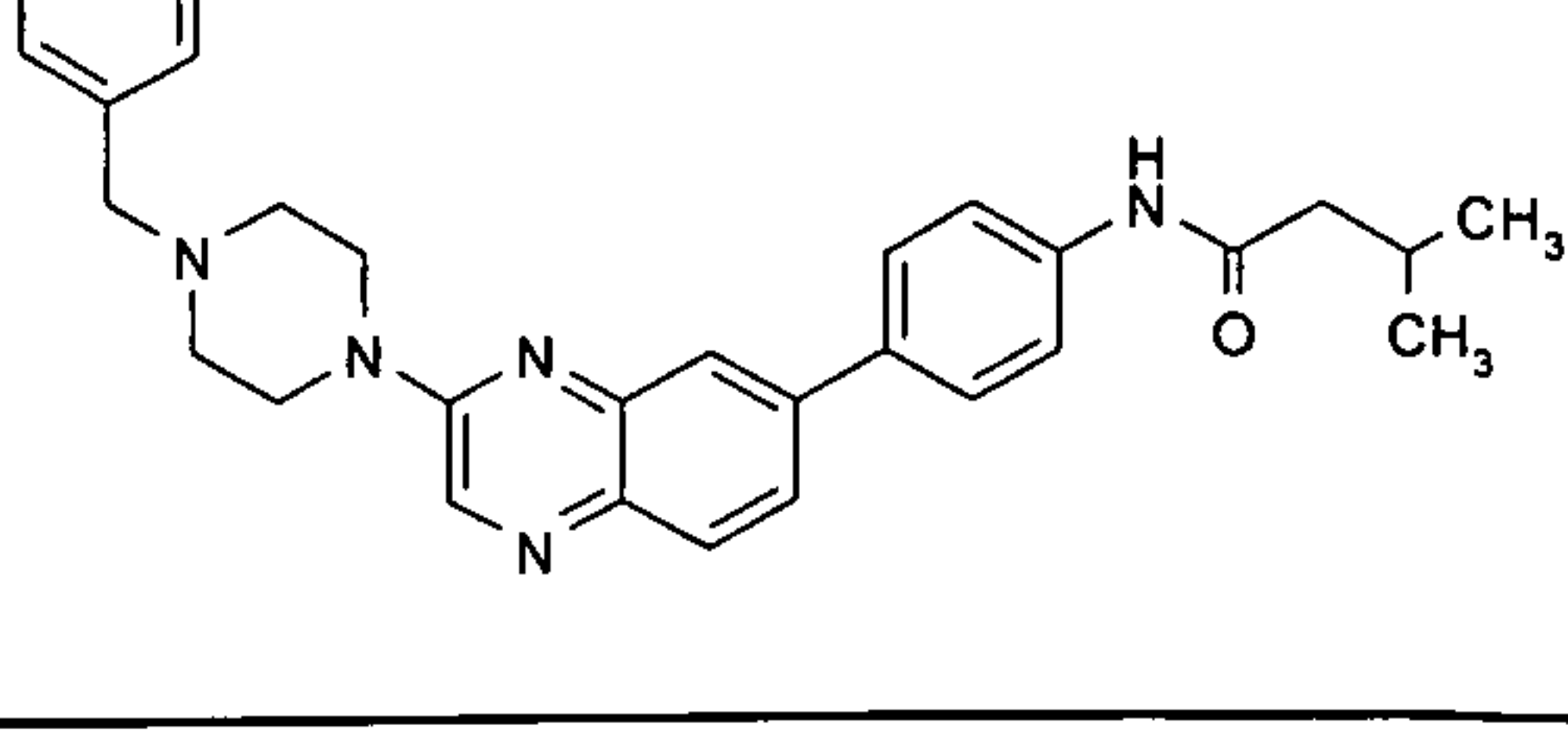
Example 9.1 : Preparation of 2-phenyl-N-{4-[3-(4-pyridin-3-ylmethyl)piperazin-1-yl]-quinoxalin-6-yl]-phenyl}-acetamide

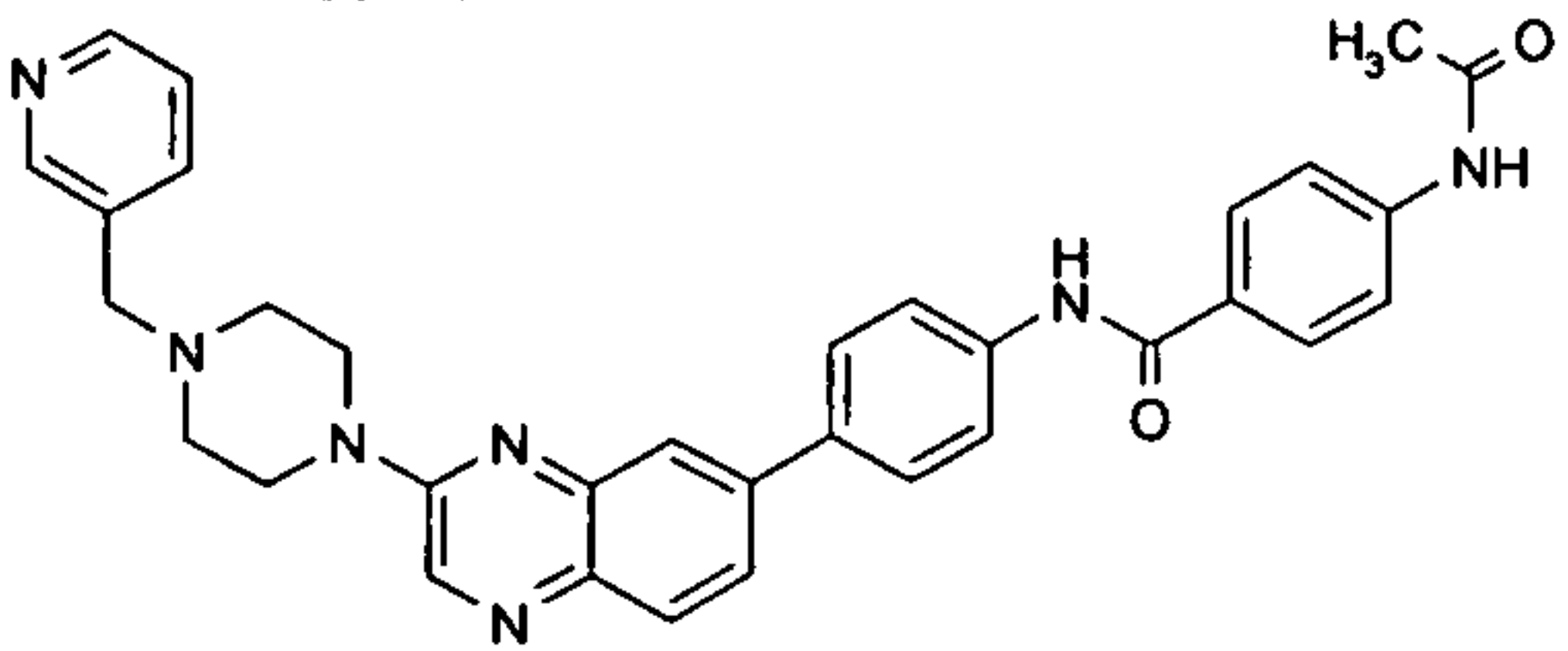
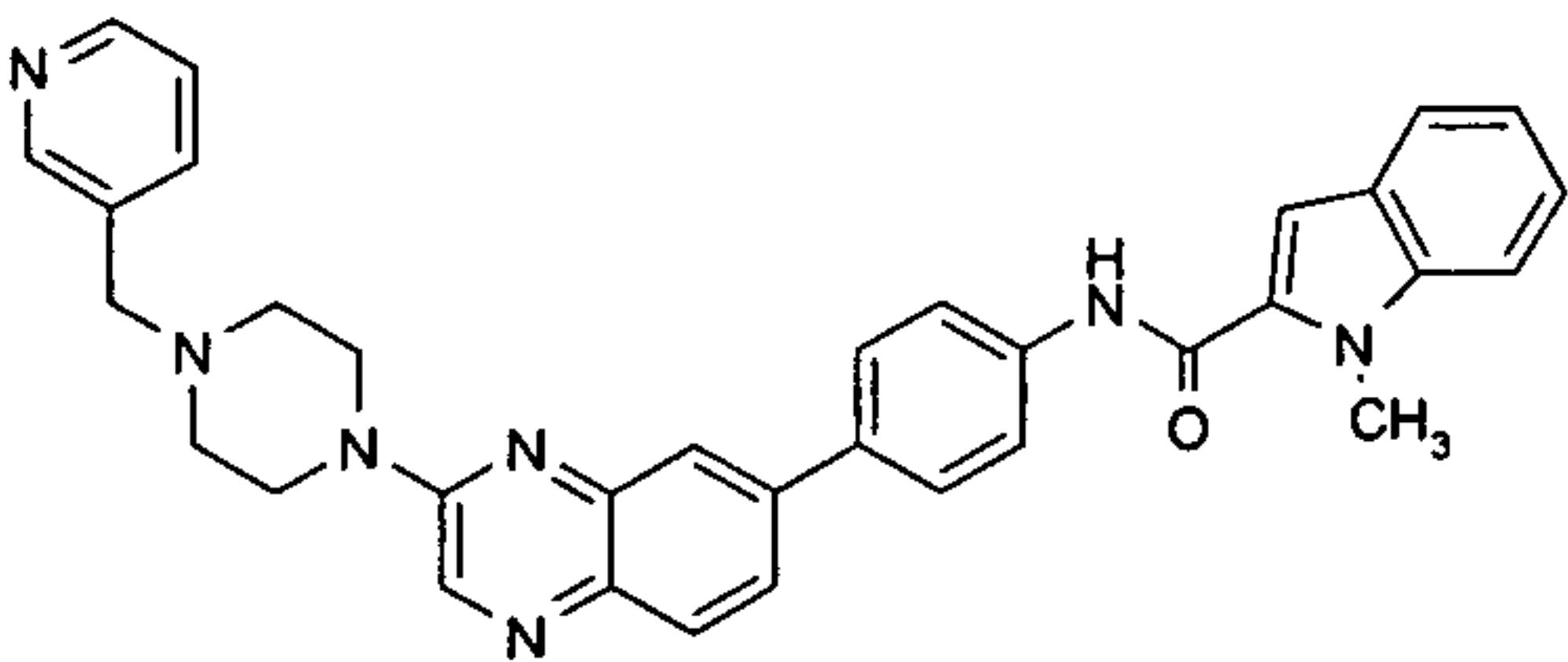
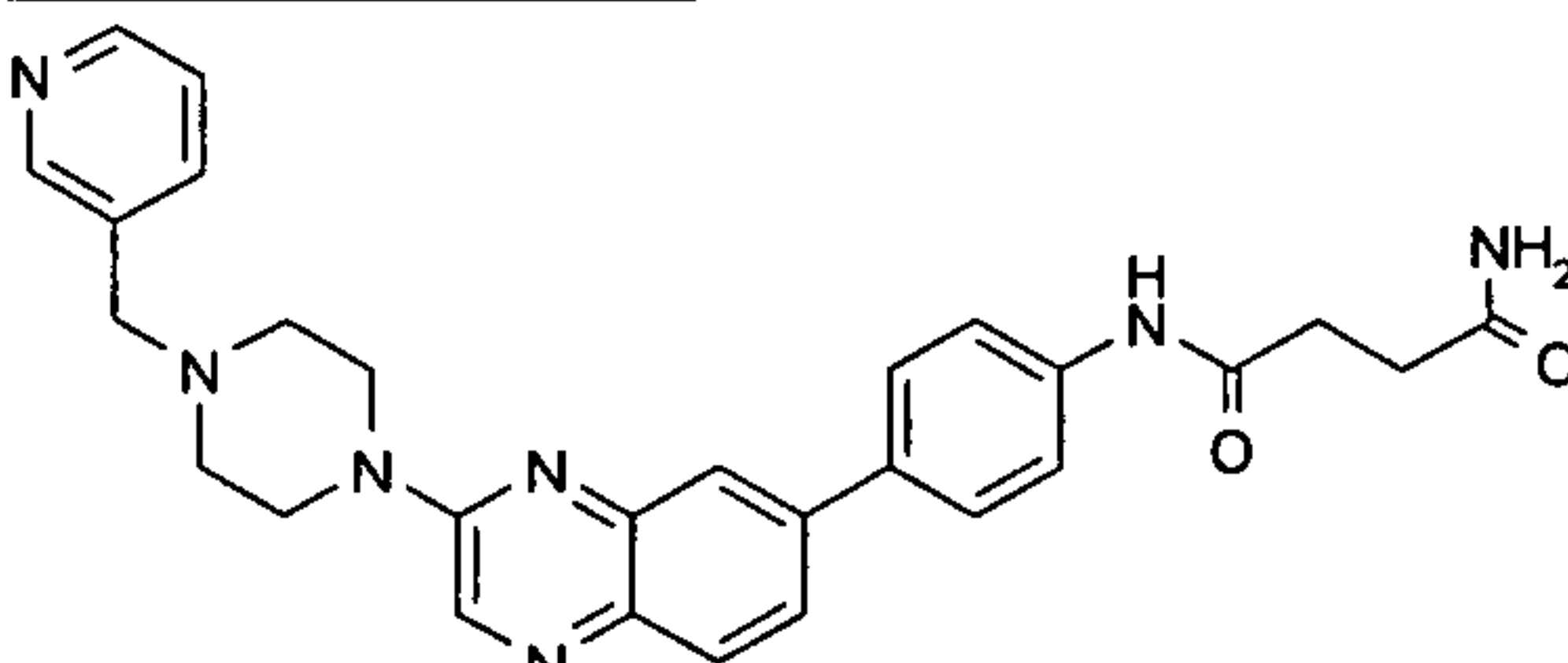
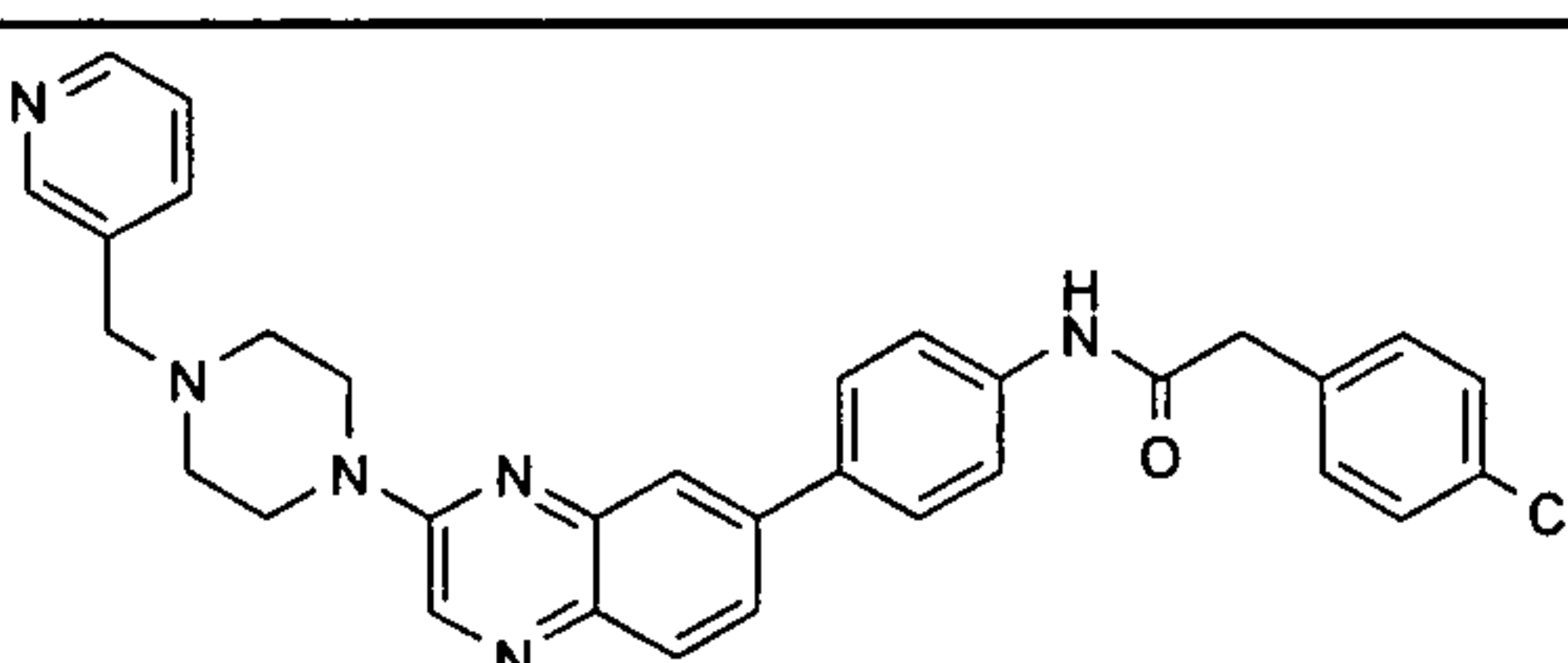
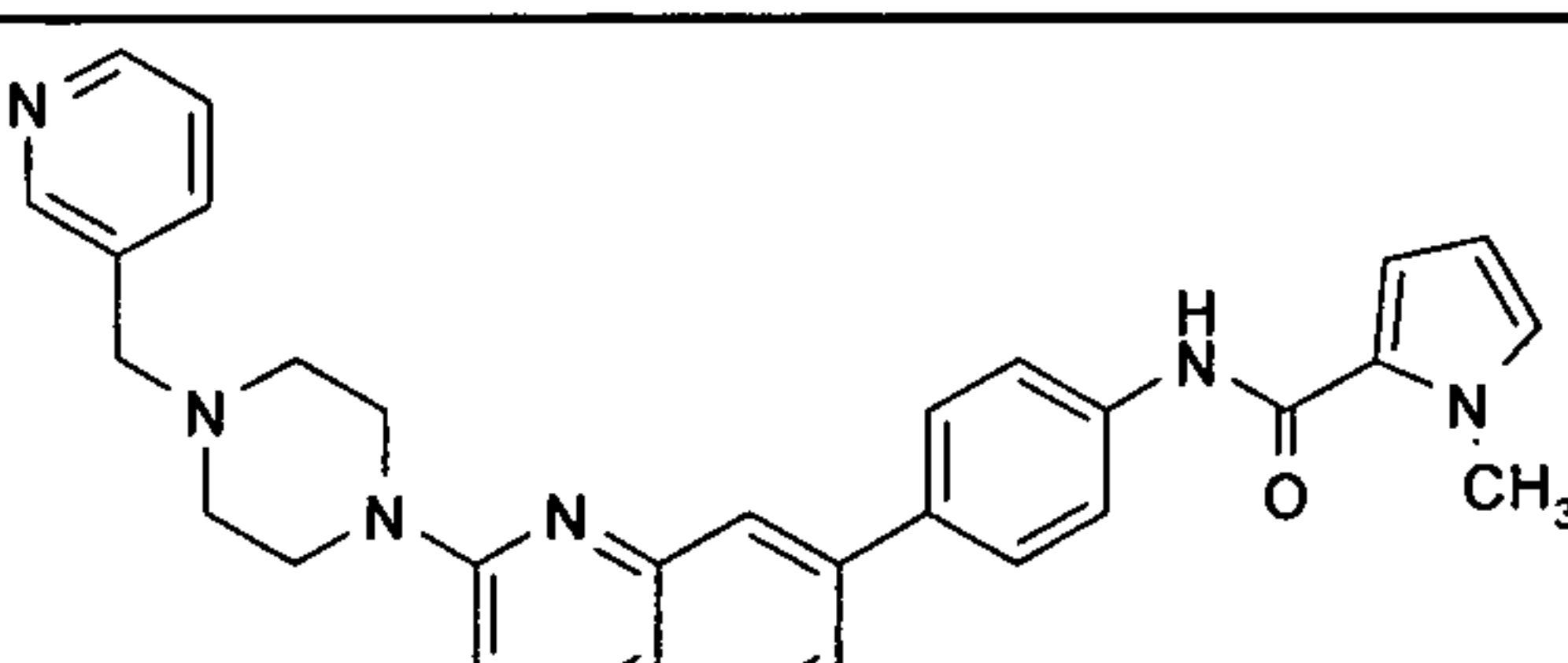
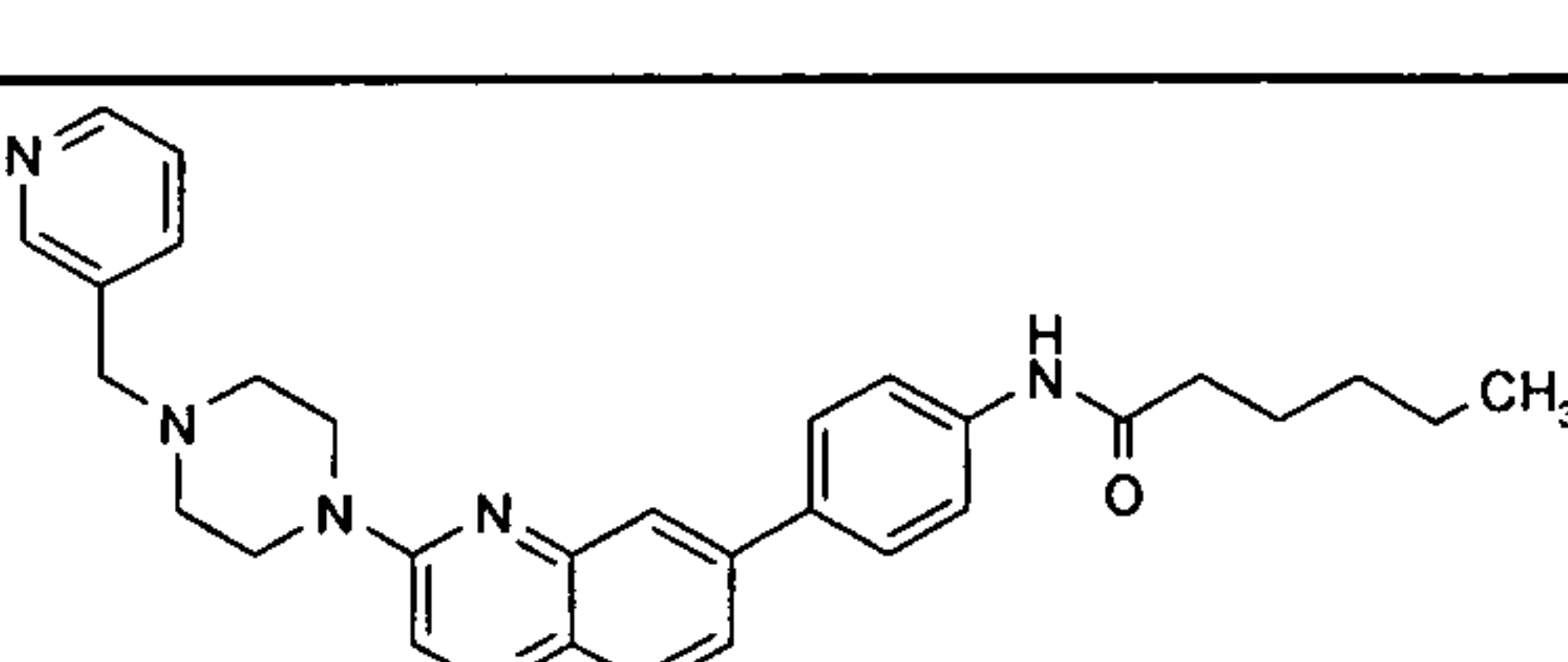
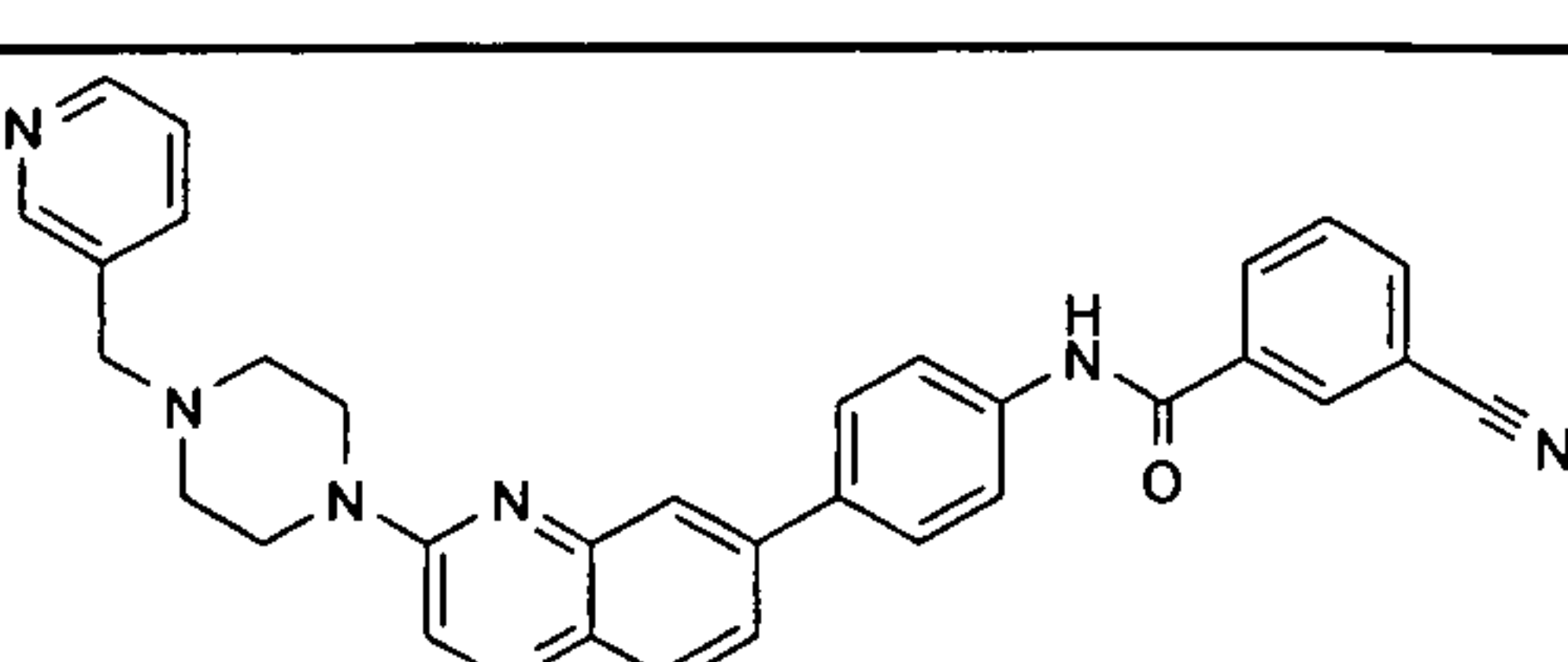


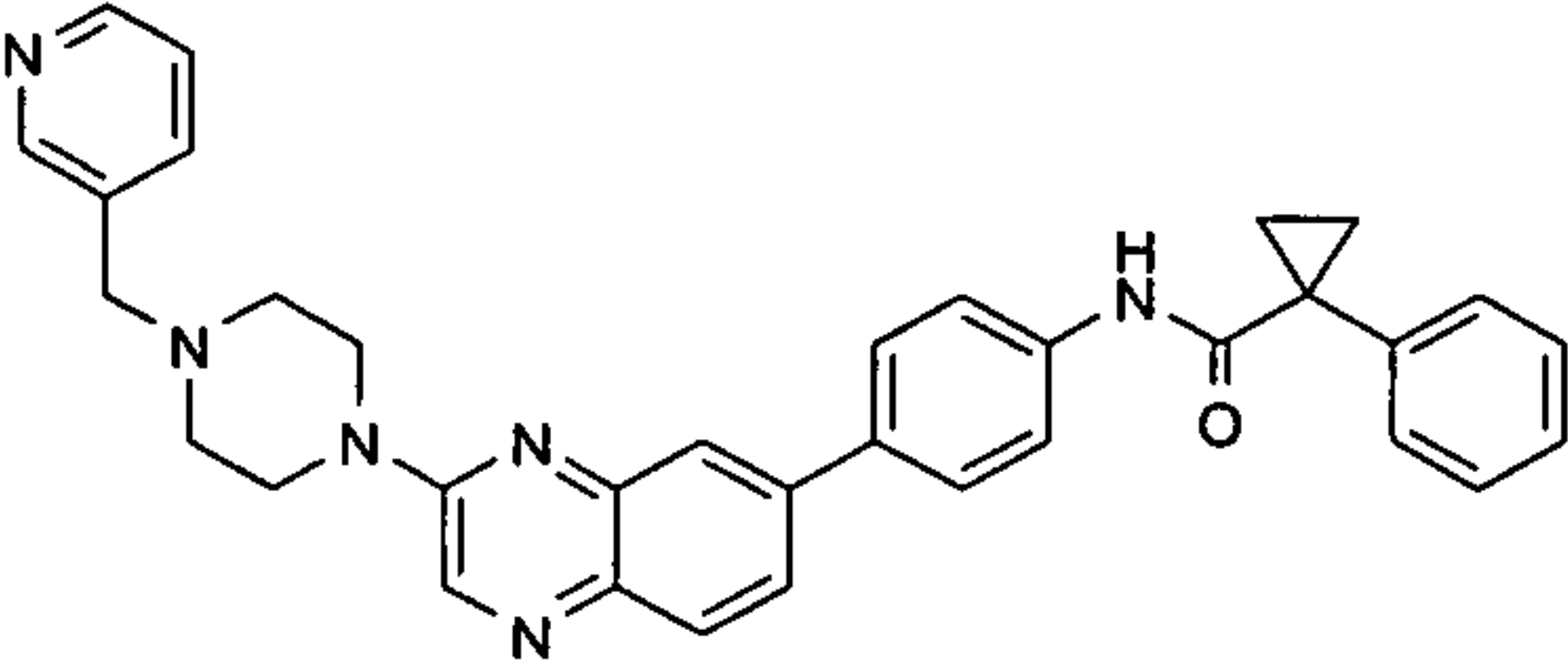
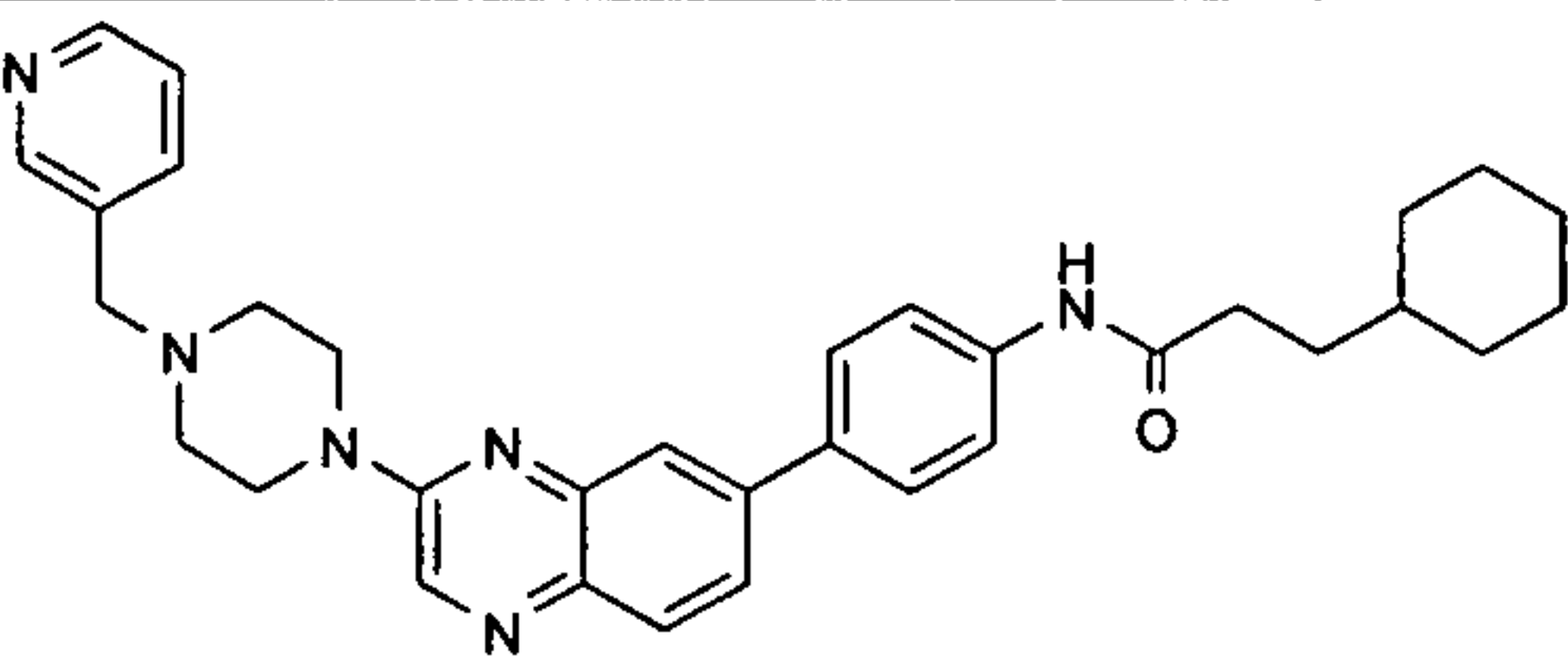
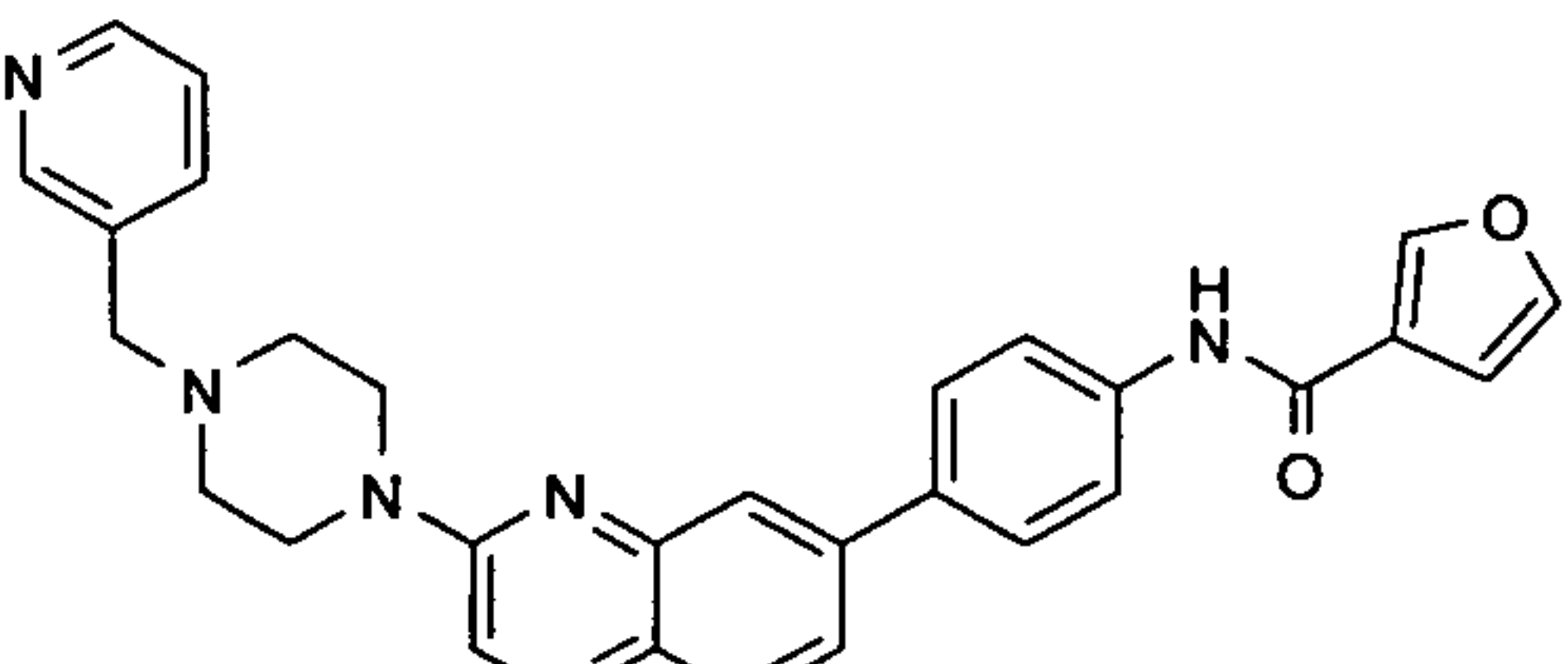
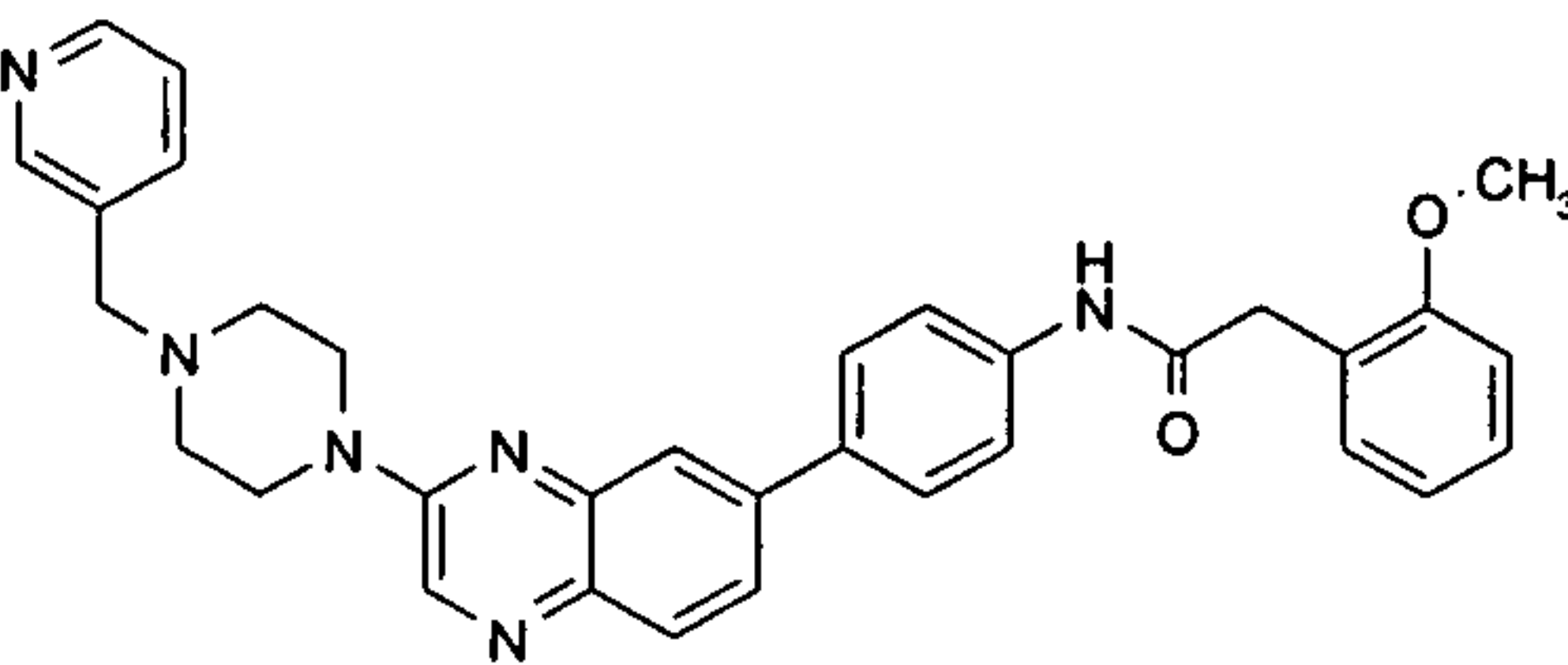
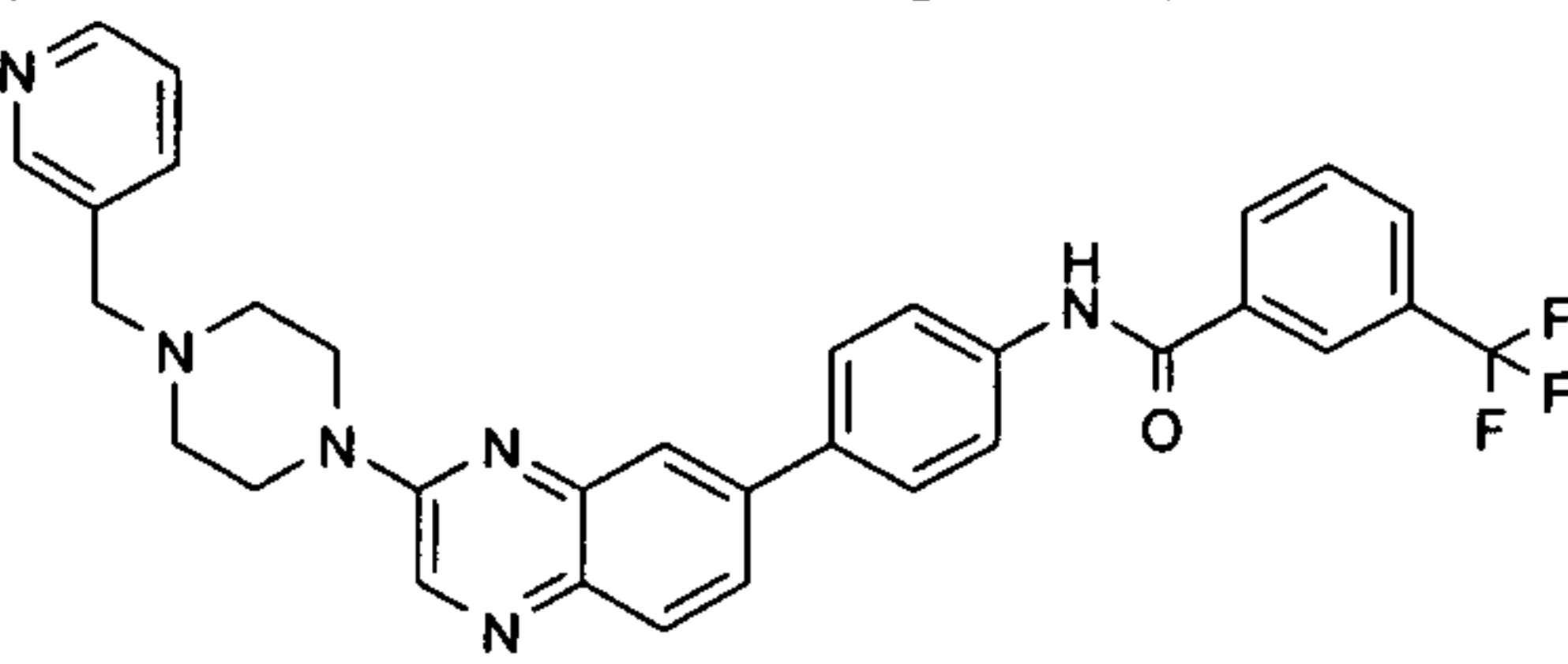
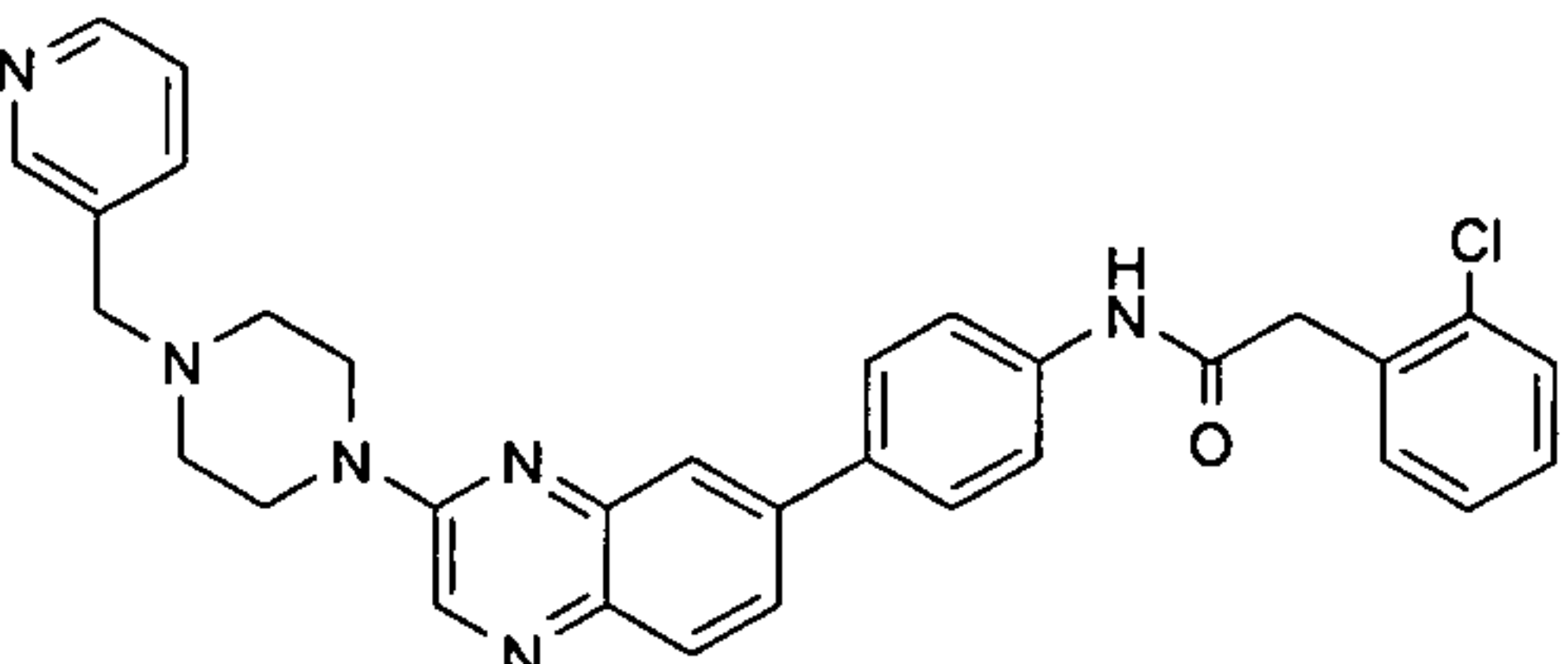
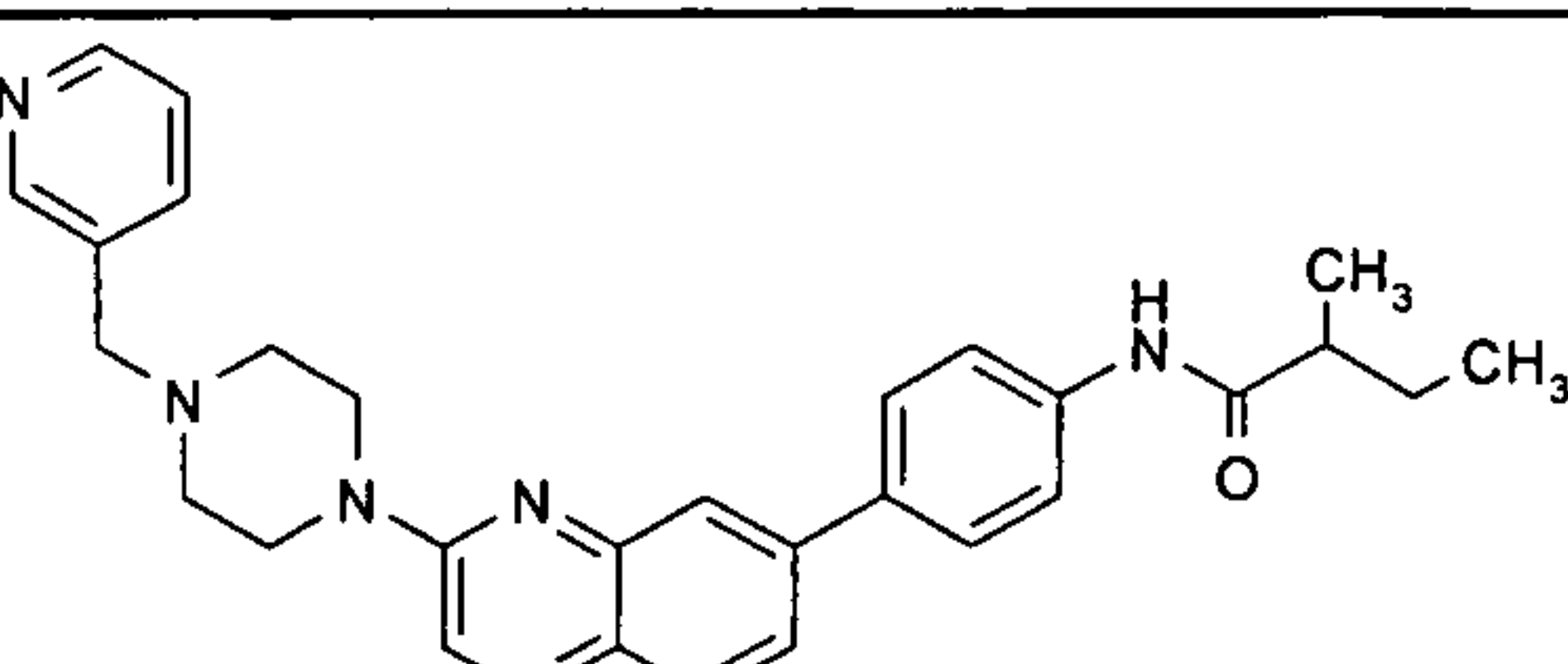
Example 9.1 was synthesized analogously to example 7.1 using intermediate example 4.3 and yielded 39.4 mg (26%) 2-phenyl-N-{4-[3-(4-pyridin-3-ylmethyl)piperazin-1-yl]-quinoxalin-6-yl]-phenyl}-acetamide: UPLC-MS: RT = 0.85 min; m/z (ES+) 515.6 [MH⁺]; required MW = 514.6.

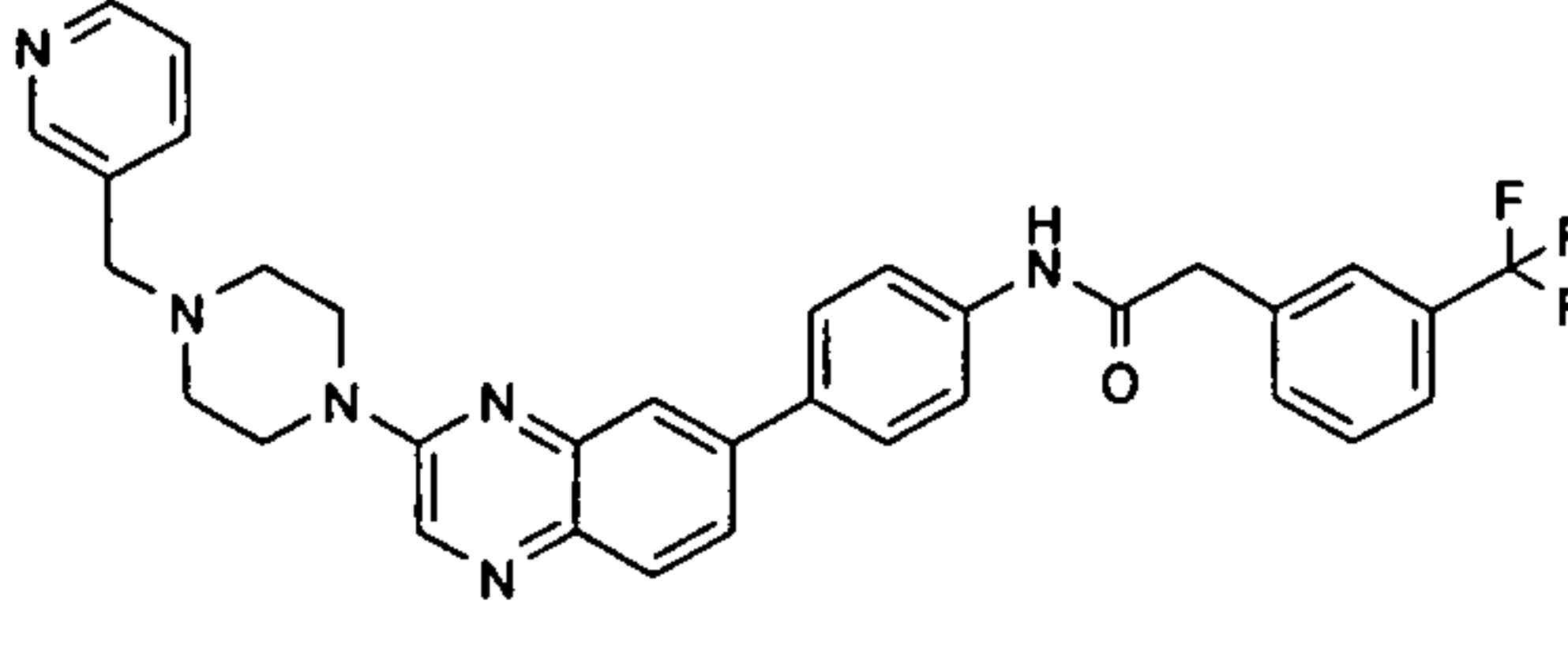
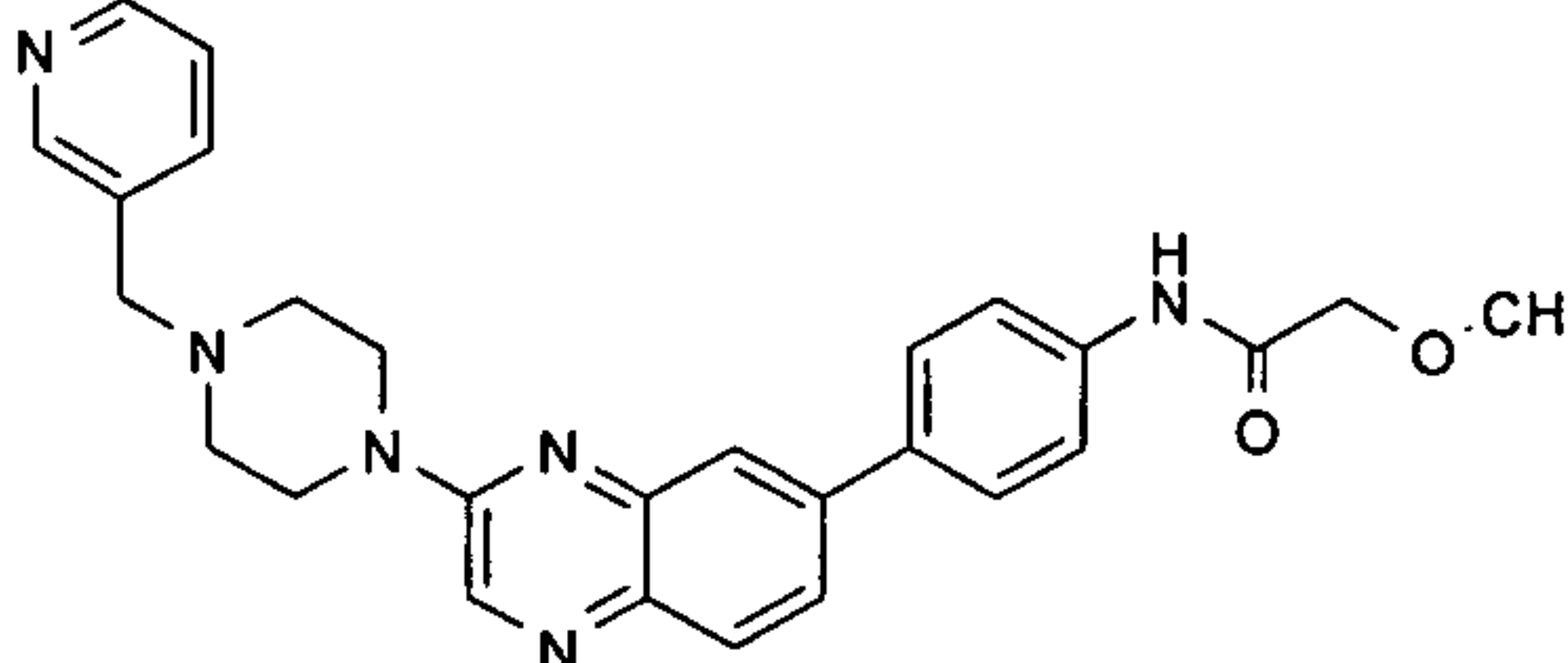
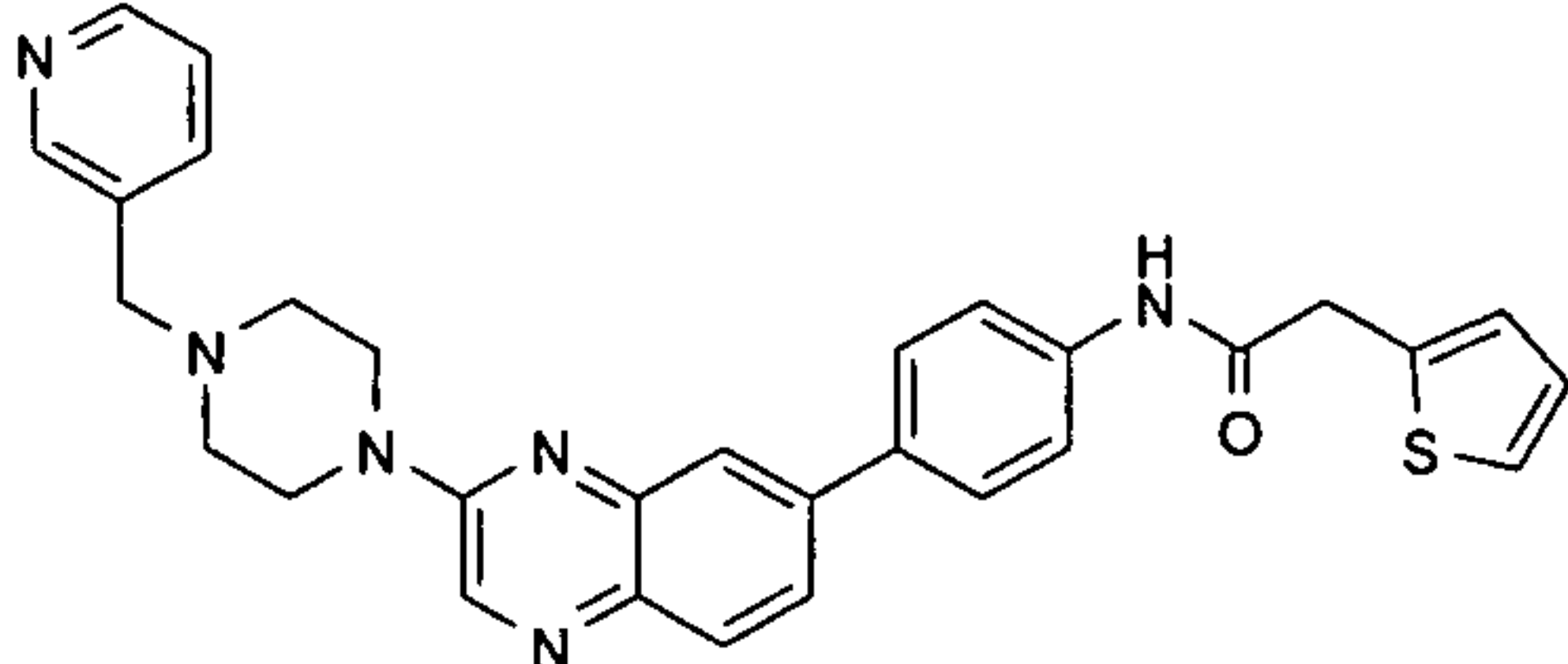
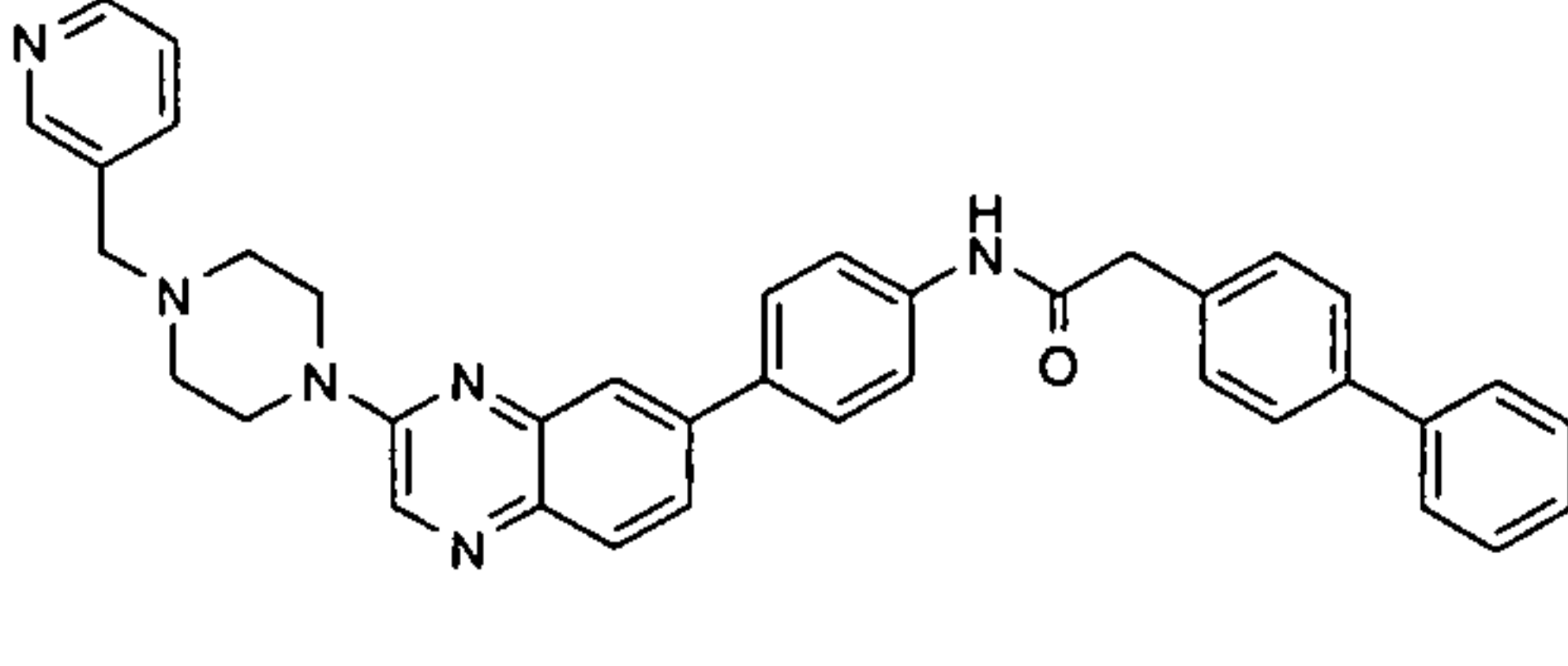
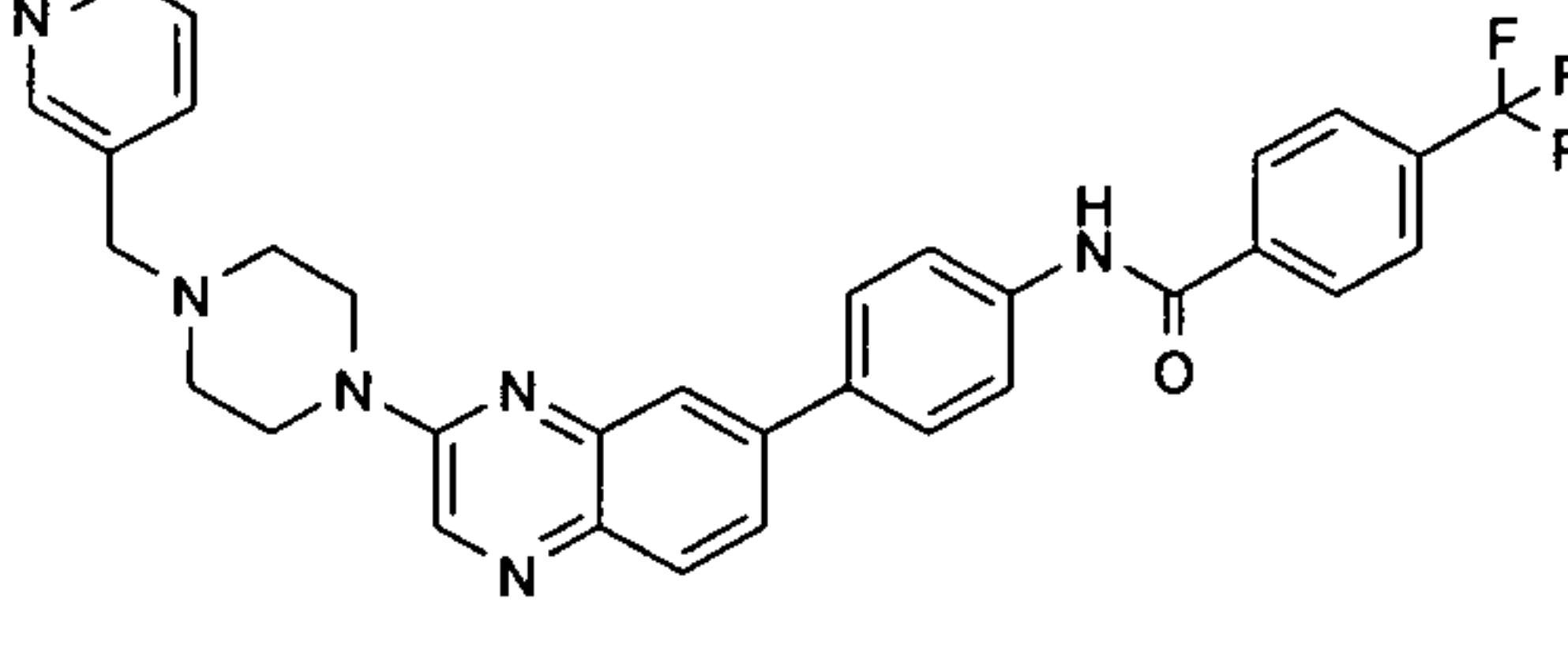
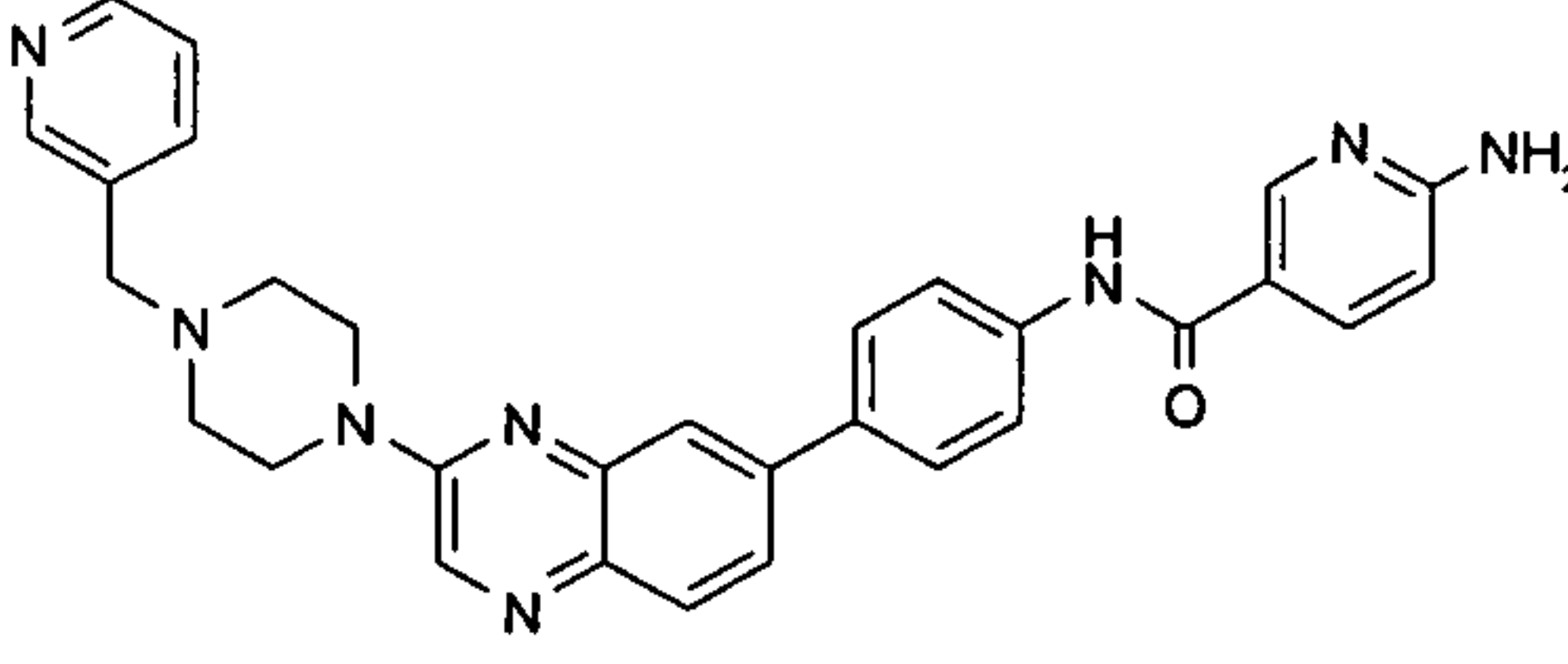
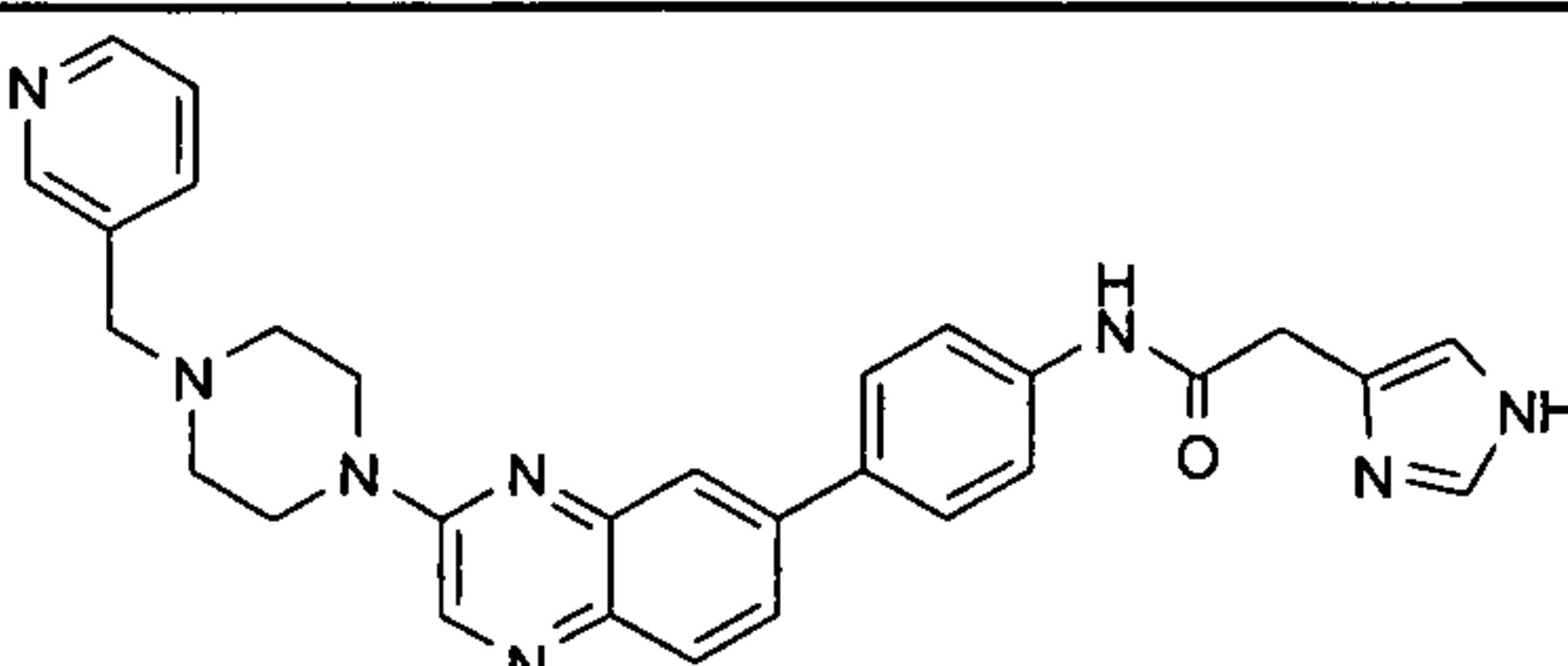
The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

Example	Structure	Name	Analytical Data
9.2		2-(2-fluorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.85 MW _{found} = 533.6 MW _{calc} = 532.6
9.3		2-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.90 MW _{found} = 529.7 MW _{calc} = 528.7
9.4		3-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.89 MW _{found} = 529.7 MW _{calc} = 528.7
9.5		2-(pyridin-3-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.58 MW _{found} = 516.6 MW _{calc} = 515.6
9.6		2-(pyridin-2-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.63 MW _{found} = 516.6 MW _{calc} = 515.6
9.7		2-cyclopropyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.78 MW _{found} = 479.6 MW _{calc} = 478.6
9.8		3-(pyridin-4-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.57 MW _{found} = 530.6 MW _{calc} = 529.6

9.9		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclohexanecarboxamide	RT = 0.90 MW _{found} = 507.6 MW _{calc} = 506.6
9.10		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.85 MW _{found} = 501.6 MW _{calc} = 500.6
9.11		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.72 MW _{found} = 453.6 MW _{calc} = 452.6
9.12		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pentanamide	RT = 0.84 MW _{found} = 481.6 MW _{calc} = 480.6
9.13		2-hydroxy-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.62 MW _{found} = 455.5 MW _{calc} = 454.5
9.14		4-fluoro-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.87 MW _{found} = 519.6 MW _{calc} = 518.6
9.15		3-methyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide	RT = 0.83 MW _{found} = 481.6 MW _{calc} = 480.6

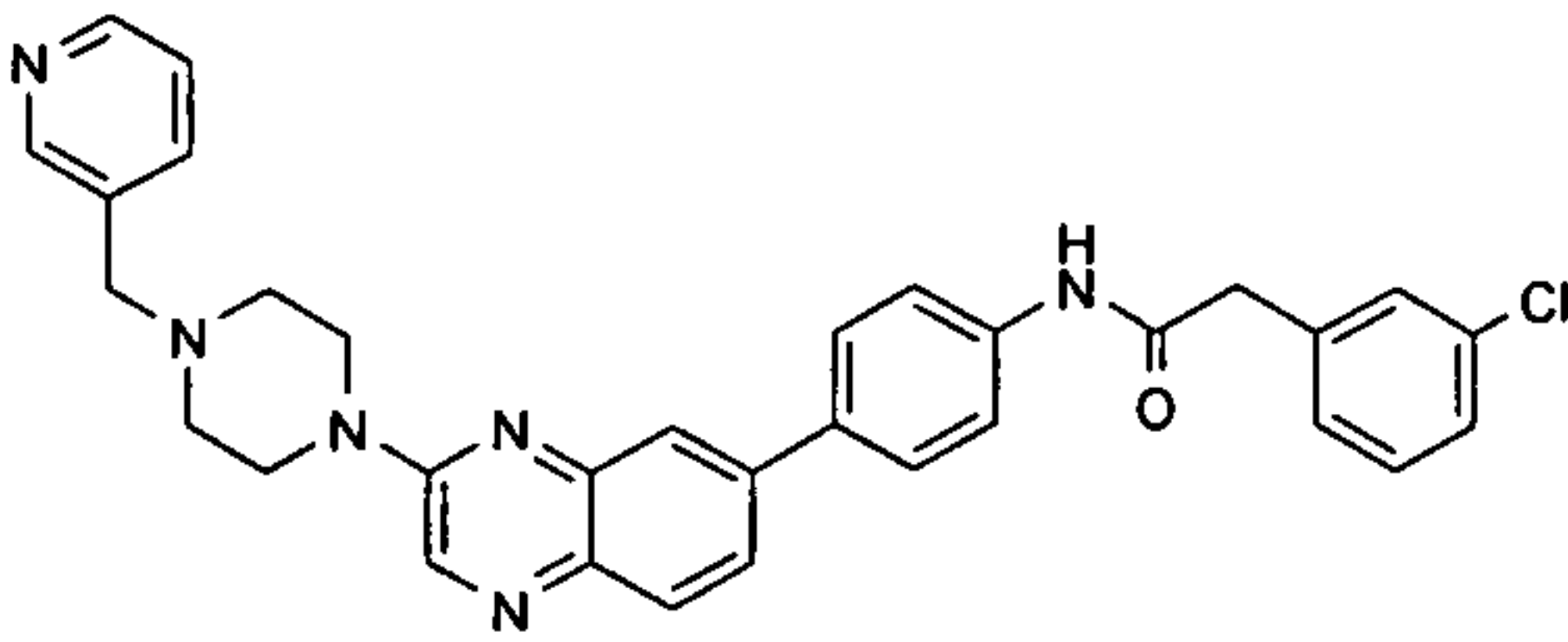
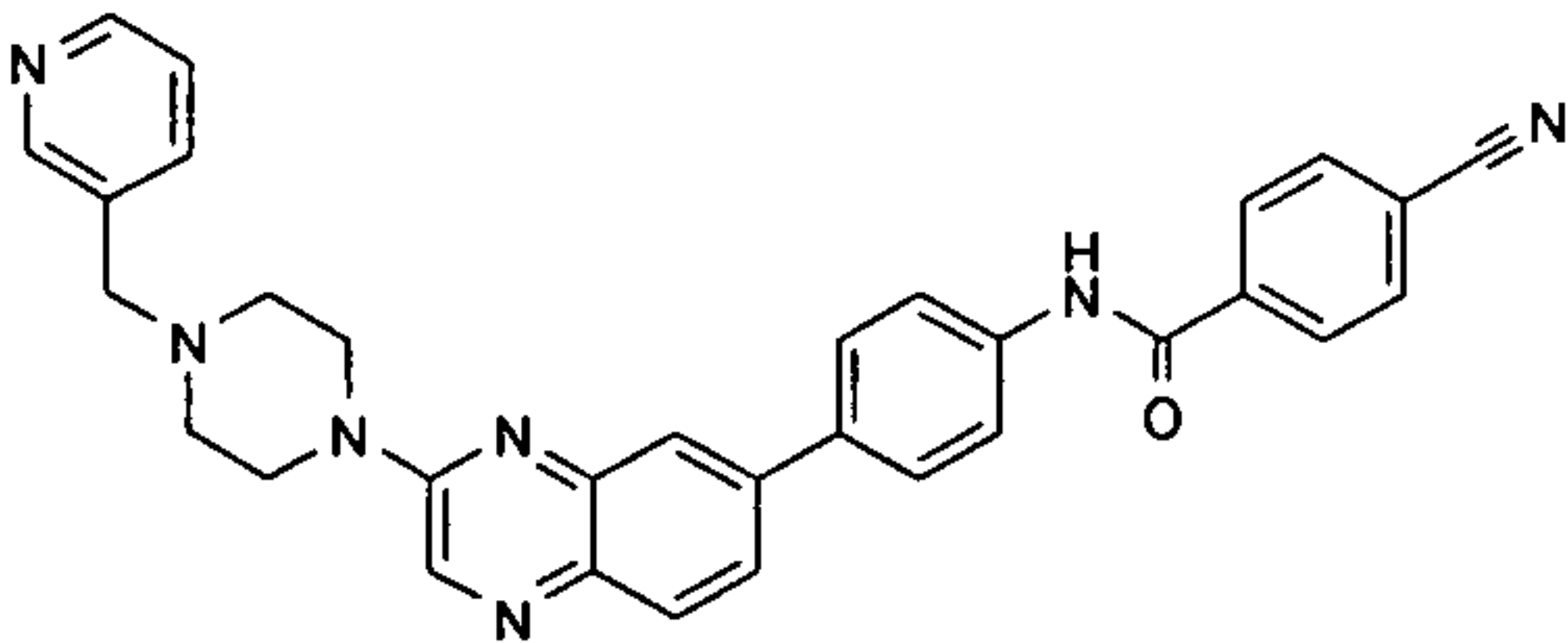
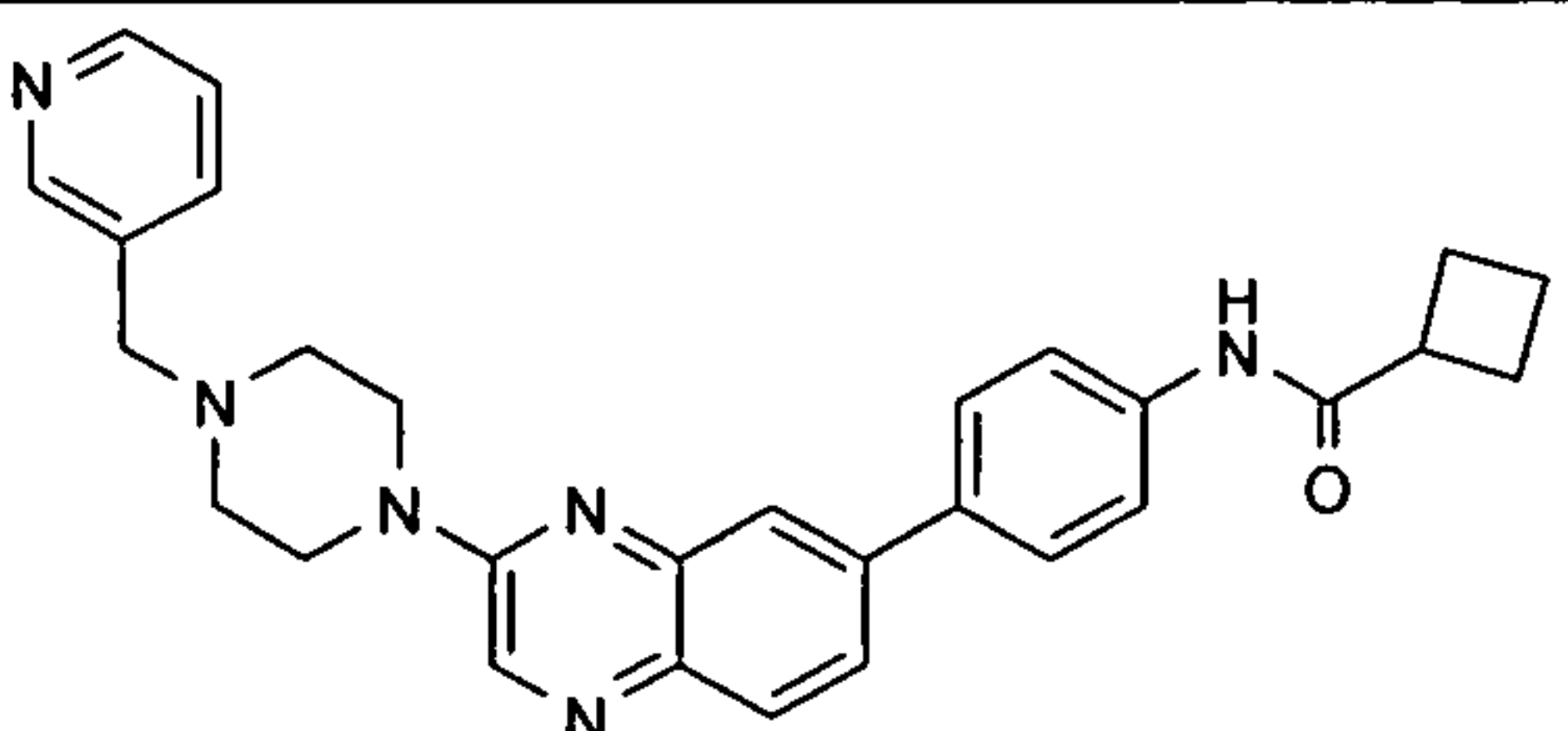
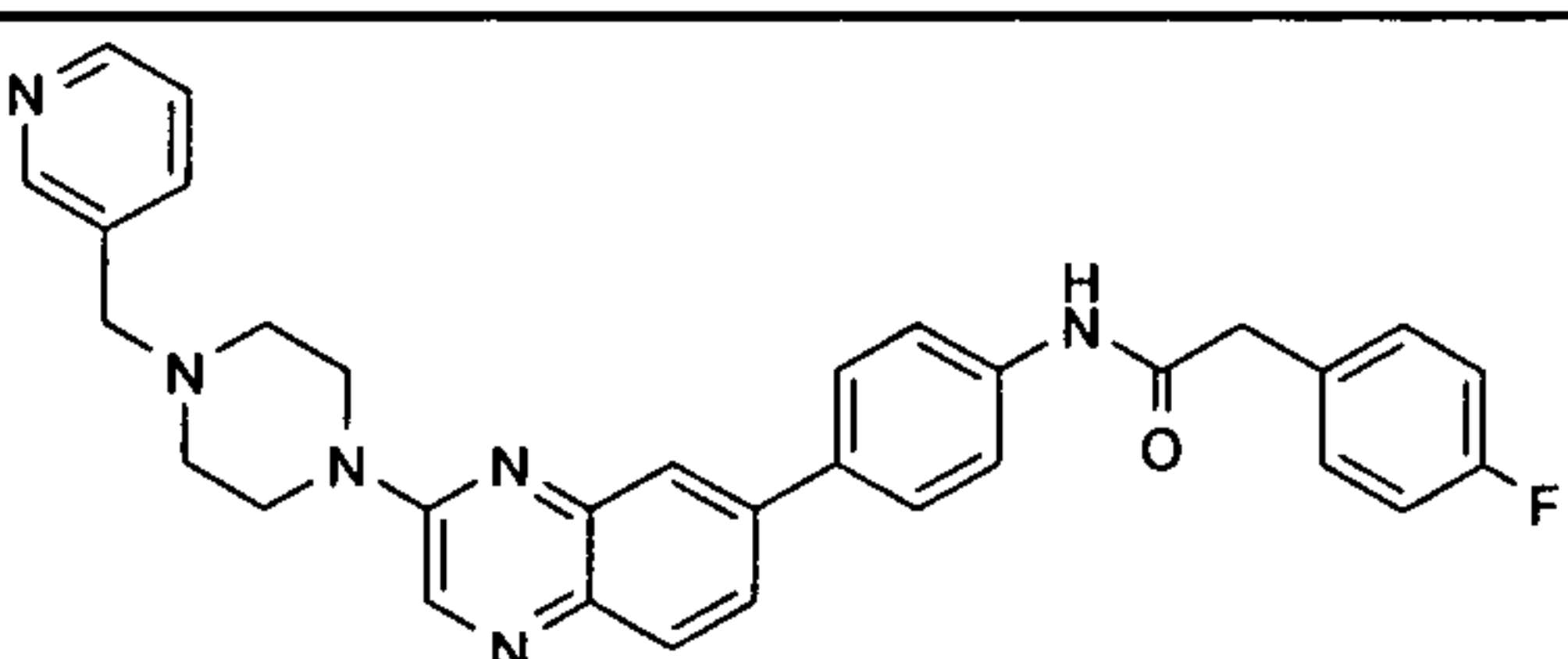
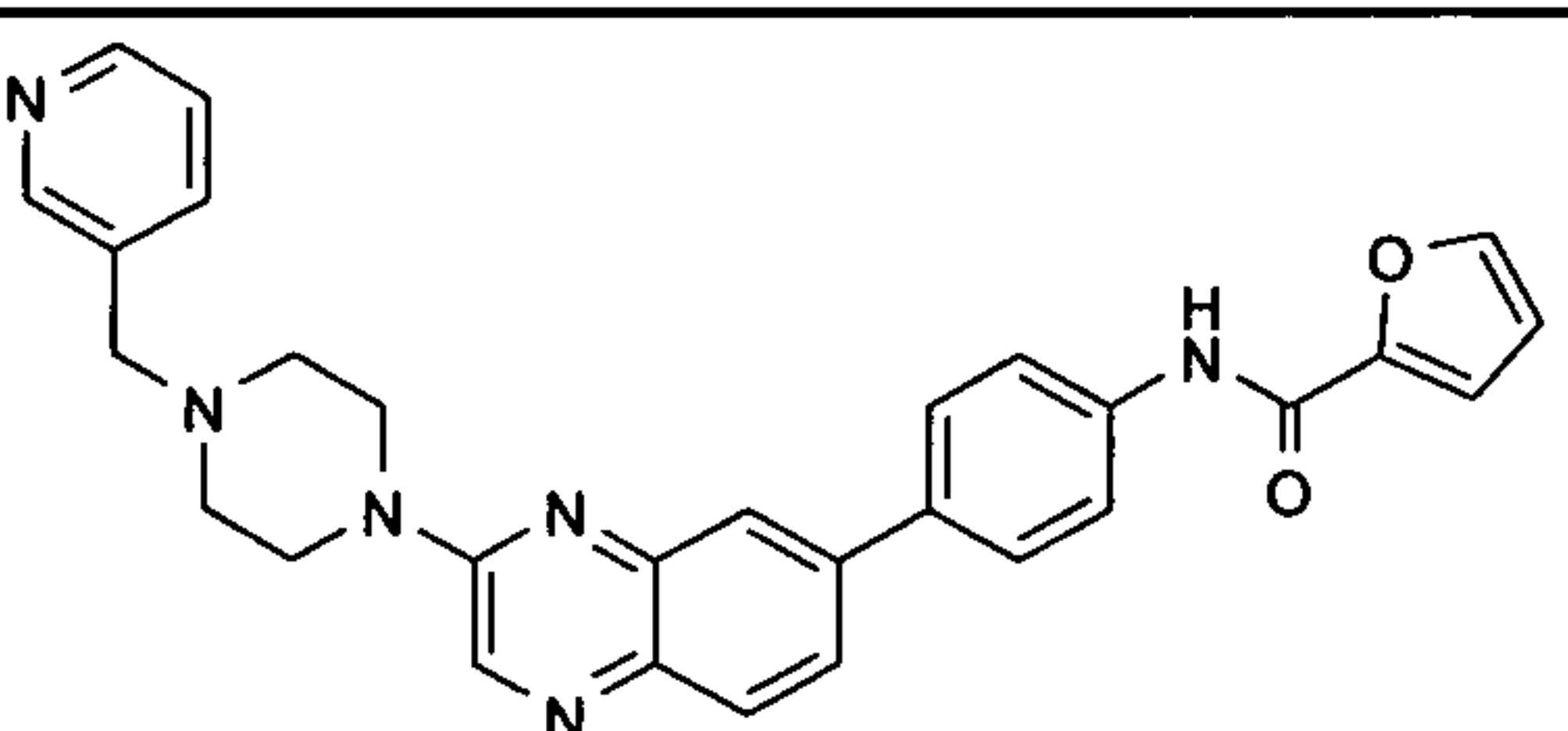
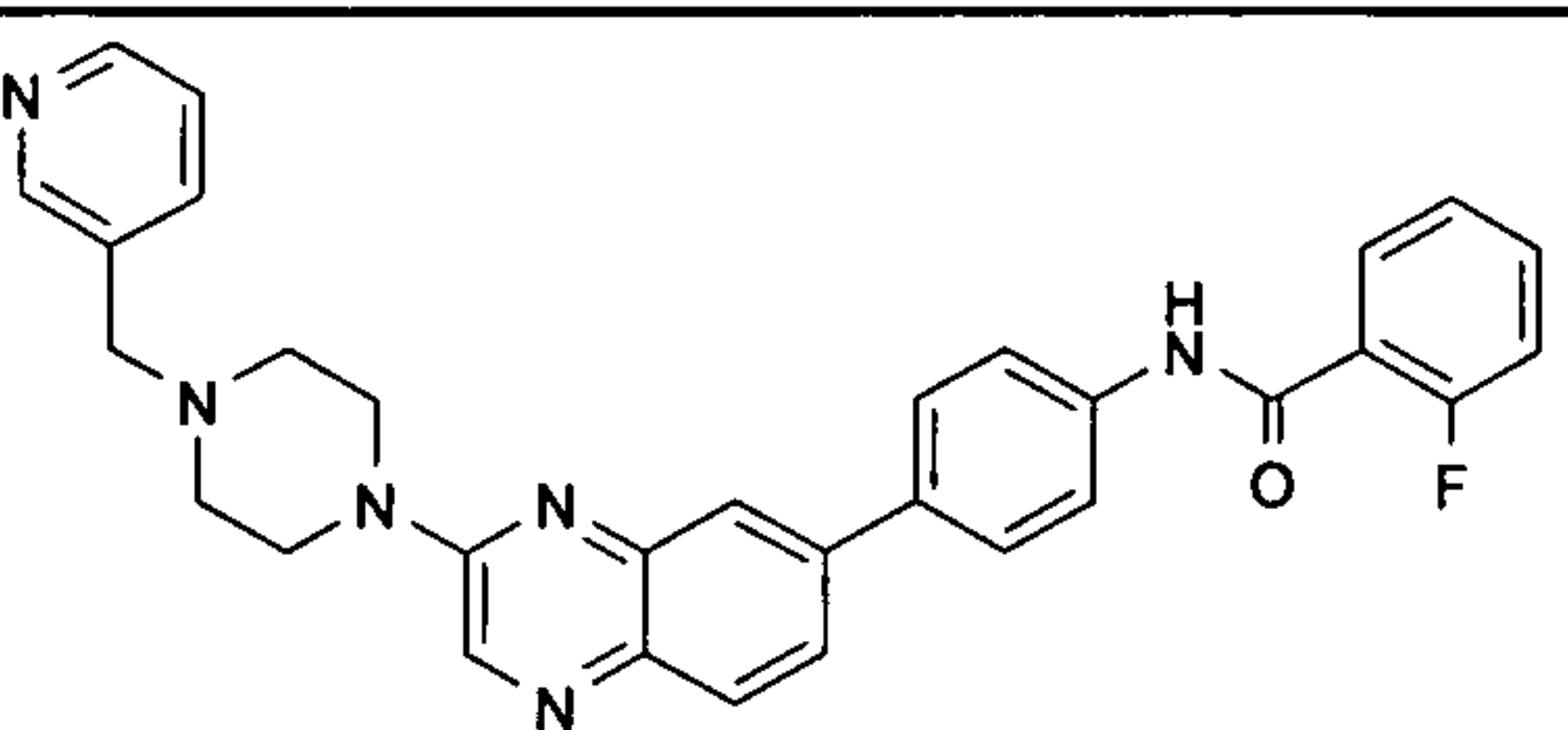
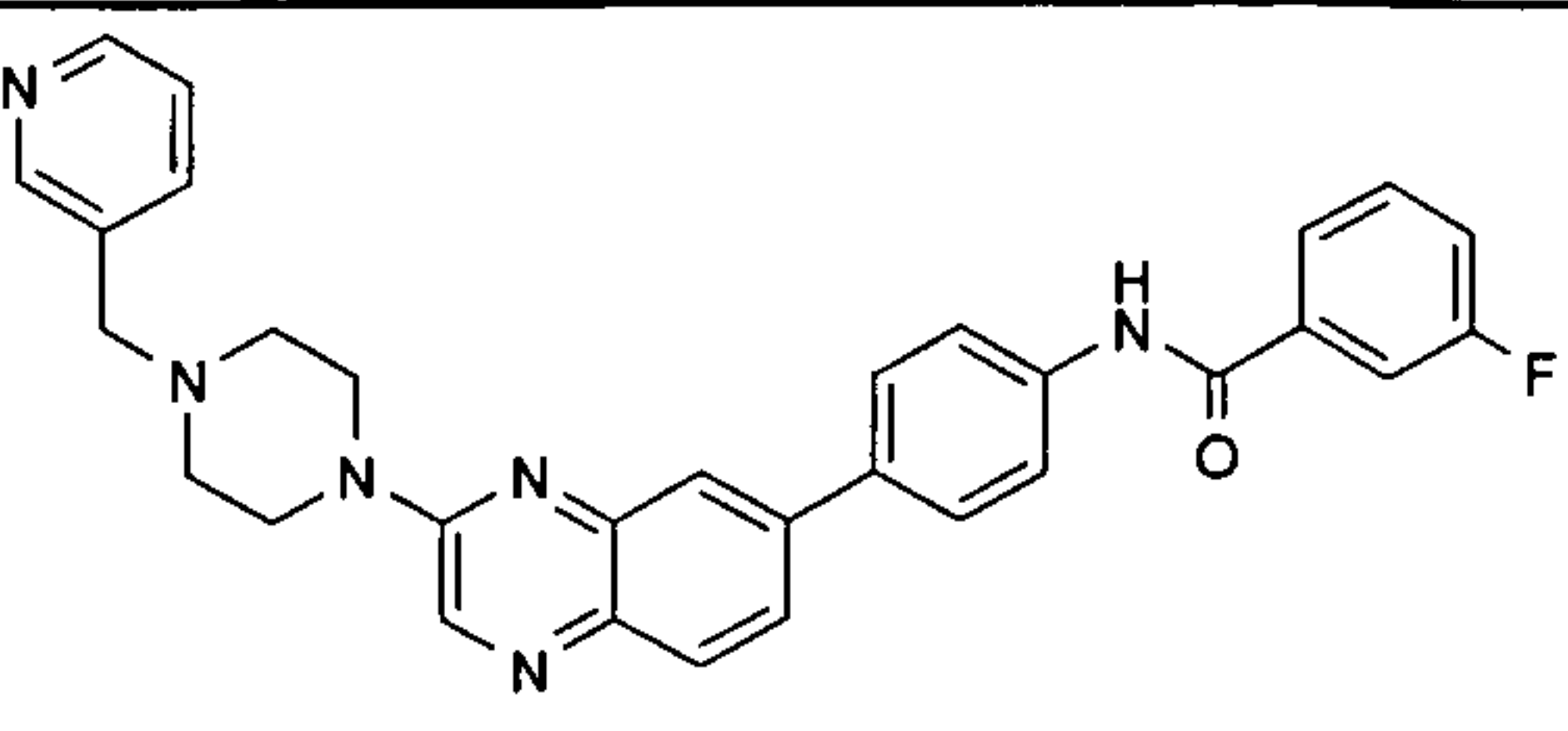
9.16		4-(acetylamino)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.75 MW _{found} = 558.6 MW _{calc} = 557.6
9.17		1-methyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-indole-2-carboxamide	RT = 0.99 MW _{found} = 554.7 MW _{calc} = 553.7
9.18		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanediamide	RT = 0.61 MW _{found} = 496.6 MW _{calc} = 495.6
9.19		2-(4-chlorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.93 MW _{found} = 550.1 MW _{calc} = 549.1
9.20		1-methyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrrole-2-carboxamide	RT = 0.84 MW _{found} = 504.6 MW _{calc} = 503.6
9.21		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide	RT = 0.90 MW _{found} = 495.6 MW _{calc} = 494.6
9.22		3-cyano-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.84 MW _{found} = 526.6 MW _{calc} = 525.6

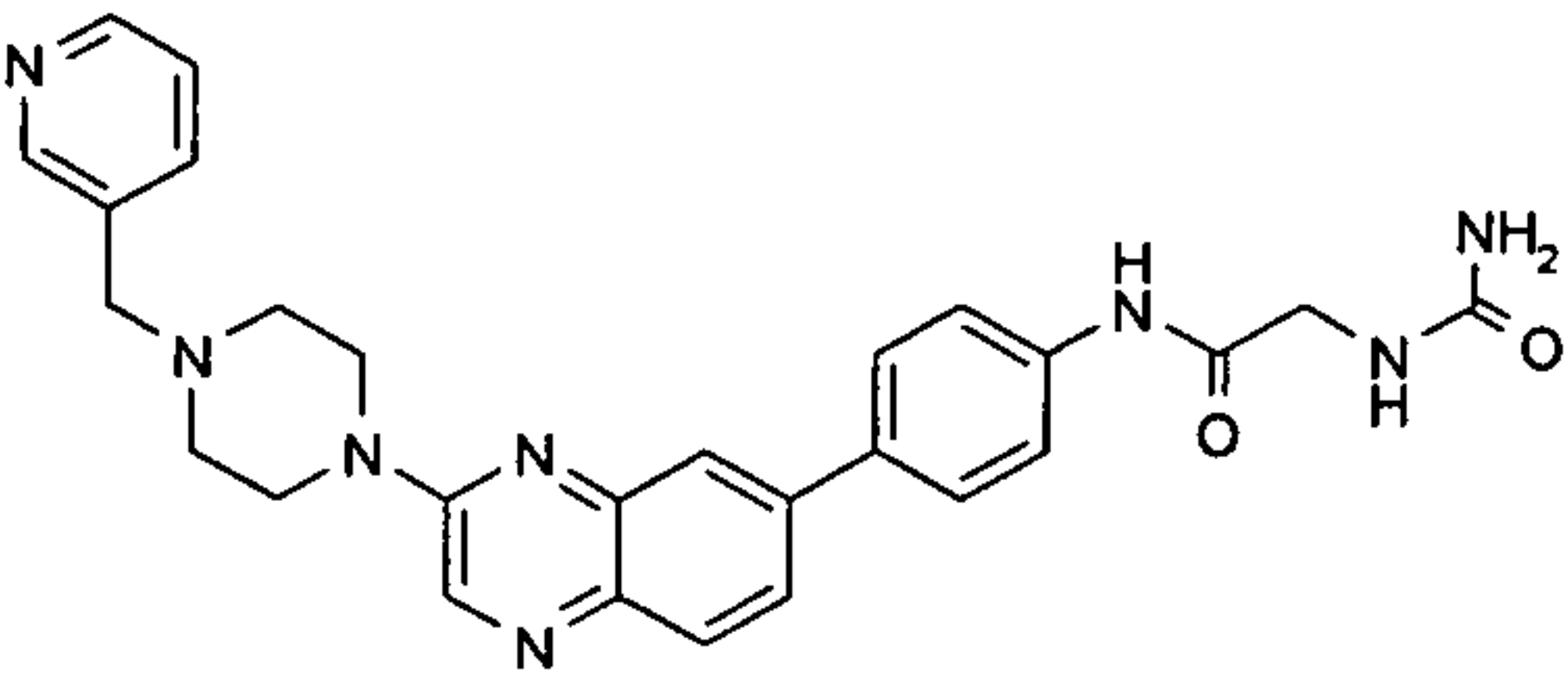
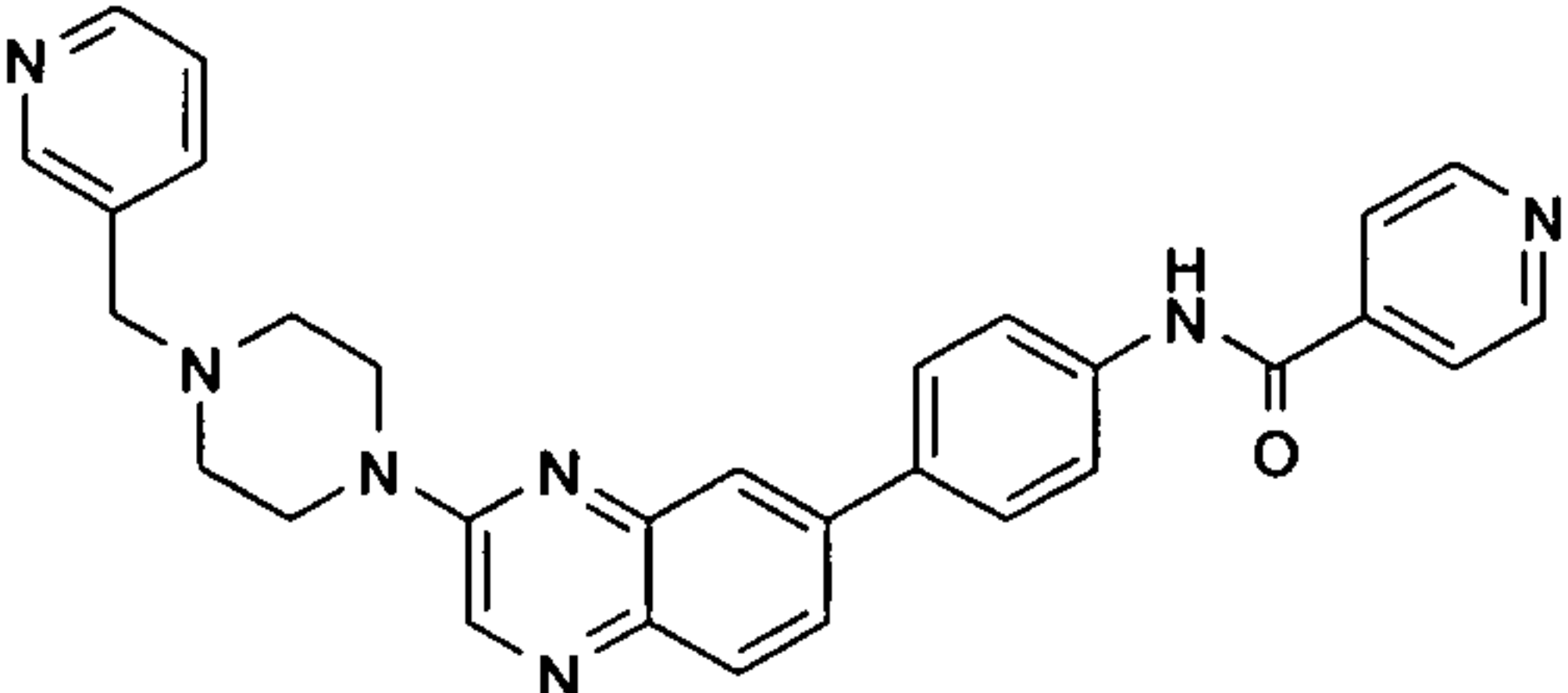
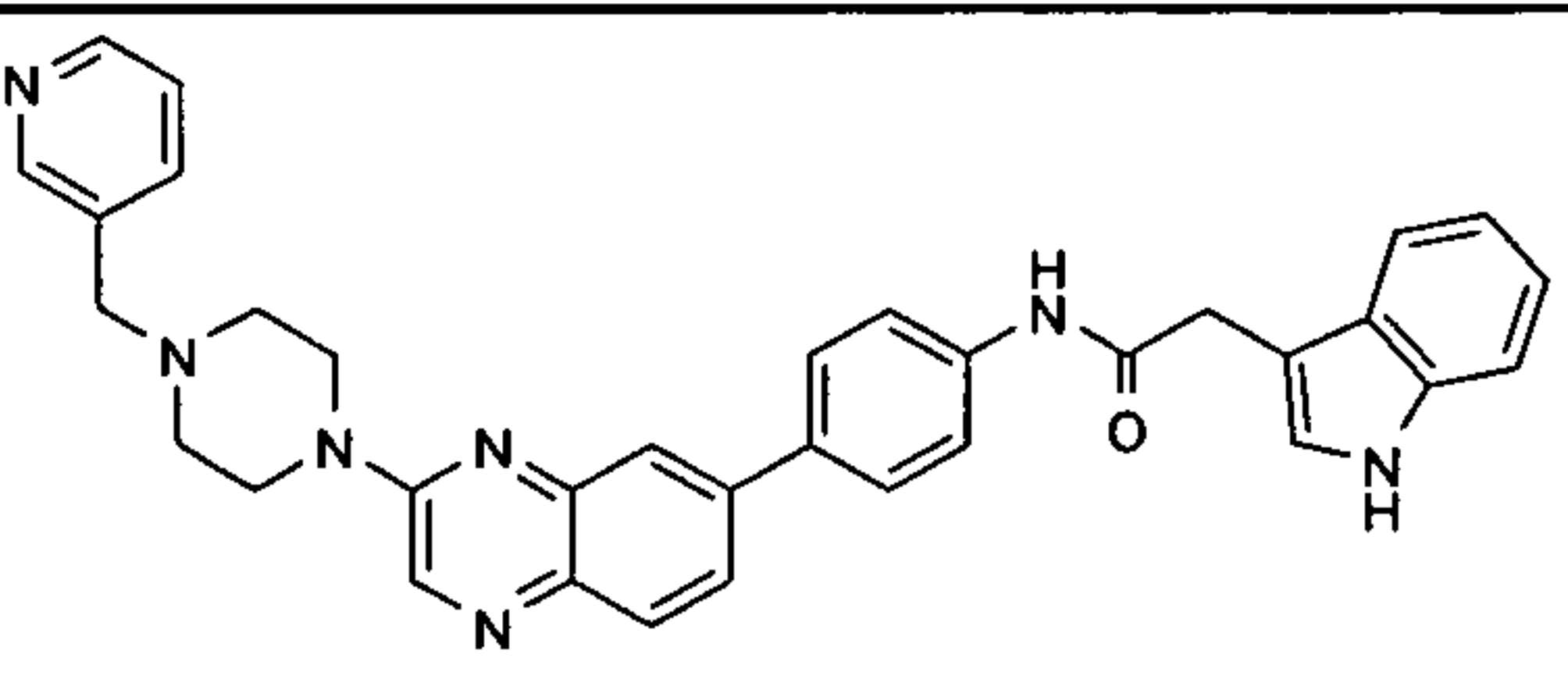
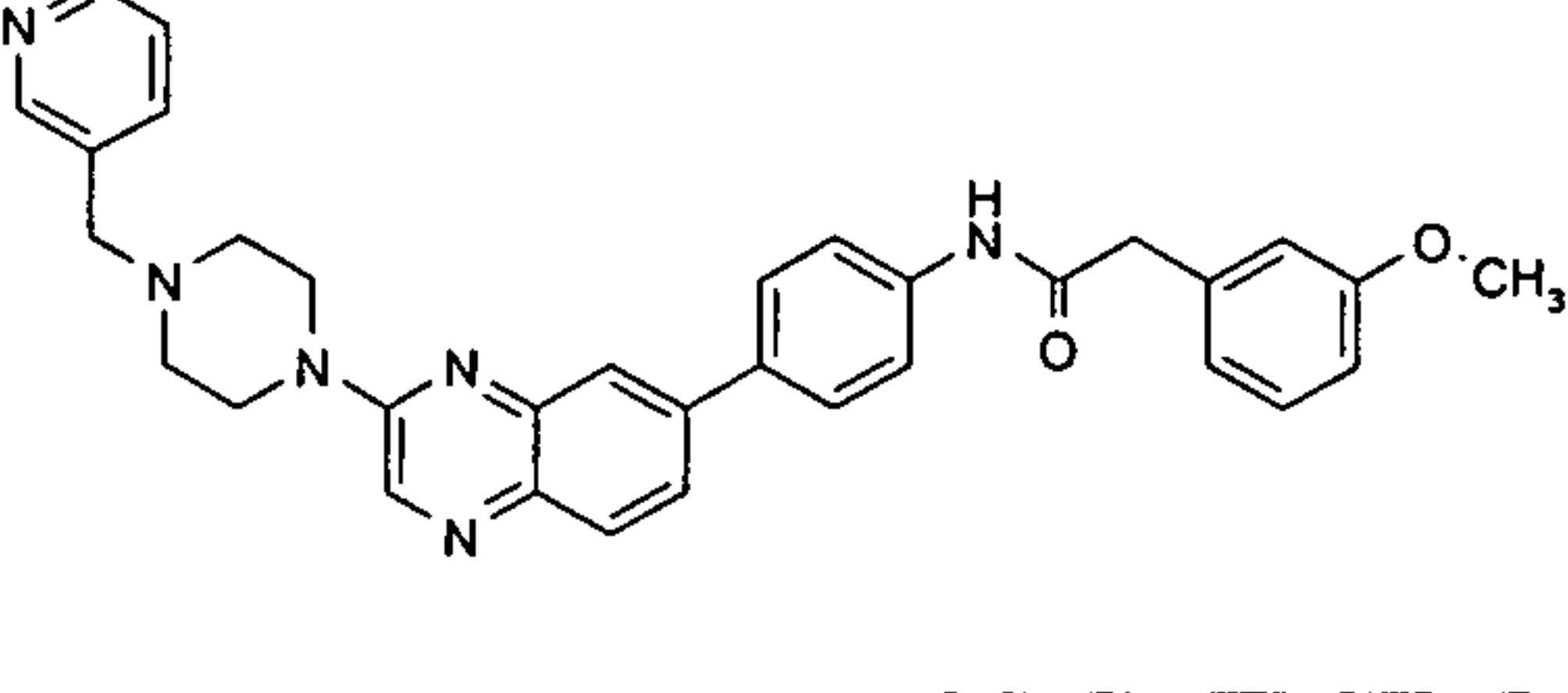
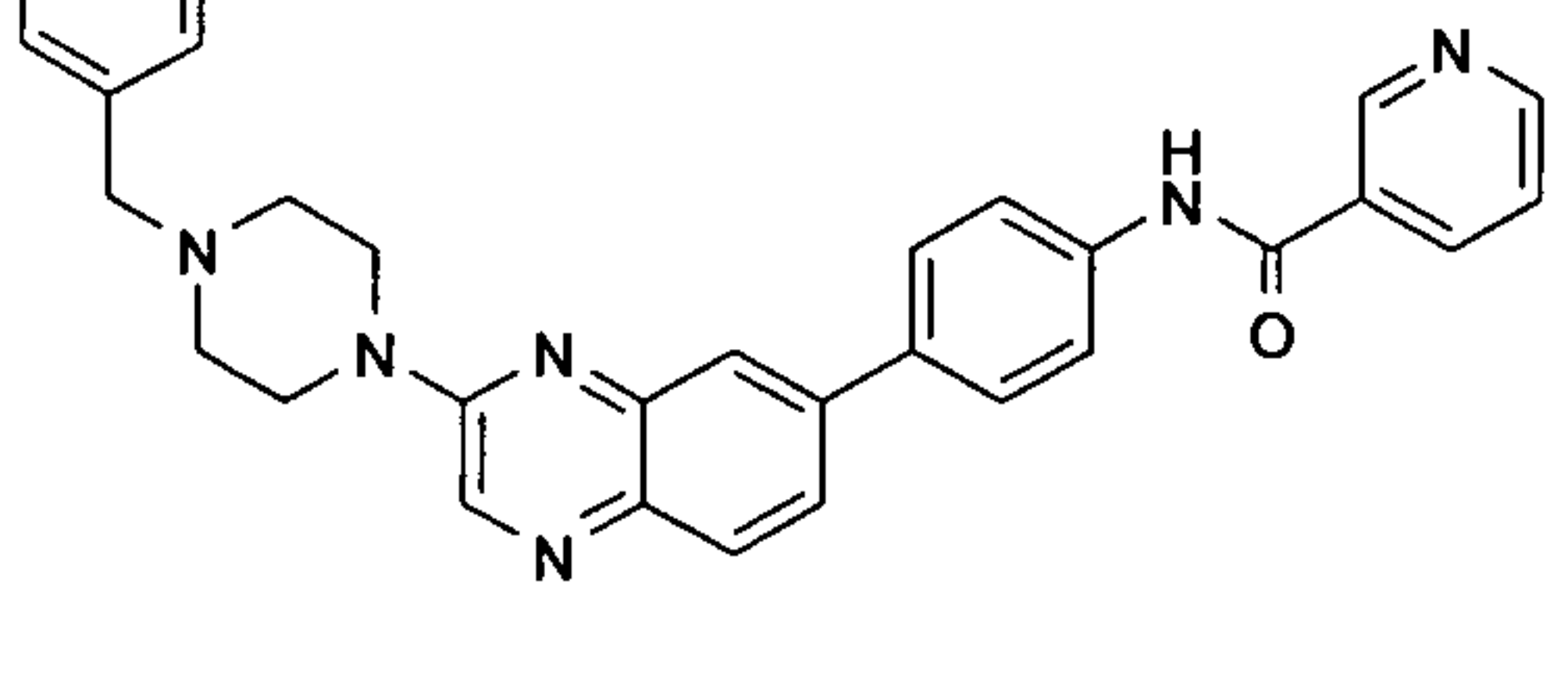
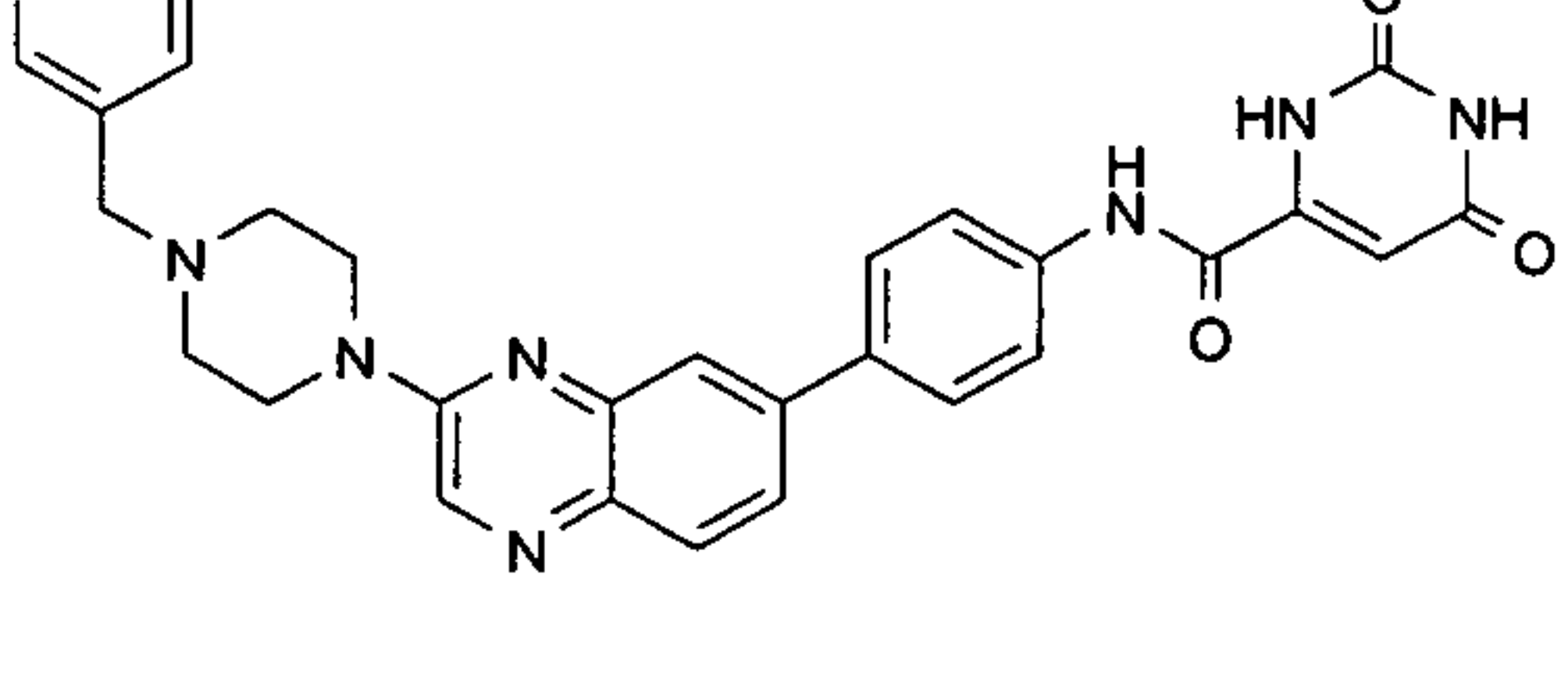
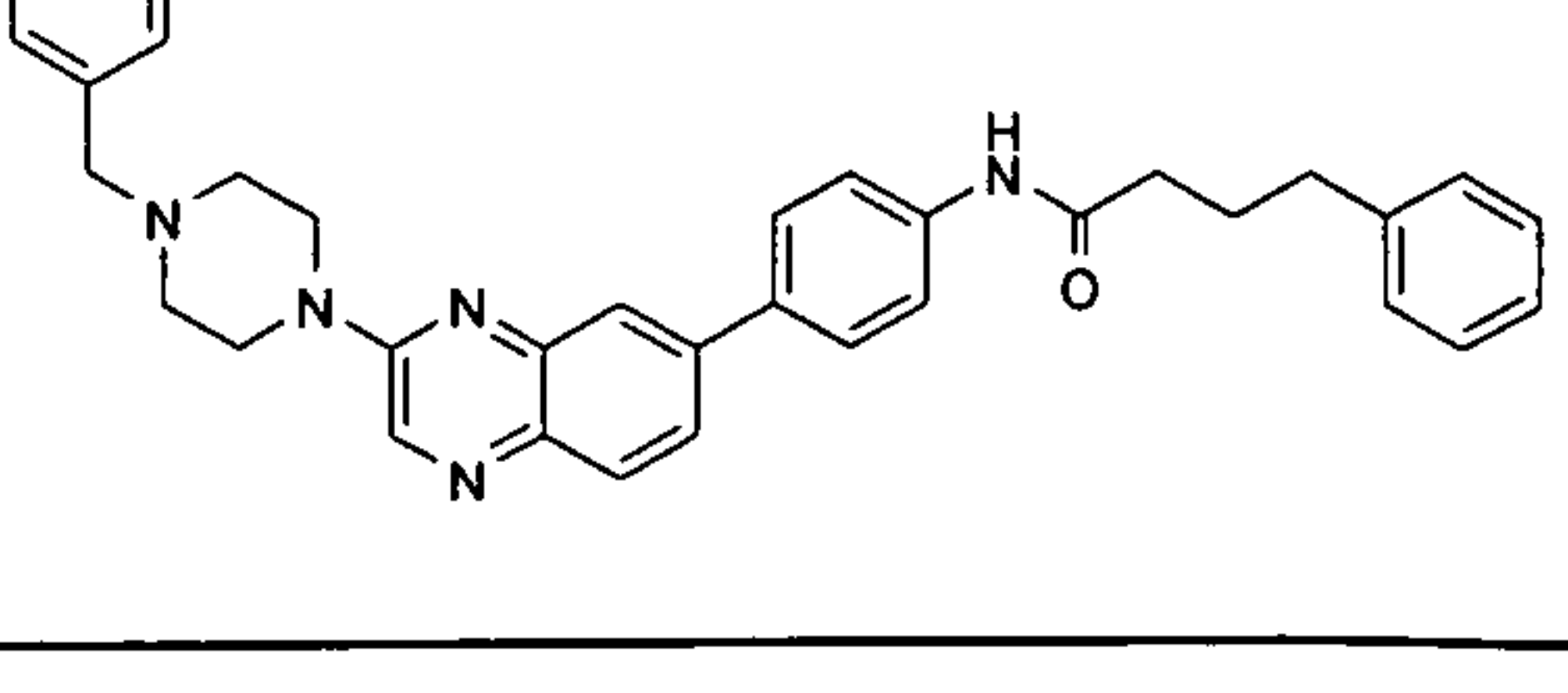
9.23		1-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide	RT = 0.96 MW _{found} = 541.7 MW _{calc} = 540.7
9.24		3-cyclohexyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 1.03 MW _{found} = 535.7 MW _{calc} = 534.7
9.25		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)furan-3-carboxamide	RT = 0.78 MW _{found} = 491.6 MW _{calc} = 490.6
9.26		2-(2-methoxyphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.87 MW _{found} = 545.6 MW _{calc} = 544.6
9.27		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-3-(trifluoromethyl)benzamide	RT = 0.97 MW _{found} = 569.6 MW _{calc} = 568.6
9.28		2-(2-chlorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.90 MW _{found} = 550.1 MW _{calc} = 549.1
9.29		2-methyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide	RT = 0.83 MW _{found} = 481.6 MW _{calc} = 480.6

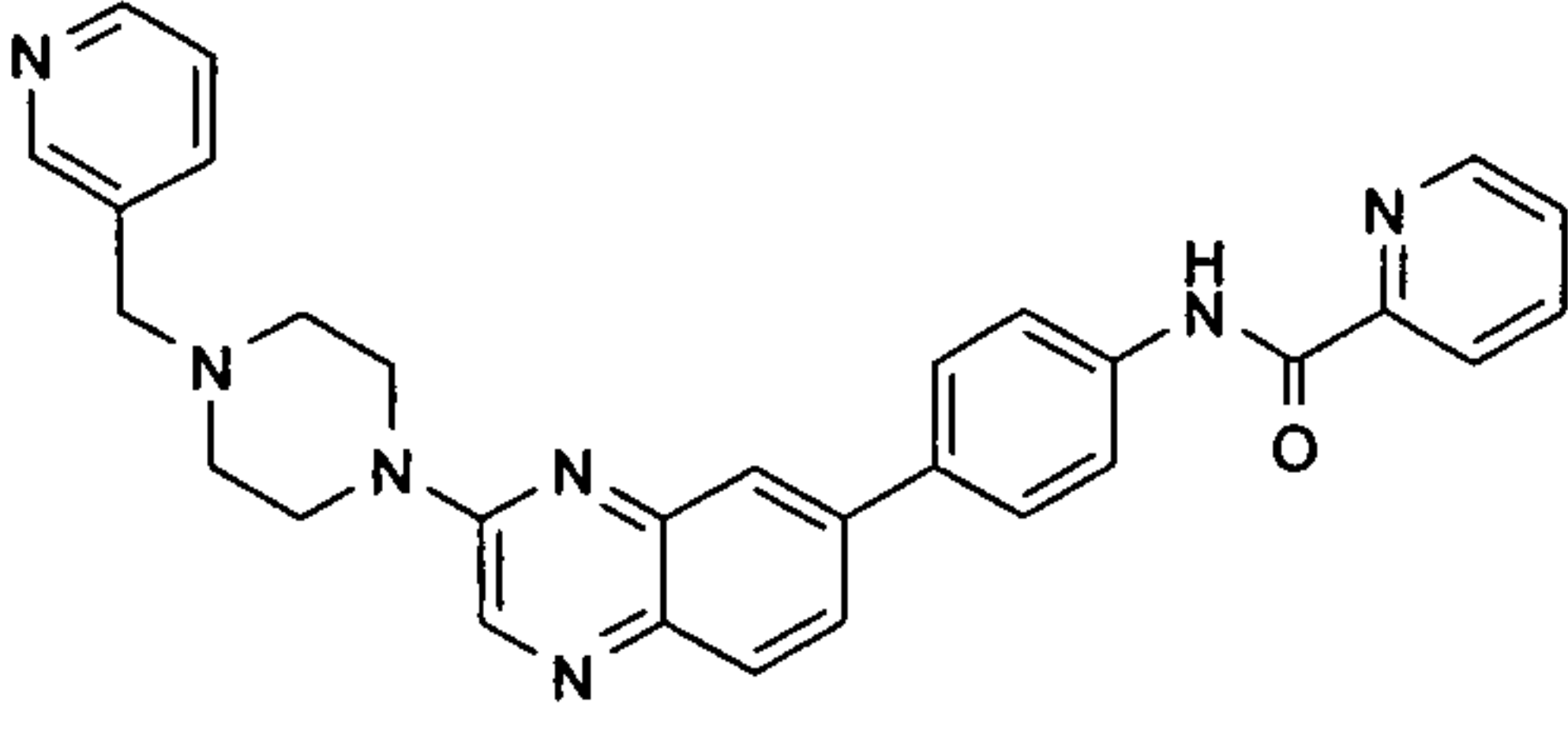
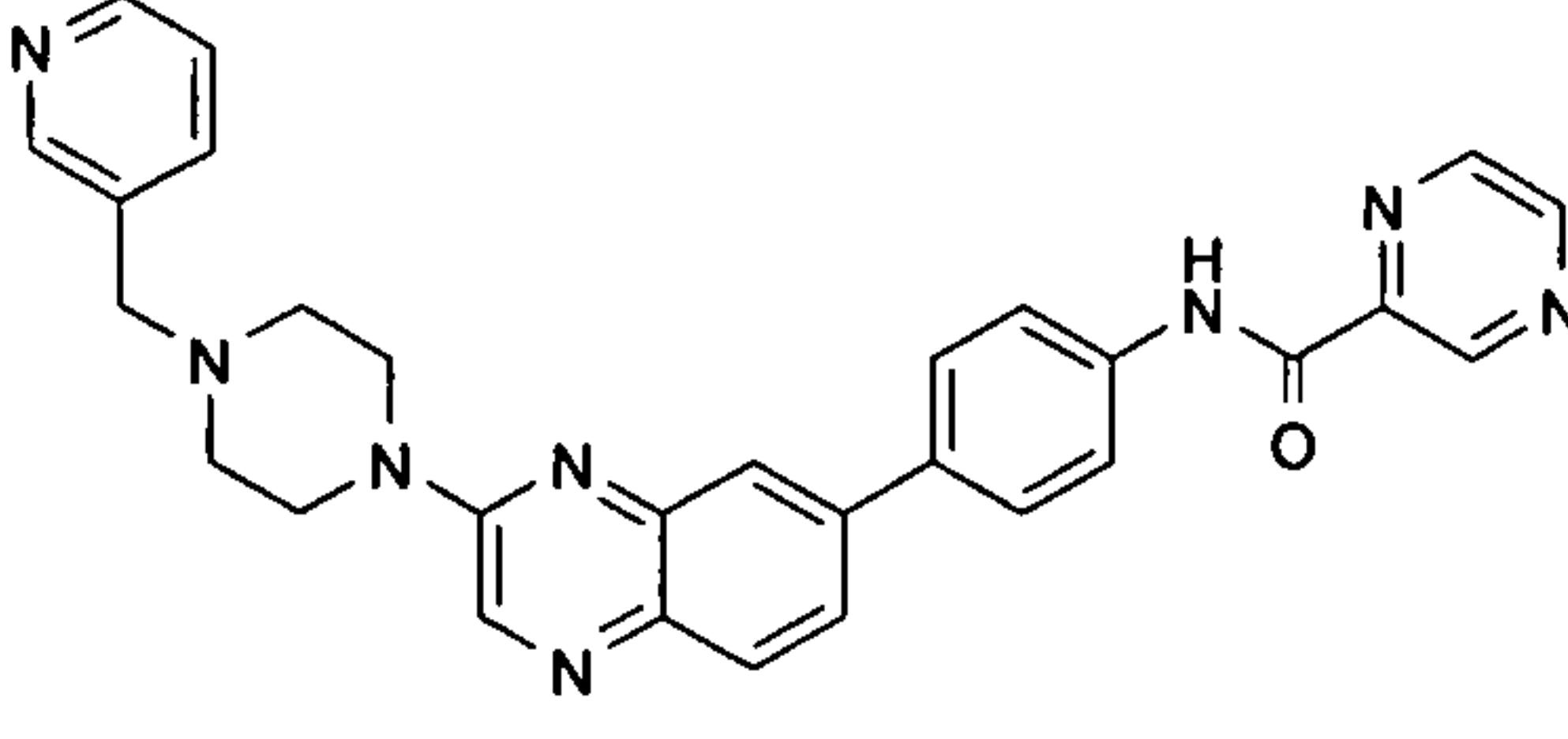
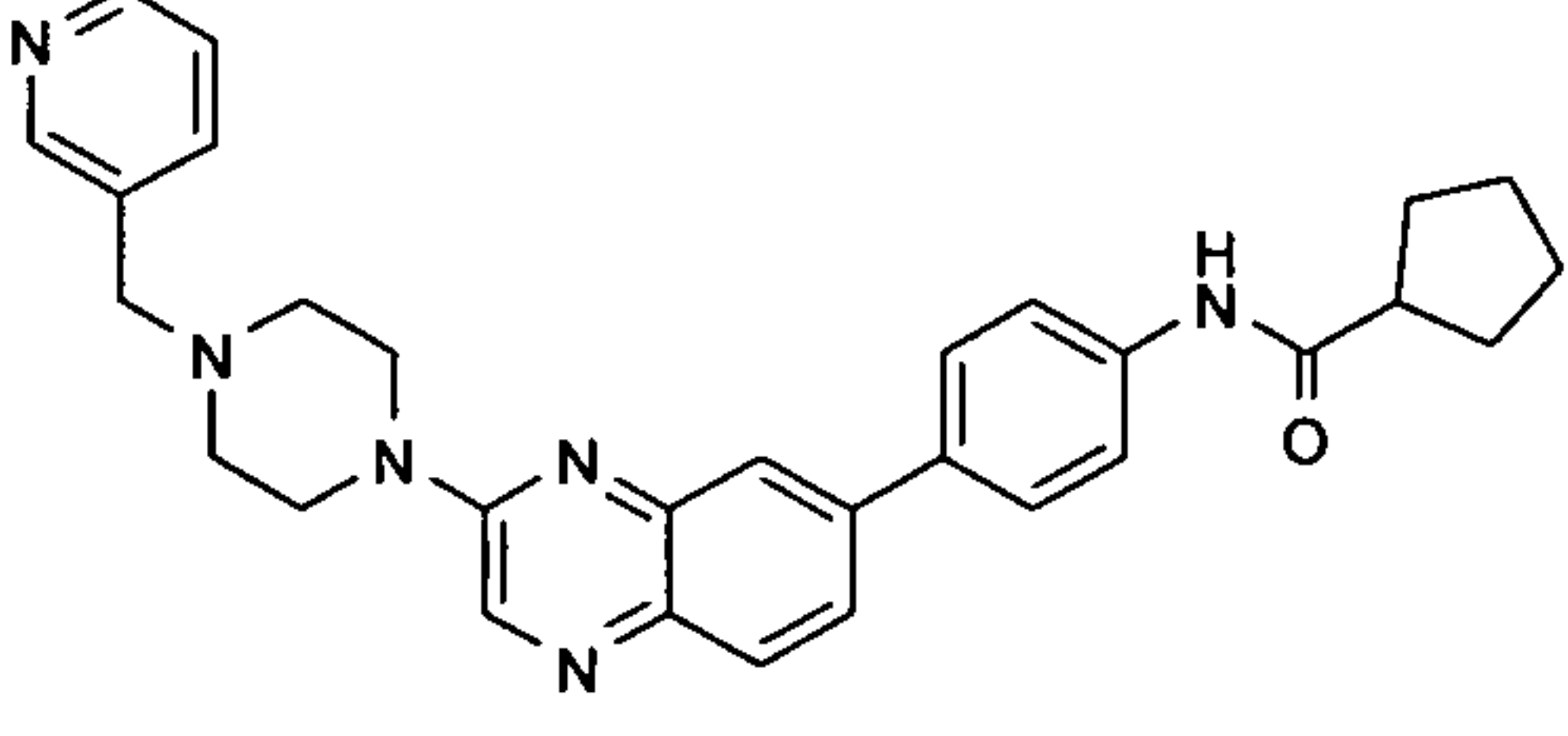
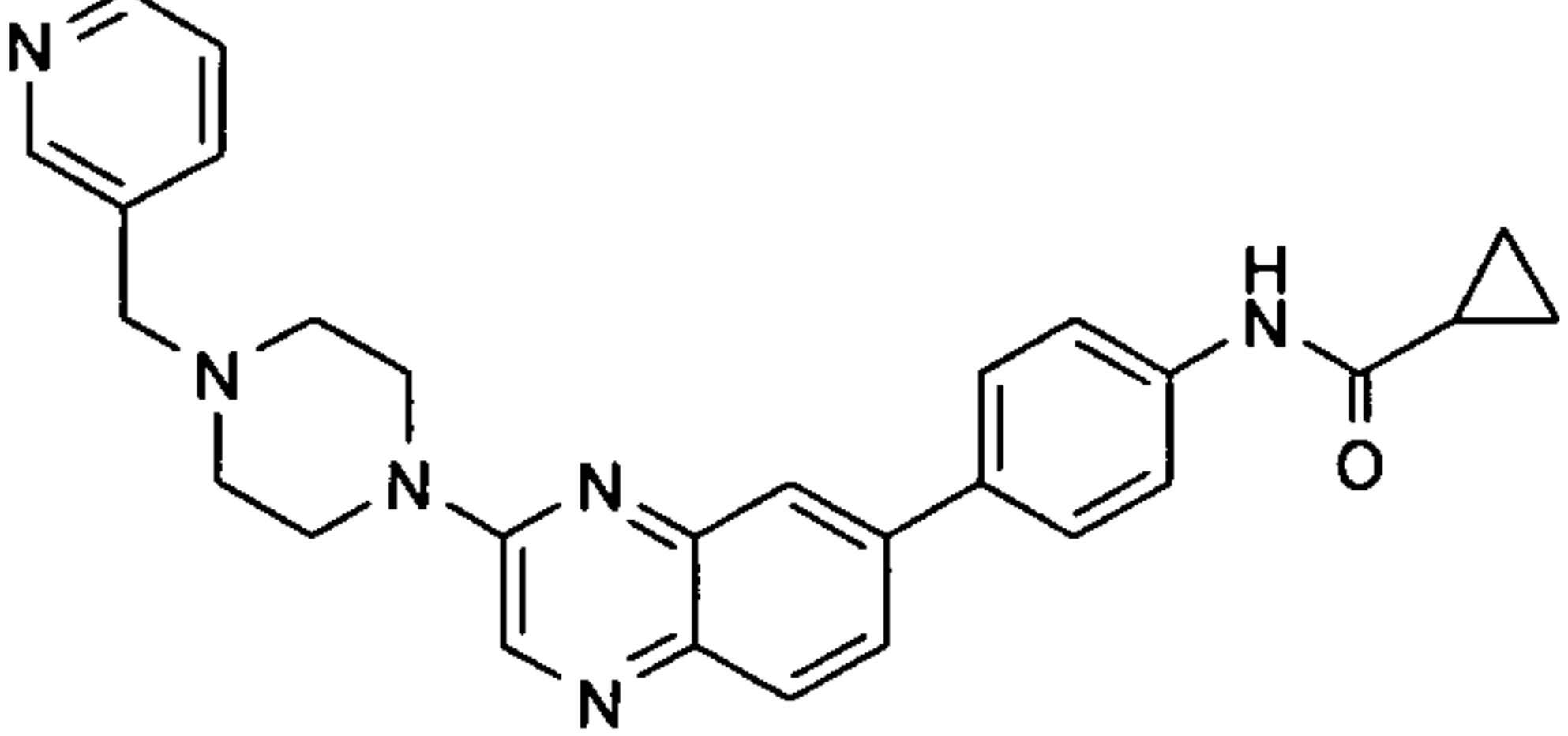
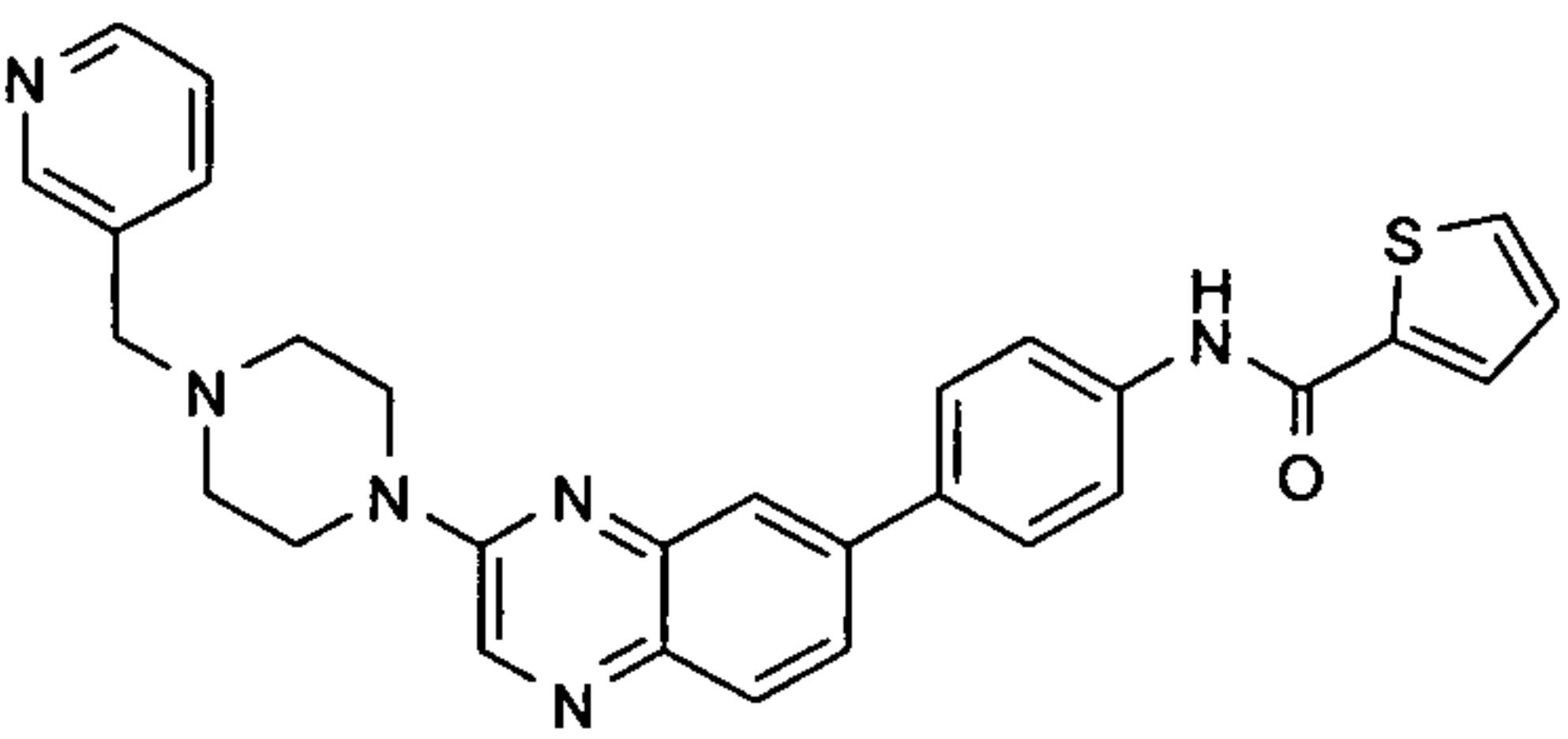
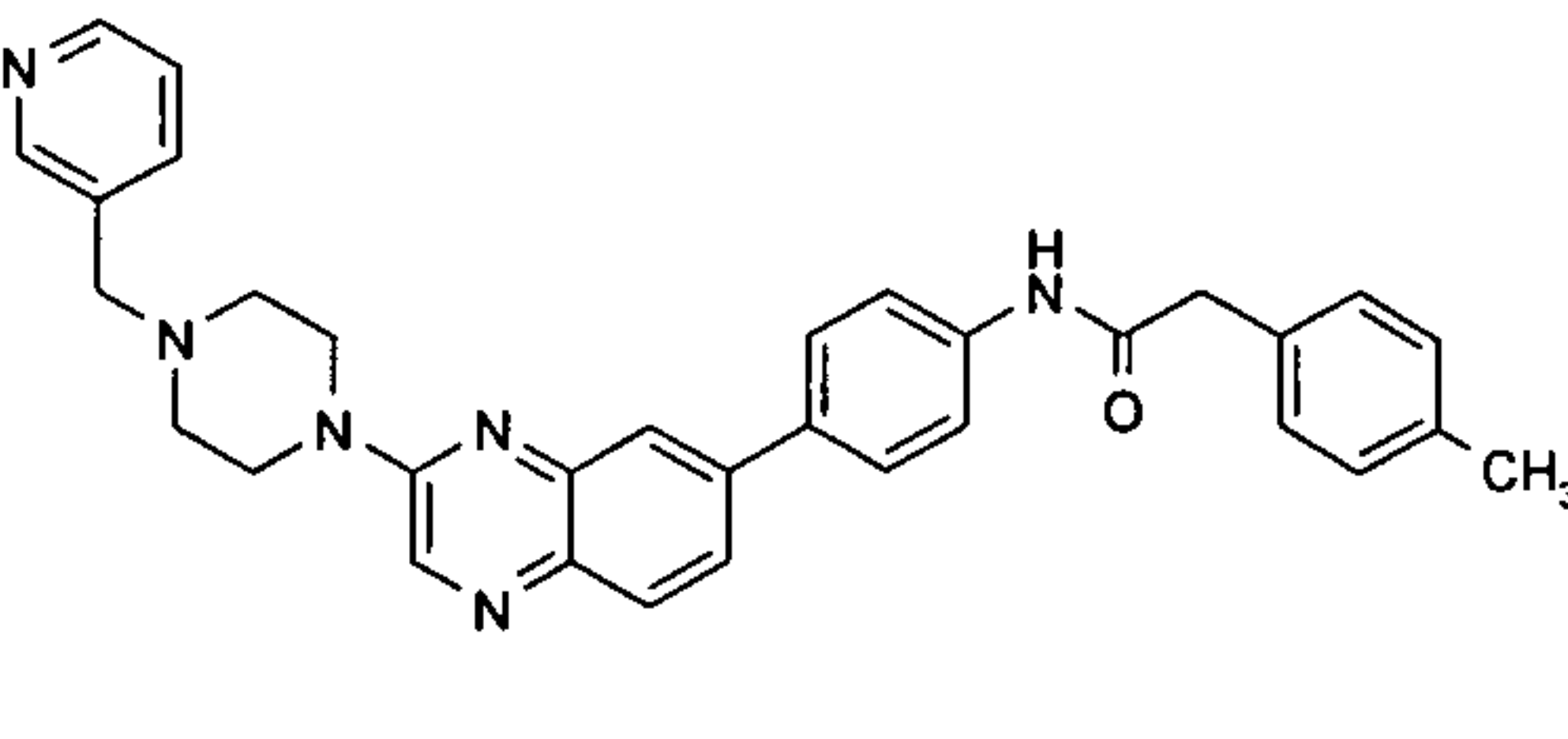
9.30		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-[3-(trifluoromethyl)phenyl]acetamide	RT = 0.96 MW _{found} = 583.6 MW _{calc} = 582.6
9.31		2-methoxy-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.70 MW _{found} = 469.6 MW _{calc} = 468.6
9.32		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-2-yl)acetamide	RT = 0.83 MW _{found} = 521.6 MW _{calc} = 520.6
9.33		2-(biphenyl-4-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.02 MW _{found} = 591.7 MW _{calc} = 590.7
9.34		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-4-(trifluoromethyl)benzamide	RT = 0.97 MW _{found} = 569.6 MW _{calc} = 568.6
9.35		6-amino-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-3-carboxamide	RT = 0.59 MW _{found} = 517.6 MW _{calc} = 516.6
9.36		2-(1H-imidazol-4-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.53 MW _{found} = 505.6 MW _{calc} = 504.6

9.37		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-3-yl)acetamide	RT = 0.83 MW _{found} = 521.6 MW _{calc} = 520.6
9.38		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pent-4-ynamide	RT = 0.75 MW _{found} = 477.6 MW _{calc} = 476.6
9.39		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-[4-(trifluoromethyl)phenyl]acetamide	RT = 0.96 MW _{found} = 583.6 MW _{calc} = 582.6
9.40		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-[2-(trifluoromethyl)phenyl]acetamide	RT = 0.93 MW _{found} = 583.6 MW _{calc} = 582.6
9.41		2-hydroxy-2-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.79 MW _{found} = 531.6 MW _{calc} = 530.6
9.42		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-3-carboxamide	RT = 0.82 MW _{found} = 507.6 MW _{calc} = 506.6
9.43		2-(3-fluorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.87 MW _{found} = 533.6 MW _{calc} = 532.6

9.44		2-hydroxy-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.66 MW _{found} = 469.6 MW _{calc} = 468.6
9.45		5-oxo-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)prolinamide	RT = 0.62 MW _{found} = 508.6 MW _{calc} = 507.6
9.46		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-benzimidazole-5-carboxamide	RT = 0.63 MW _{found} = 541.6 MW _{calc} = 540.6
9.47		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)but-2-ynamide	RT = 0.75 MW _{found} = 463.5 MW _{calc} = 462.5
9.48		2-(1,3-benzodioxol-5-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.84 MW _{found} = 559.6 MW _{calc} = 558.6
9.49		6-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide	RT = 1.04 MW _{found} = 571.7 MW _{calc} = 570.7
9.50		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)tetrahydrofuran-2-carboxamide	RT = 0.75 MW _{found} = 495.6 MW _{calc} = 494.6

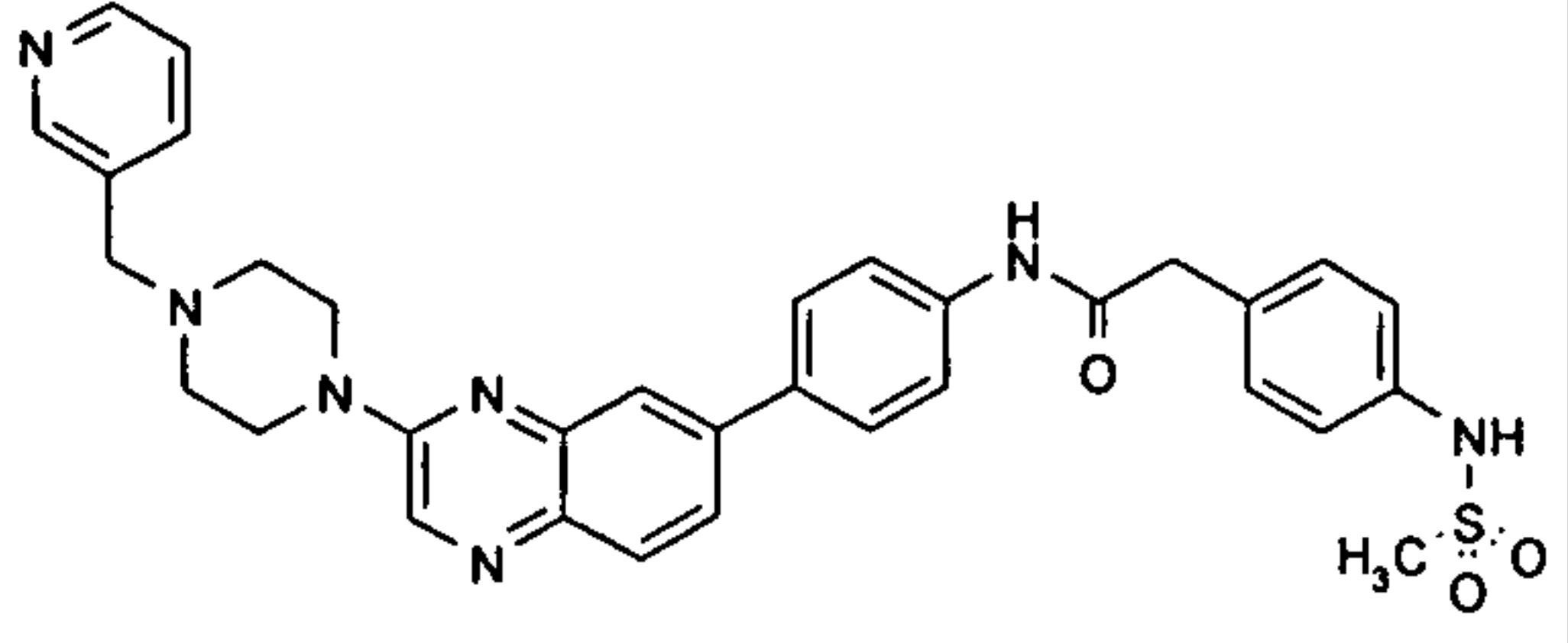
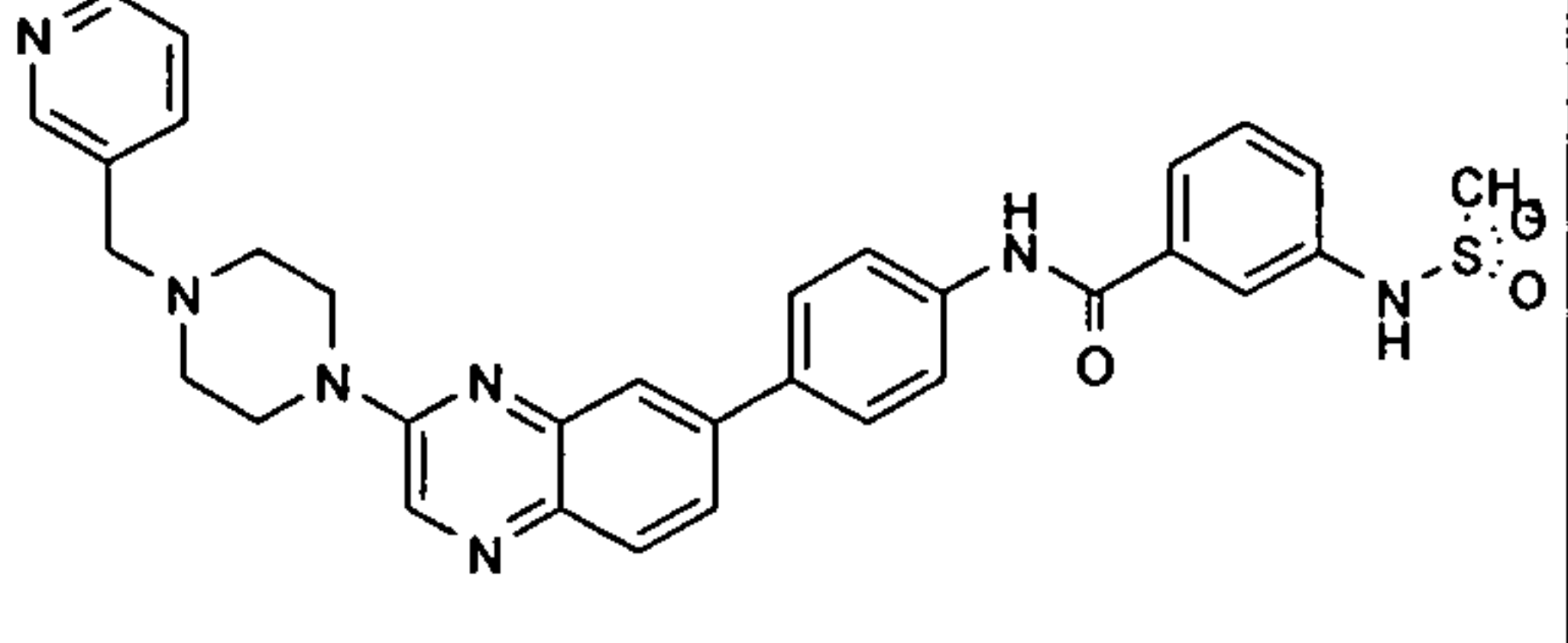
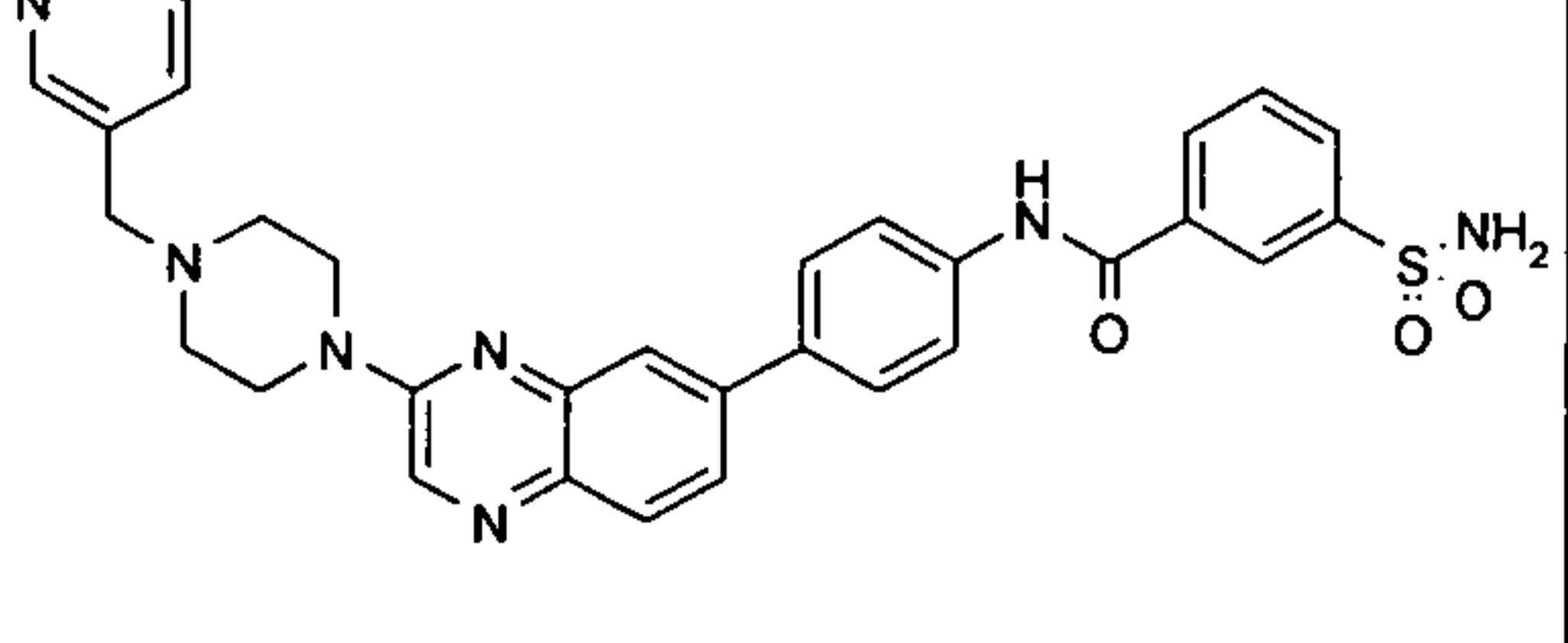
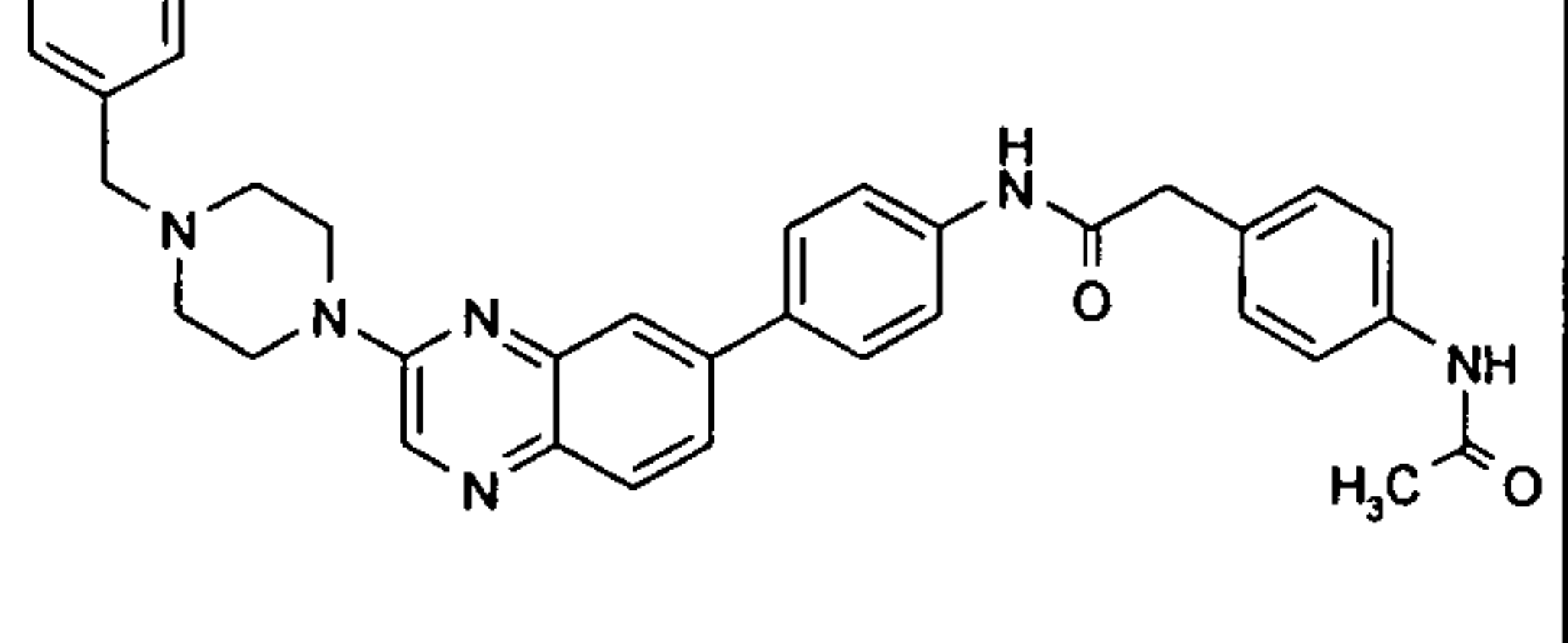
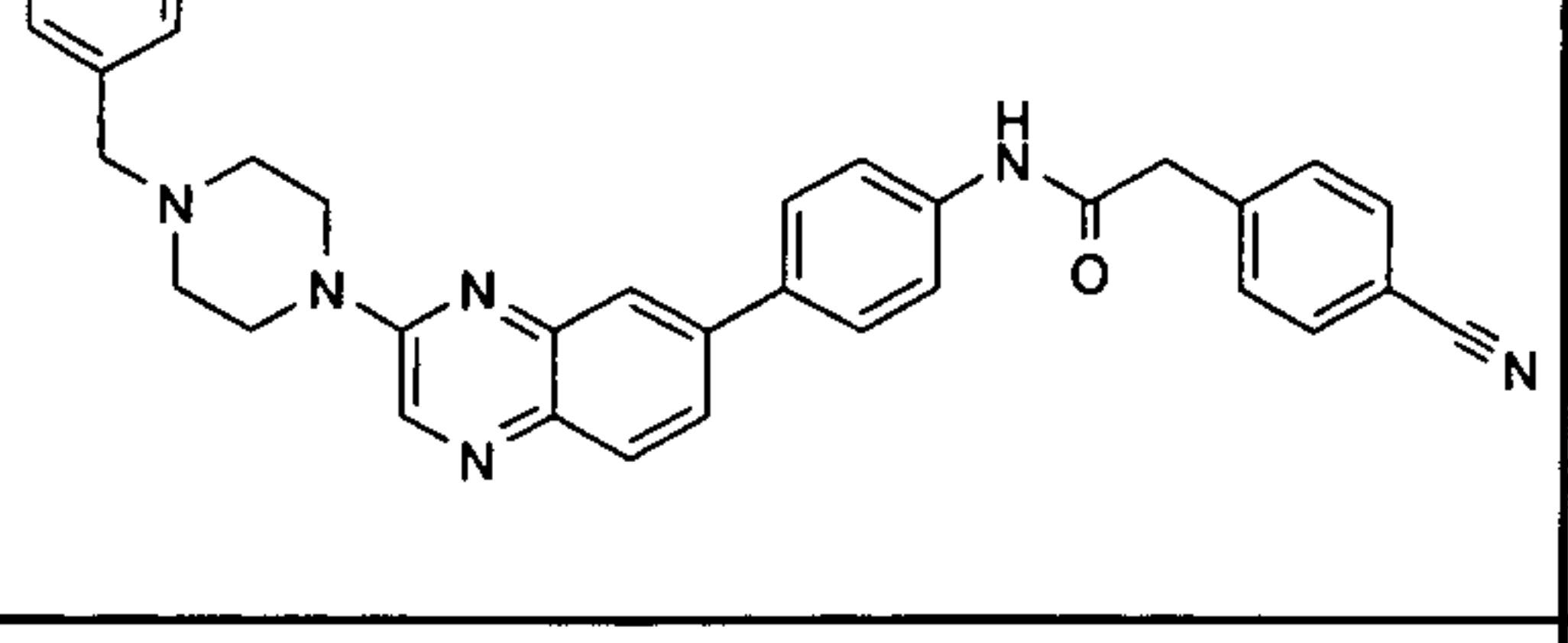
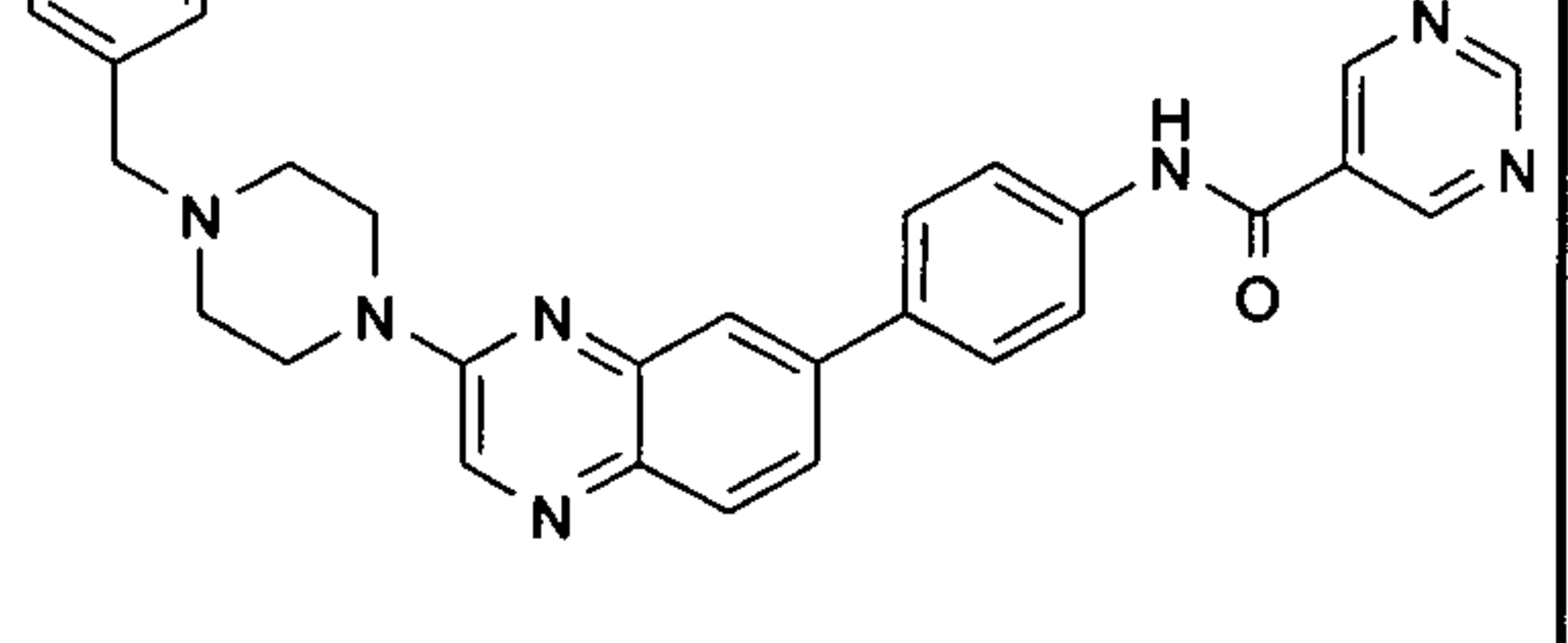
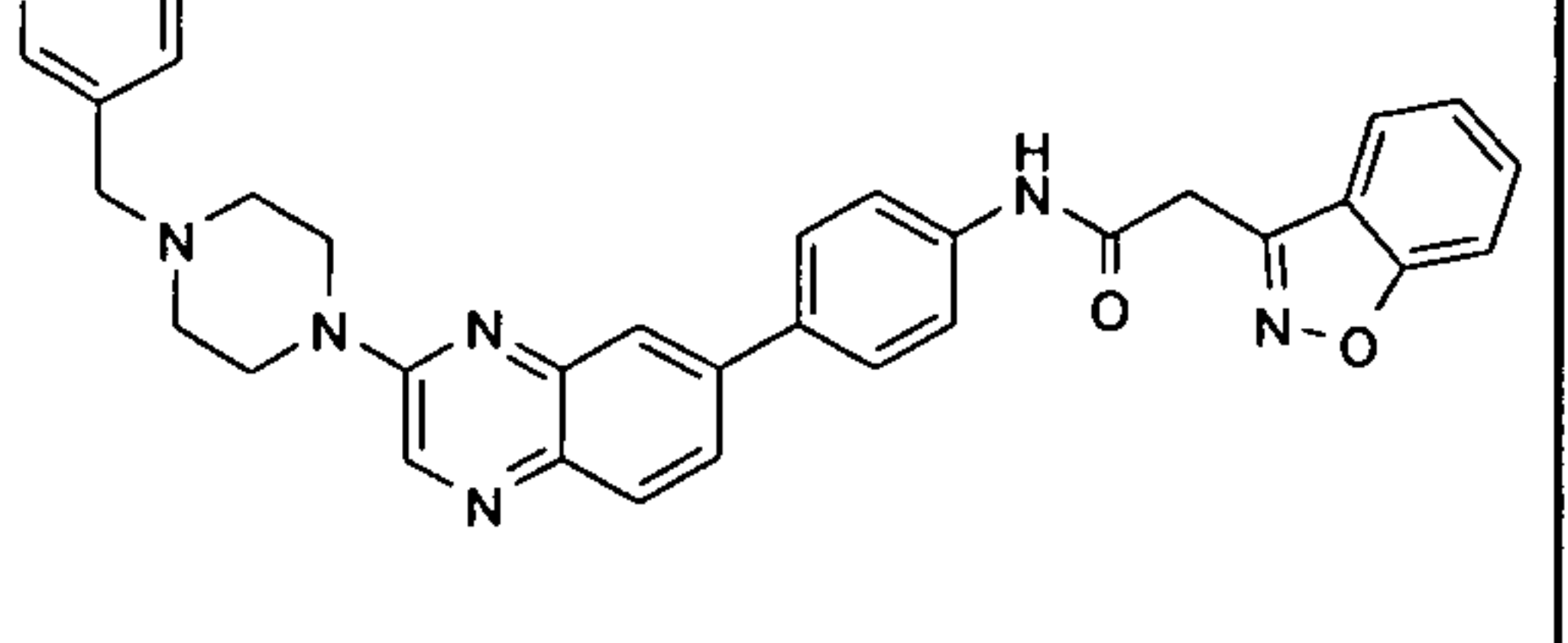
9.51		2-(3-chlorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.93 MW _{found} = 550.1 MW _{calc} = 549.1
9.52		4-cyano-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.84 MW _{found} = 526.6 MW _{calc} = 525.6
9.53		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclobutane carboxamide	RT = 0.81 MW _{found} = 479.6 MW _{calc} = 478.6
9.54		2-(4-fluorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.87 MW _{found} = 533.6 MW _{calc} = 532.6
9.55		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)furan-2-carboxamide	RT = 0.78 MW _{found} = 491.6 MW _{calc} = 490.6
9.56		2-fluoro-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.86 MW _{found} = 519.6 MW _{calc} = 518.6
9.57		3-fluoro-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.88 MW _{found} = 519.6 MW _{calc} = 518.6

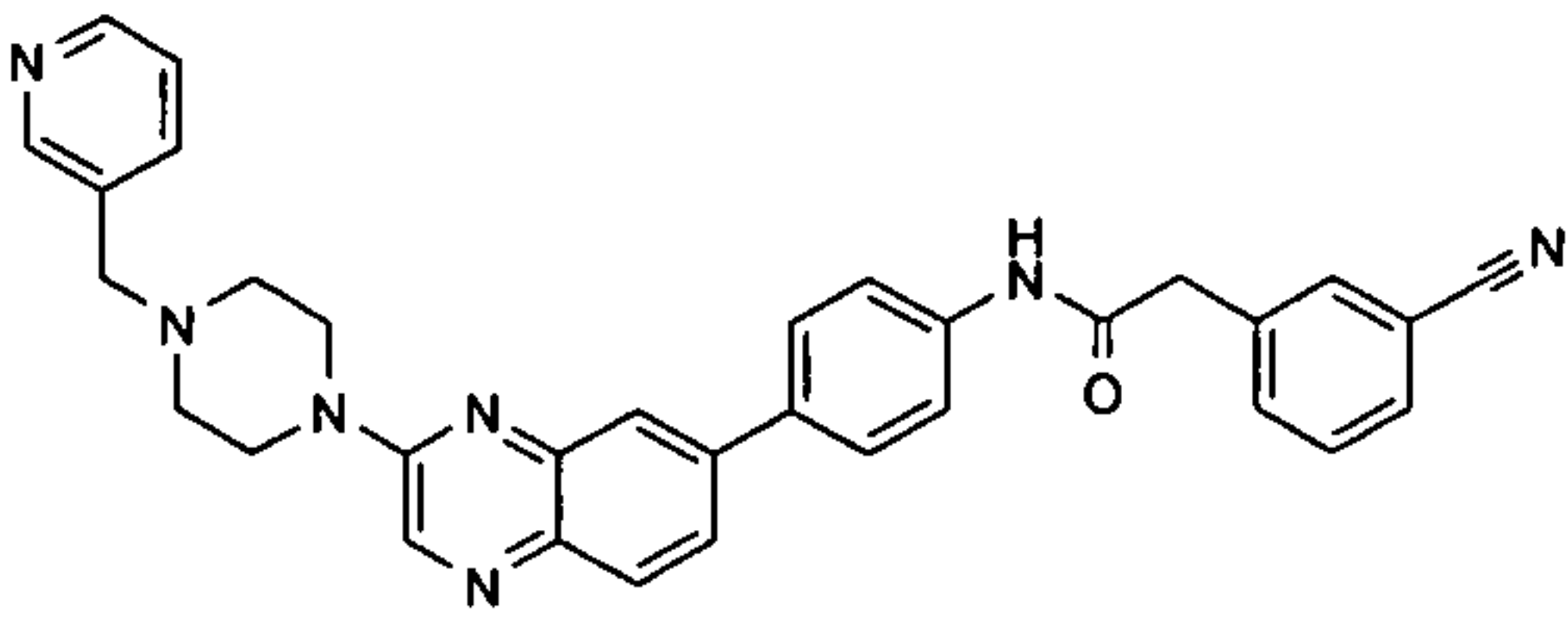
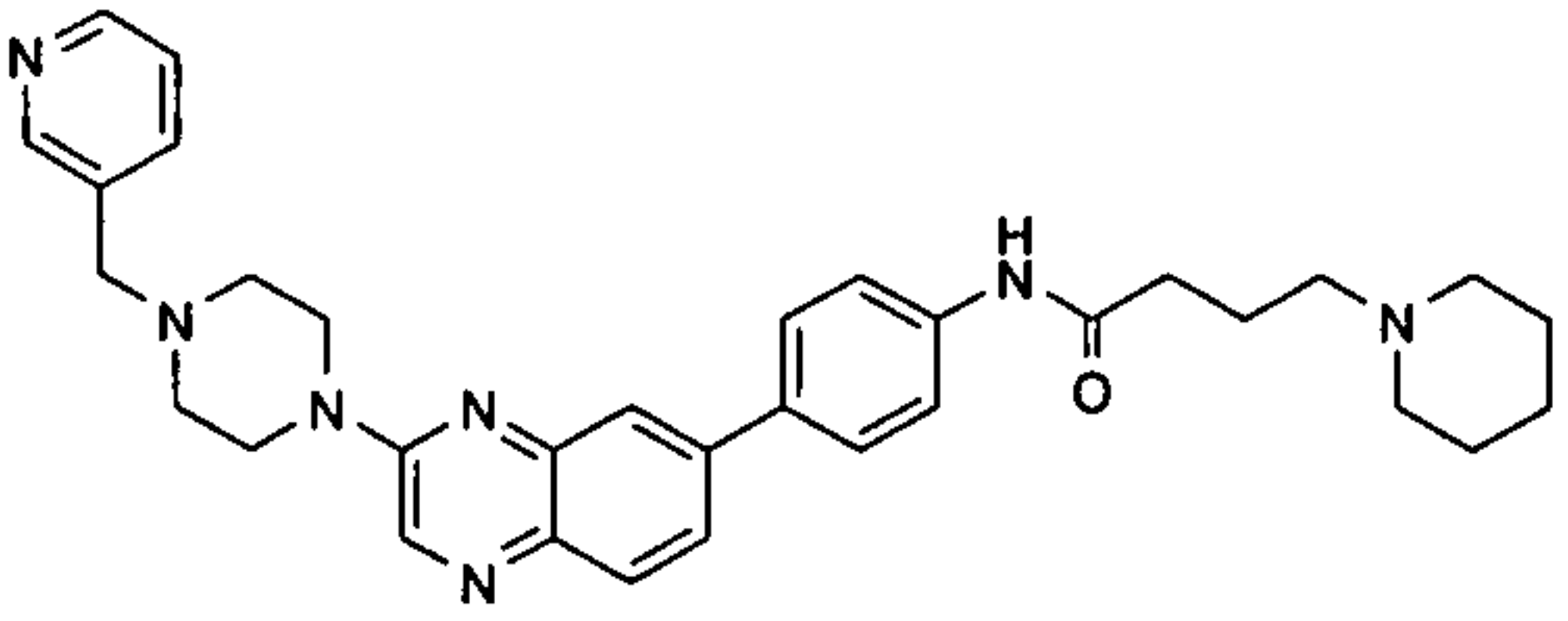
9.58		N-{4-[3-(4-Pyridin-3-ylmethyl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-2-ureido-acetamide	RT = 0.58 MW _{found} = 497.6 MW _{calc} = 496.6
9.59		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-4-carboxamide	RT = 0.69 MW _{found} = 502.6 MW _{calc} = 501.6
9.60		2-(1H-indol-3-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.84 MW _{found} = 554.7 MW _{calc} = 553.7
9.61		2-(3-methoxyphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.86 MW _{found} = 545.6 MW _{calc} = 544.6
9.62		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-3-carboxamide	RT = 0.71 MW _{found} = 502.6 MW _{calc} = 501.6
9.63		2,6-dioxo-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1,2,3,6-tetrahydropyrimidine-4-carboxamide	RT = 0.64 MW _{found} = 535.6 MW _{calc} = 534.6
9.64		4-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide	RT = 0.94 MW _{found} = 543.7 MW _{calc} = 542.7

9.65		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-2-carboxamide	RT = 0.85 MW _{found} = 502.6 MW _{calc} = 501.6
9.66		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyrazine-2-carboxamide	RT = 0.76 MW _{found} = 503.6 MW _{calc} = 502.6
9.67		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopentanecarboxamide	RT = 0.86 MW _{found} = 493.6 MW _{calc} = 492.6
9.68		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide	RT = 0.75 MW _{found} = 465.6 MW _{calc} = 464.6
9.69		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-2-carboxamide	RT = 0.83 MW _{found} = 507.6 MW _{calc} = 506.6
9.70		2-(4-methylphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.91 MW _{found} = 529.6 MW _{calc} = 528.6

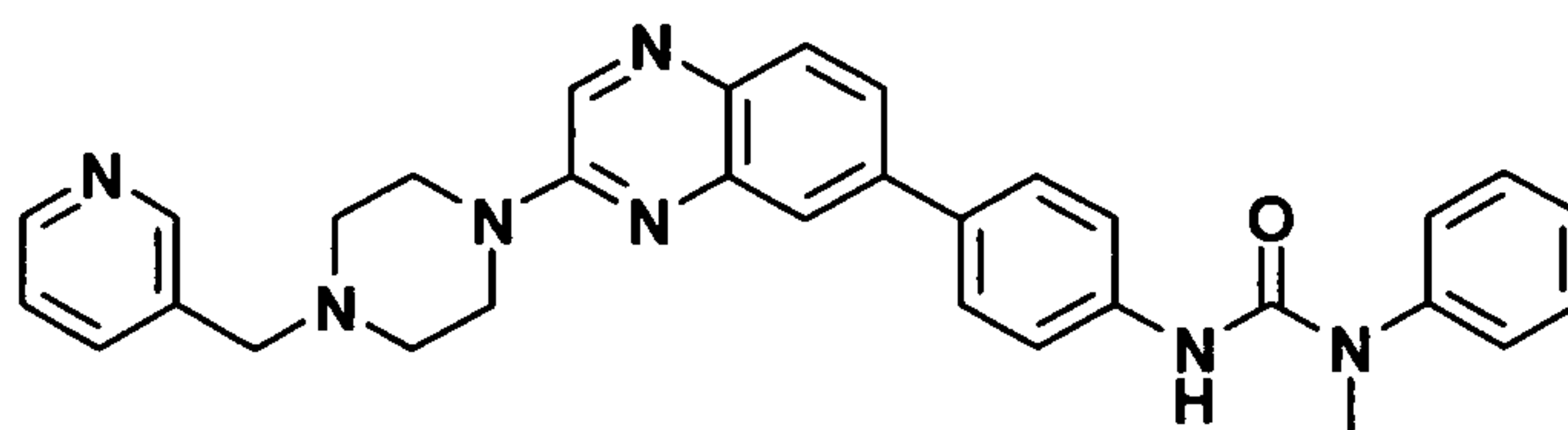
9.71		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide	RT = 0.70 MW _{found} = 491.6 MW _{calc} = 490.6
9.72		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridazine-4-carboxamide	RT = 0.68 MW _{found} = 503.6 MW _{calc} = 502.6
9.73		2-cyclohexyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.96 MW _{found} = 521.7 MW _{calc} = 520.7
9.74		2-(4-methoxyphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.85 MW _{found} = 545.6 MW _{calc} = 544.6
9.75		2-(2-methylphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.90 MW _{found} = 529.6 MW _{calc} = 528.6
9.76		2-(3-methylphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.90 MW _{found} = 529.6 MW _{calc} = 528.6
9.77		2-[4-(dimethylamino)phenyl]-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.70 MW _{found} = 558.7 MW _{calc} = 557.7

9.78		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)tetrahydro-2H-pyran-4-carboxamide	RT = 0.72 MW _{found} = 509.6 MW _{calc} = 508.6
9.79		5-chloro-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-2-carboxamide	RT = 0.95 MW _{found} = 542.1 MW _{calc} = 541.1
9.80		2-(3-methyl-1,2-oxazol-5-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.74 MW _{found} = 520.6 MW _{calc} = 519.6
9.81		3-hydroxy-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.62 MW _{found} = 469.6 MW _{calc} = 468.6
9.82		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-imidazole-4-carboxamide	RT = 0.63 MW _{found} = 491.6 MW _{calc} = 490.6
9.83		3-cyclopropyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 0.74 MW _{found} = 493.6 MW _{calc} = 492.6
9.84		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(1H-tetrazol-5-yl)acetamide	RT = 0.63 MW _{found} = 507.6 MW _{calc} = 506.6

9.85		2-{4-[(methylsulfonyl)amino]phenyl}-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.75 MW _{found} = 608.7 MW _{calc} = 607.7
9.86		3-[(methylsulfonyl)amino]-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 0.77 MW _{found} = 594.7 MW _{calc} = 593.7
9.87		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-3-sulfamoylbenzamide	RT = 0.73 MW _{found} = 580.7 MW _{calc} = 579.7
9.88		2-[4-(acetylamino)phenyl]-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.73 MW _{found} = 572.7 MW _{calc} = 571.7
9.89		2-(4-cyanophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.82 MW _{found} = 540.6 MW _{calc} = 539.6
9.90		N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyrimidine-5-carboxamide	RT = 0.69 MW _{found} = 503.6 MW _{calc} = 502.6
9.91		2-(1,2-benzoxazol-3-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.85 MW _{found} = 556.6 MW _{calc} = 555.6

9.92		2-(3-cyanophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.83 MW _{found} = 540.6 MW _{calc} = 539.6
9.93		4-(piperidin-1-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide	RT = 0.58 MW _{found} = 550.7 MW _{calc} = 549.7

Example 10.1 : Preparation of 1-methyl-1-phenyl-3-{4-[3-(4-pyridin-3-ylmethyl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-urea

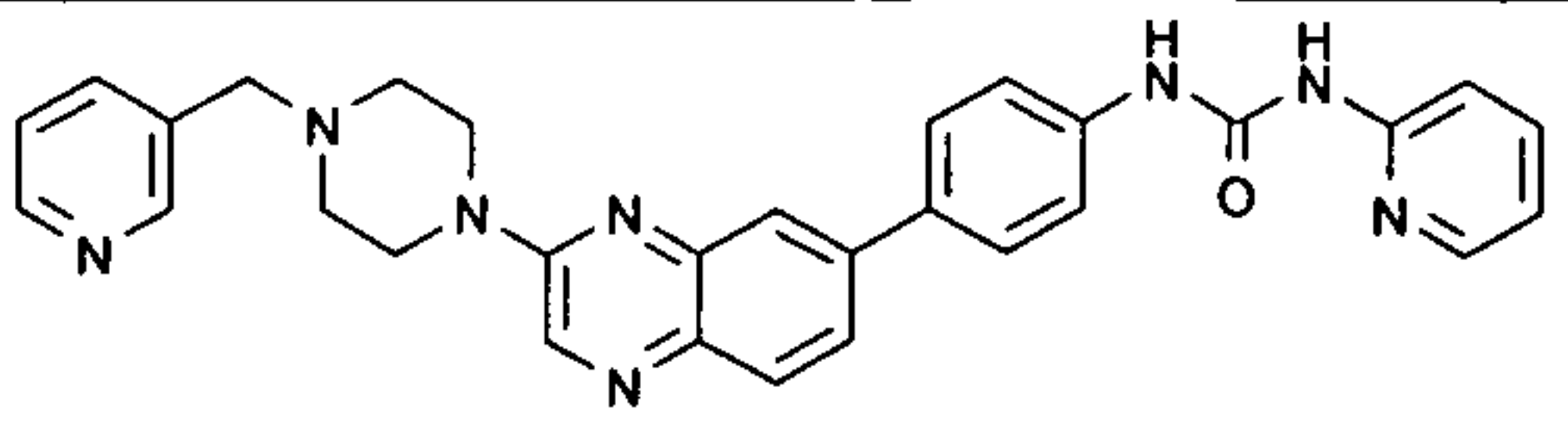
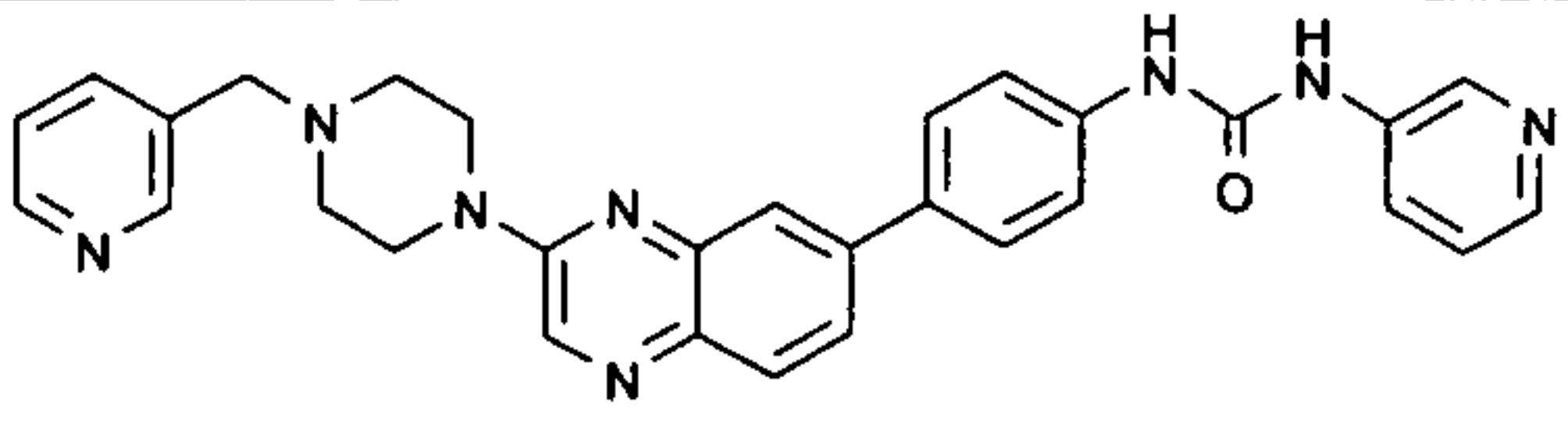


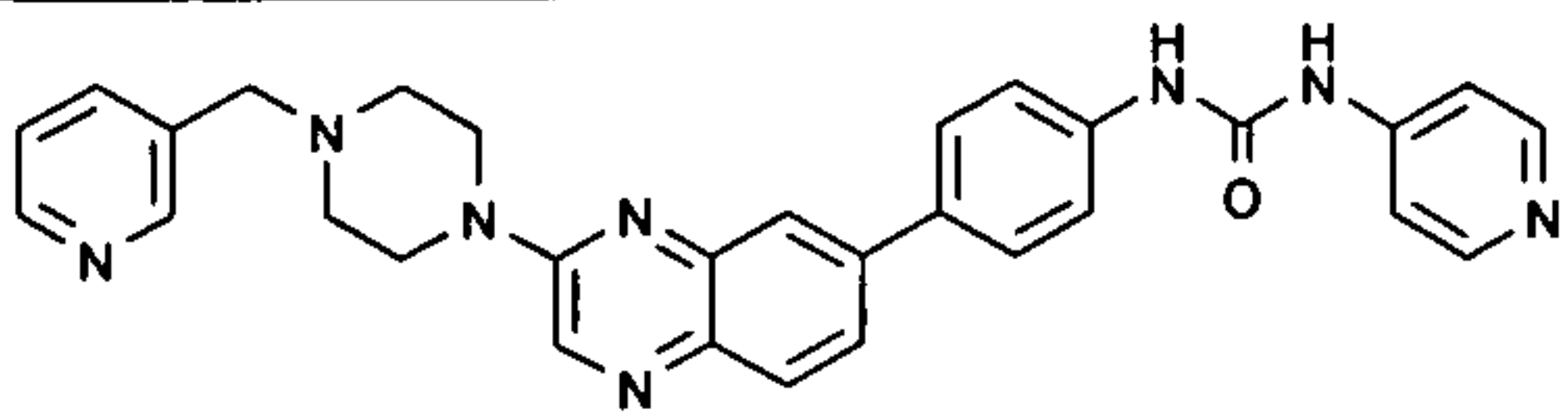
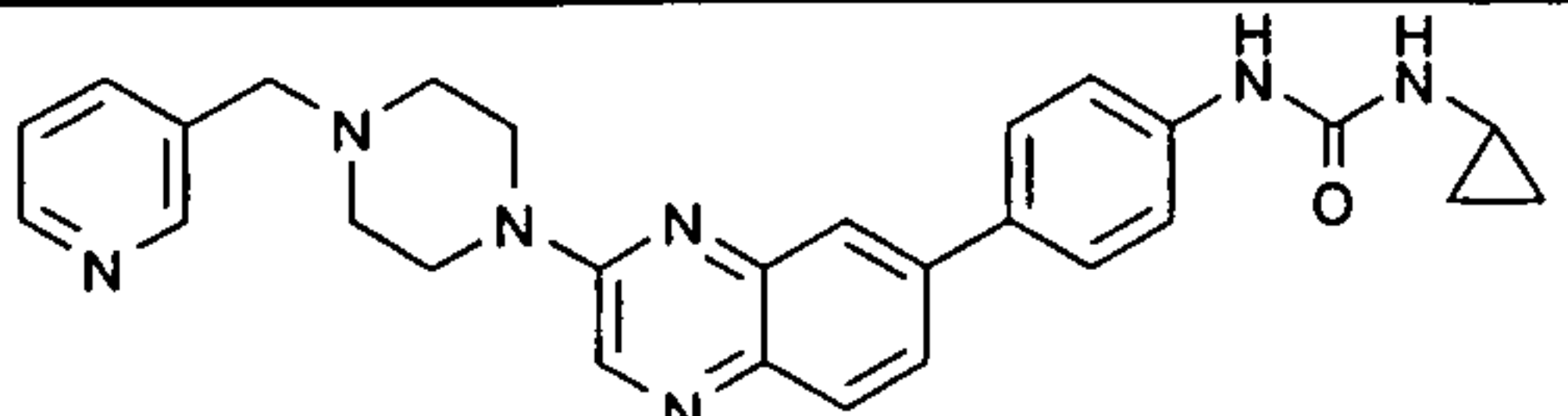
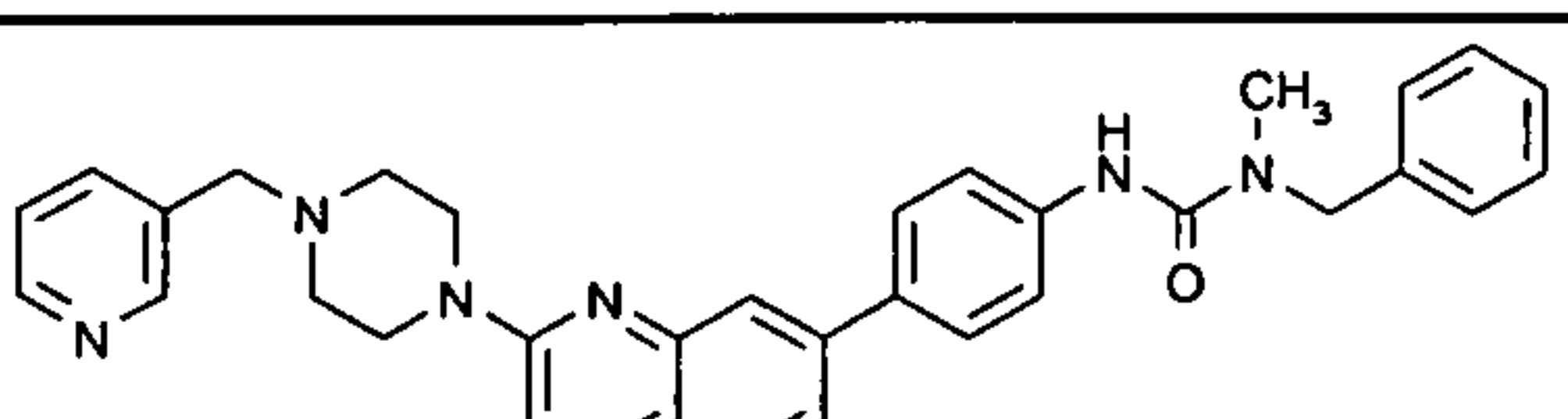
5

Example 10.1 was synthesized analogously to example 8.1 using intermediate example 4.3 and yielded 42.8 mg (27%) 1-methyl-1-phenyl-3-{4-[3-(4-pyridin-3-ylmethyl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-urea: UPLC-MS: RT = 0.87 min; m/z (ES+) 530.6 [MH⁺]; required MW = 529.6.

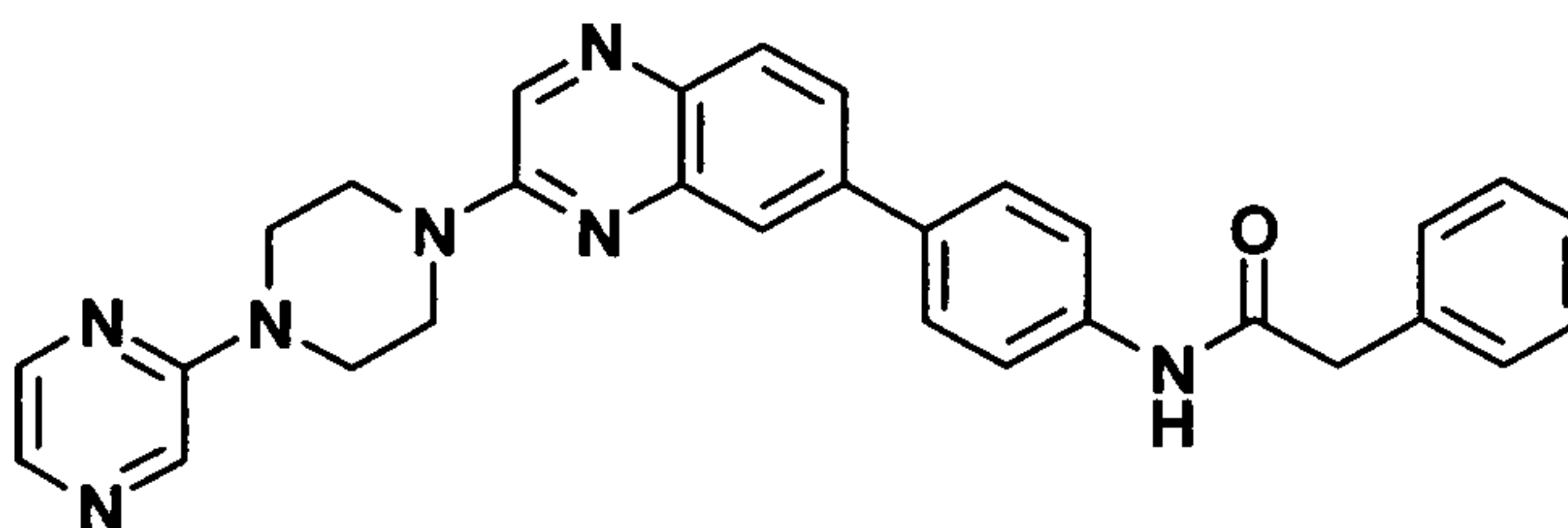
10

The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

Example	Structure	Name	Analytical Data
10.2		1-pyridin-2-yl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	RT = 0.79 MW _{found} = 517.6 MW _{calc} = 516.6
10.3		1-pyridin-3-yl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	RT = 0.60 MW _{found} = 517.6 MW _{calc} = 516.6

10.4		1-pyridin-4-yl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	RT = 0.58 MW _{found} = 517.6 MW _{calc} = 516.6
10.5		1-cyclopropyl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	RT = 0.70 MW _{found} = 480.6 MW _{calc} = 479.6
10.6		1-benzyl-1-methyl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	RT = 0.88 MW _{found} = 544.7 MW _{calc} = 543.7

Example 11.1 : Preparation of 2-phenyl-N-{4-[3-(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)-quinoxalin-6-yl]-phenyl}-acetamide

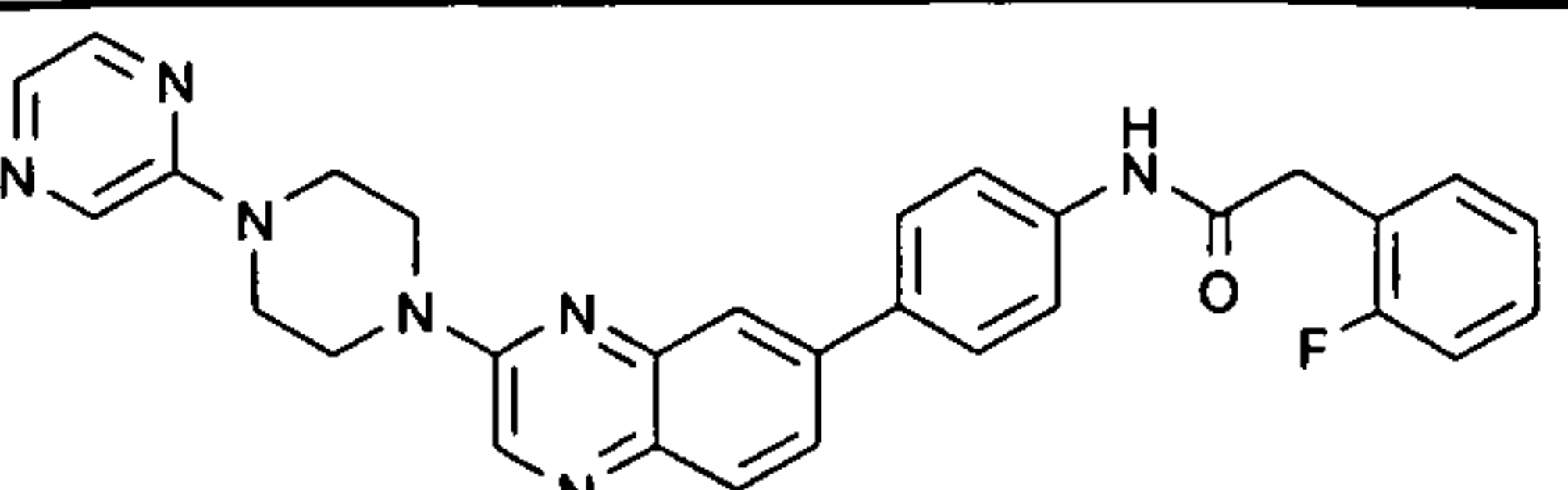


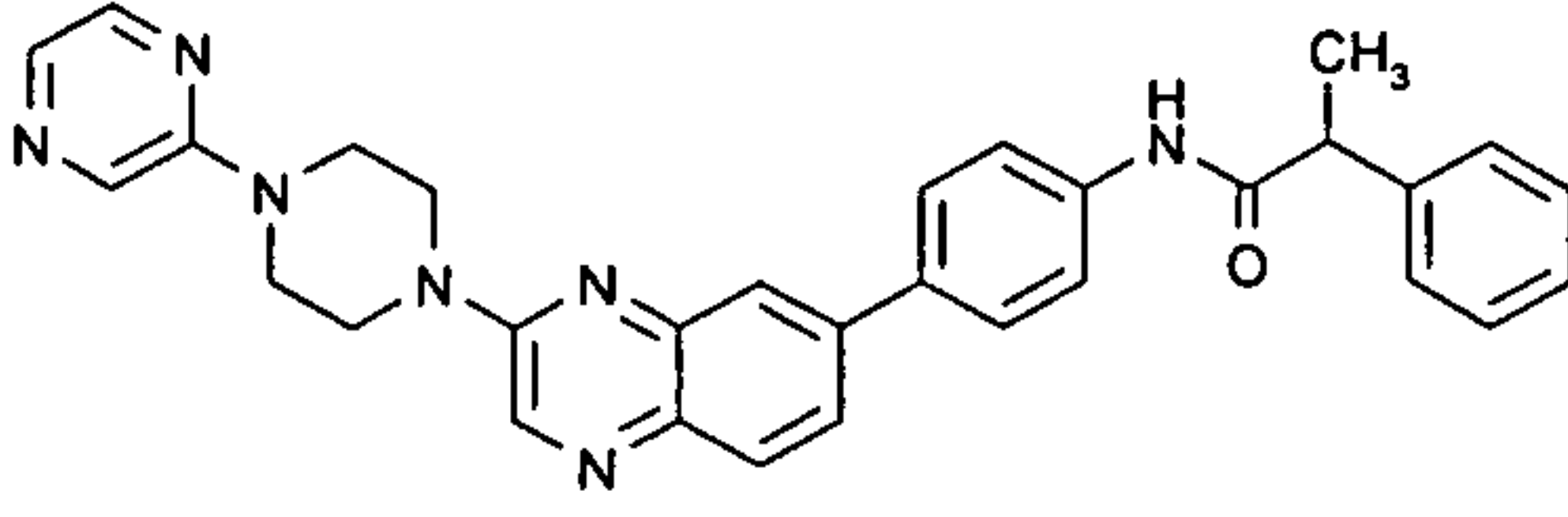
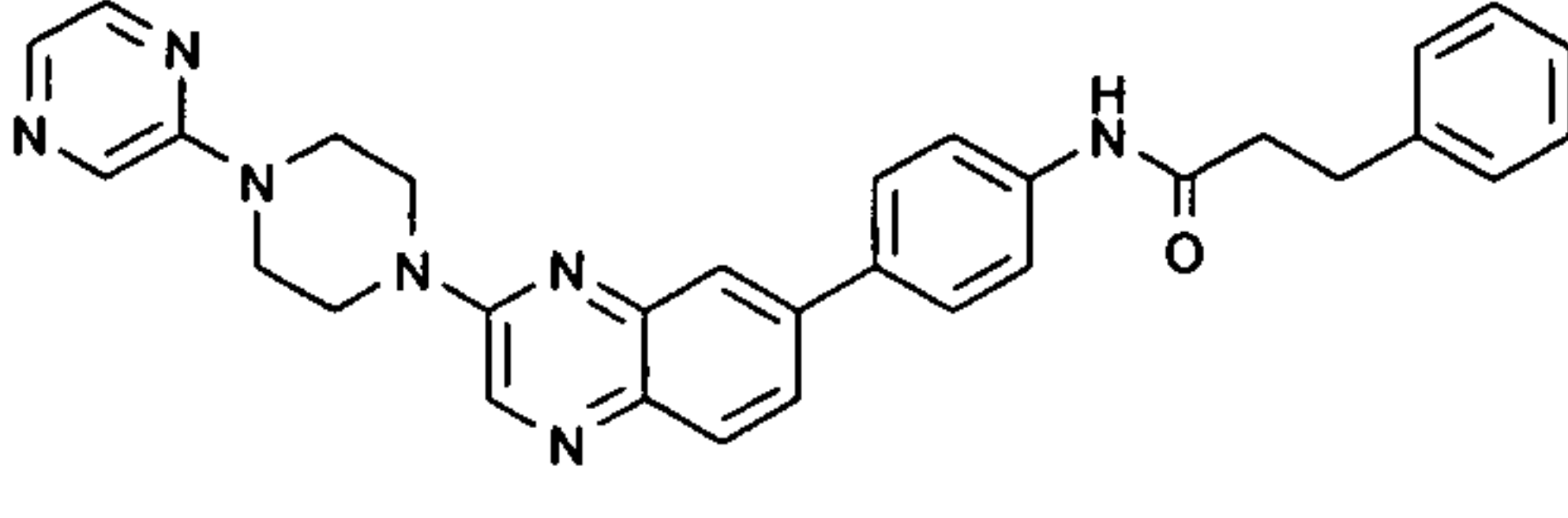
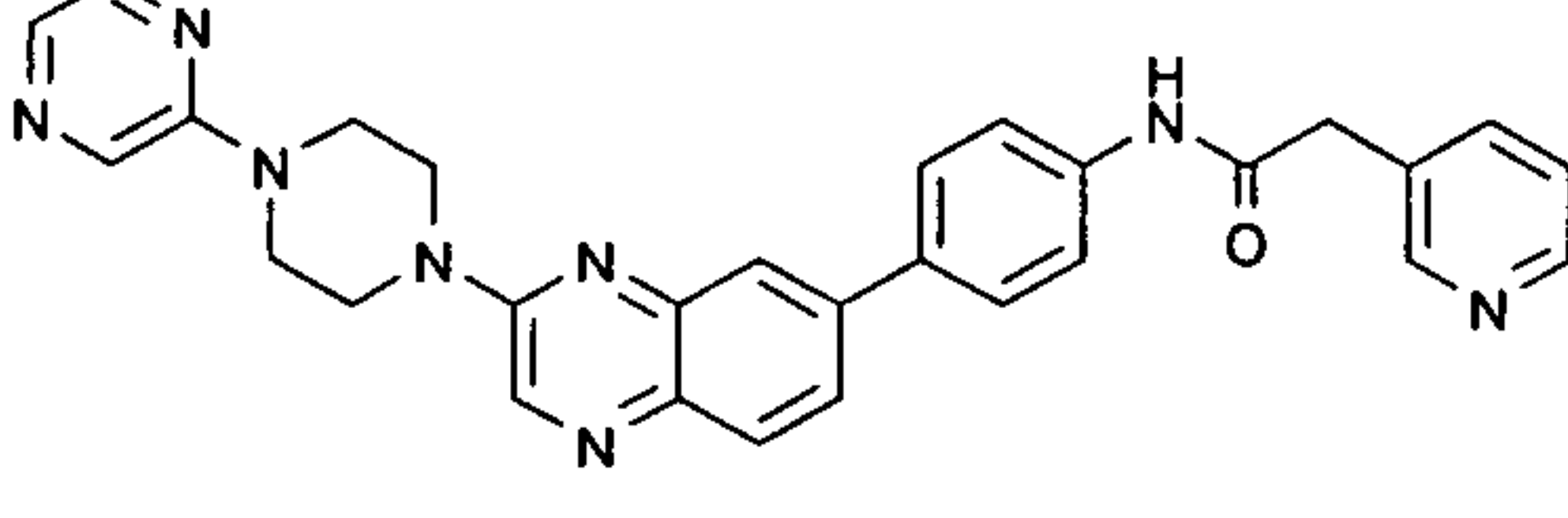
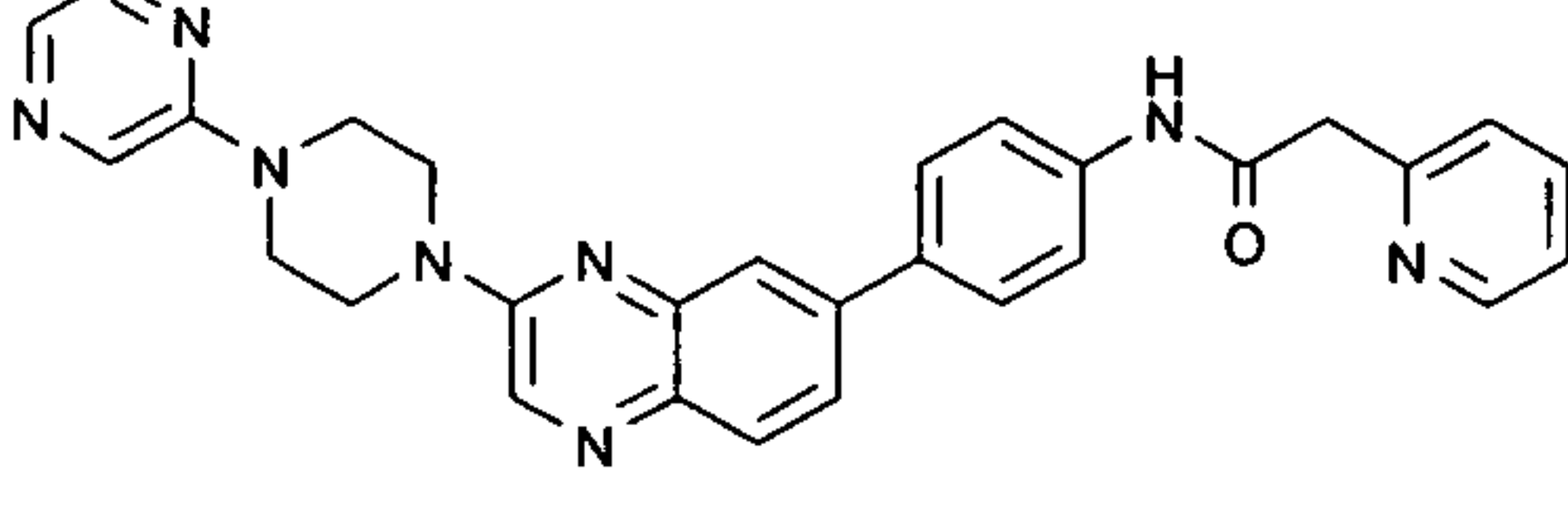
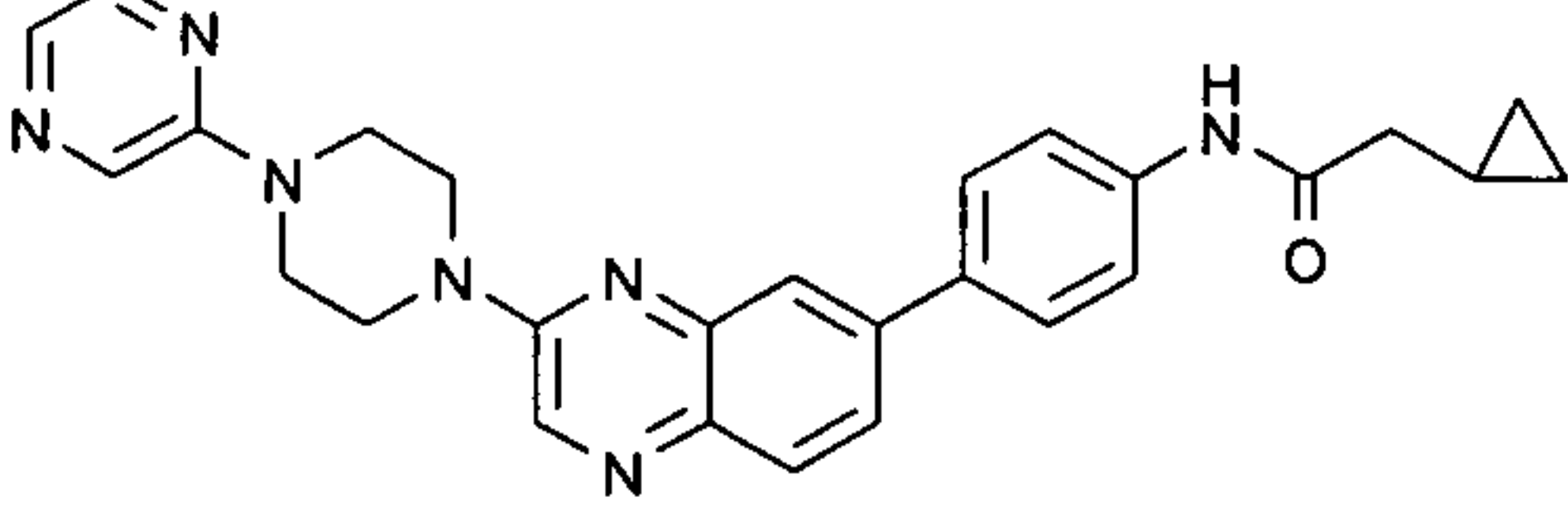
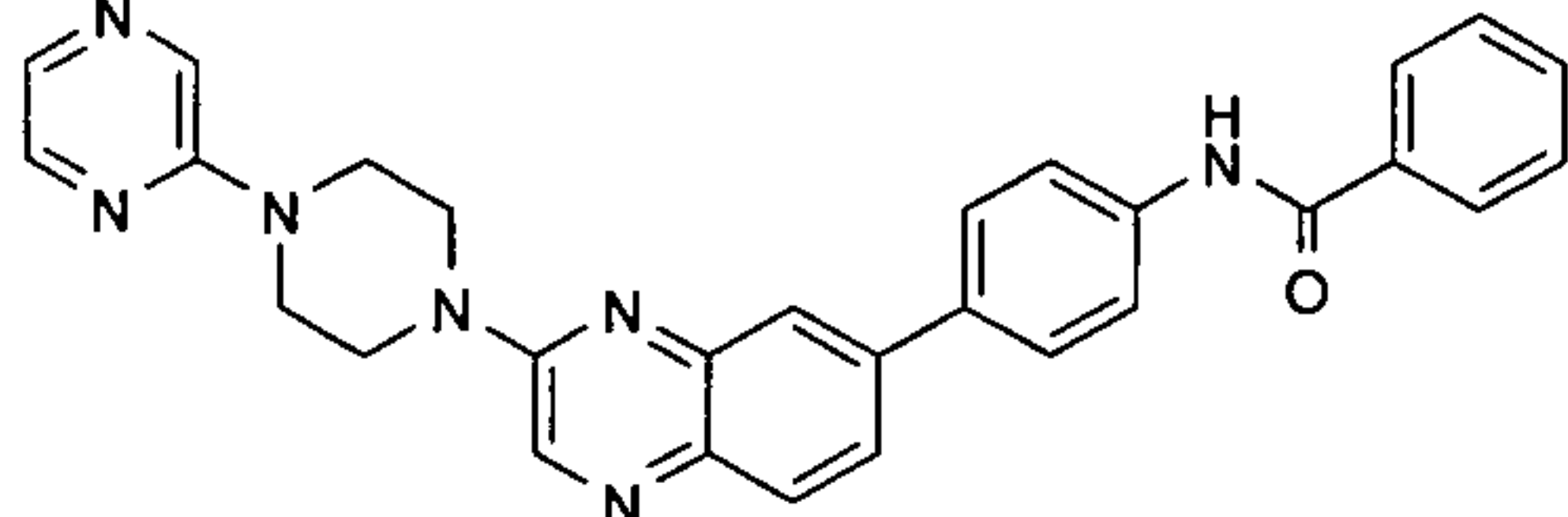
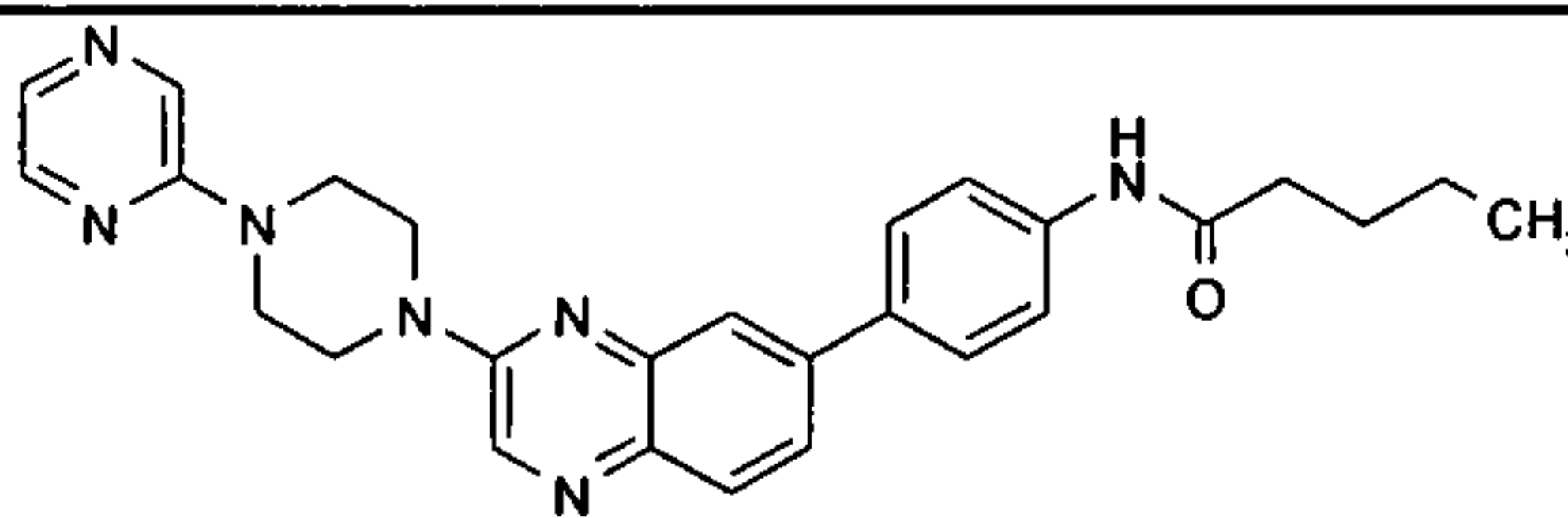
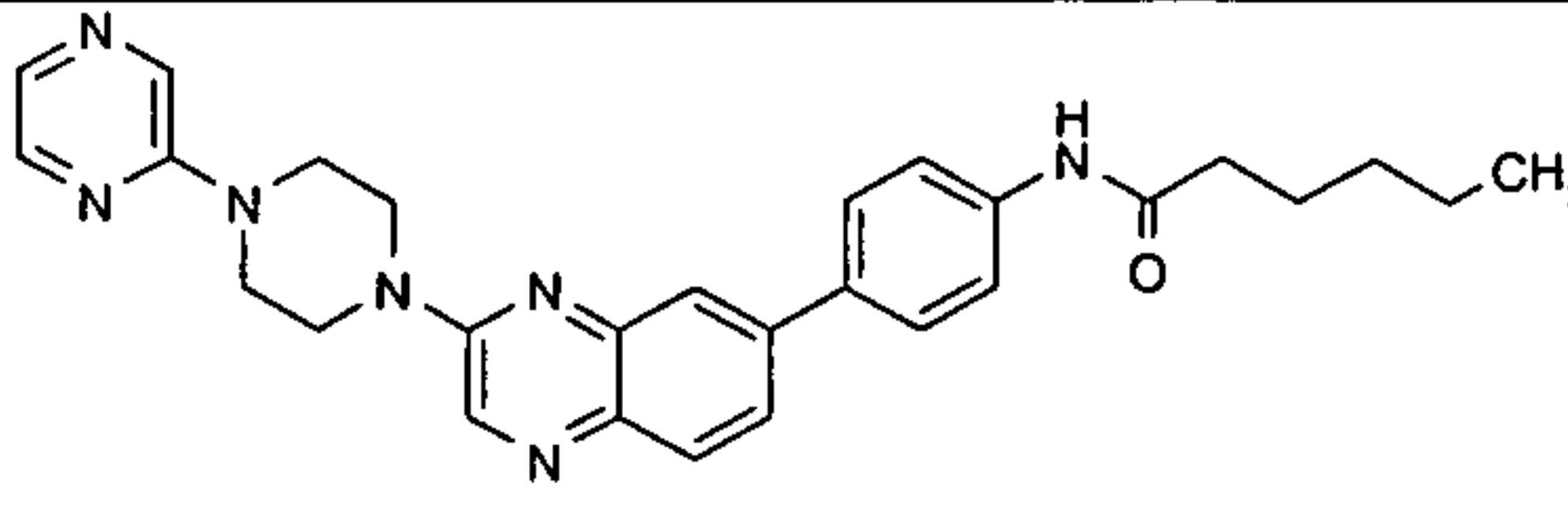
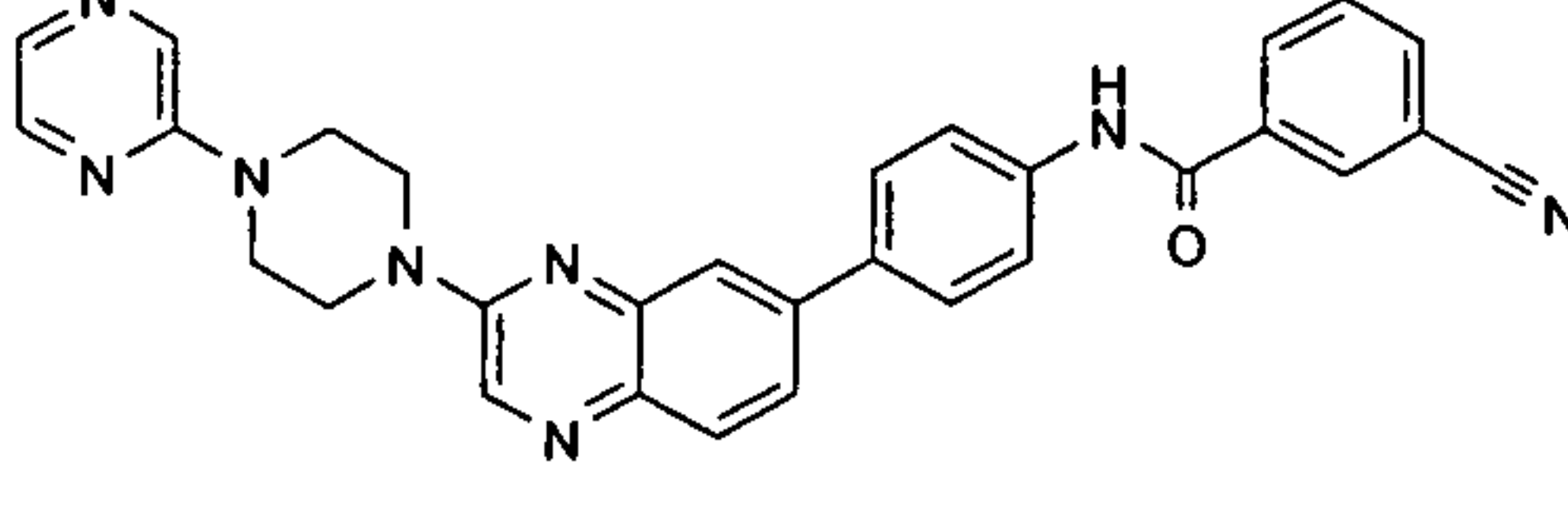
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Example 11.1 was synthesized analogously to example 7.1 using intermediate example 4.4 and yielded 3.5 mg (2%) 2-phenyl-N-{4-[3-(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)-quinoxalin-6-yl]-phenyl}-acetamide: UPLC-MS: RT = 1.21 min; m/z (ES+) 502.6 [MH⁺]; required MW = 501.6.

10

The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

Example	Structure	Name	Analytical Data
11.2		2-(2-fluorophenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.22 MW _{found} = 520.6 MW _{calc} = 519.6

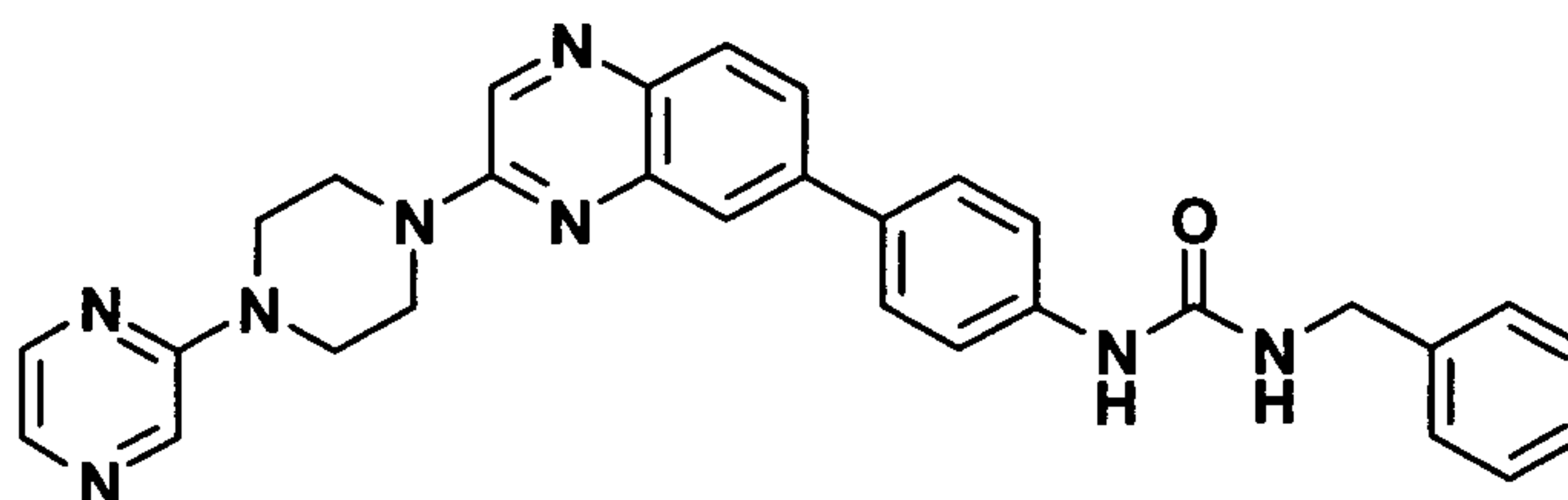
11.3		2-phenyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 1.28 MW _{found} = 516.6 MW _{calc} = 515.6
11.4		3-phenyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	RT = 1.26 MW _{found} = 516.6 MW _{calc} = 515.6
11.5		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(pyridin-3-yl)acetamide	RT = 0.84 MW _{found} = 503.6 MW _{calc} = 502.6
11.6		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(pyridin-2-yl)acetamide	RT = 0.92 MW _{found} = 503.6 MW _{calc} = 502.6
11.7		2-cyclopropyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.15 MW _{found} = 466.6 MW _{calc} = 465.6
11.8		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 1.25 MW _{found} = 488.6 MW _{calc} = 487.6
11.9		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pentanamide	RT = 1.25 MW _{found} = 468.6 MW _{calc} = 467.6
11.10		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide	RT = 1.32 MW _{found} = 482.6 MW _{calc} = 481.6
11.11		3-cyano-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 1.24 MW _{found} = 513.6 MW _{calc} = 512.6

11.12		2-methyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide	RT = 1.24 MW _{found} = 468.6 MW _{calc} = 467.6
11.13		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-3-yl)acetamide	RT = 1.24 MW _{found} = 508.6 MW _{calc} = 507.6
11.14		2-(1,3-benzodioxol-5-yl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.24 MW _{found} = 546.6 MW _{calc} = 545.6
11.15		6-phenyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide	RT = 1.45 MW _{found} = 558.7 MW _{calc} = 557.7
11.16		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)tetrahydrofuran-2-carboxamide	RT = 1.16 MW _{found} = 482.5 MW _{calc} = 481.5
11.17		4-cyano-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide	RT = 1.24 MW _{found} = 513.6 MW _{calc} = 512.6
11.18		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclobutane carboxamide	RT = 1.22 MW _{found} = 466.5 MW _{calc} = 465.5
11.19		2-(3-methoxyphenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.24 MW _{found} = 532.6 MW _{calc} = 531.6
11.20		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-3-carboxamide	RT = 1.07 MW _{found} = 489.5 MW _{calc} = 488.5

11.21		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-2-carboxamide	RT = 1.28 MW _{found} = 489.5 MW _{calc} = 488.5
11.22		1-methyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide	RT = 1.14 MW _{found} = 492.5 MW _{calc} = 491.5
11.23		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopentanecarboxamide	RT = 1.24 MW _{found} = 480.6 MW _{calc} = 479.6
11.24		2-(4-methylphenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.31 MW _{found} = 516.6 MW _{calc} = 515.6
11.25		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide	RT = 1.06 MW _{found} = 478.5 MW _{calc} = 477.5
11.26		2-(4-methoxyphenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.24 MW _{found} = 532.6 MW _{calc} = 531.6
11.27		N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyrimidine-5-carboxamide	RT = 1.05 MW _{found} = 490.5 MW _{calc} = 489.5
11.28		2-(1,2-benzoxazol-3-yl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.24 MW _{found} = 543.6 MW _{calc} = 542.6

Example 12.1 : Preparation of 1-benzyl-3-{4-[3-(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)-quinoxalin-6-yl]-phenyl}-urea

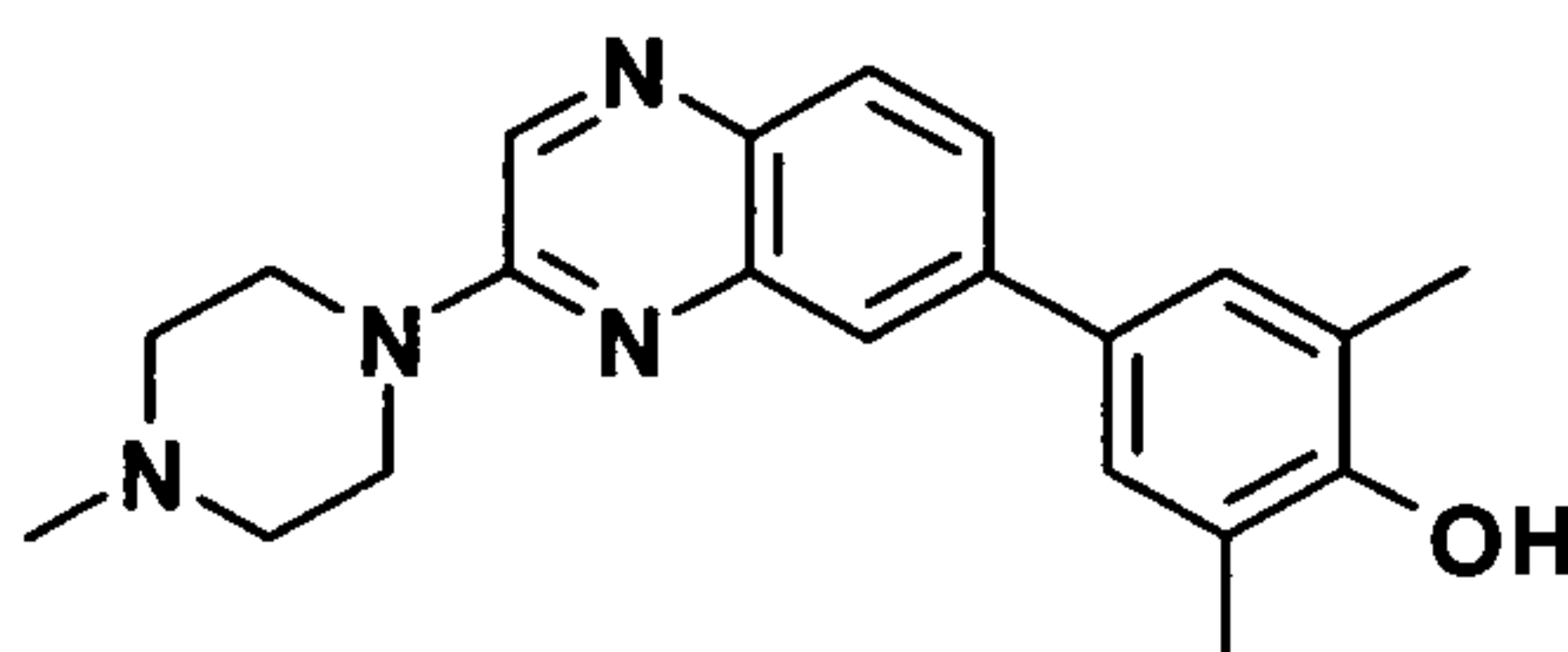
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Example 12.1 was synthesized analogously to example 8.1 using intermediate example 4.4 and yielded 3.7 mg (2%) 1-benzyl-3-{4-[3-(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)-quinoxalin-6-yl]-phenyl}-urea: UPLC-MS: RT = 1.18 min; m/z (ES+) 517.6 [MH⁺]; required MW = 516.6.

Example 13.1 : Preparation of 2,6-dimethyl-4-[3-(4-methyl-piperazin-1-yl)-quinoxalin-6-yl]-phenol

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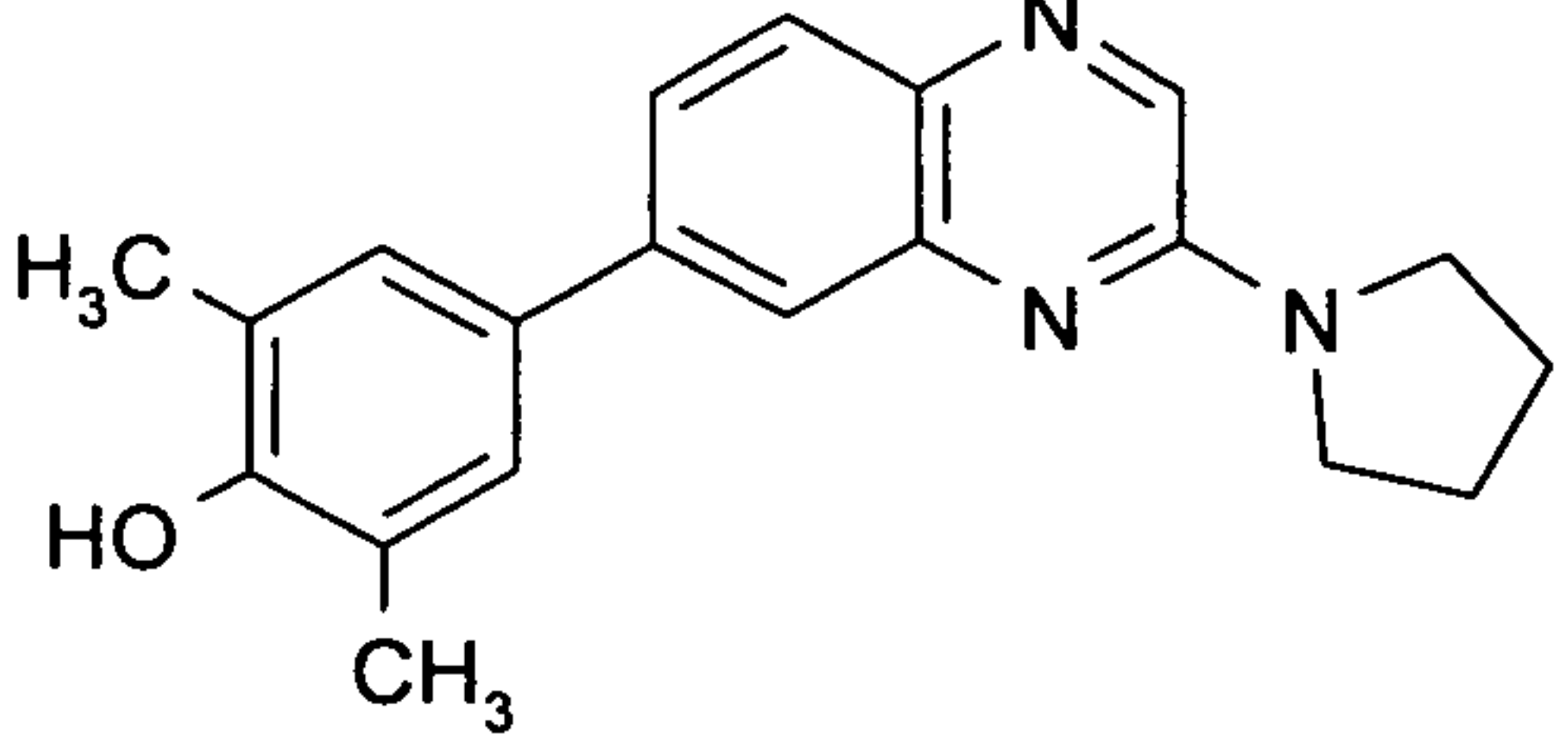
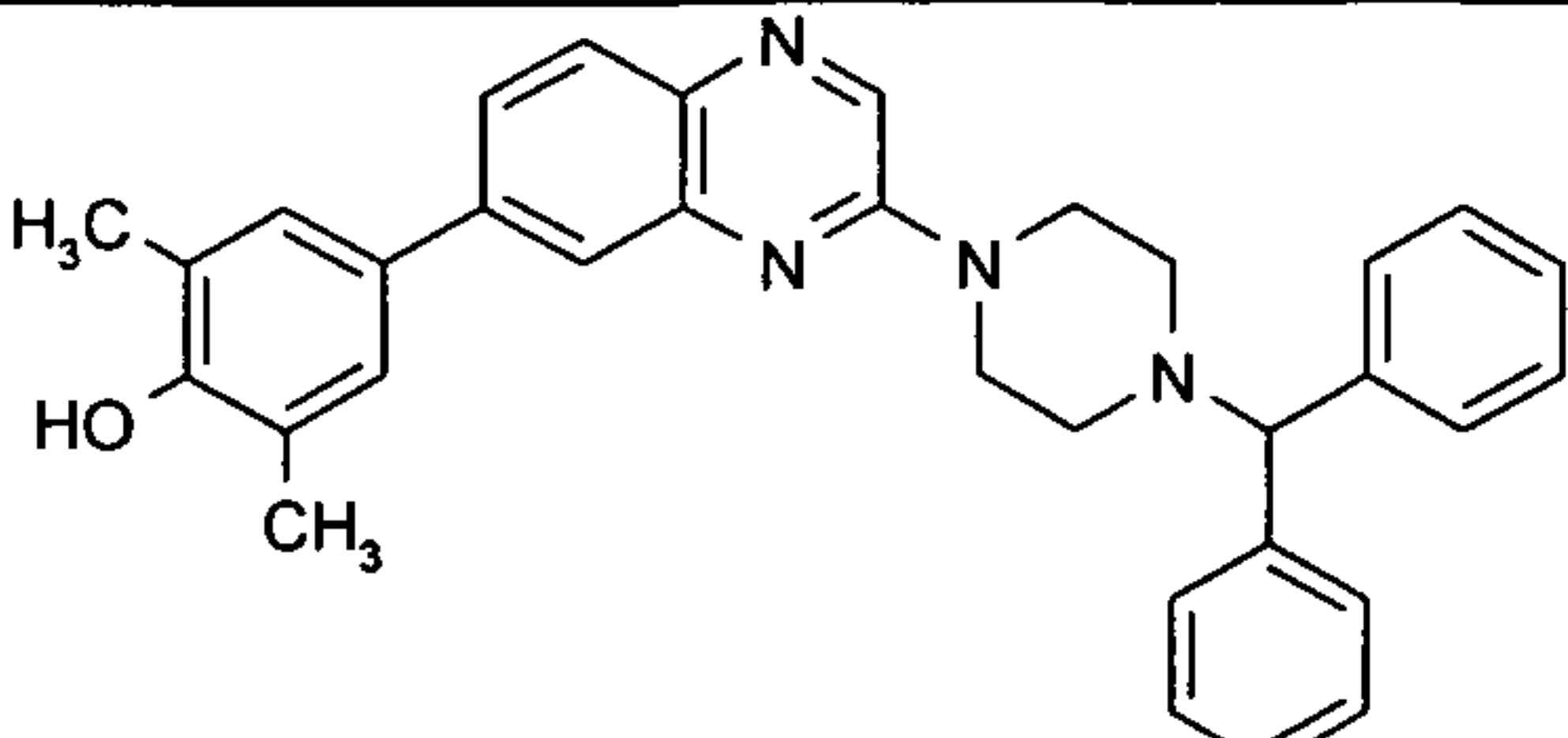
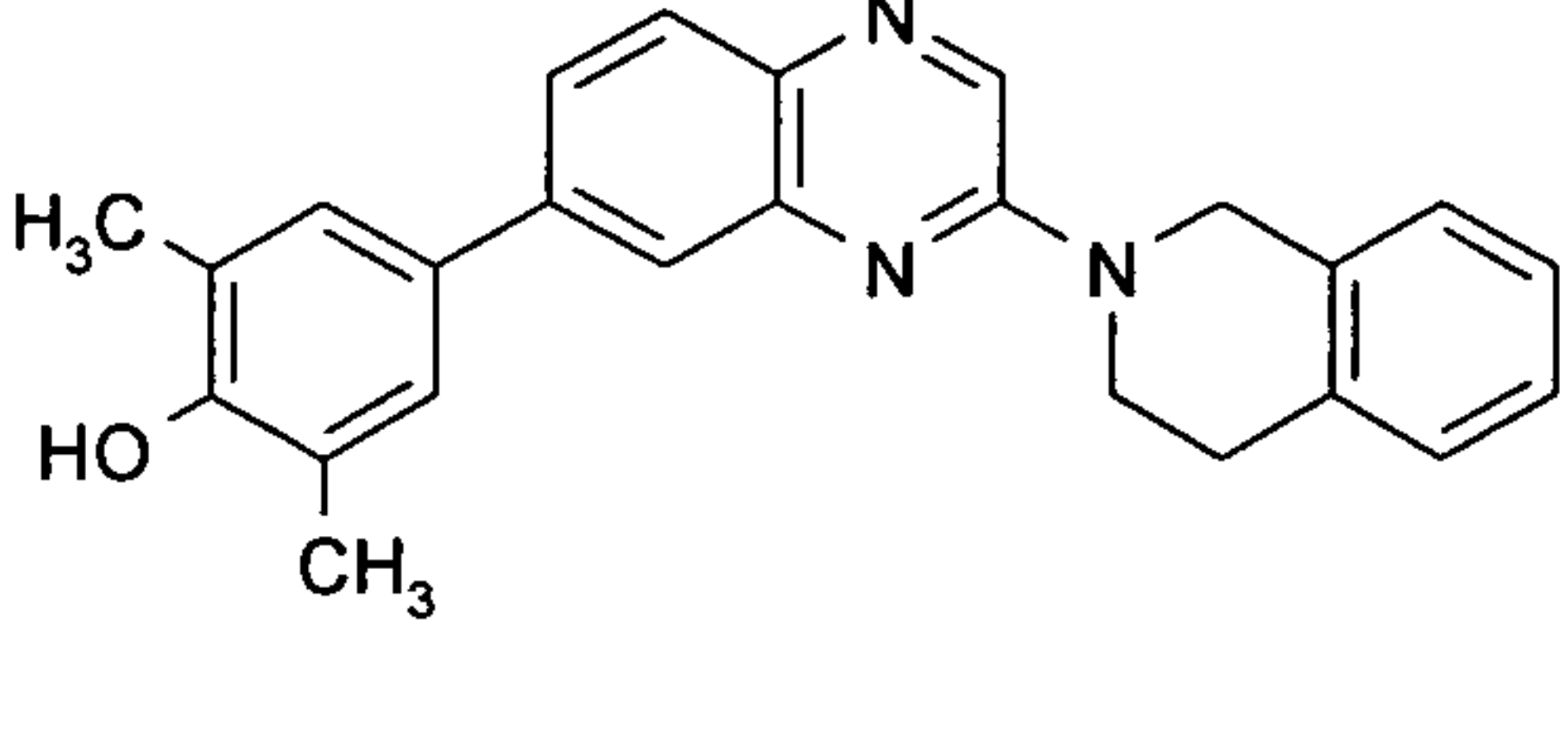
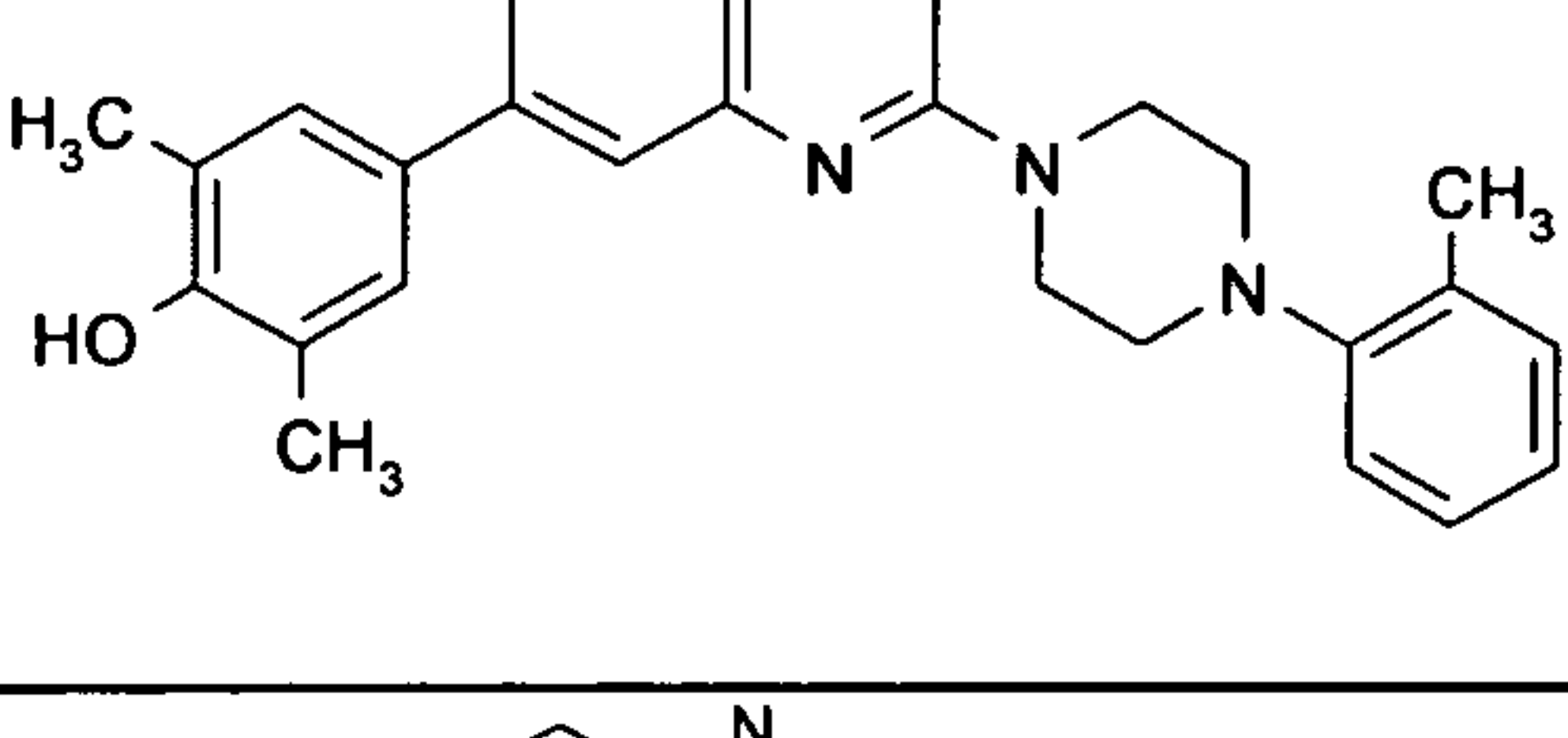
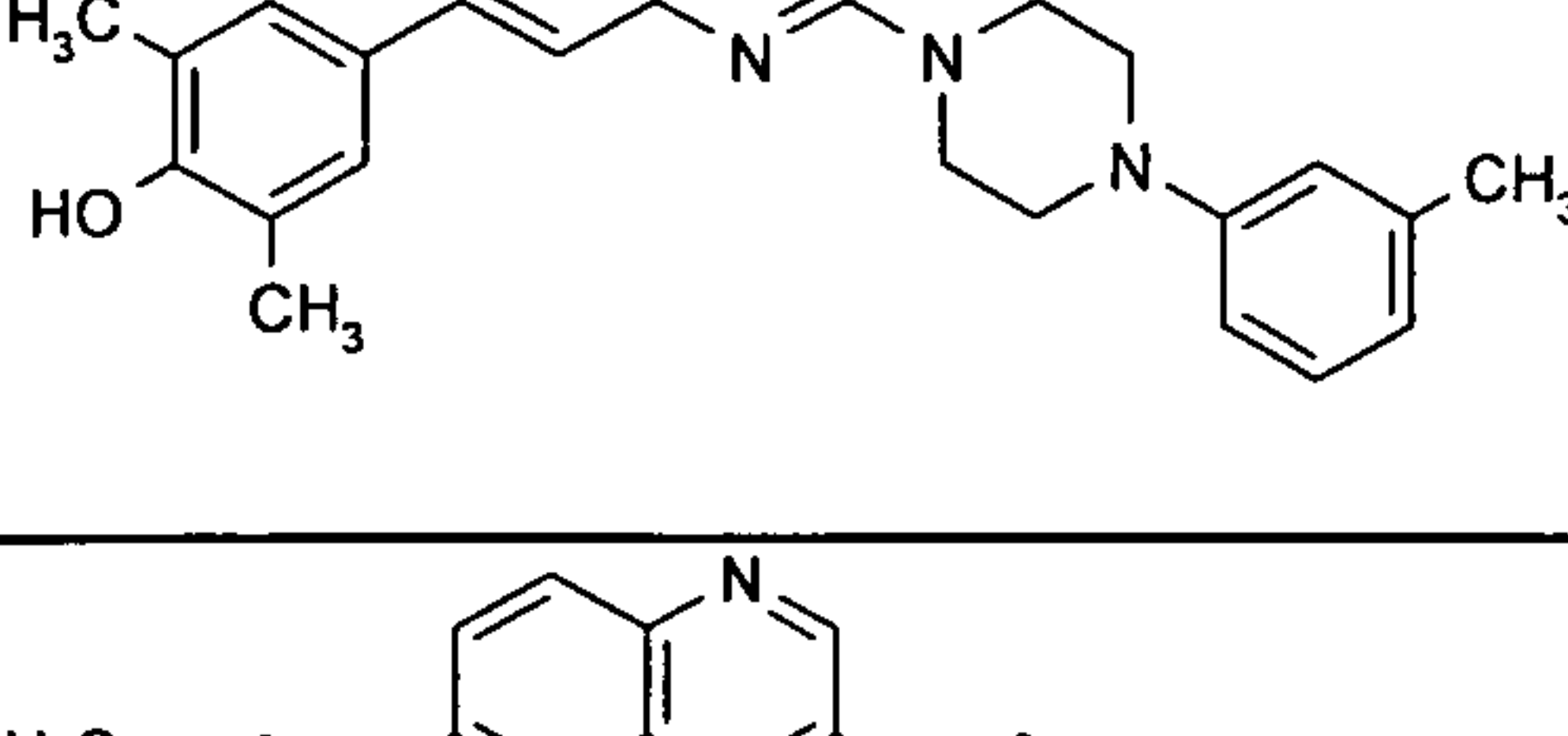
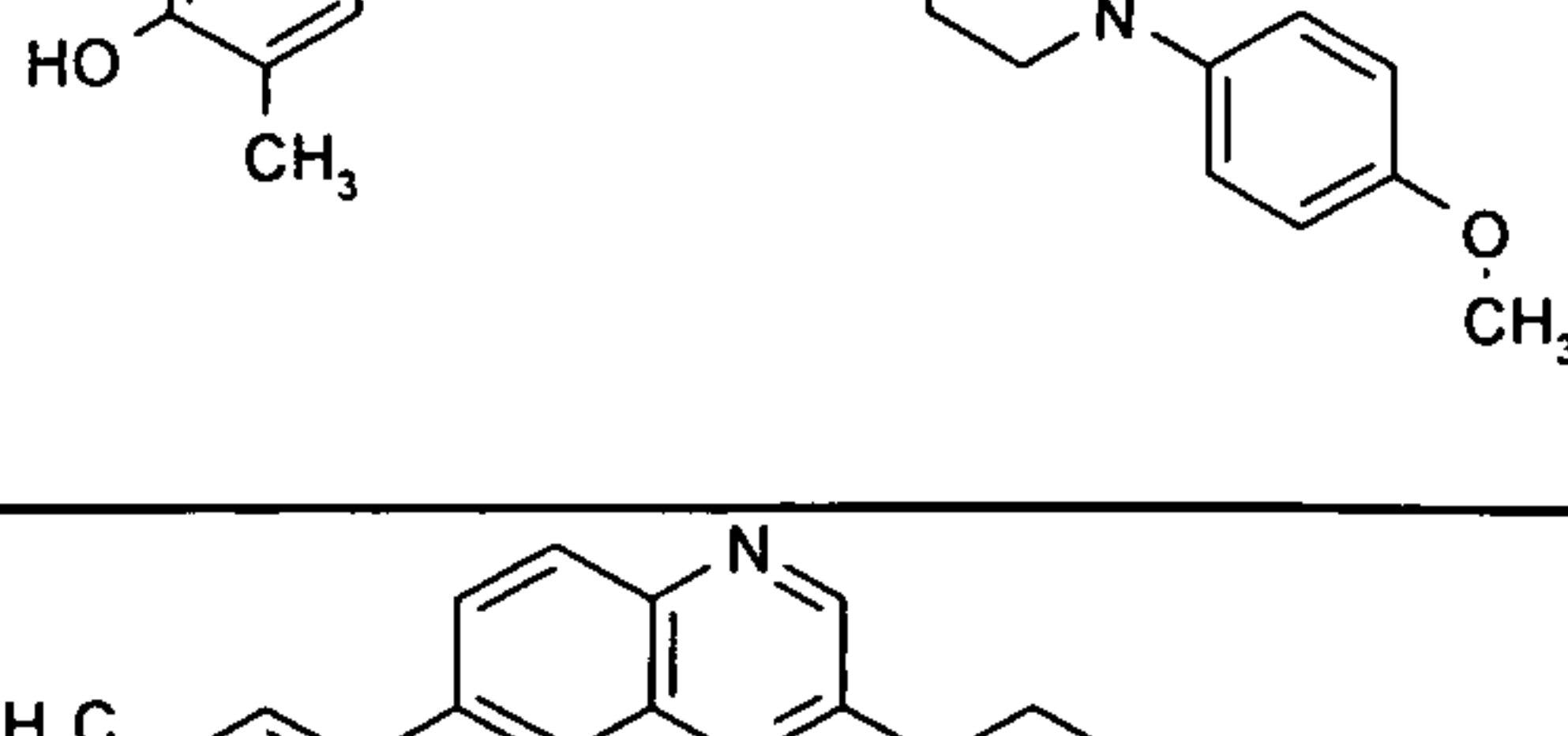
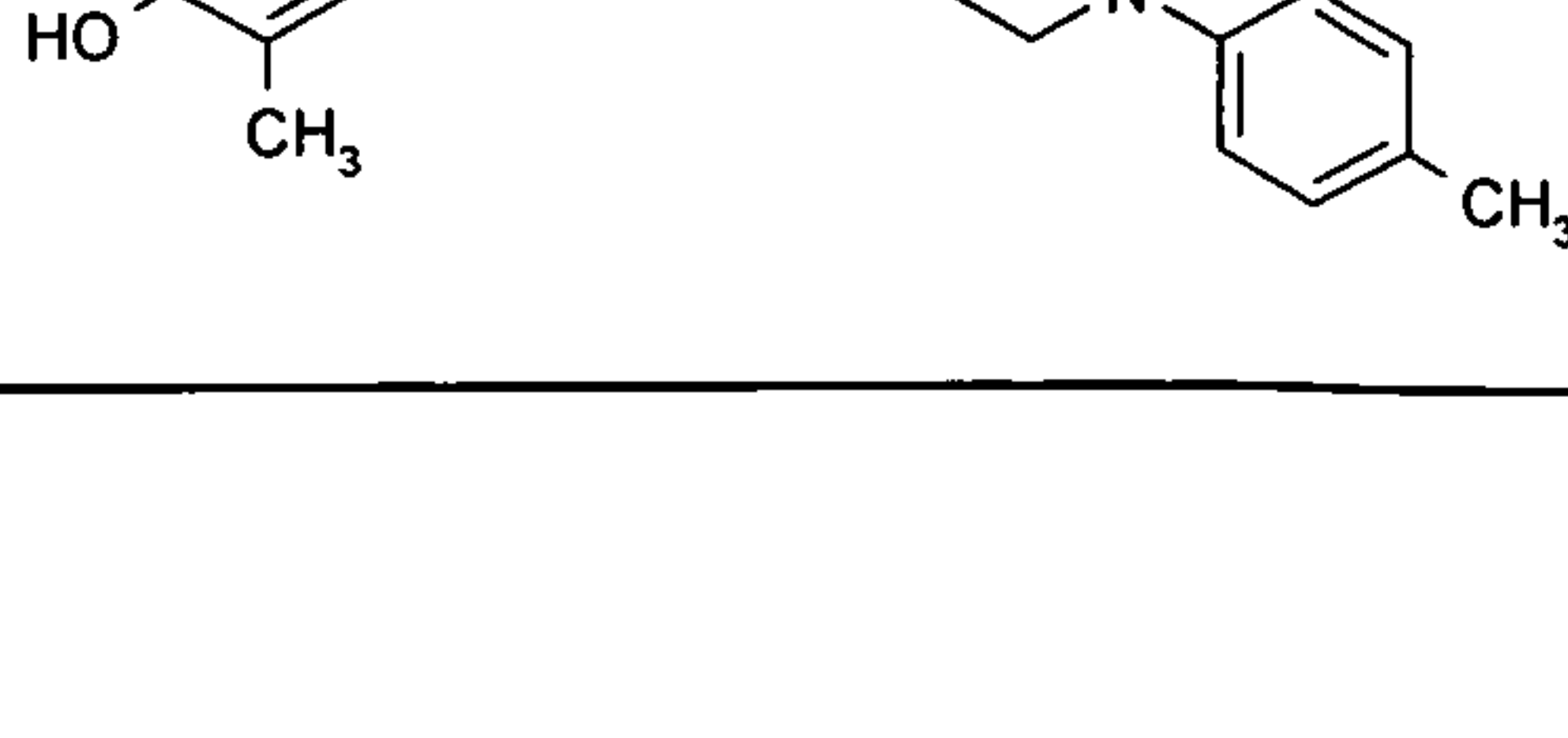


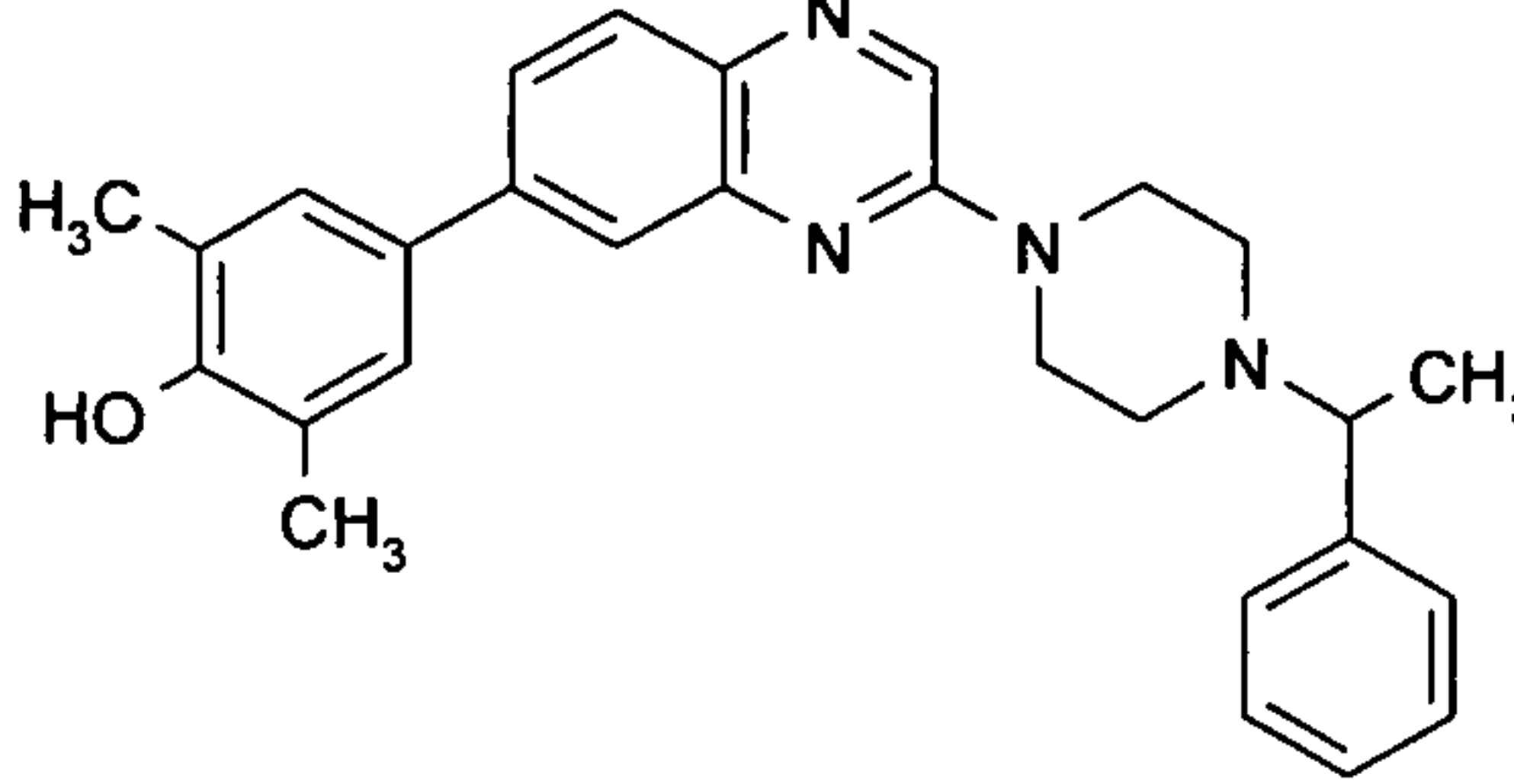
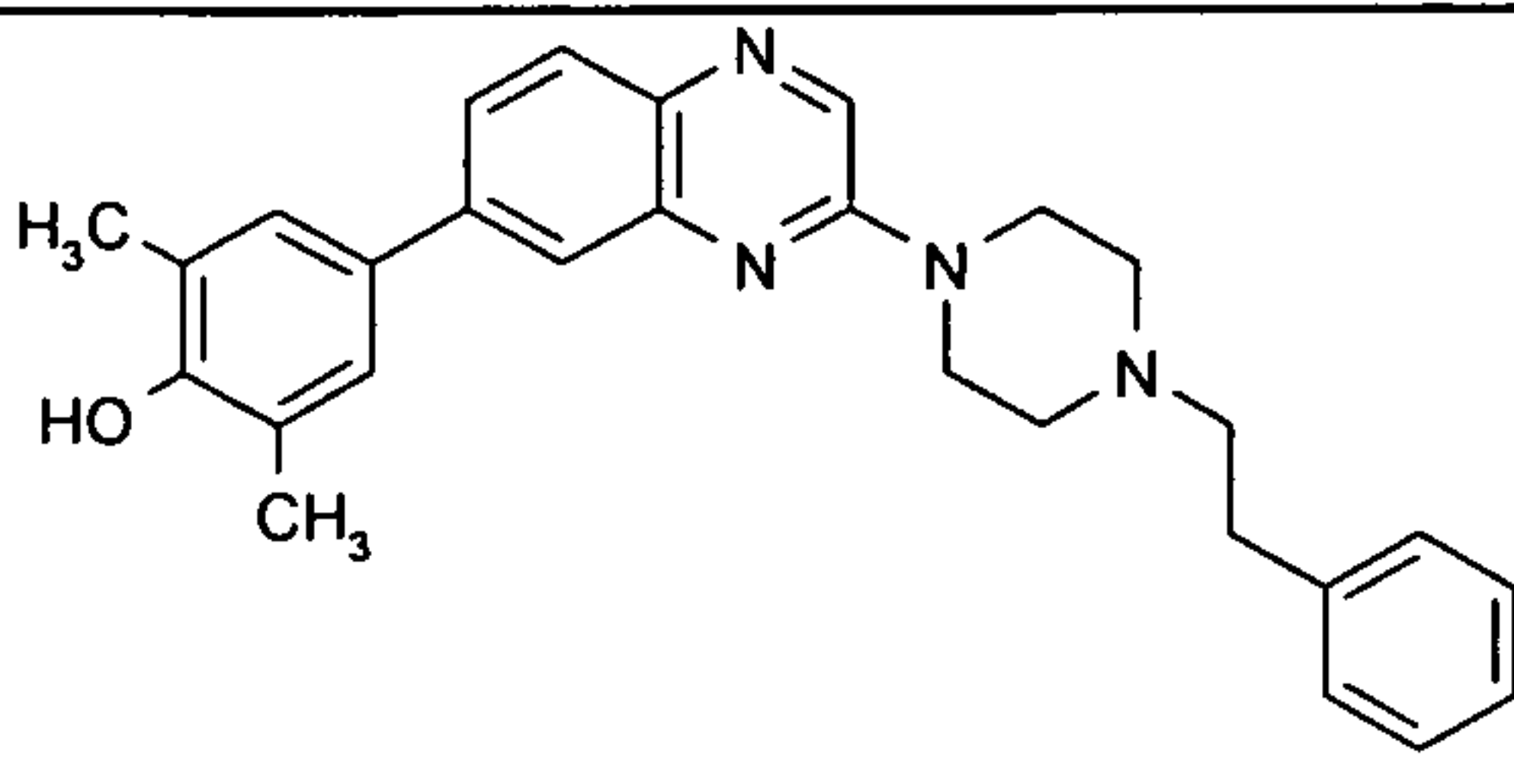
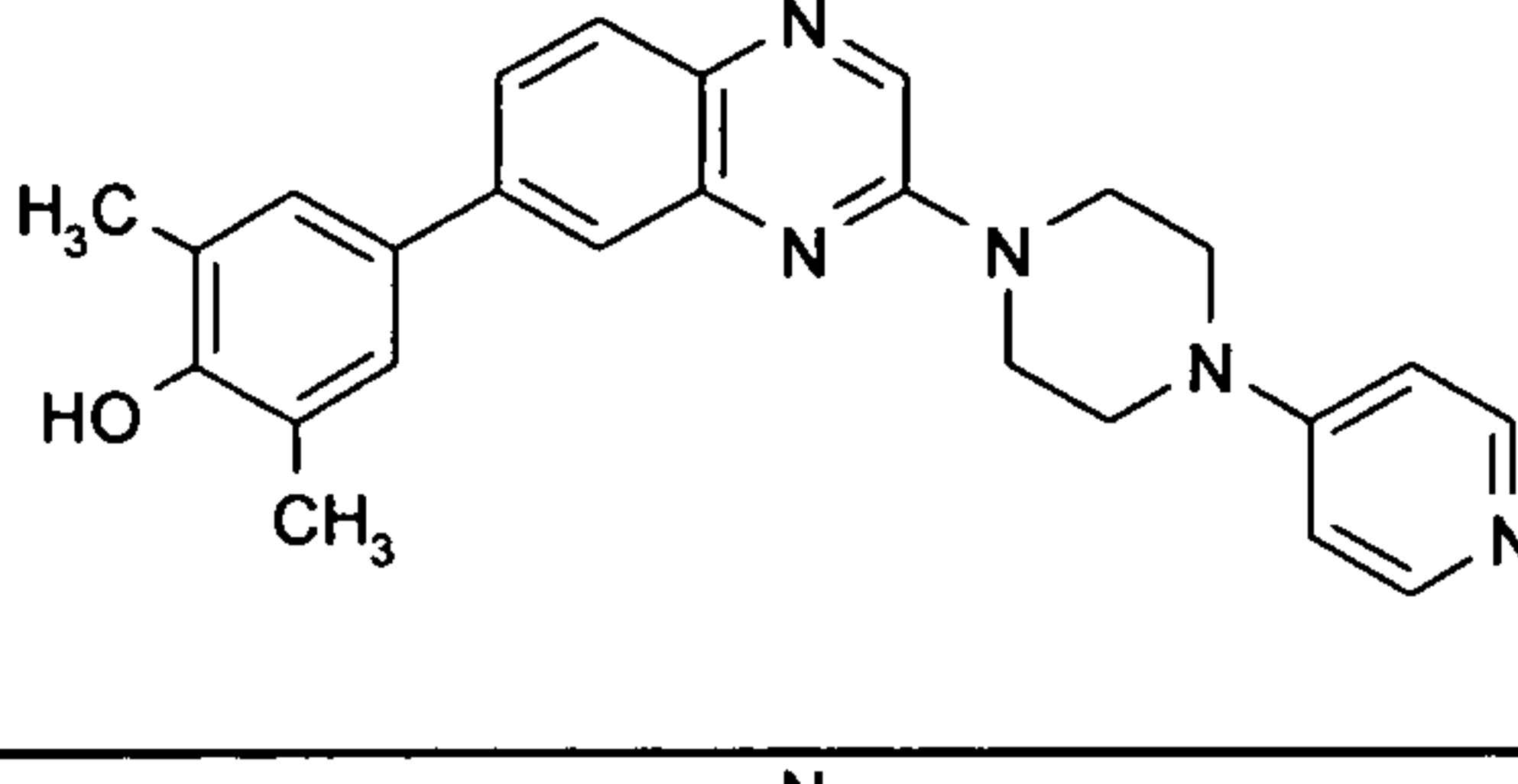
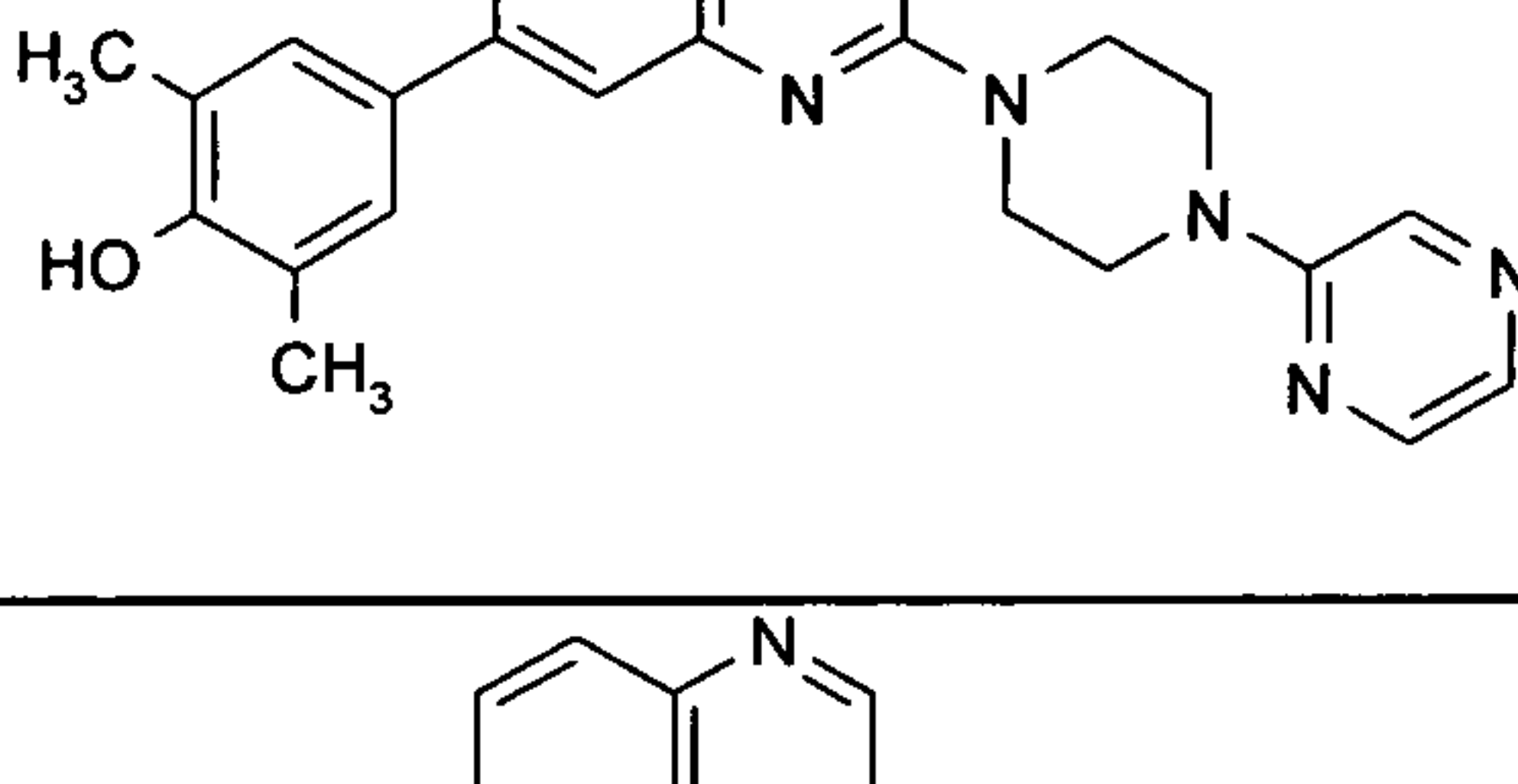
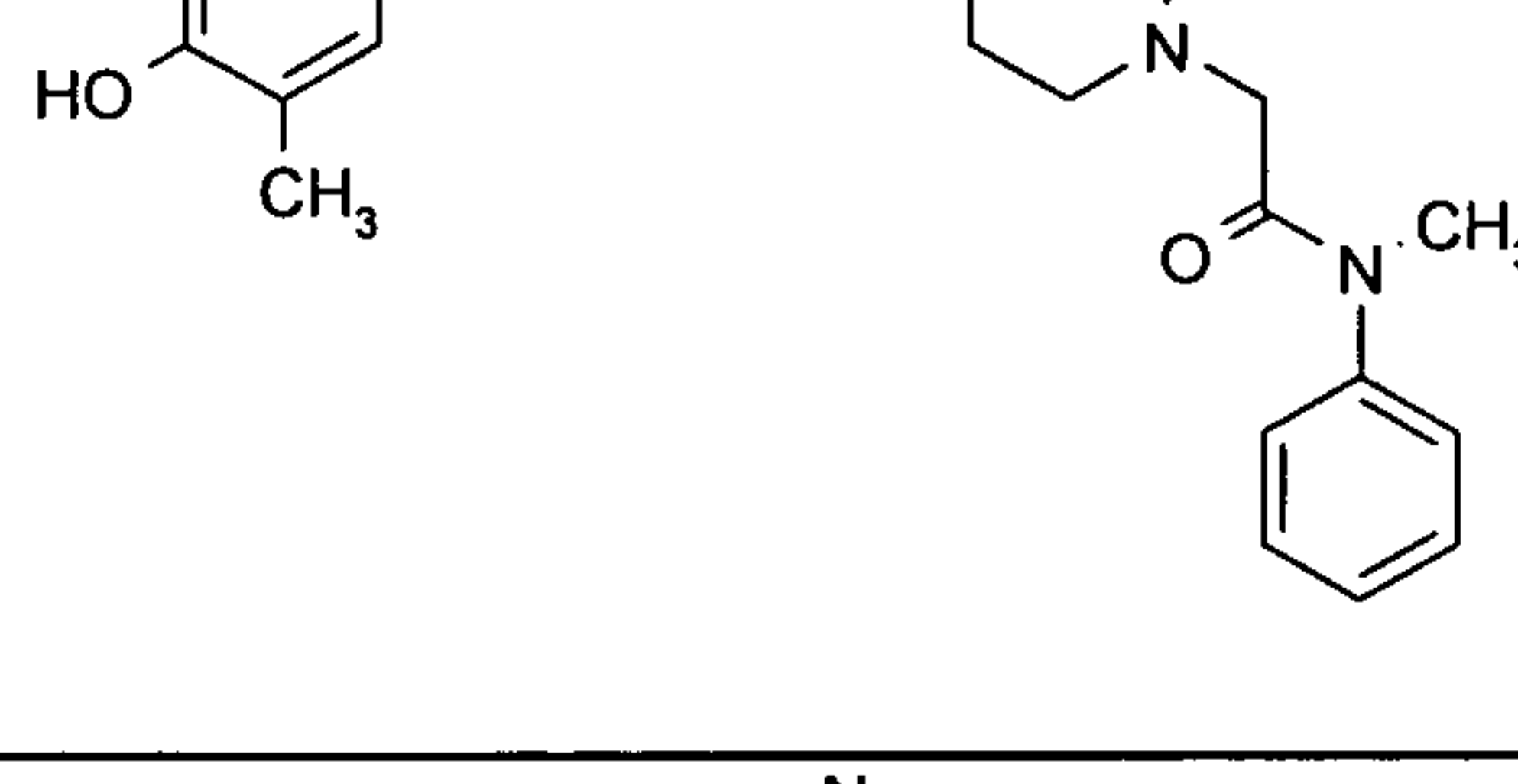
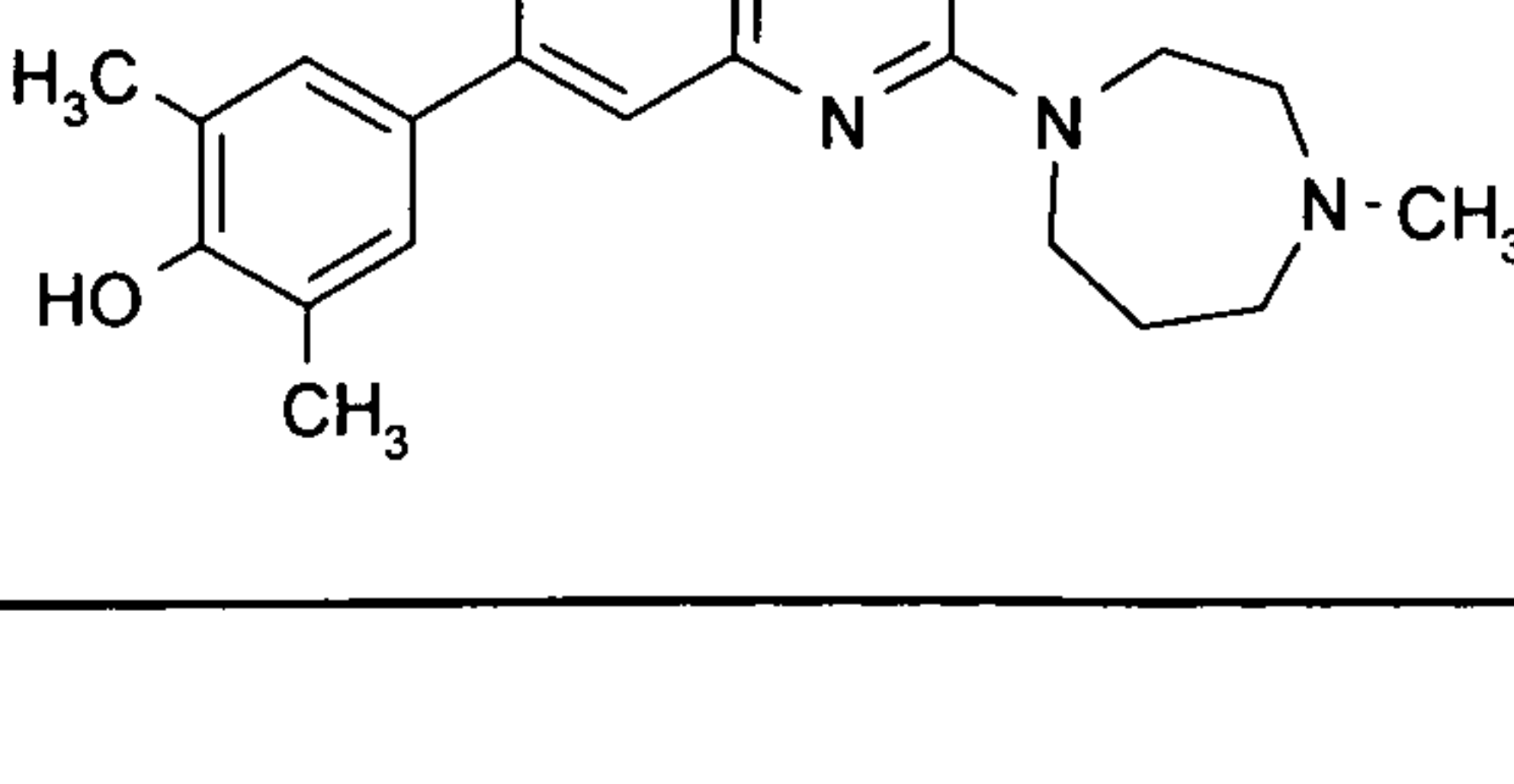
0.25 mmol intermediate example 1.1 (0.5 mL, 0.5 M in NMP), 0.5 mmol amine derivative (1 mL, 0.5 M in NMP, 2 eq) and 0.75 mmol DIPEA (0.128 mL, 5.86 M in NMP, 3 eq) were combined in a sealed vial and heated at 160 °C under microwave irradiation for 60 min. After cooling, 0.375 mmol boronic acid derivative (0.375 mL, 1 M in NMP, 1.5 eq), 0.05 mmol Pd₂(dba)₃ (1 mL, 0.05 M in NMP, 0.2 eq) and 0.75 mmol potassium carbonate (0.75 mL, 1M in water, 3 eq) were combined in a sealed vial and heated at 140 °C under microwave irradiation for 40 min. After cooling, the solution was filtered and subjected to preparative HPLC to give 14.6 mg 2,6-Dimethyl-4-[3-(4-methyl-piperazin-1-yl)-quinoxalin-6-yl]-phenol (15 %): ¹H-NMR (300 MHz, d₆-DMSO): δ = 8.73 (1H, s), 8.42 (1H, s), 7.78 (1H, d), 7.71 (1H, s), 7.63 (1H, d), 7.36 (2H, s), 3.76 (4H, br s), 3.30 (3H, br s), 2.26 (4H, br s) 2.21 (6H, s) ppm; UPLC-MS: RT = 0.78 min; m/z (ES+) 349.4 [MH⁺]; required MW = 348.4.

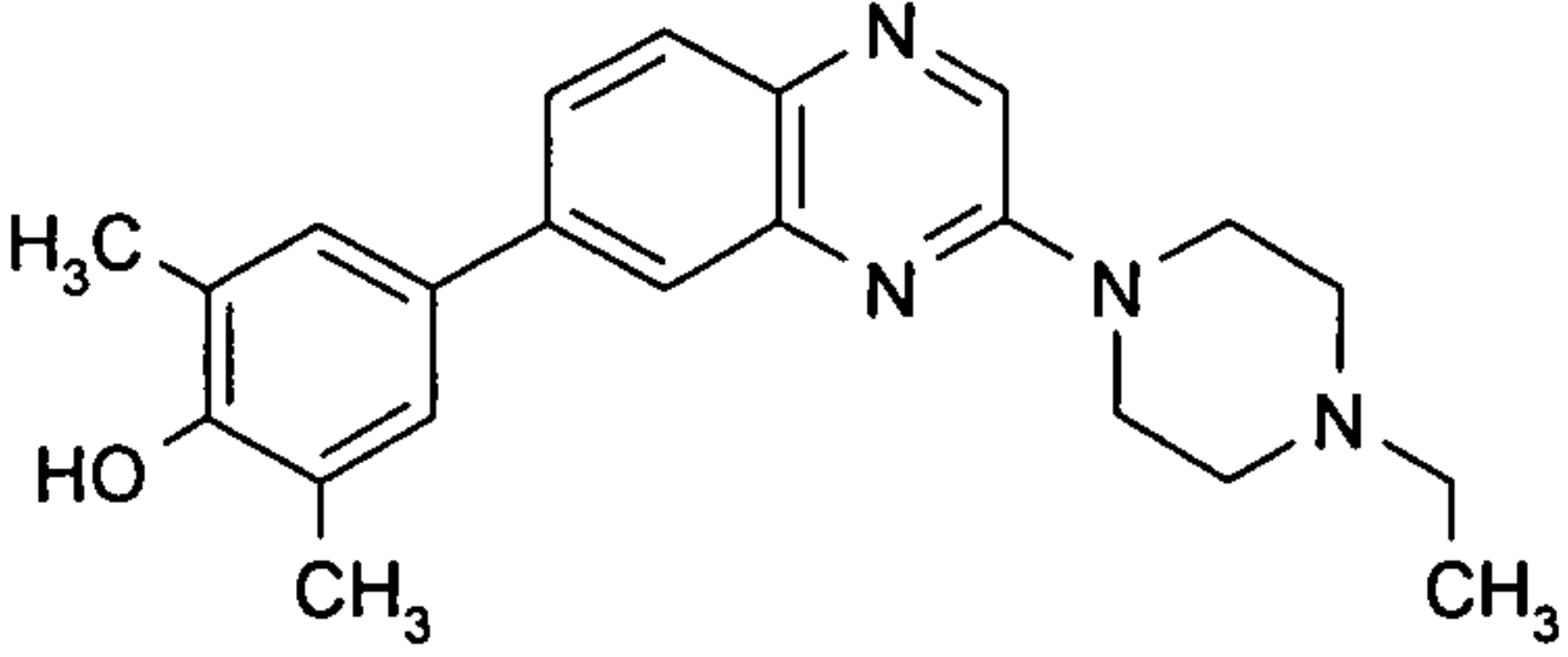
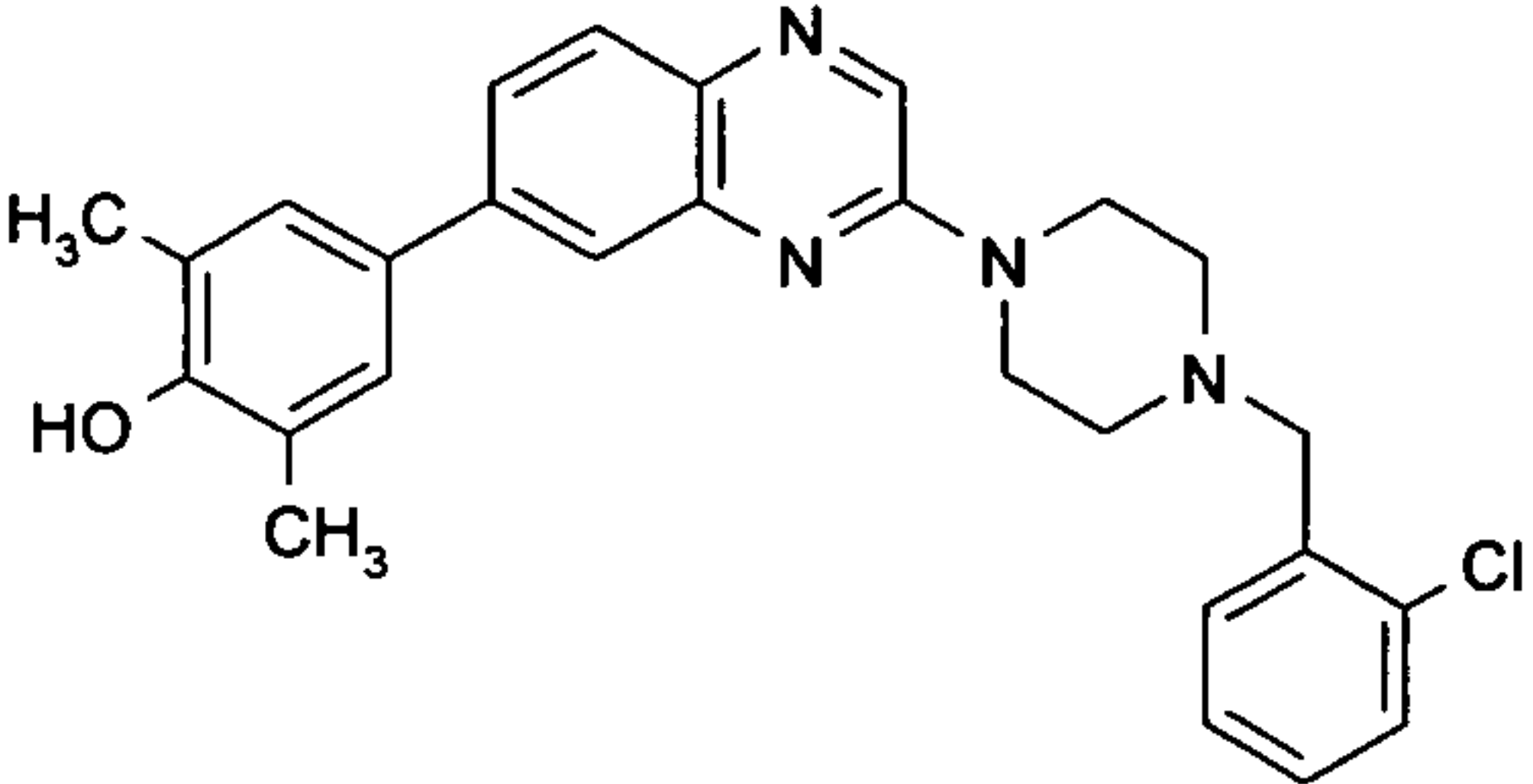
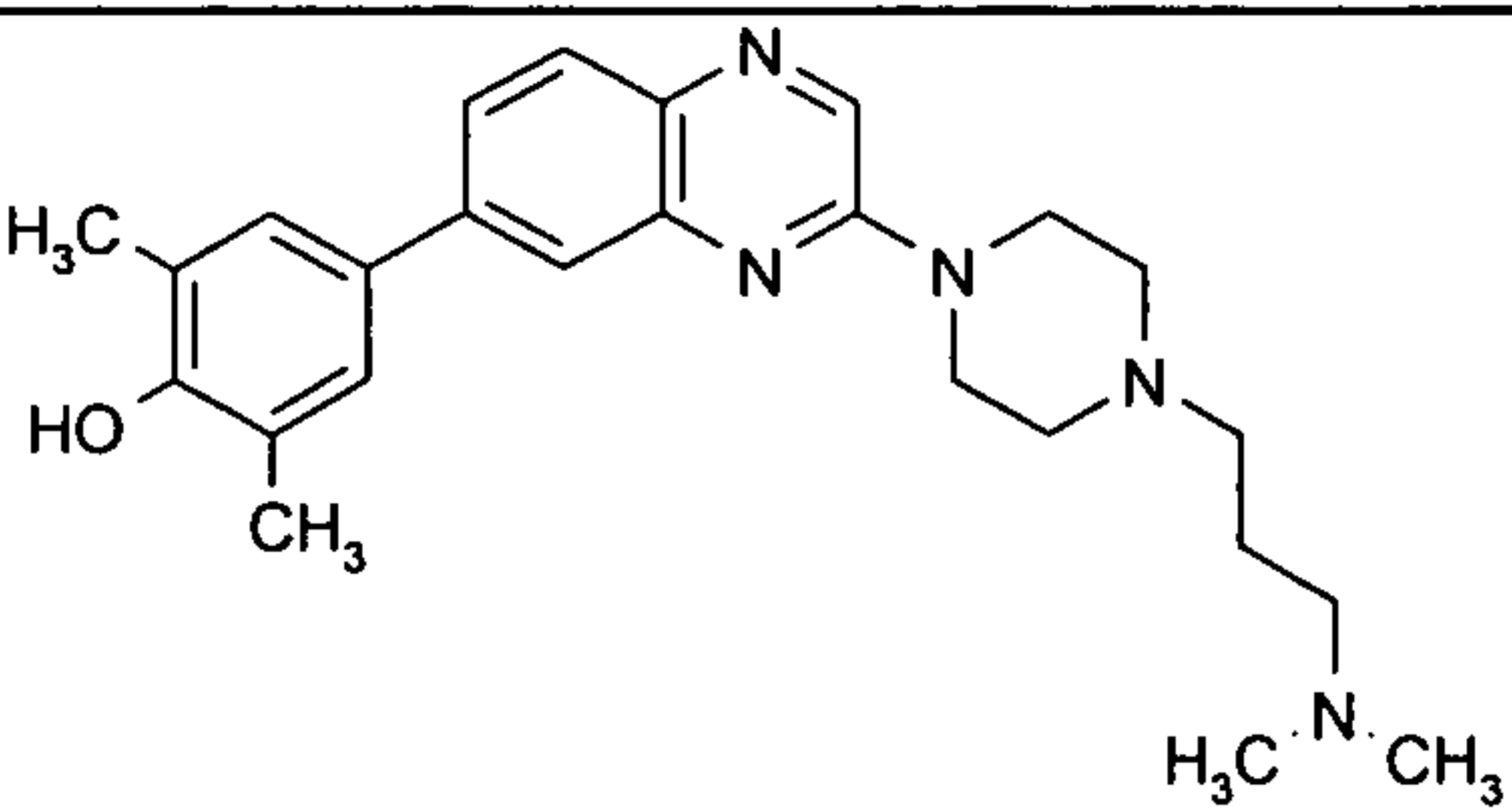
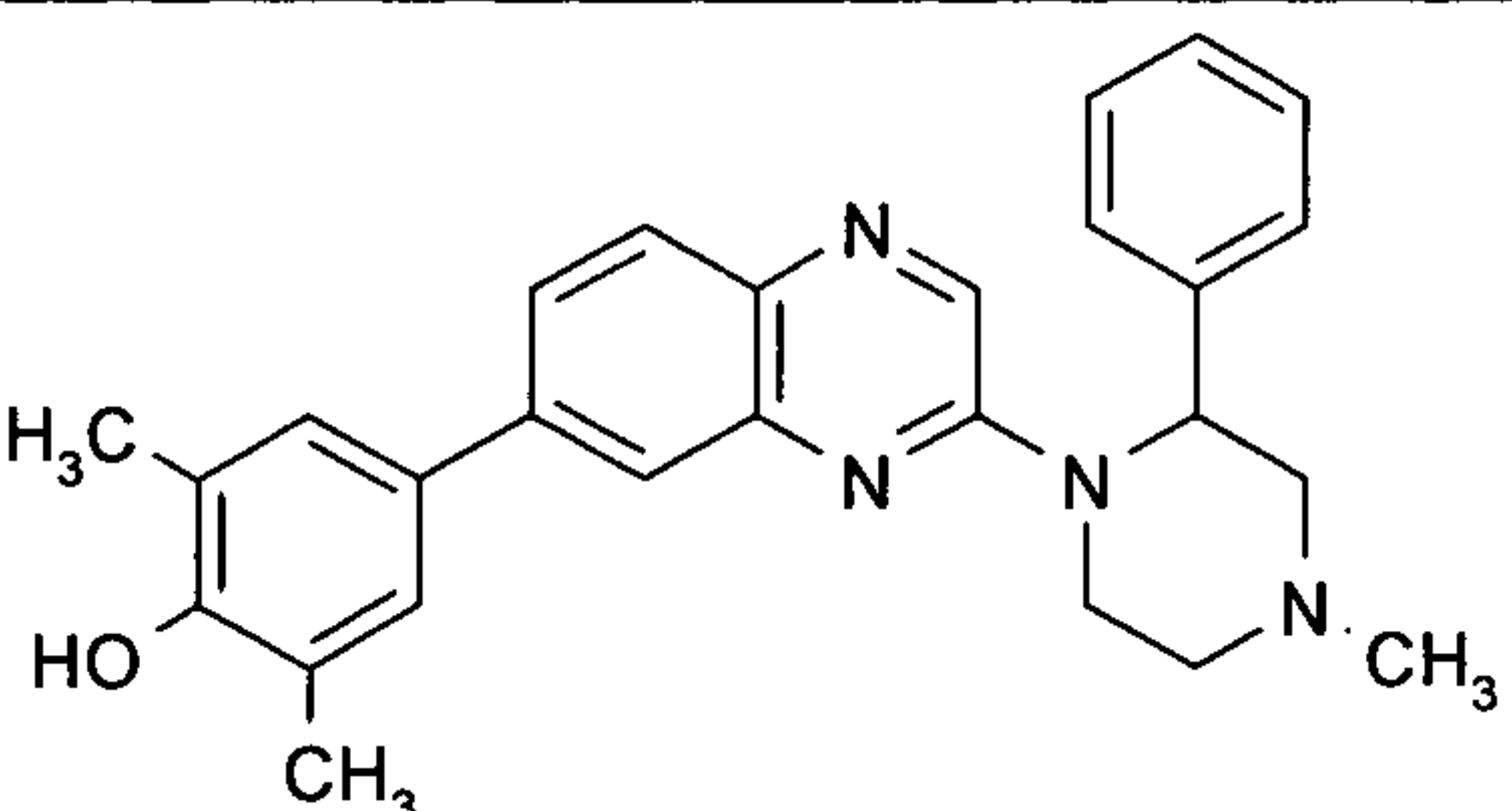
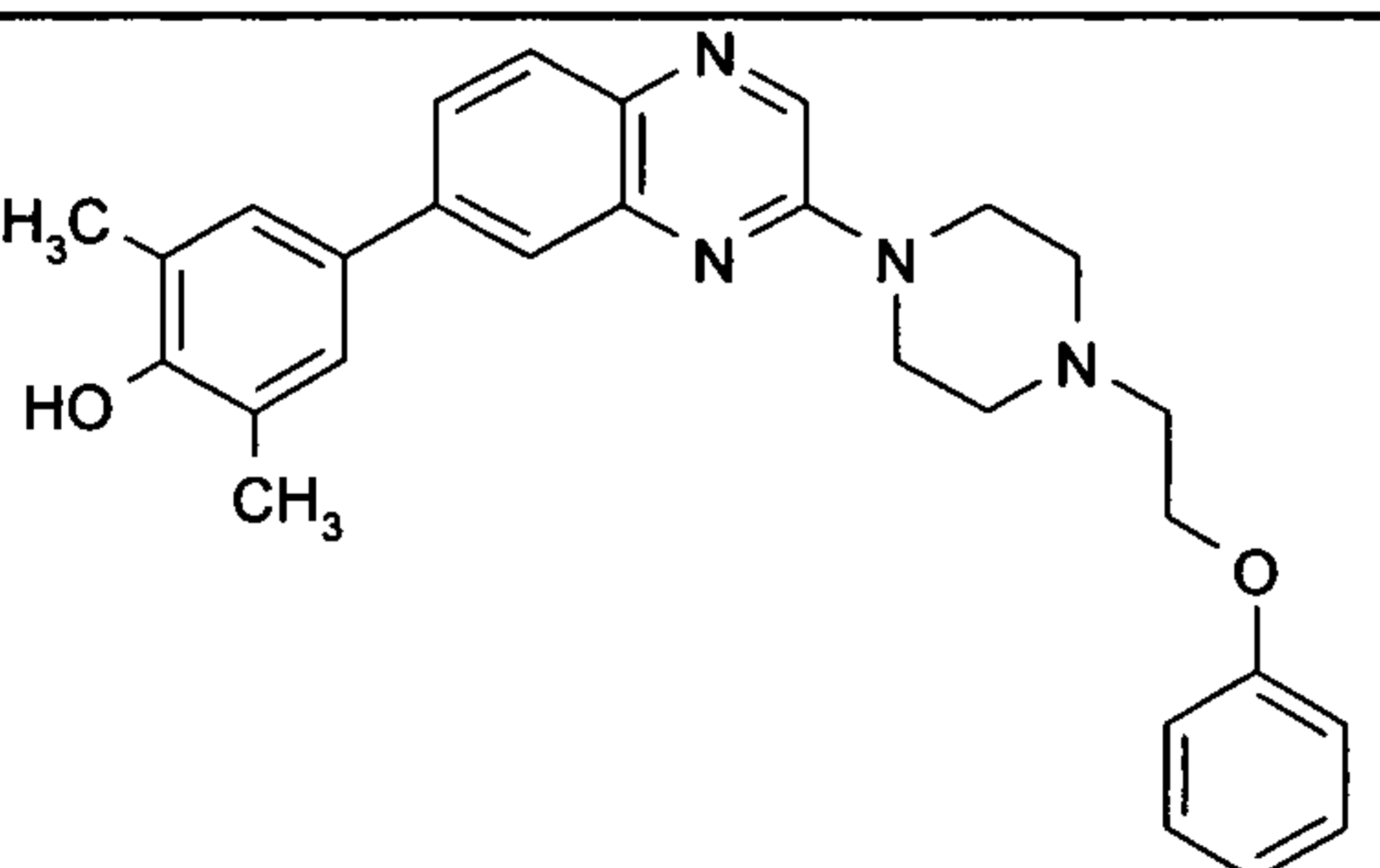
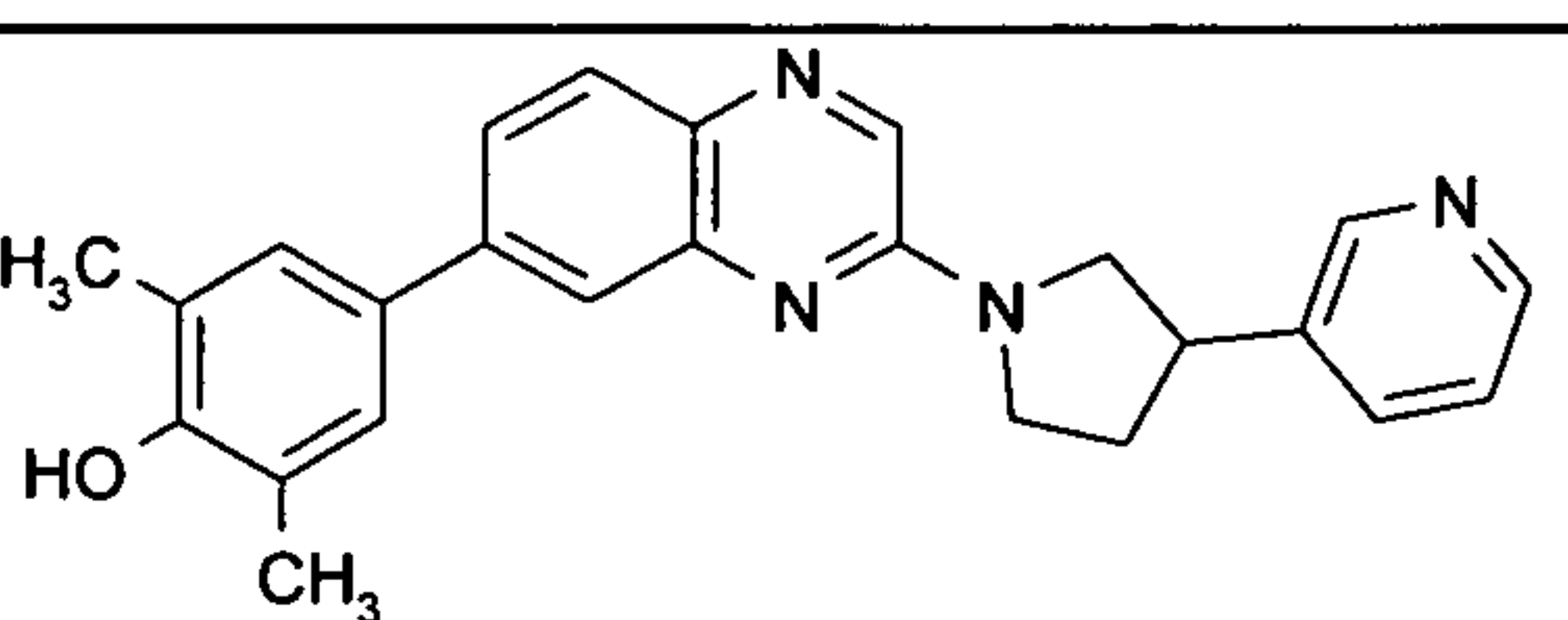
The following compound examples were prepared analogously to the procedure described above [LC-MS data such as retention time (RT in min) or observed mass peak were collected using LC-MS Method A]:

Example	Structure	Name	Analytical Data
13.2		4-[3-(4-benzylpiperazin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 0.92 MW _{found} = 425.5 MW _{calc} = 424.5
13.3		4-[3-(4-benzylpiperidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 1.68 MW _{found} = 424.5 MW _{calc} = 423.5
13.4		2,6-dimethyl-4-{3-[4-(trifluoromethyl)phenyl]piperazin-1-yl}quinoxalin-6-ylphenol	RT = 1.63 MW _{found} = 479.5 MW _{calc} = 478.5
13.5		4-[3-(1,4'-bipiperidin-1'-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 0.86 MW _{found} = 417.6 MW _{calc} = 416.6
13.6		2,6-dimethyl-4-{3-[4-(pyridin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.96 MW _{found} = 412.5 MW _{calc} = 411.5

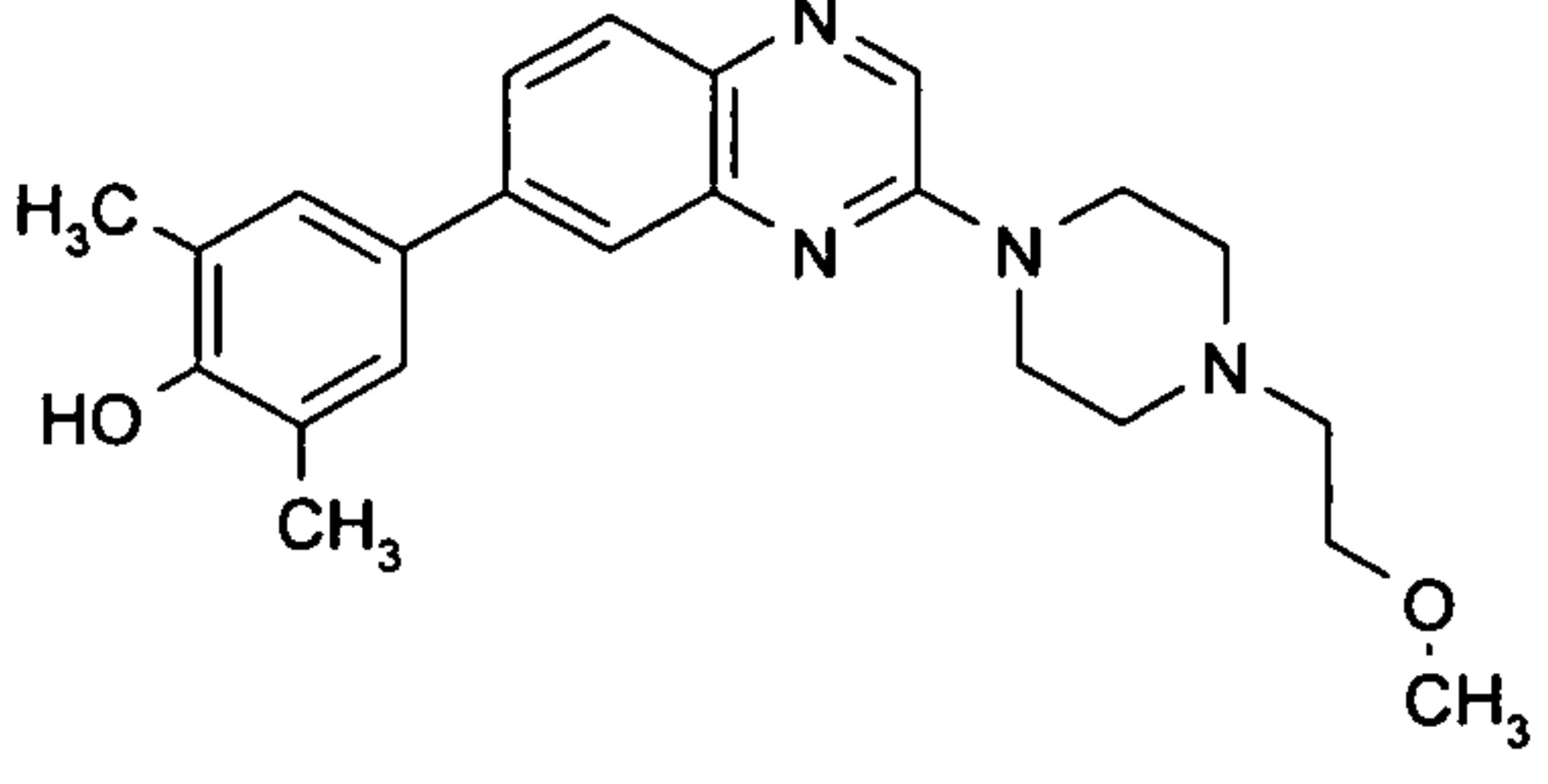
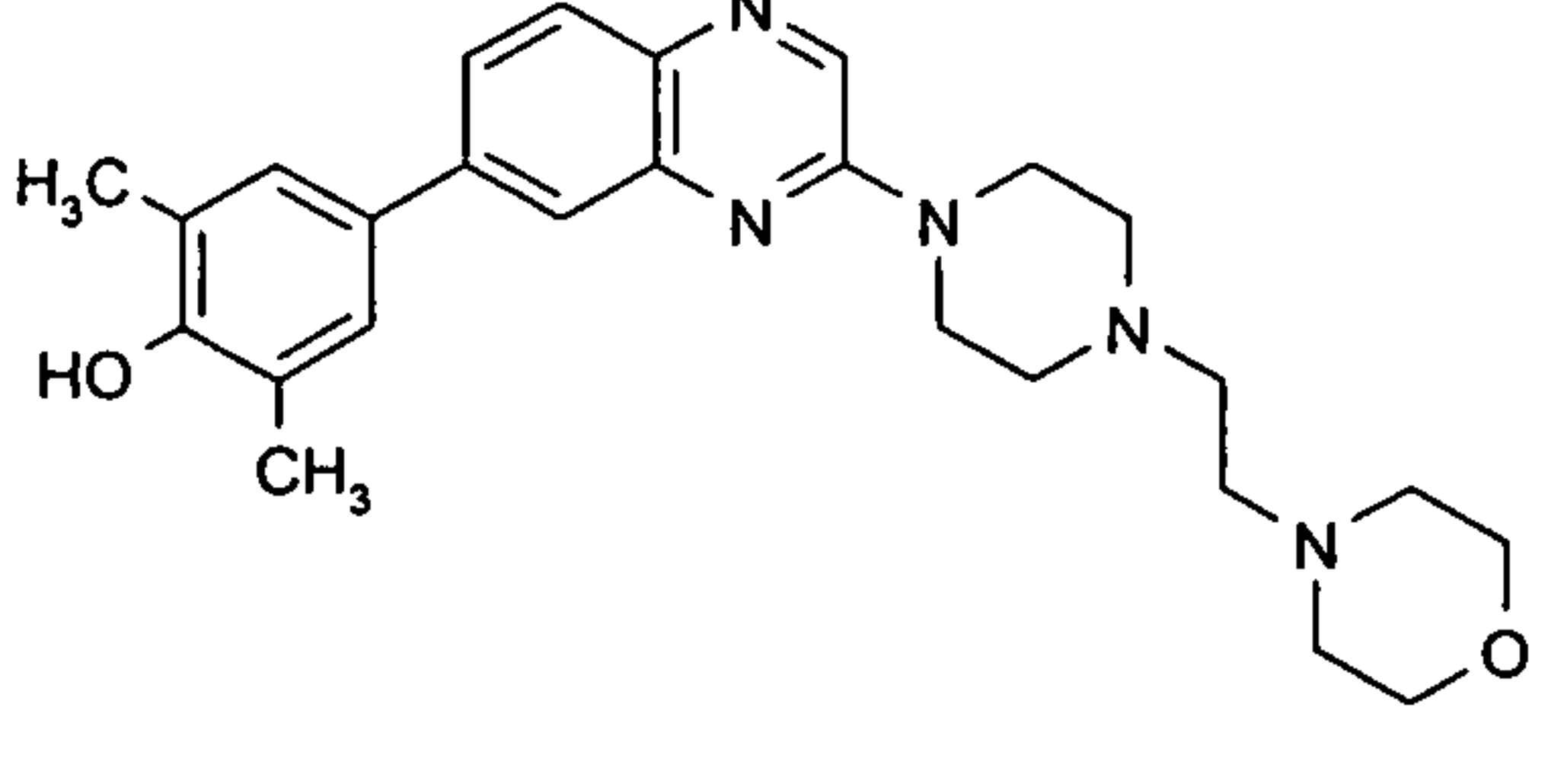
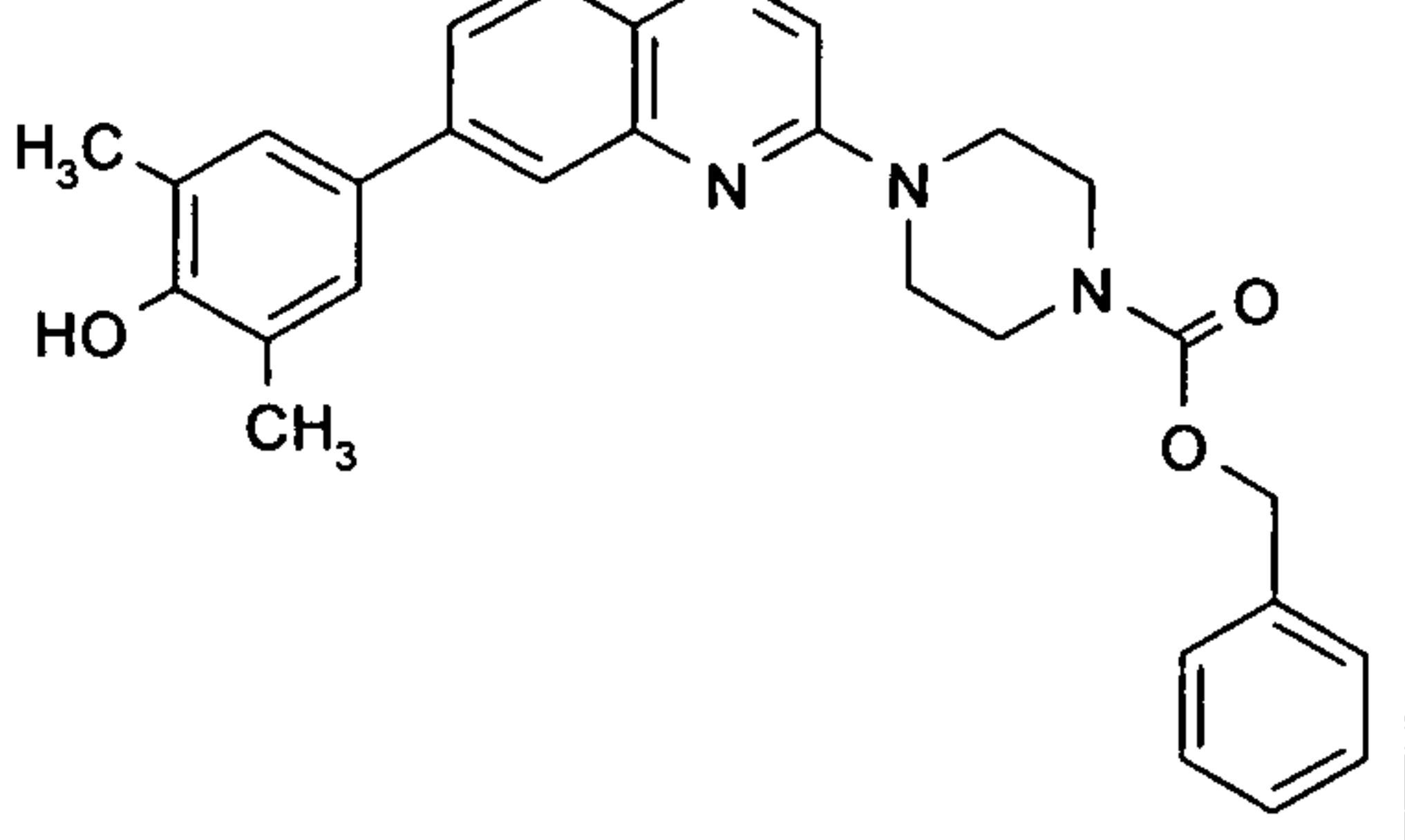
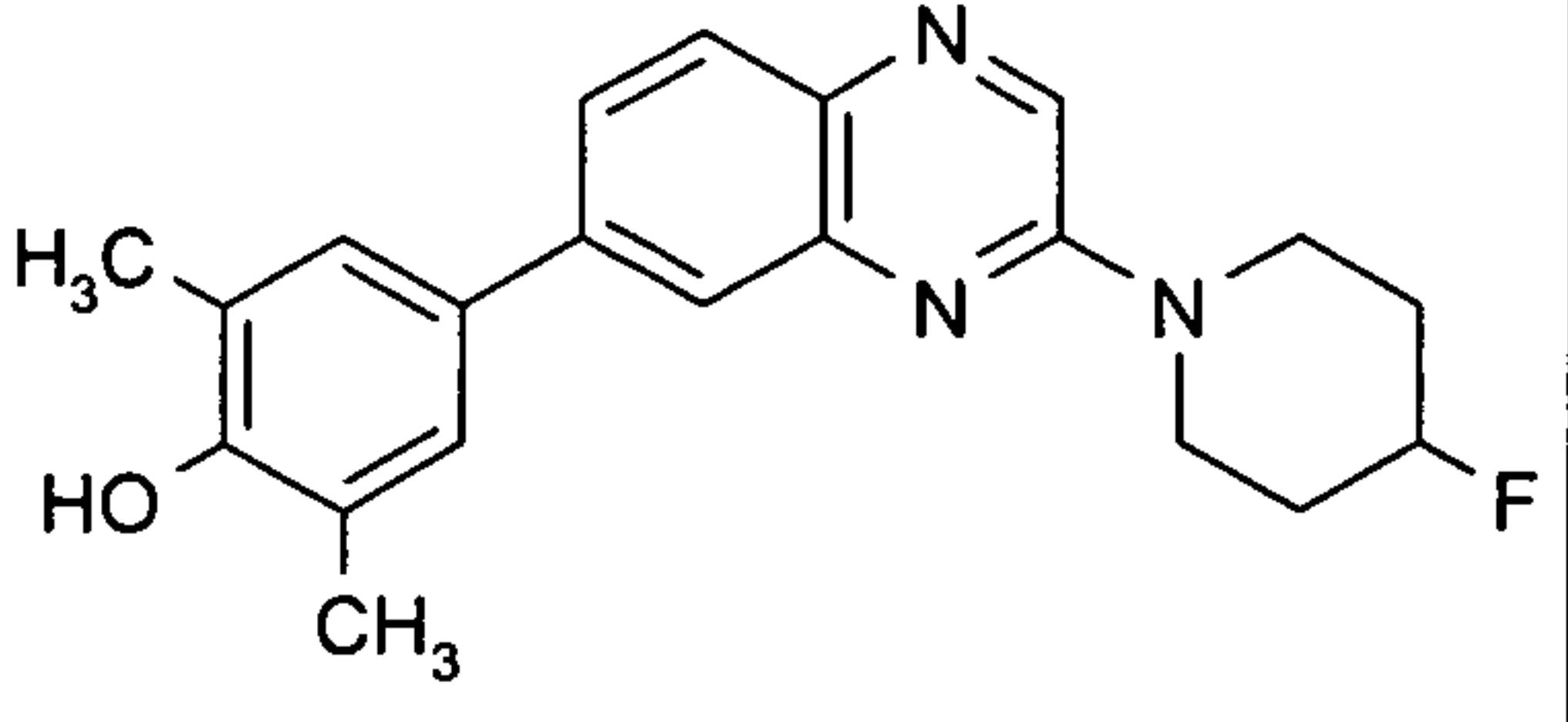
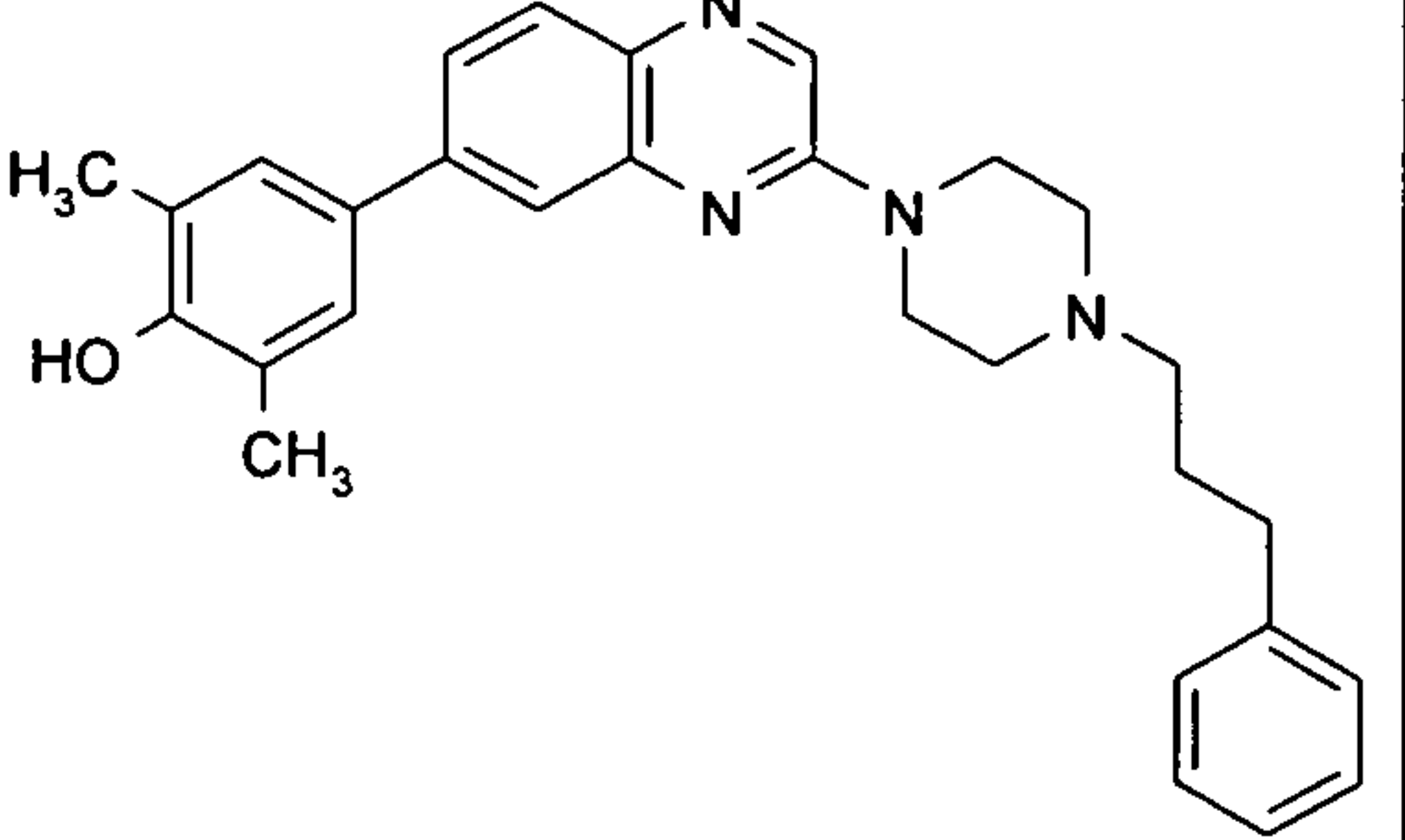
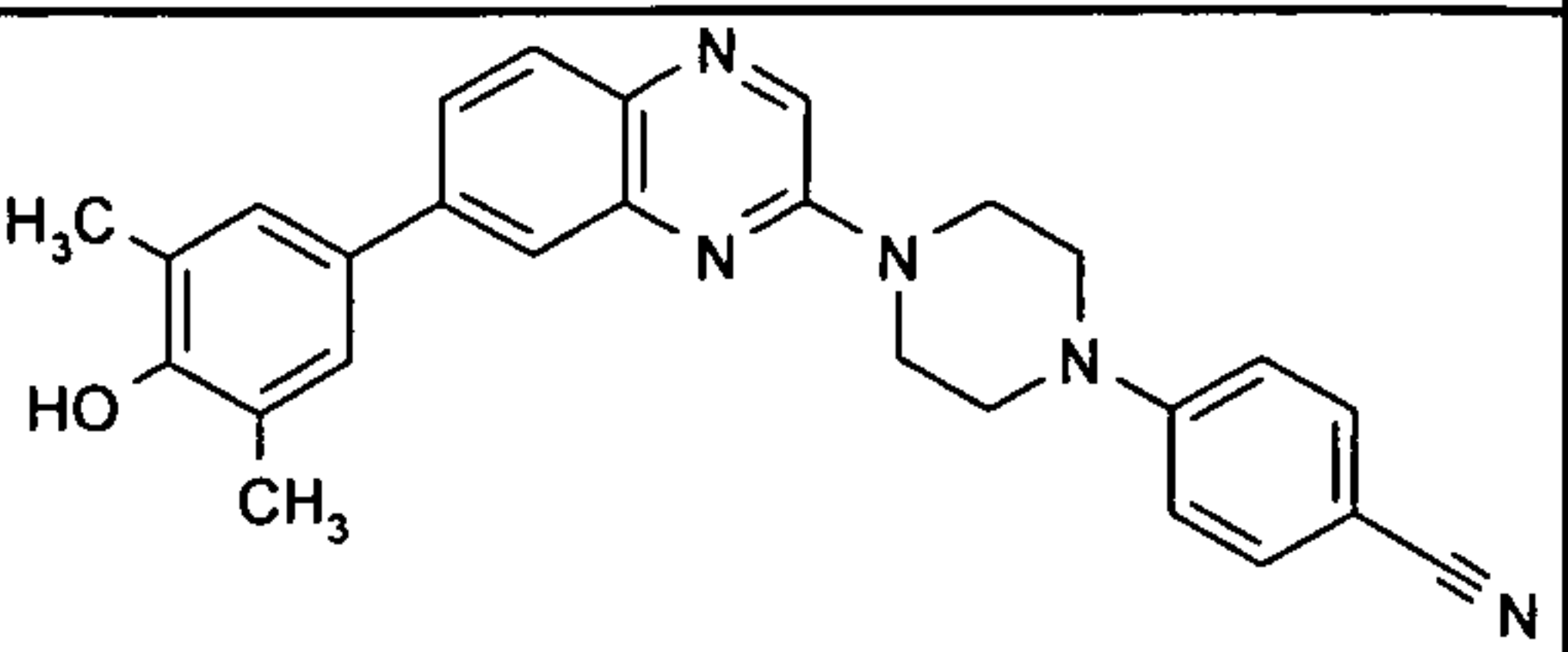
13.7		4-{3-[4-(4-fluorophenyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 1.52 MW _{found} = 429.5 MW _{calc} = 428.5
13.8		4-{3-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 0.91 MW _{found} = 469.5 MW _{calc} = 468.5
13.9		1-{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}ethanone	RT = 1.12 MW _{found} = 377.5 MW _{calc} = 376.5
13.10		ethyl 4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazine-1-carboxylate	RT = 1.33 MW _{found} = 407.5 MW _{calc} = 406.5
13.11		4-{3-[4-(2-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 1.48 MW _{found} = 441.5 MW _{calc} = 440.5
13.12		1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperidine-3-carboxamide	RT = 1.08 MW _{found} = 377.5 MW _{calc} = 376.5
13.13		2,6-dimethyl-4-[3-(4-phenylpiperazin-1-yl)quinoxalin-6-yl]phenol	RT = 1.53 MW _{found} = 411.5 MW _{calc} = 410.5

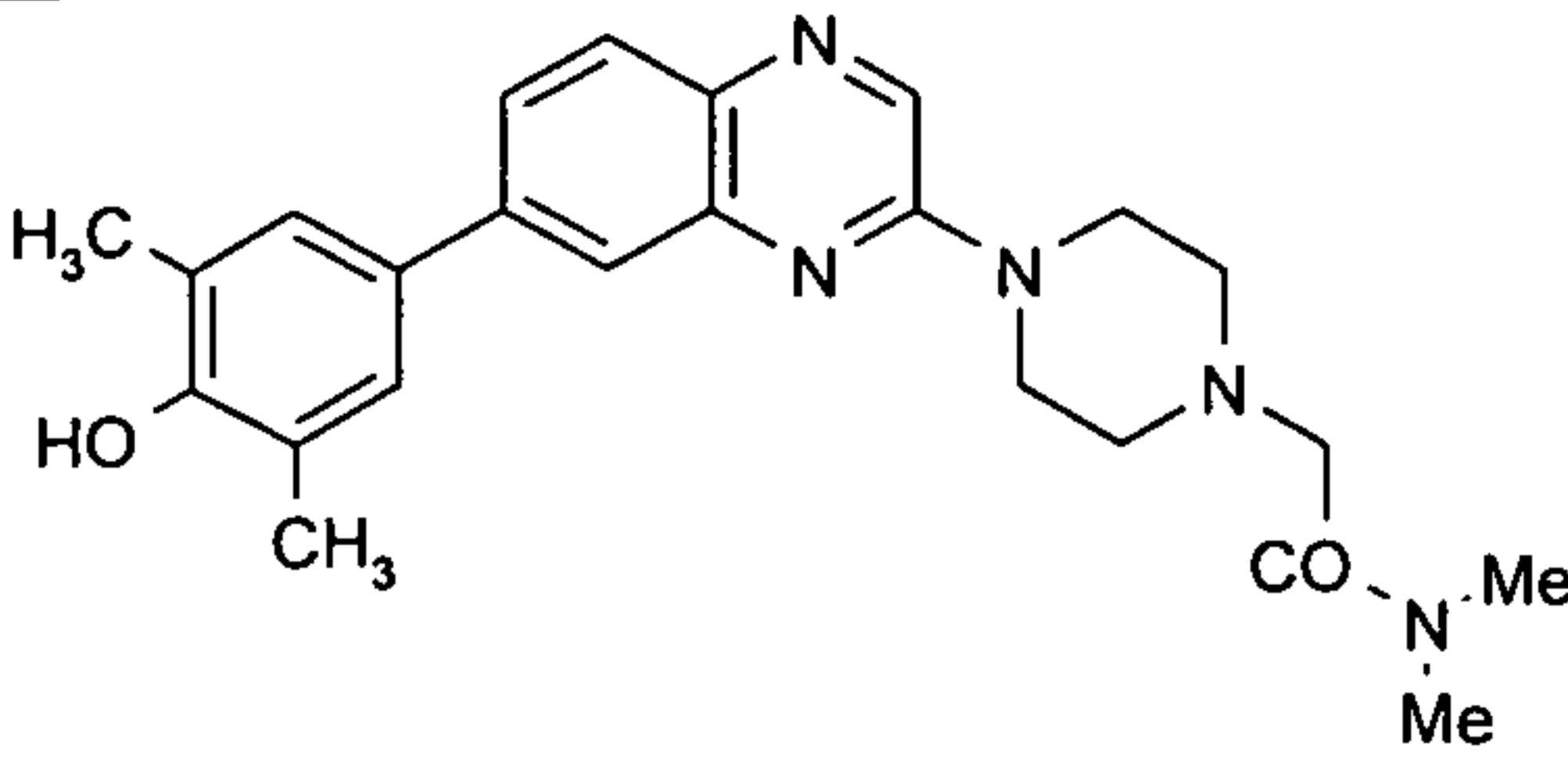
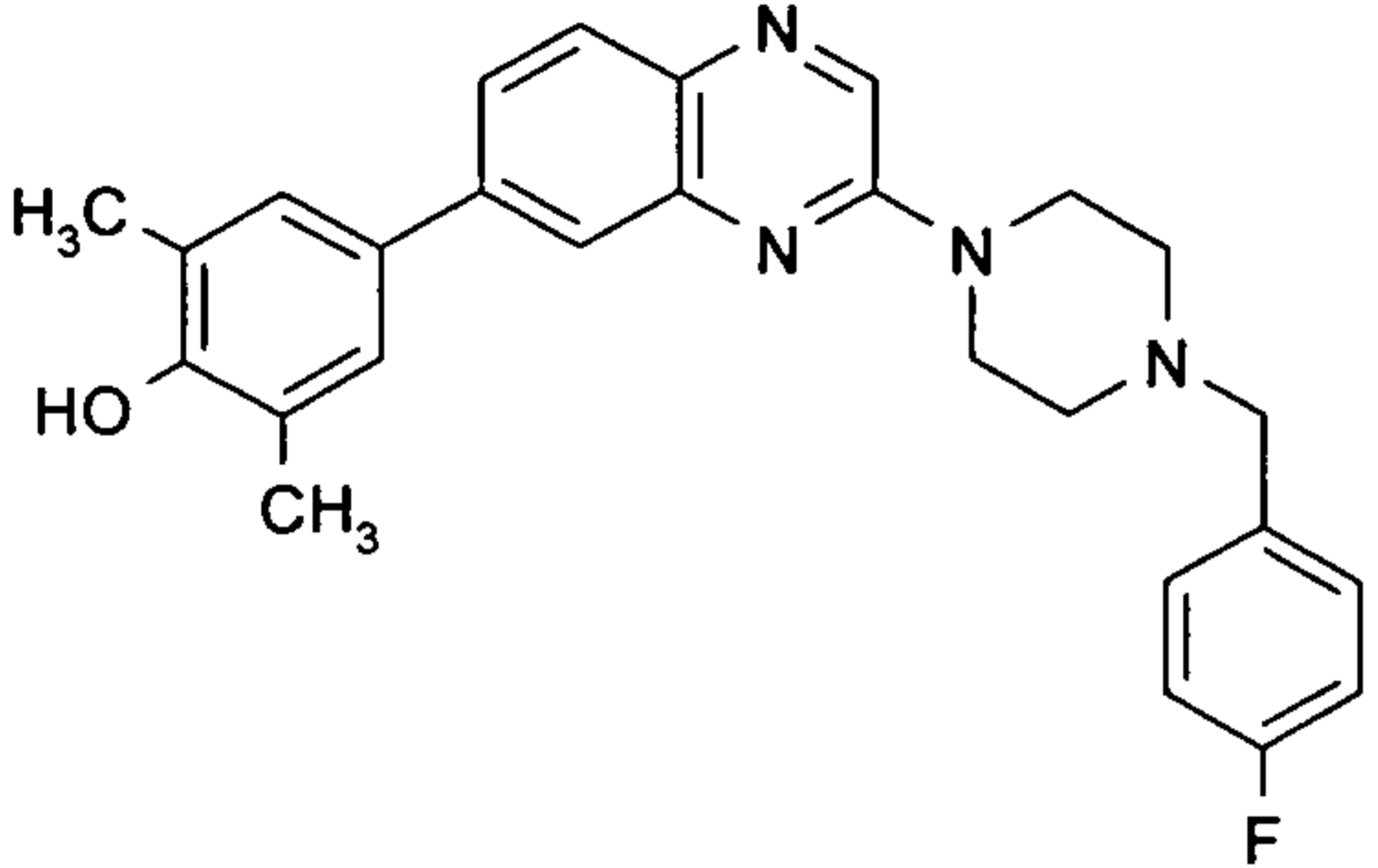
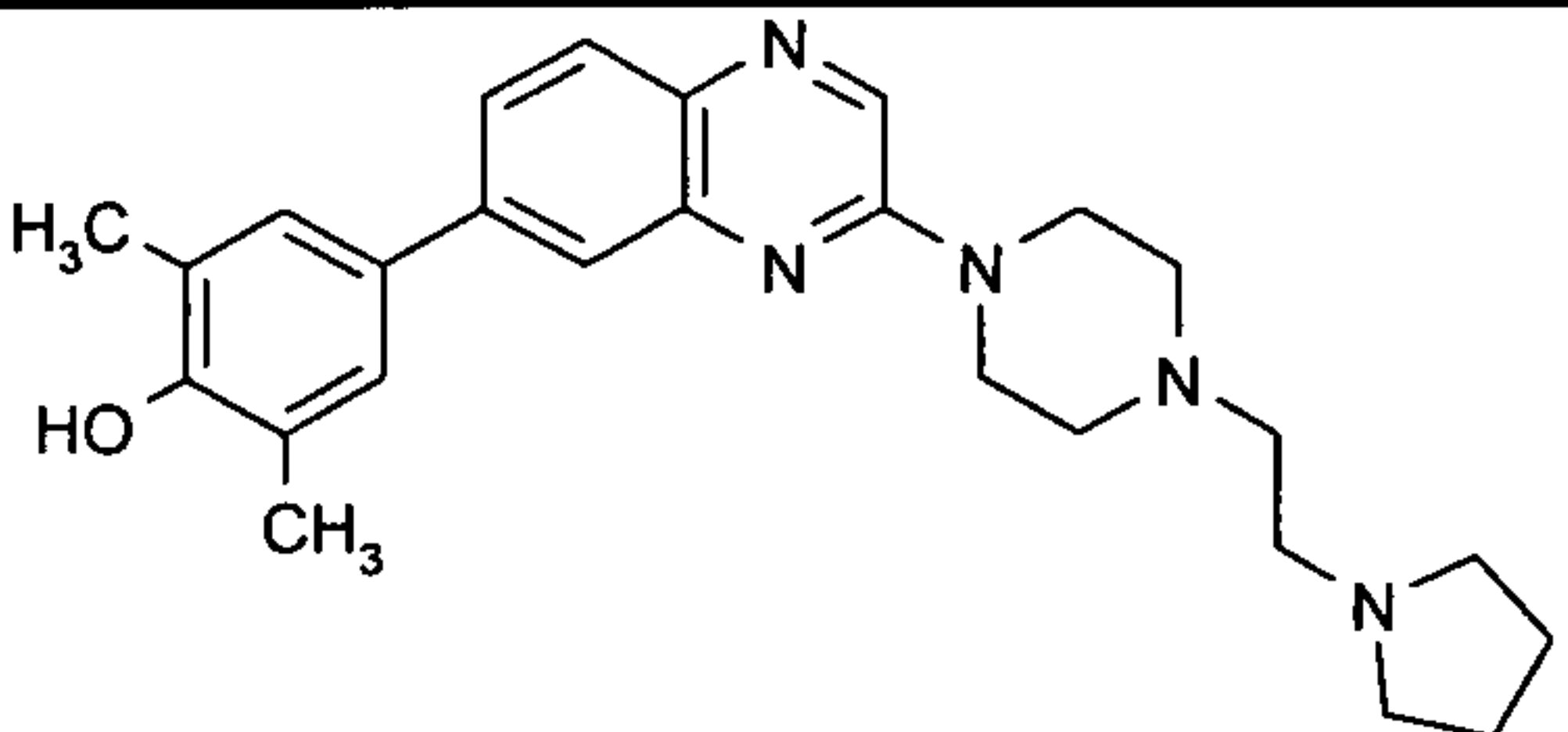
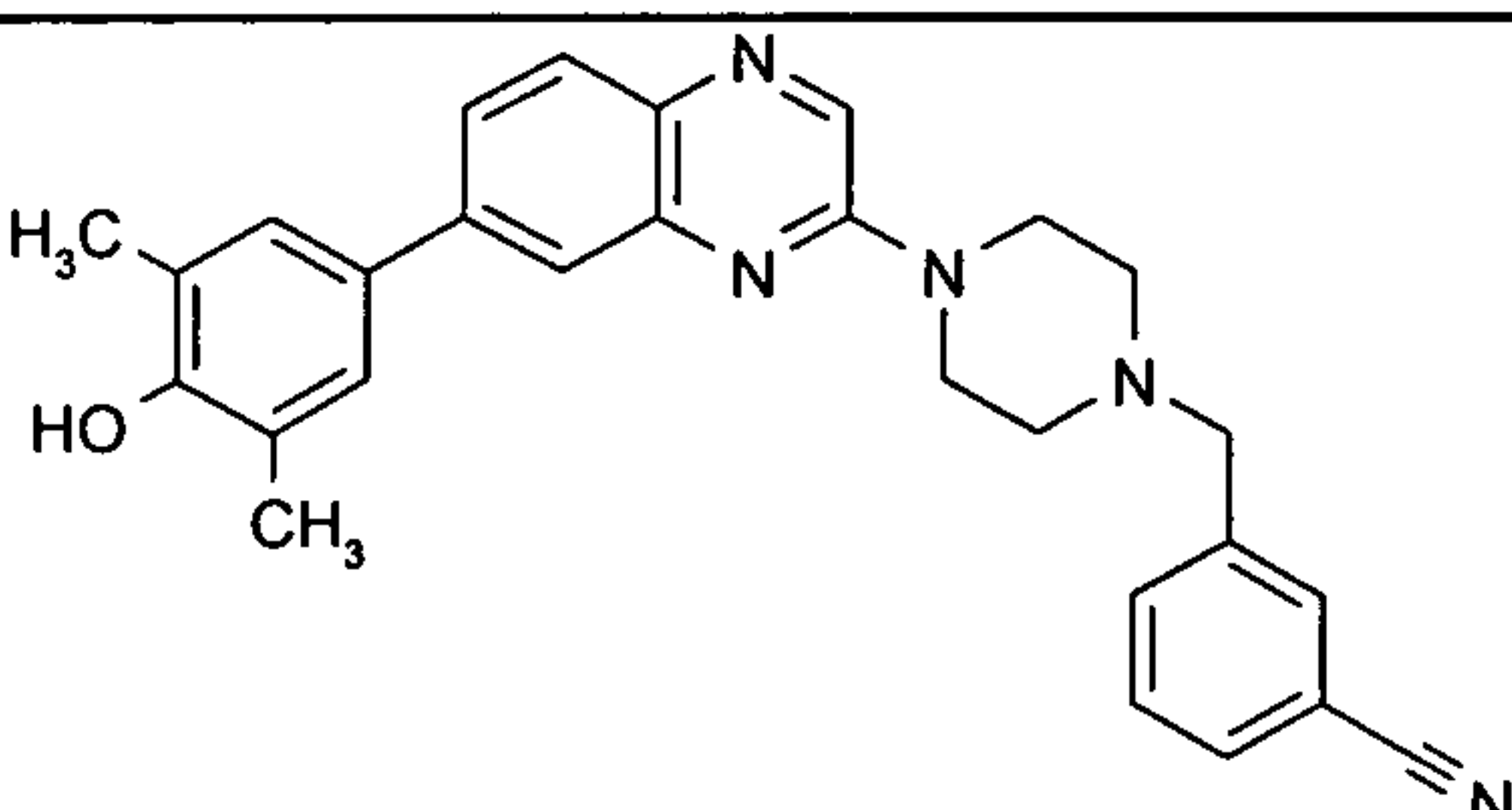
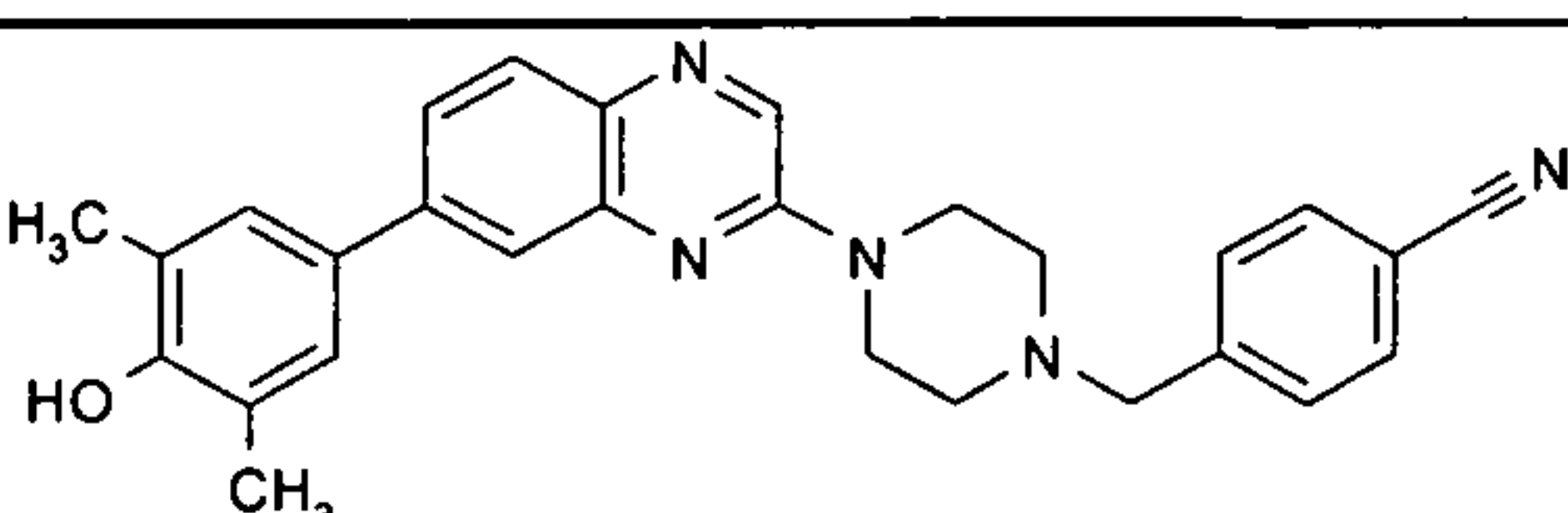
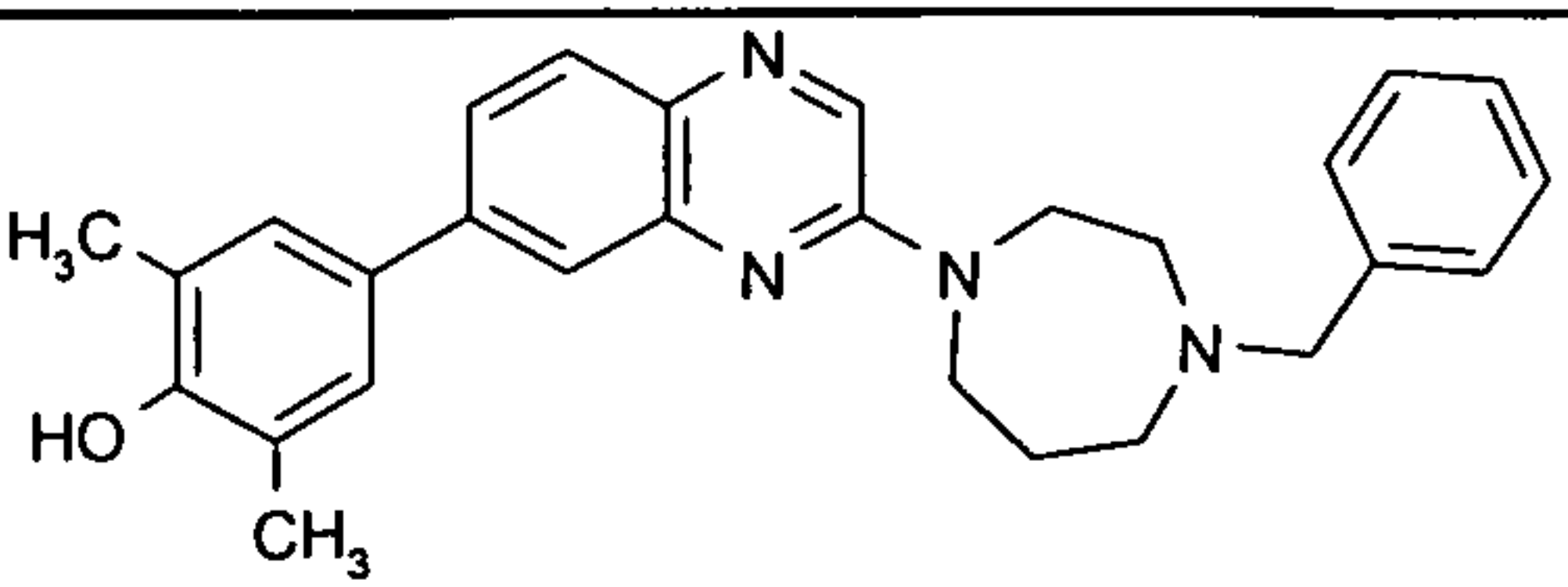
13.14		2,6-dimethyl-4-[3-(pyrrolidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.13 MW _{found} = 320.4 MW _{calc} = 319.4
13.15		4-{3-[4-(diphenylmethyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 1.46 MW _{found} = 501.6 MW _{calc} = 500.6
13.16		4-[3-(3,4-dihydroisoquinolin-2(1H)-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 1.55 MW _{found} = 382.5 MW _{calc} = 381.5
13.17		2,6-dimethyl-4-{3-[4-(2-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 1.66 MW _{found} = 425.5 MW _{calc} = 424.5
13.18		2,6-dimethyl-4-{3-[4-(3-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 1.59 MW _{found} = 425.5 MW _{calc} = 424.5
13.19		4-{3-[4-(4-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 1.43 MW _{found} = 441.5 MW _{calc} = 440.5
13.20		2,6-dimethyl-4-{3-[4-(4-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 1.58 MW _{found} = 425.5 MW _{calc} = 424.5

13.21		2,6-dimethyl-4-{3-[4-(1-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.94 MW _{found} = 439.6 MW _{calc} = 438.6
13.22		2,6-dimethyl-4-{3-[4-(2-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.94 MW _{found} = 439.6 MW _{calc} = 438.6
13.23		2,6-dimethyl-4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.86 MW _{found} = 412.5 MW _{calc} = 411.5
13.24		2,6-dimethyl-4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 1.30 MW _{found} = 413.5 MW _{calc} = 412.5
13.25		2-{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}-N-methyl-N-phenylacetamide	RT = 0.92 MW _{found} = 482.6 MW _{calc} = 481.6
13.26		2,6-dimethyl-4-[3-(4-methyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenol	RT = 0.80 MW _{found} = 363.5 MW _{calc} = 362.5

13.27		4-[3-(4-ethylpiperazin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 0.80 MW _{found} = 363.5 MW _{calc} = 362.5
13.28		4-{3-[4-(2-chlorobenzyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 1.03 MW _{found} = 460.0 MW _{calc} = 459.0
13.29		4-(3-{4-[3-(dimethylamino)propyl]piperazin-1-yl}quinoxalin-6-yl)-2,6-dimethylphenol	RT = 0.67 MW _{found} = 420.6 MW _{calc} = 419.6
13.30		2,6-dimethyl-4-[3-(4-methyl-2-phenylpiperazin-1-yl)quinoxalin-6-yl]phenol	RT = 0.91 MW _{found} = 425.5 MW _{calc} = 424.5
13.31		2,6-dimethyl-4-{3-[4-(2-phenoxyethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.95 MW _{found} = 455.6 MW _{calc} = 454.6
13.32		2,6-dimethyl-4-{3-[3-(pyridin-3-yl)pyrrolidin-1-yl]quinoxalin-6-yl}phenol	RT = 0.93 MW _{found} = 397.5 MW _{calc} = 396.5

13.33		2,6-dimethyl-4-{3-[3-(trifluoromethyl)pyrrolidin-1-yl]quinoxalin-6-yl}phenol	RT = 1.41 MW _{found} = 388.4 MW _{calc} = 387.4
13.34		{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}(pyrrolidin-1-yl)methanone	RT = 1.28 MW _{found} = 432.5 MW _{calc} = 431.5
13.35		2,6-dimethyl-4-{3-[4-(3-phenoxypropyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.97 MW _{found} = 469.6 MW _{calc} = 468.6
13.36		2,6-dimethyl-4-[3-(4-phenylpiperidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.62 MW _{found} = 410.5 MW _{calc} = 409.5
13.37		4-(3-{4-[2-(dimethylamino)ethyl]piperazin-1-yl}quinoxalin-6-yl)-2,6-dimethylphenol	RT = 0.80 MW _{found} = 406.5 MW _{calc} = 405.5
13.38		2,6-dimethyl-4-{3-[4-(pyrimidin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 1.36 MW _{found} = 413.5 MW _{calc} = 412.5

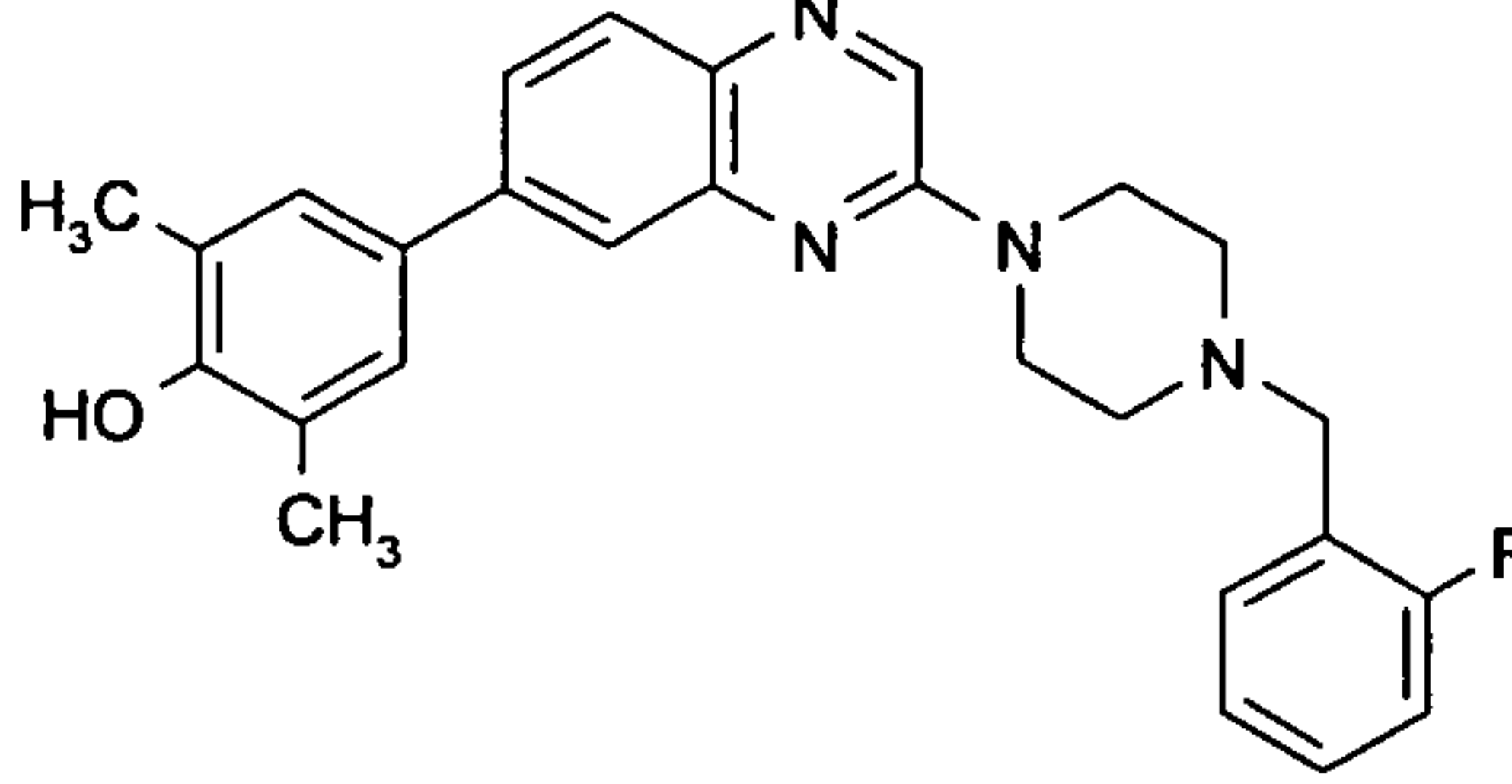
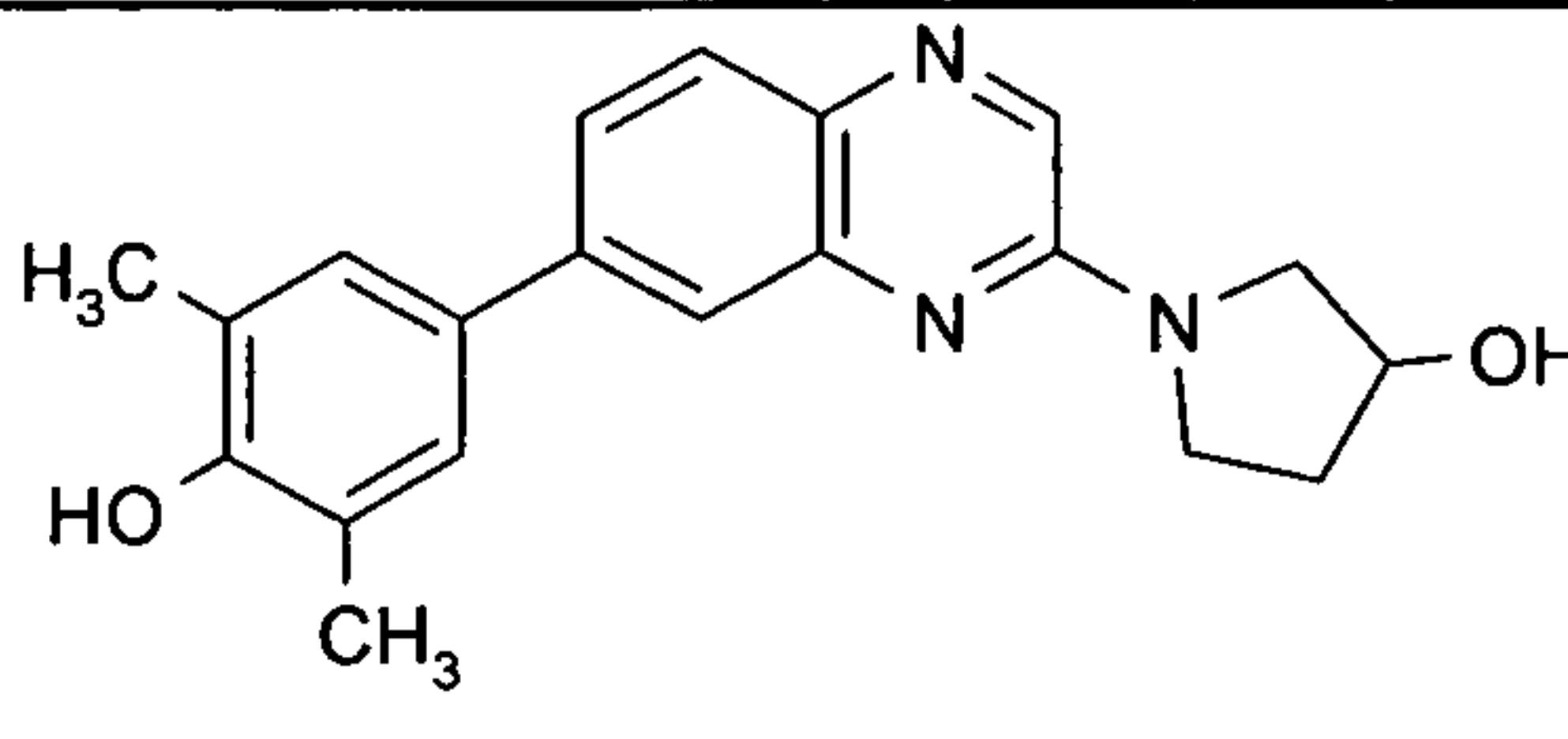
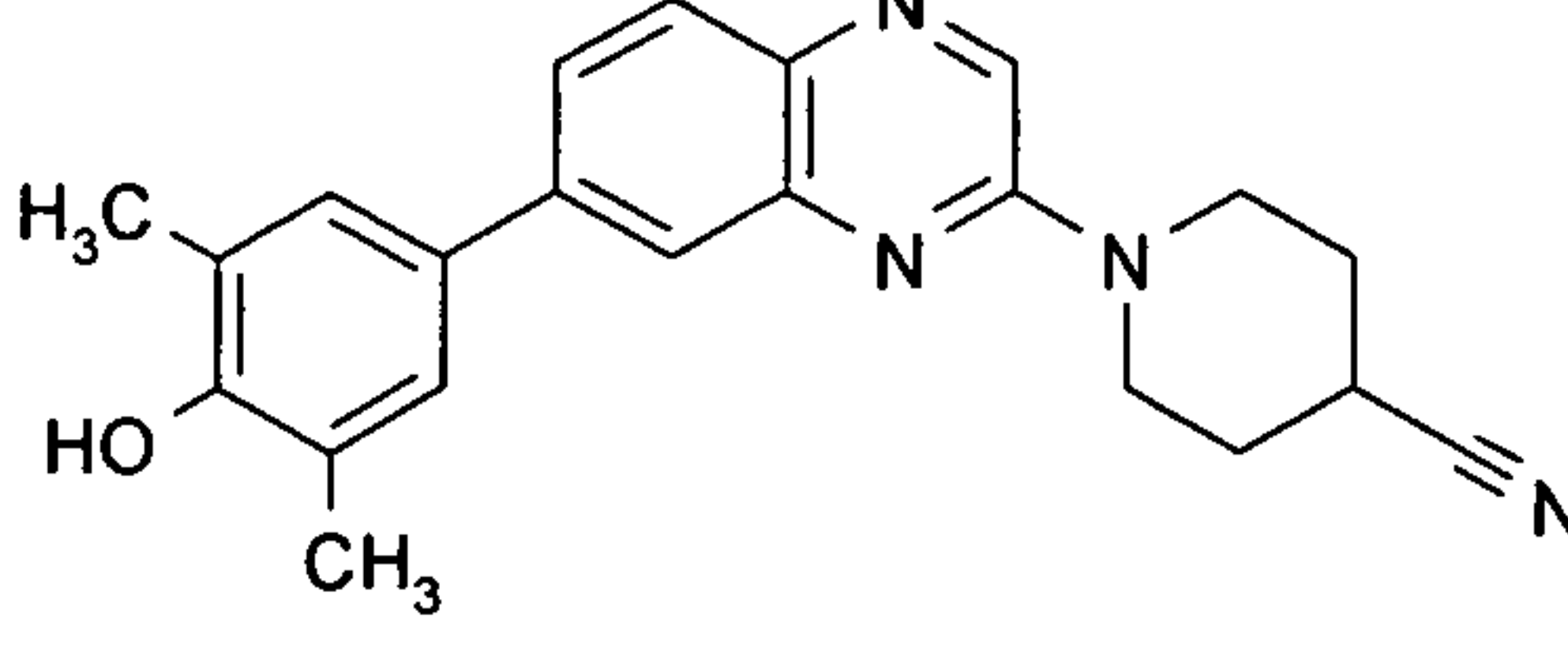
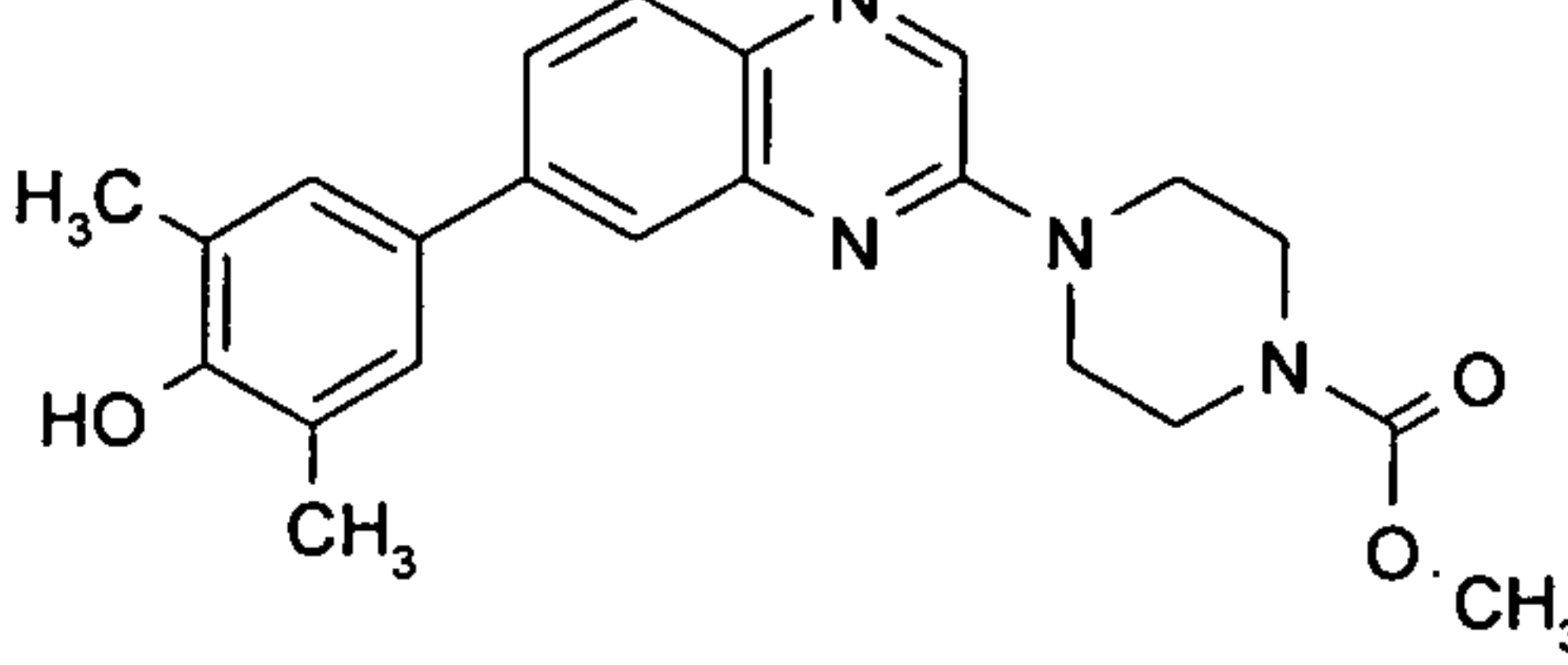
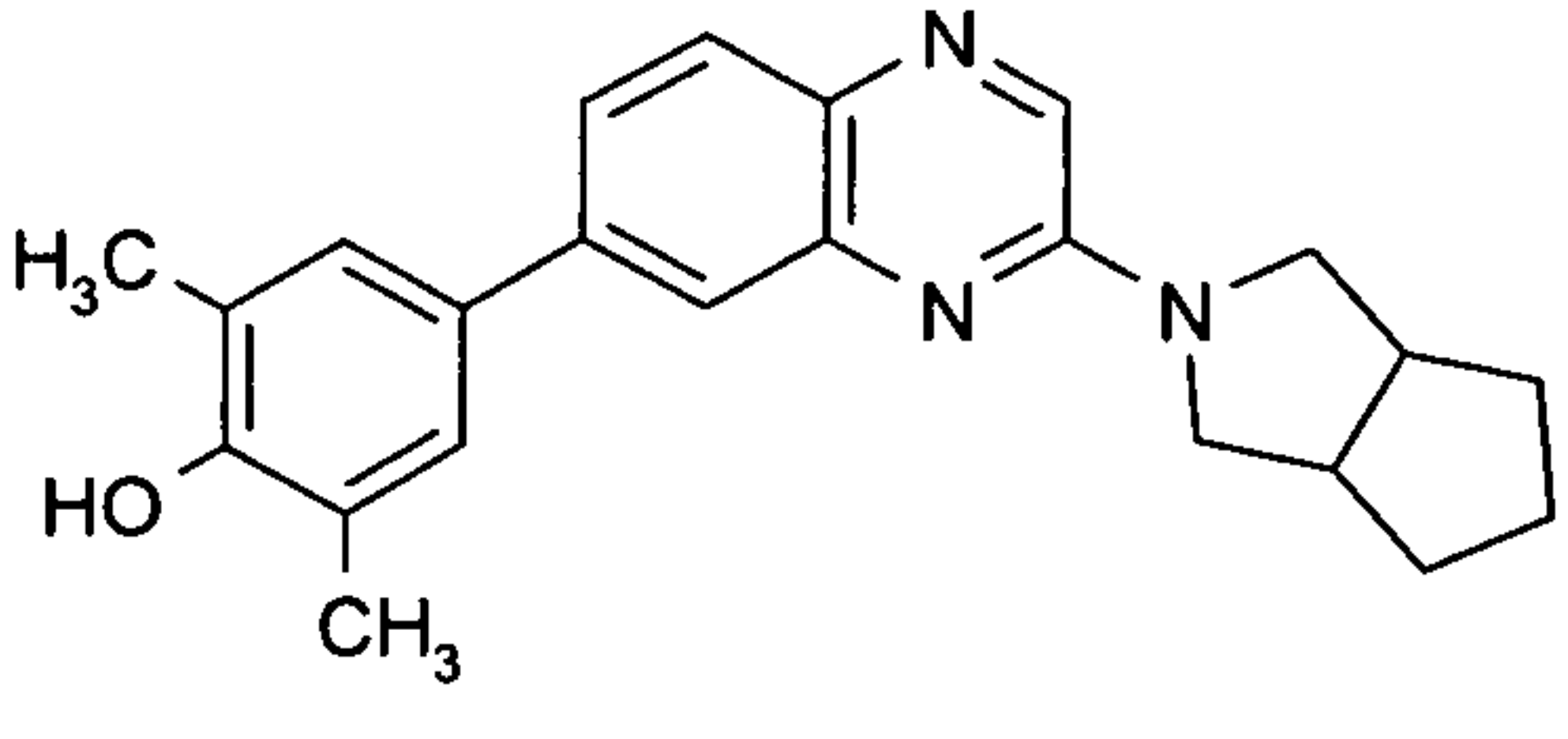
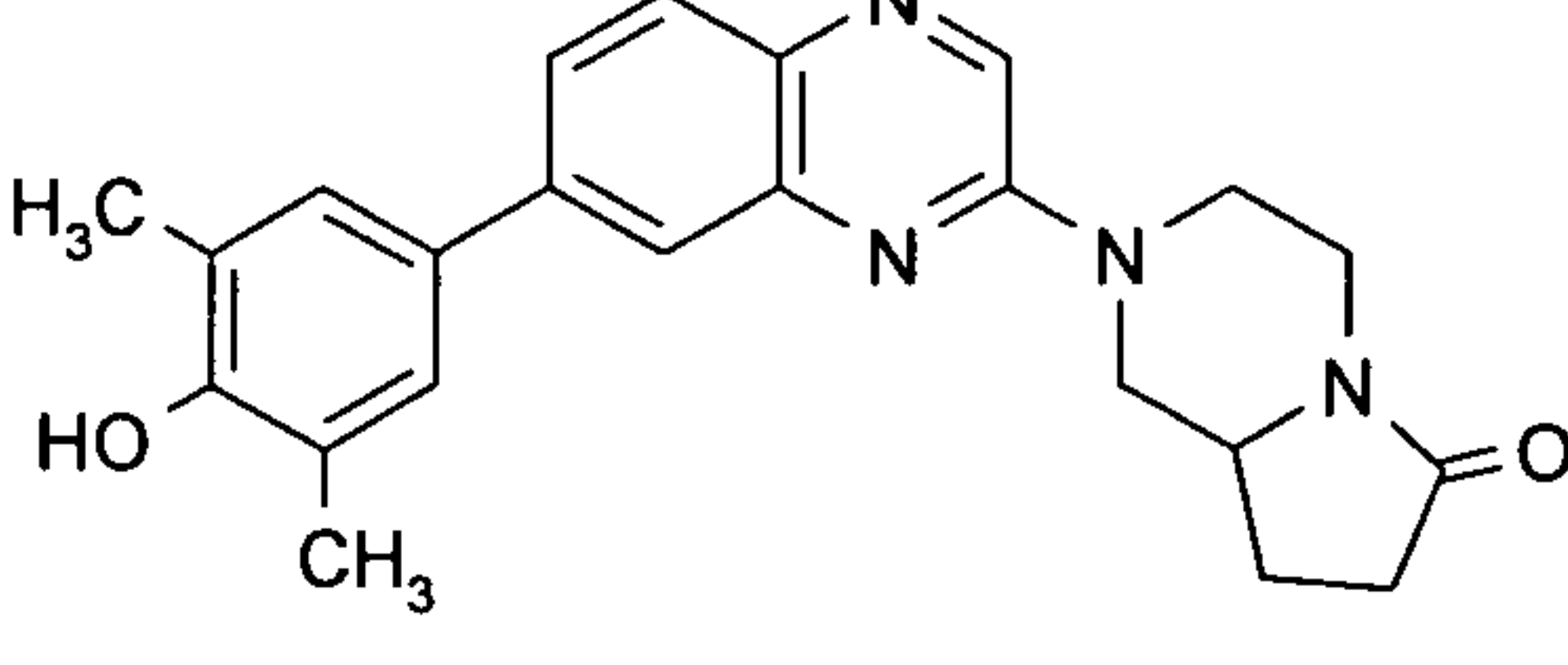
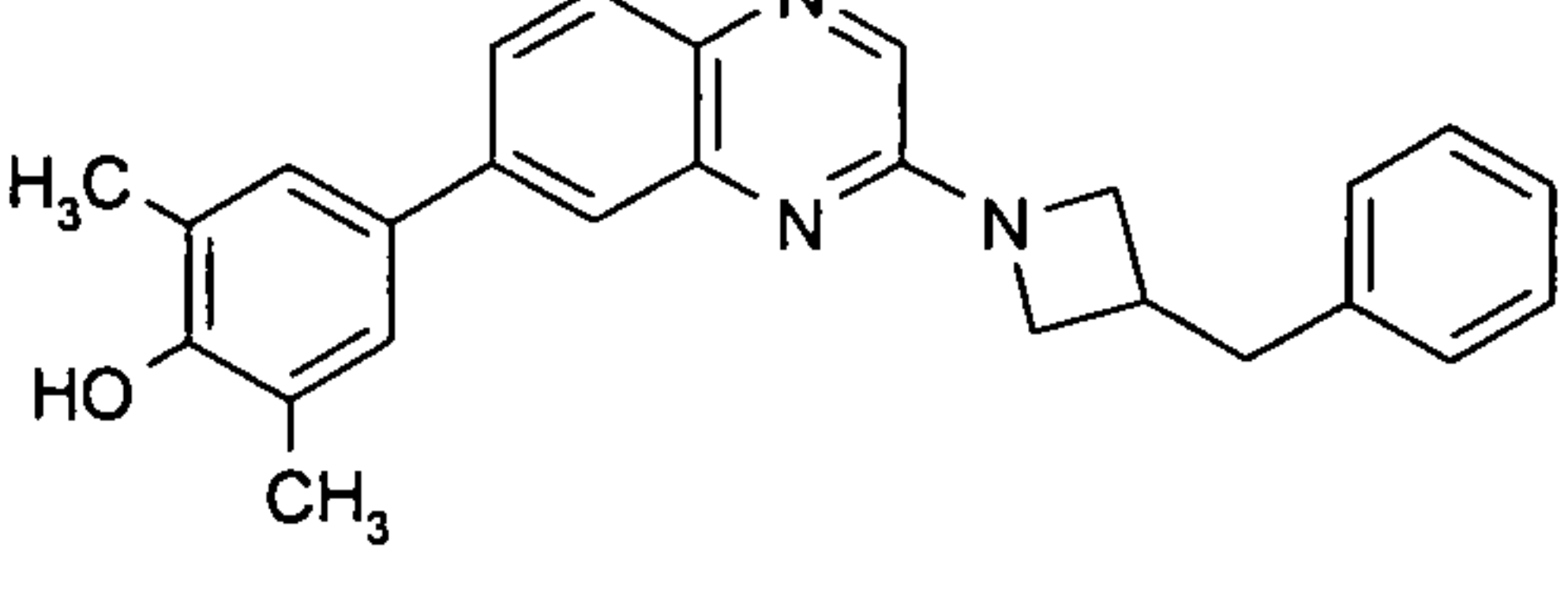
13.39		4-{3-[4-(2-methoxyethyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 0.82 MW _{found} = 393.5 MW _{calc} = 392.5
13.40		2,6-dimethyl-4-(3-{4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 0.81 MW _{found} = 448.6 MW _{calc} = 447.6
13.41		benzyl 4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazine-1-carboxylate	RT = 1.46 MW _{found} = 469.5 MW _{calc} = 468.5
13.42		4-[3-(4-fluoropiperidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 1.36 MW _{found} = 352.4 MW _{calc} = 351.4
13.43		2,6-dimethyl-4-{3-[4-(3-phenylpropyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.97 MW _{found} = 453.6 MW _{calc} = 452.6
13.44		4-{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}benzonitrile	RT = 1.43 MW _{found} = 436.5 MW _{calc} = 435.5

13.45		2-{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}-N,N-dimethylacetamide	RT = 0.80 MW _{found} = 420.5 MW _{calc} = 419.5
13.46		4-{3-[4-(4-fluorobenzyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 0.93 MW _{found} = 443.5 MW _{calc} = 442.5
13.47		2,6-dimethyl-4-(3-{4-[2-(pyrrolidin-1-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 0.82 MW _{found} = 432.6 MW _{calc} = 431.6
13.48		3-({4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}methyl)benzonitrile	RT = 0.95 MW _{found} = 450.5 MW _{calc} = 449.5
13.49		4-({4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}methyl)benzonitrile	RT = 0.95 MW _{found} = 450.5 MW _{calc} = 449.5
13.50		4-[3-(4-benzyl-1,4-diazepan-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 0.91 MW _{found} = 439.6 MW _{calc} = 438.6

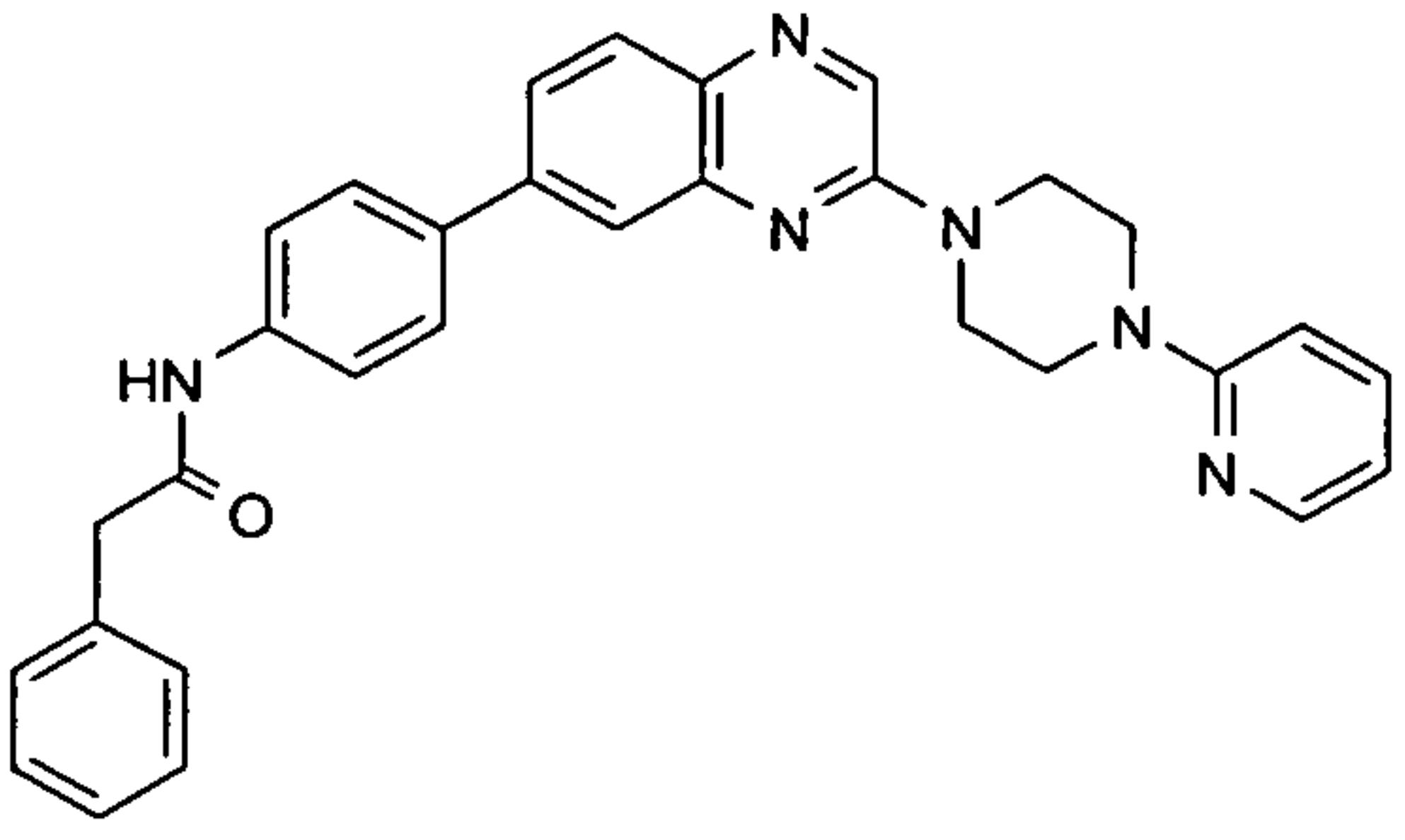
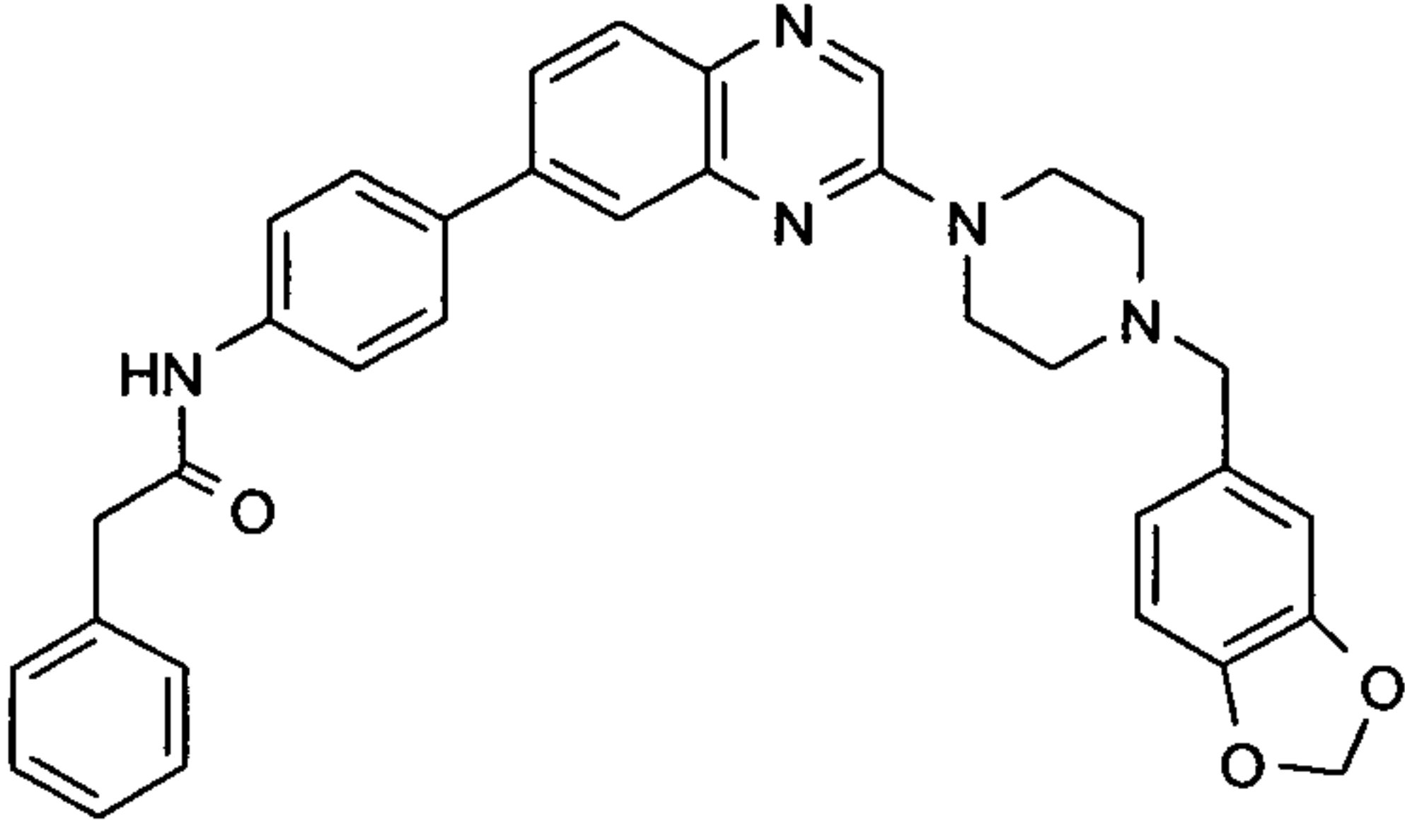
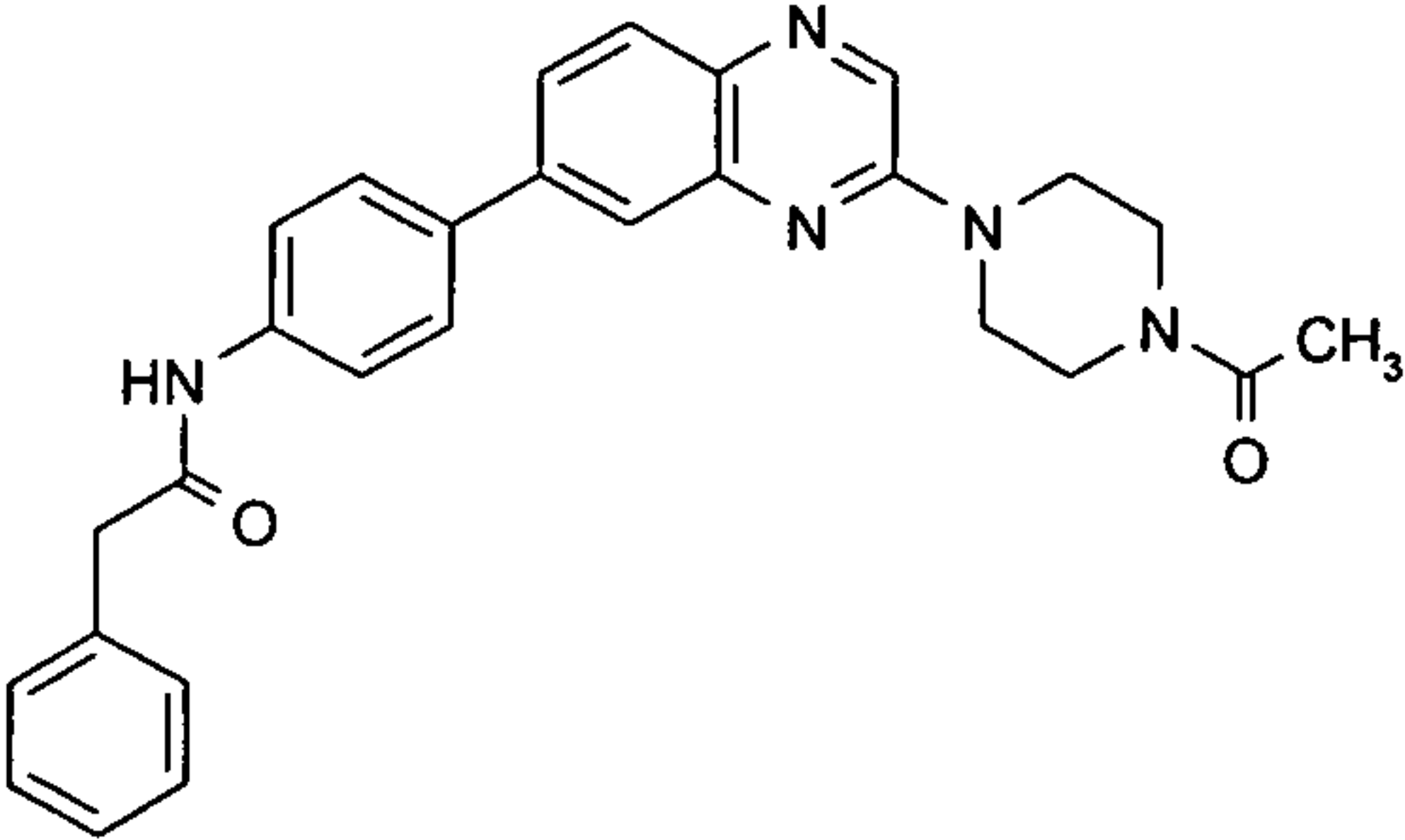
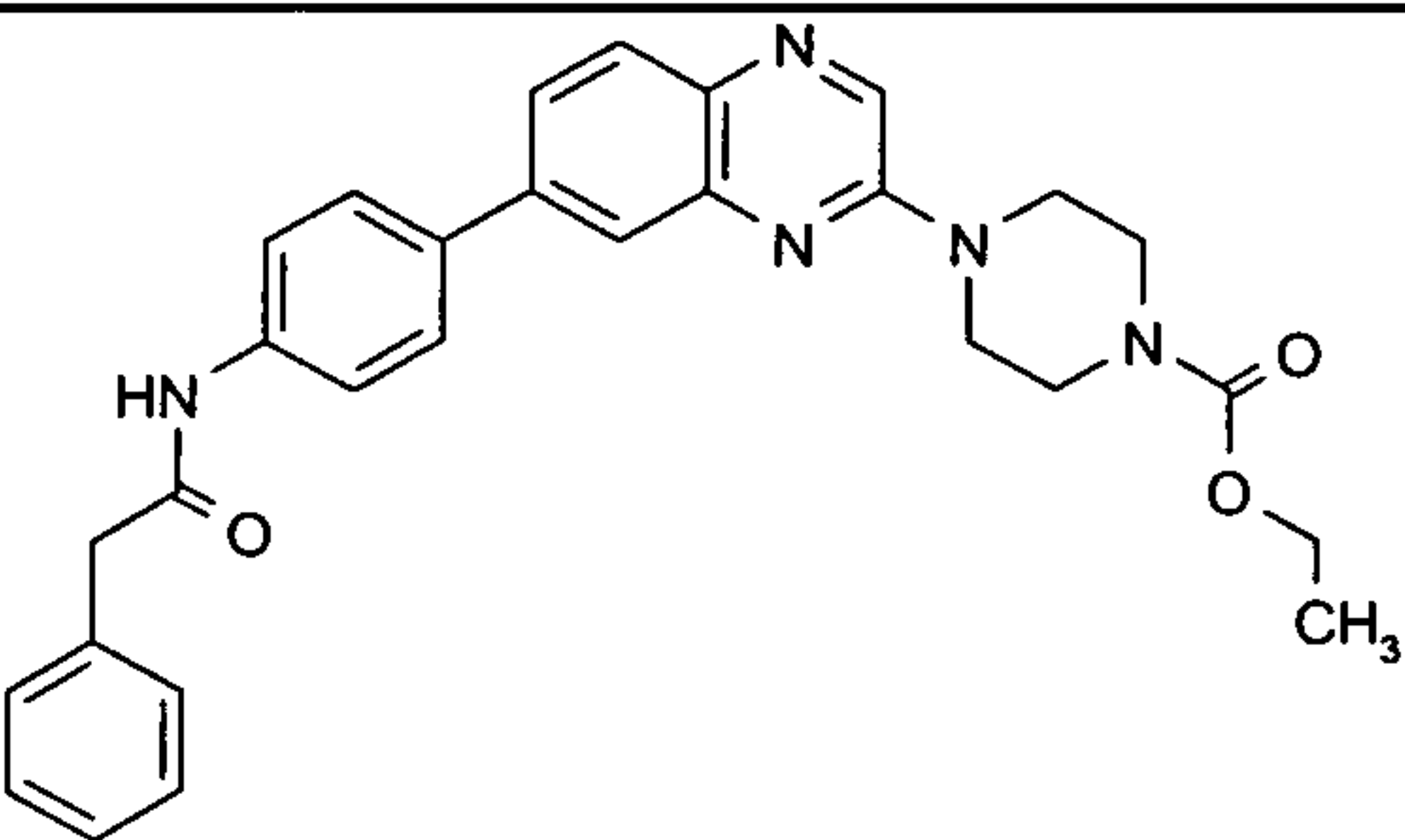
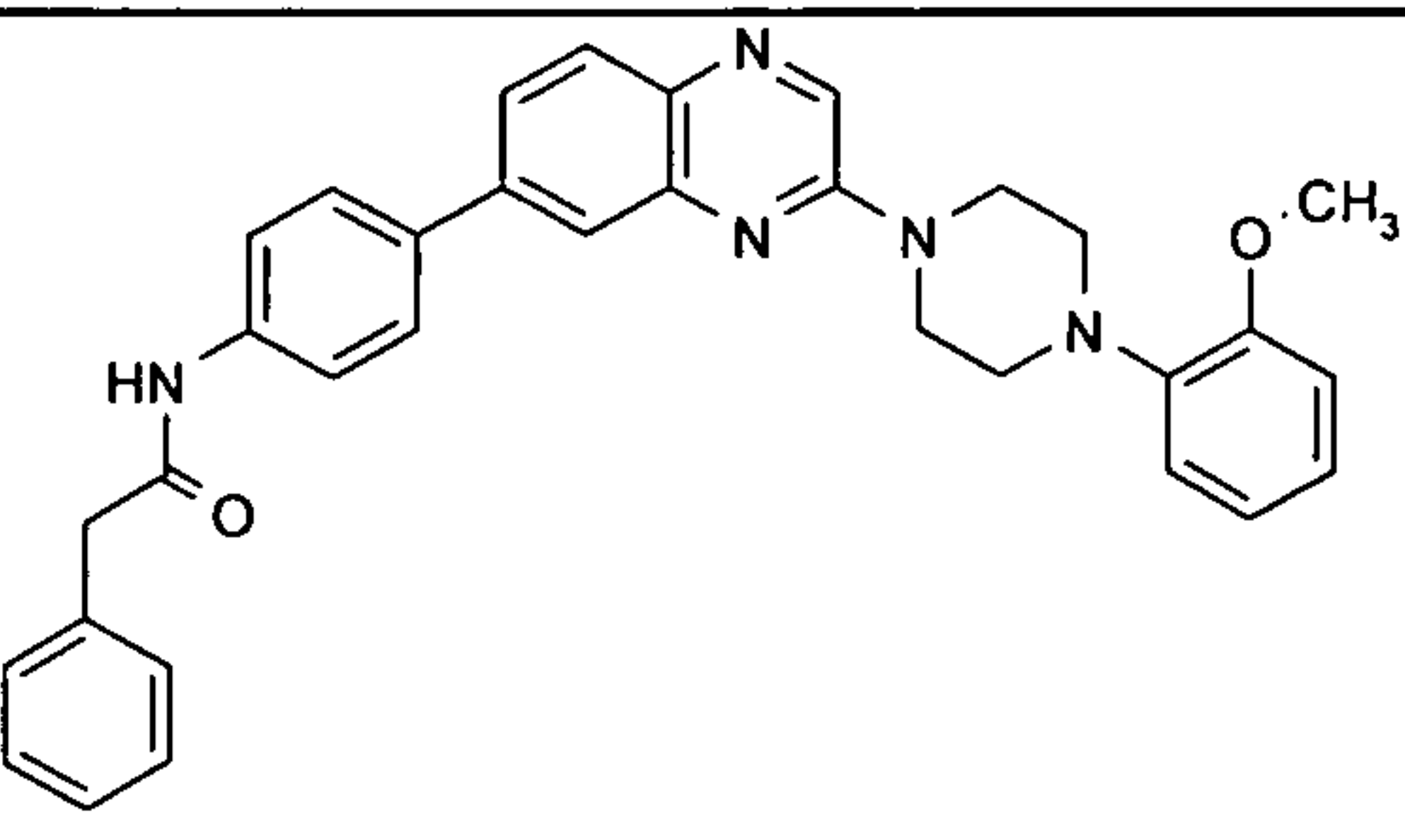
13.51		2,6-dimethyl-4-(3-{4-[3-(morpholin-4-yl)propyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 0.69 MW _{found} = 462.6 MW _{calc} = 461.6
13.52		2,6-dimethyl-4-{3-[4-(2-phenylethyl)-1,4-diazepan-1-yl]quinoxalin-6-yl}phenol	RT = 0.95 MW _{found} = 453.6 MW _{calc} = 452.6
13.53		2,6-dimethyl-4-(3-{4-[3-(pyrrolidin-1-yl)propyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 0.69 MW _{found} = 446.6 MW _{calc} = 445.6
13.54		4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]morpholine-2-carbonitrile	RT = 1.27 MW _{found} = 361.4 MW _{calc} = 360.4
13.55		1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperidine-3-carbonitrile	RT = 1.28 MW _{found} = 359.4 MW _{calc} = 358.4
13.56		2,6-dimethyl-4-[3-(2-phenylpyrrolidin-1-yl)quinoxalin-6-yl]phenol	RT = 1.52 MW _{found} = 396.5 MW _{calc} = 395.5

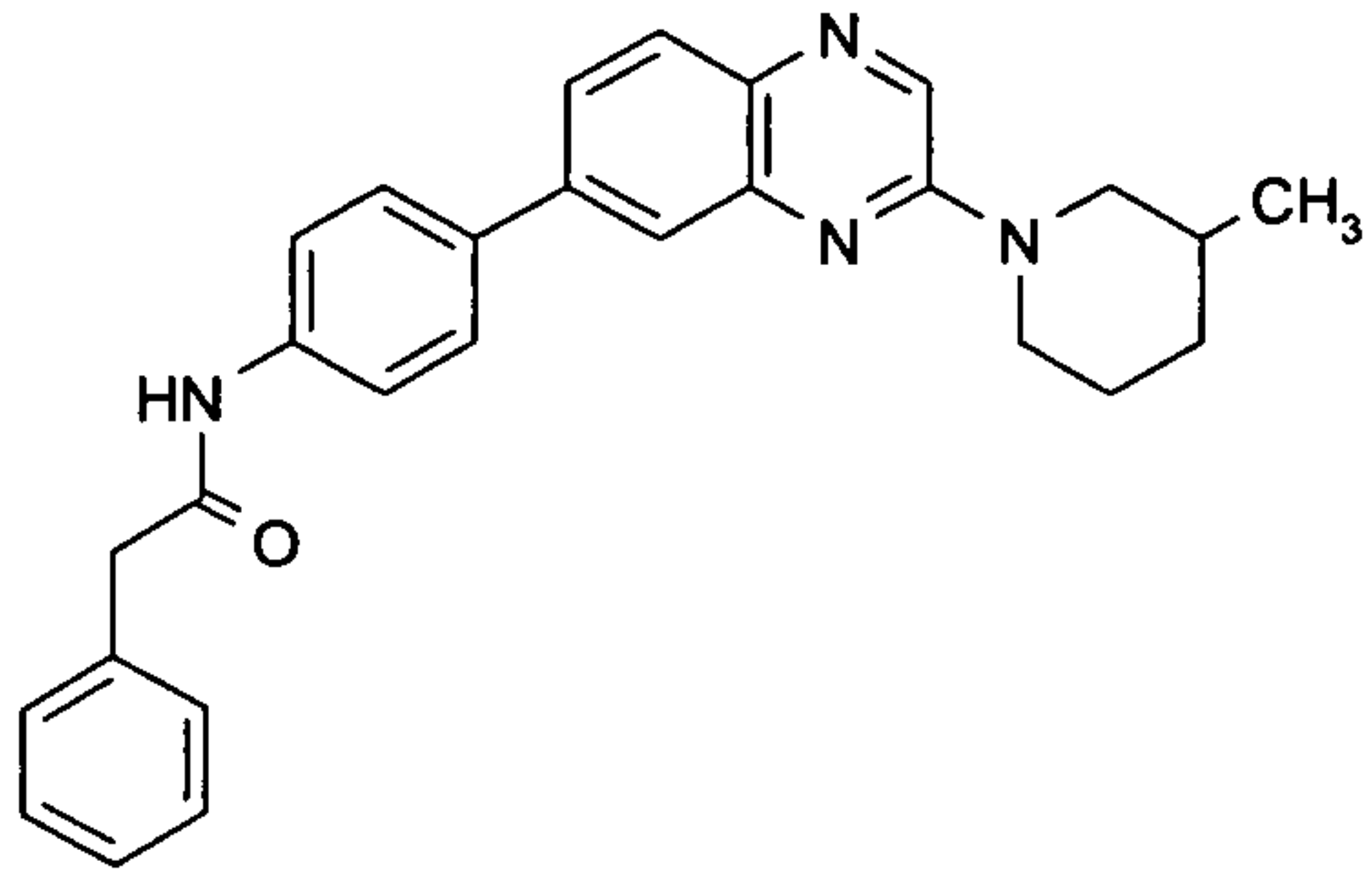
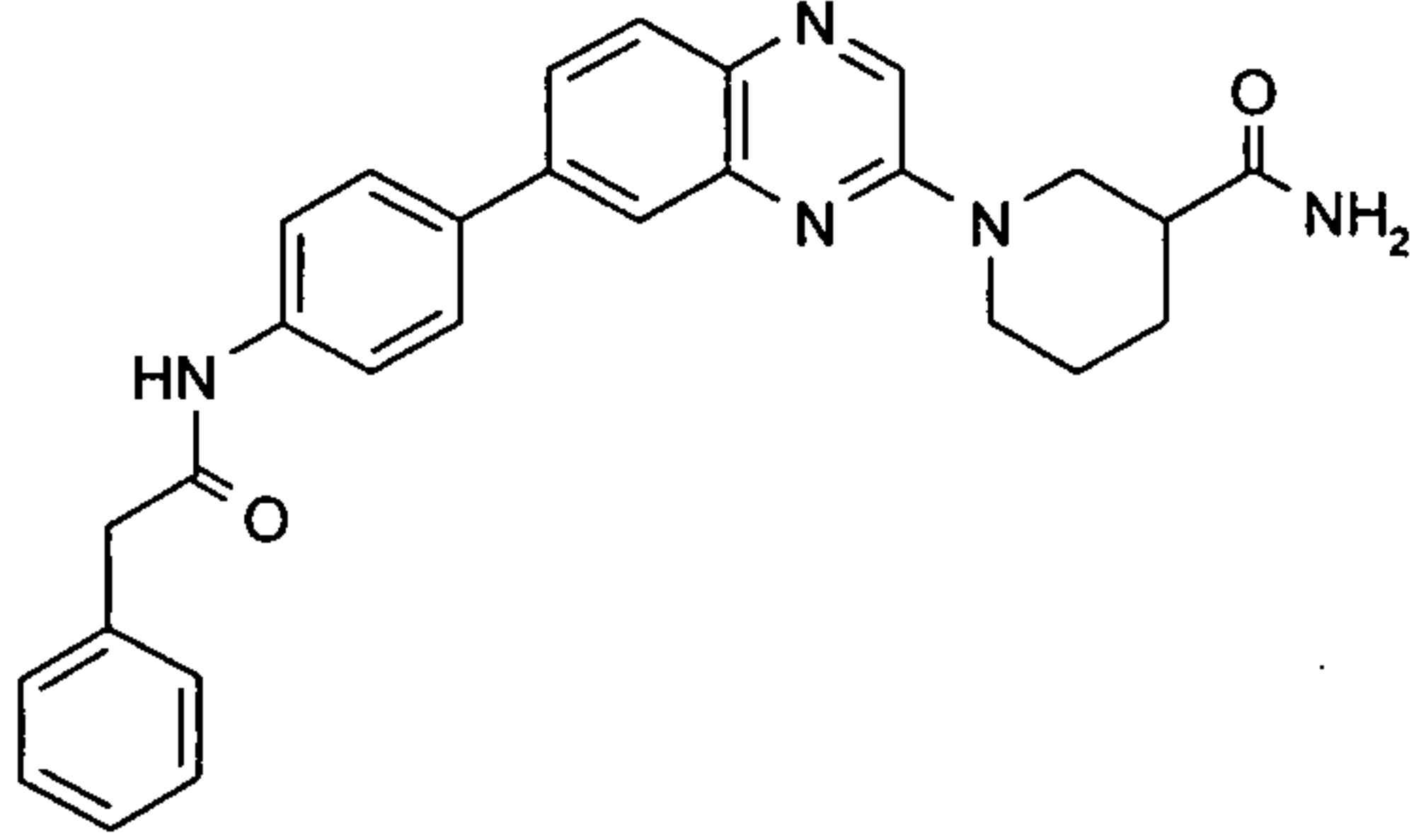
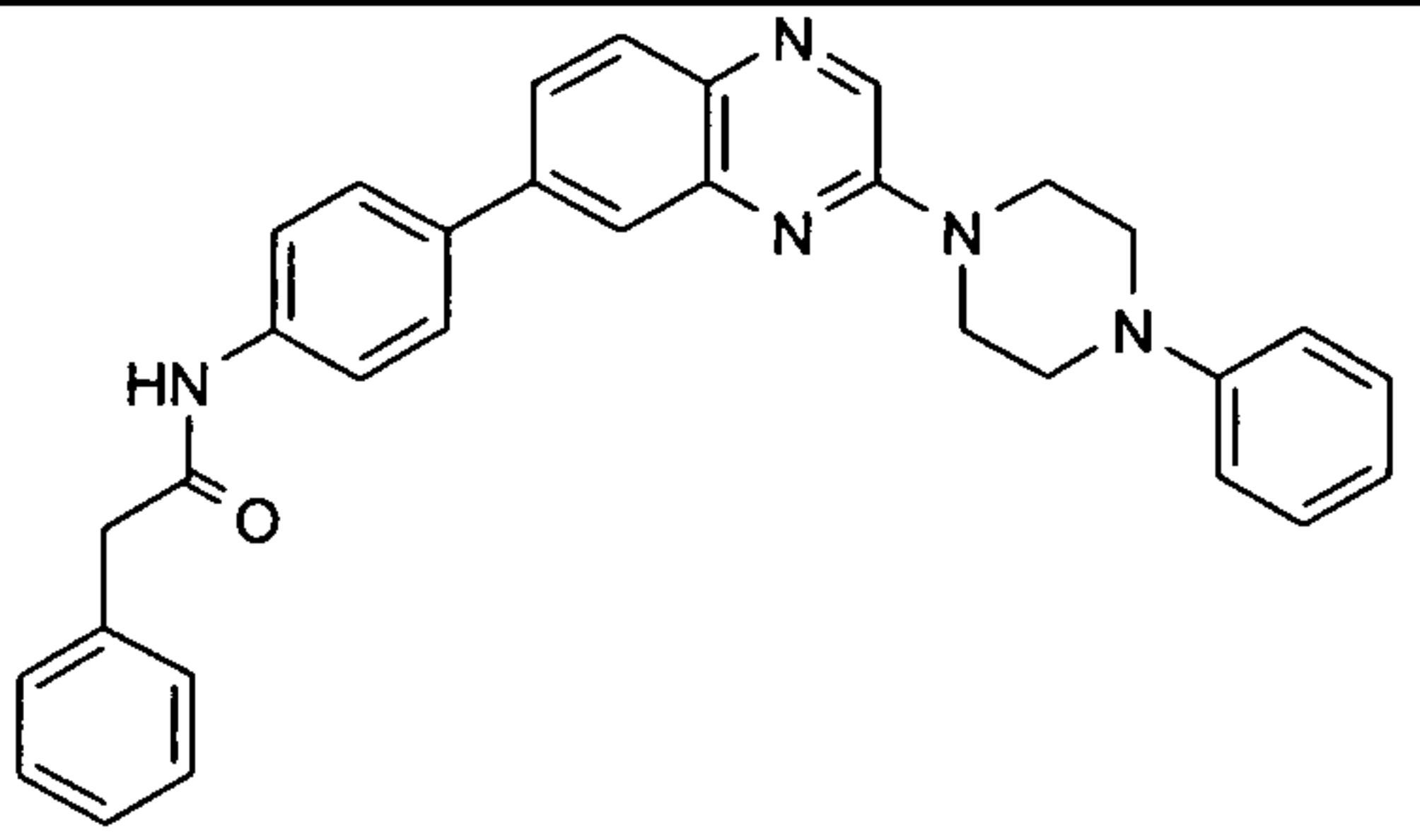
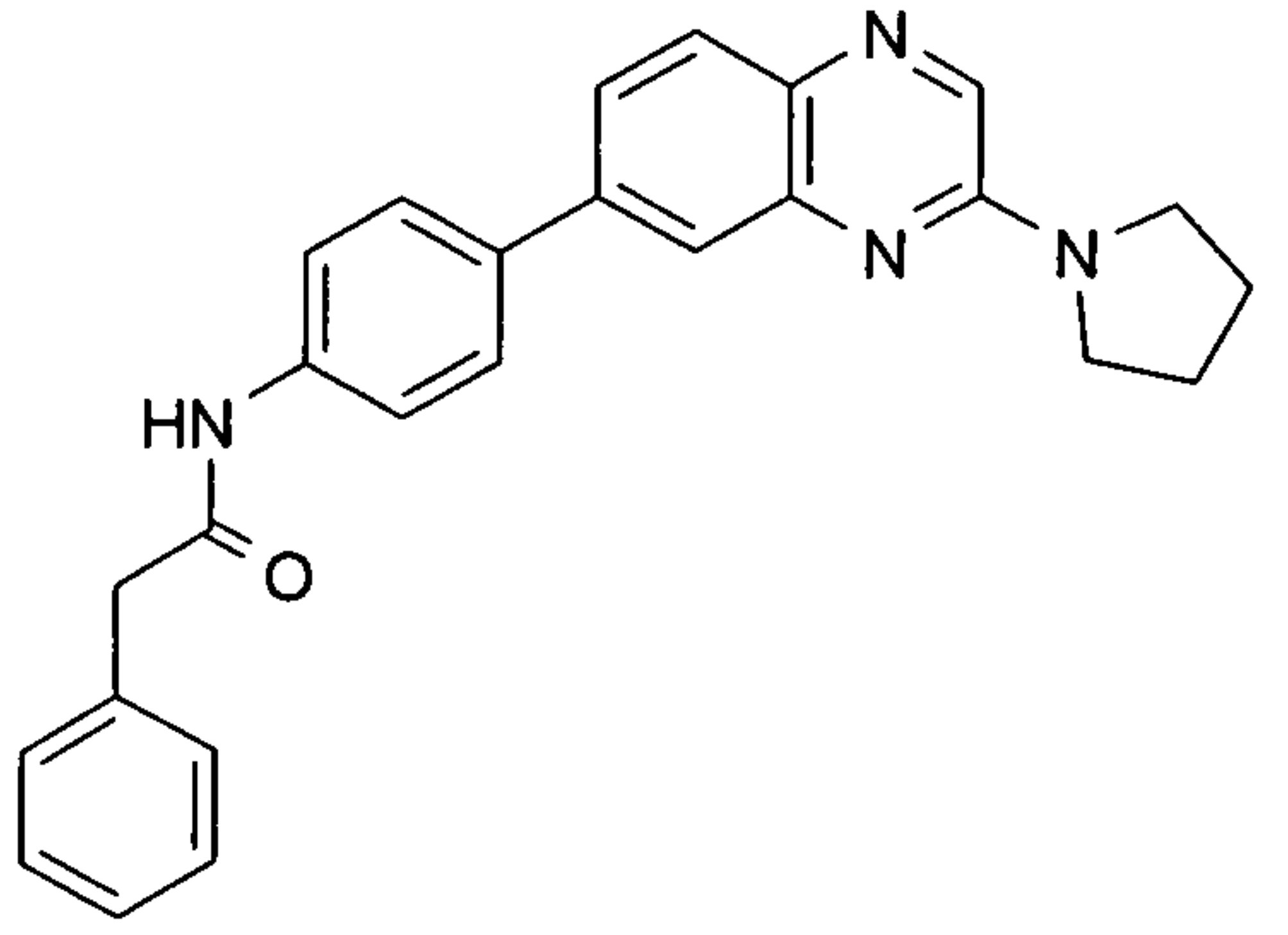
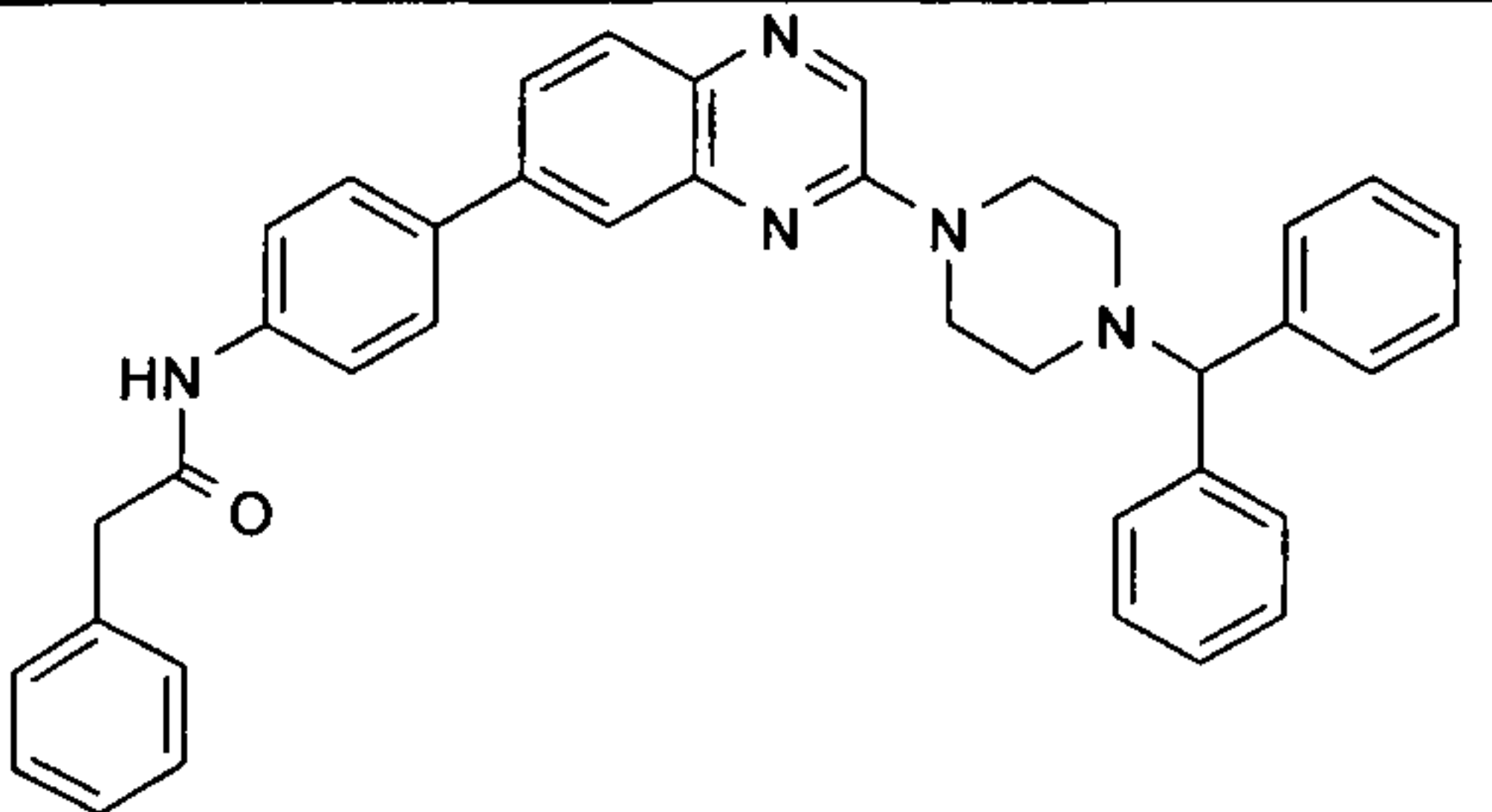
13.57		2,6-dimethyl-4-{3-[3-(pyridin-4-yl)pyrrolidin-1-yl]quinoxalin-6-yl}phenol	RT = 0.89 MW _{found} = 397.5 MW _{calc} = 396.5
13.58		4-{3-[4-(3-methoxypropyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 0.83 MW _{found} = 407.5 MW _{calc} = 406.5
13.59		2,6-dimethyl-4-{3-[4-(pyridin-2-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.86 MW _{found} = 426.5 MW _{calc} = 425.5
13.60		2,6-dimethyl-4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 0.83 MW _{found} = 426.5 MW _{calc} = 425.5
13.61		2,6-dimethyl-4-(3-{4-[(1-methylpiperidin-3-yl)methyl]piperazin-1-yl}quinoxalin-6-yl)phenol	RT = 0.69 MW _{found} = 446.6 MW _{calc} = 445.6
13.62		4-{3-[4-(ethylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 1.25 MW _{found} = 427.5 MW _{calc} = 426.5

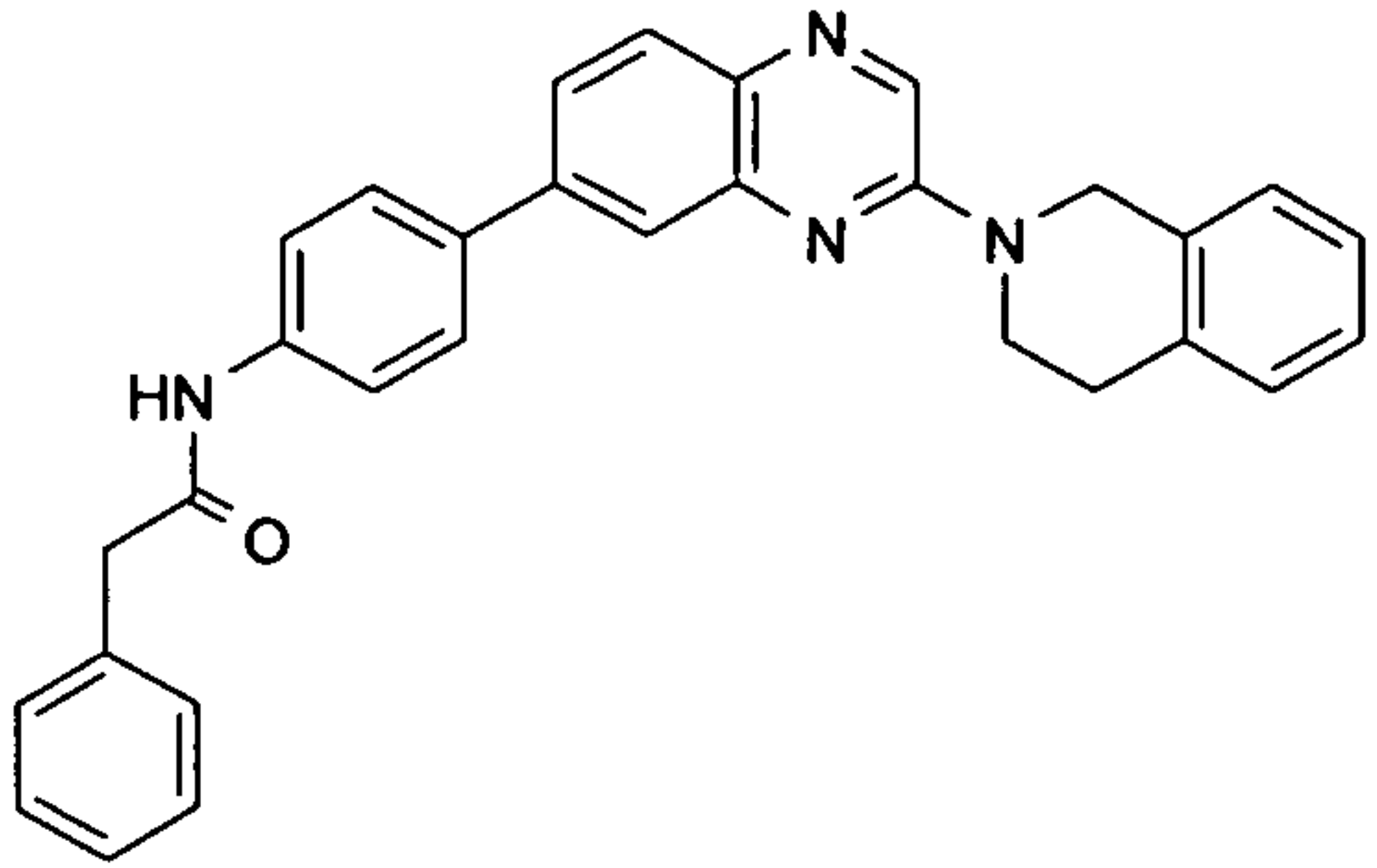
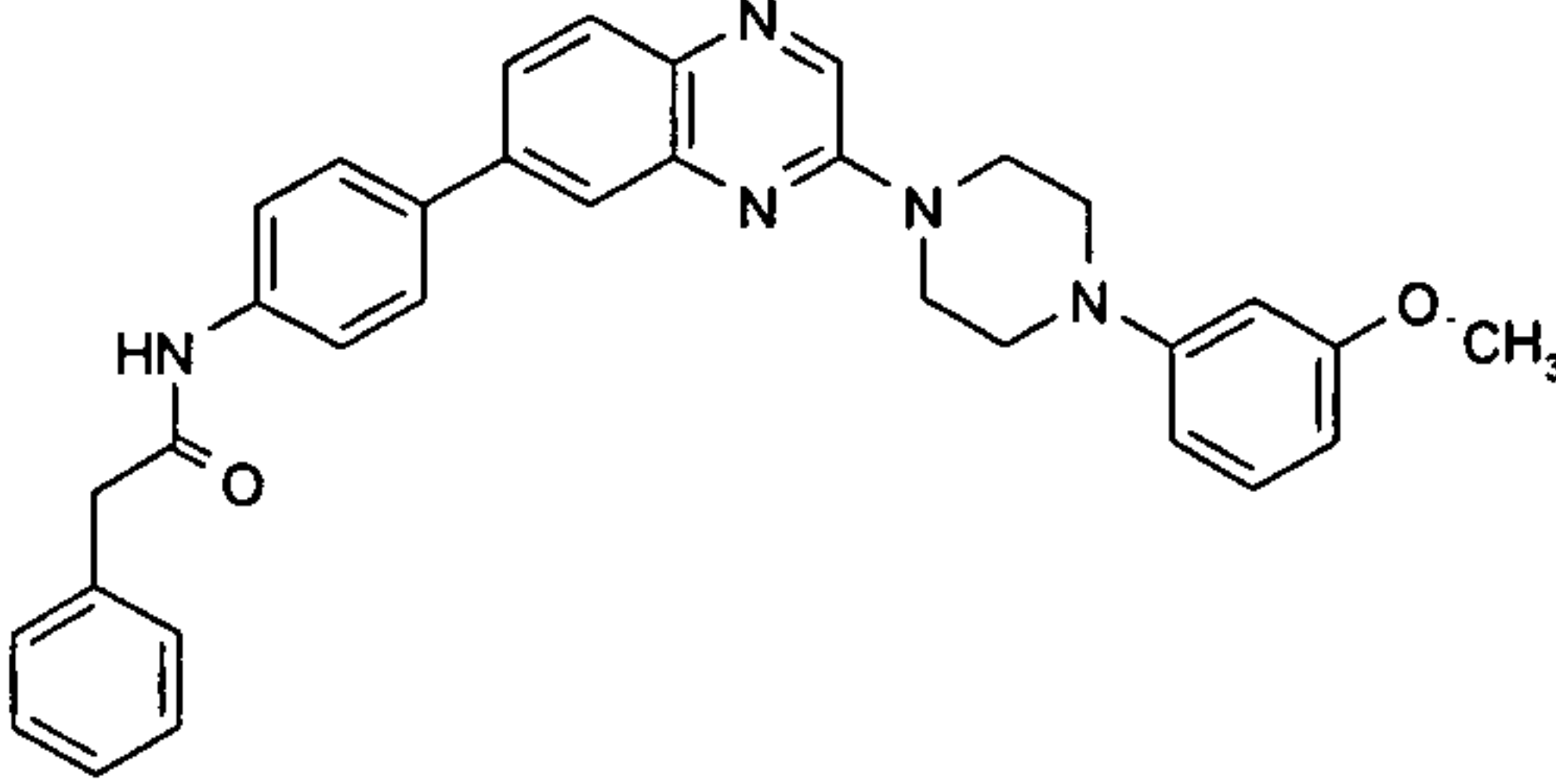
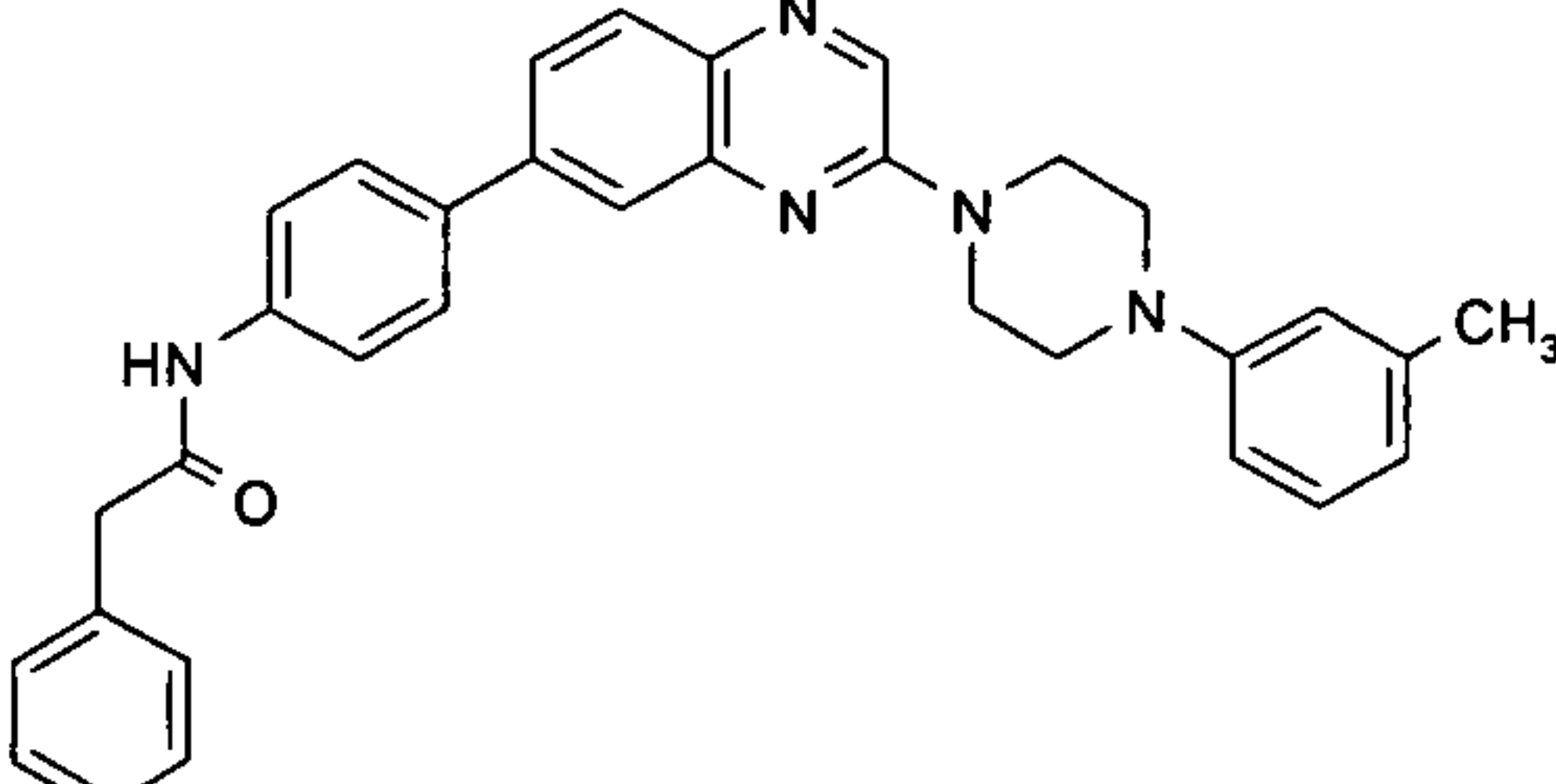
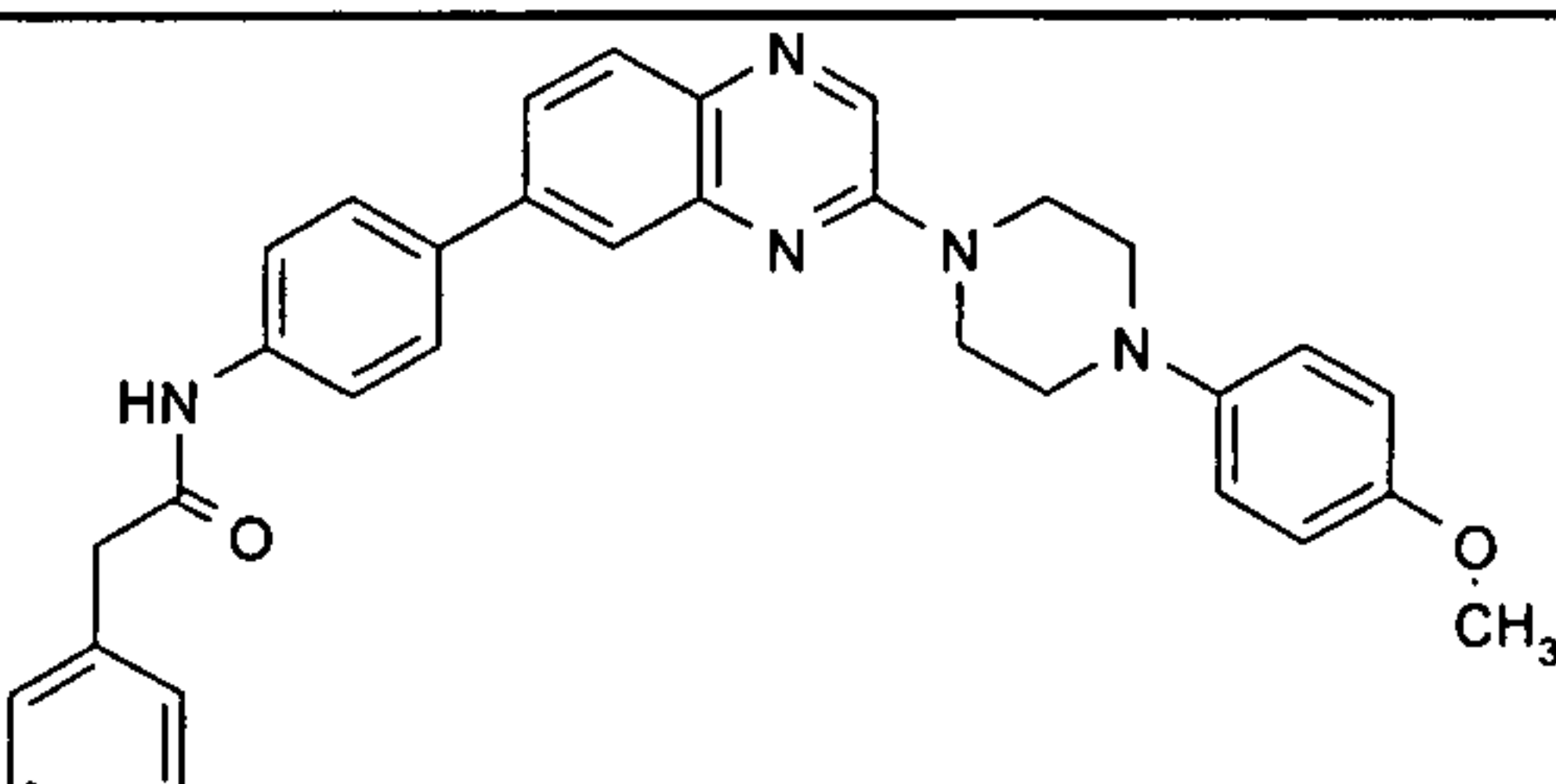
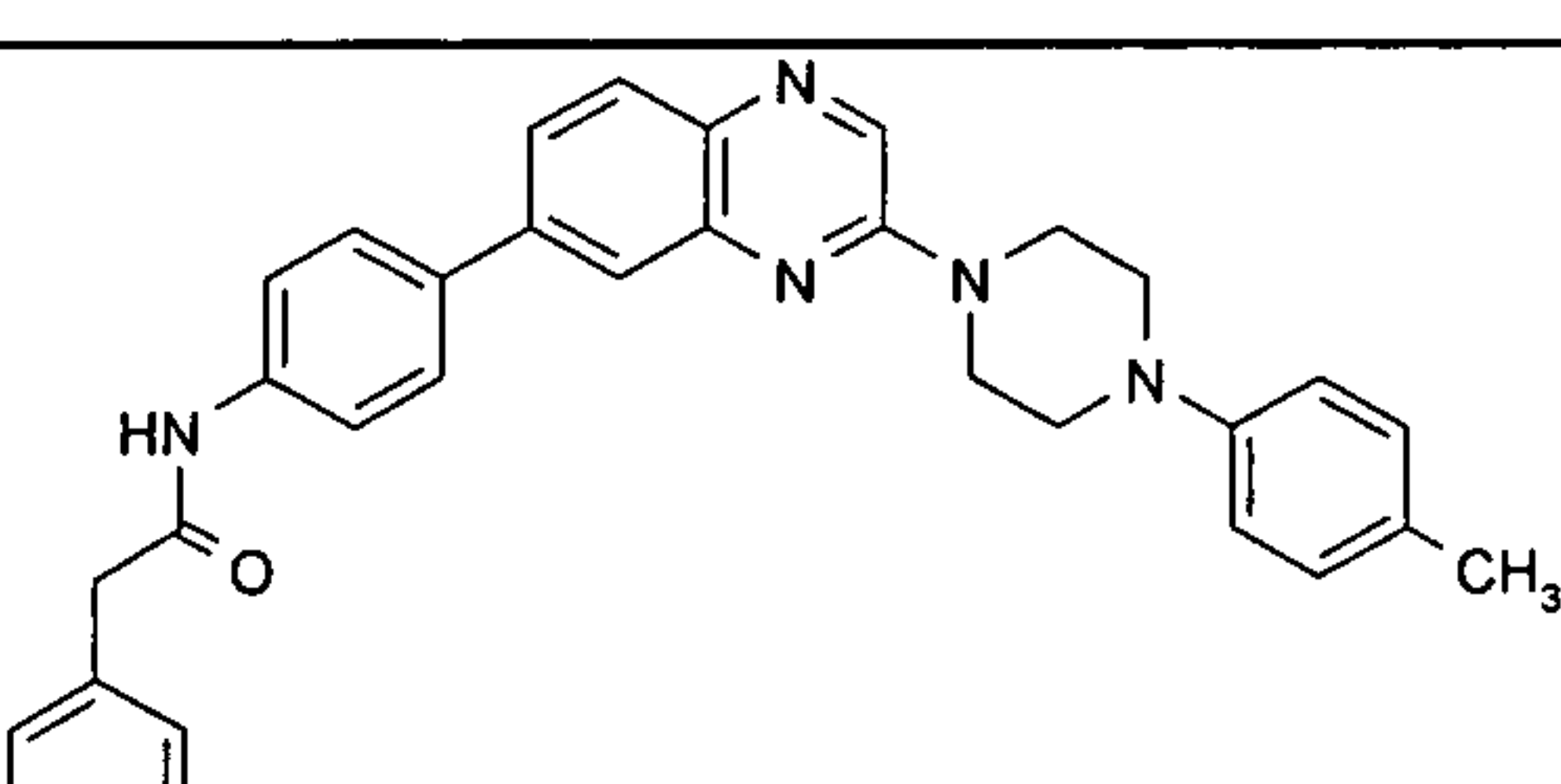
13.63		4-[3-(4,4-dimethylpiperidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 1.61 MW _{found} = 362.5 MW _{calc} = 361.5
13.64		4-[3-(3,3-difluoroazetidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 1.31 MW _{found} = 342.4 MW _{calc} = 341.4
13.65		4-{3-[2-(hydroxymethyl)pyrrolidin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 1.06 MW _{found} = 350.4 MW _{calc} = 349.4
13.66		4-[3-(3-methoxyazetidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 1.14 MW _{found} = 336.4 MW _{calc} = 335.4
13.67		4-{3-[4-(3-chlorobenzyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 1.02 MW _{found} = 460.0 MW _{calc} = 459.0
13.68		2,6-dimethyl-4-{3-[4-(methylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}phenol	RT = 1.20 MW _{found} = 413.5 MW _{calc} = 412.5
13.69		4-[3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 0.81 MW _{found} = 375.5 MW _{calc} = 374.5

13.70		4-{3-[4-(2-fluorobenzyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 0.94 MW _{found} = 443.5 MW _{calc} = 442.5
13.71		1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]pyrrolidin-3-ol	RT = 0.93 MW _{found} = 336.4 MW _{calc} = 335.4
13.72		1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperidine-4-carbonitrile	RT = 1.27 MW _{found} = 359.4 MW _{calc} = 358.4
13.73		methyl 4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazine-1-carboxylate	RT = 1.27 MW _{found} = 393.5 MW _{calc} = 392.5
13.74		4-[3-(hexahydrocyclopenta[c]pyrrol-2(1H)-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 1.43 MW _{found} = 360.5 MW _{calc} = 359.5
13.75		2-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]hexahydropyrrolo[1,2-a]pyrazin-6(2H)-one	RT = 1.14 MW _{found} = 389.5 MW _{calc} = 388.5
13.76		4-[3-(3-benzylazetidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol	RT = 1.44 MW _{found} = 396.5 MW _{calc} = 395.5

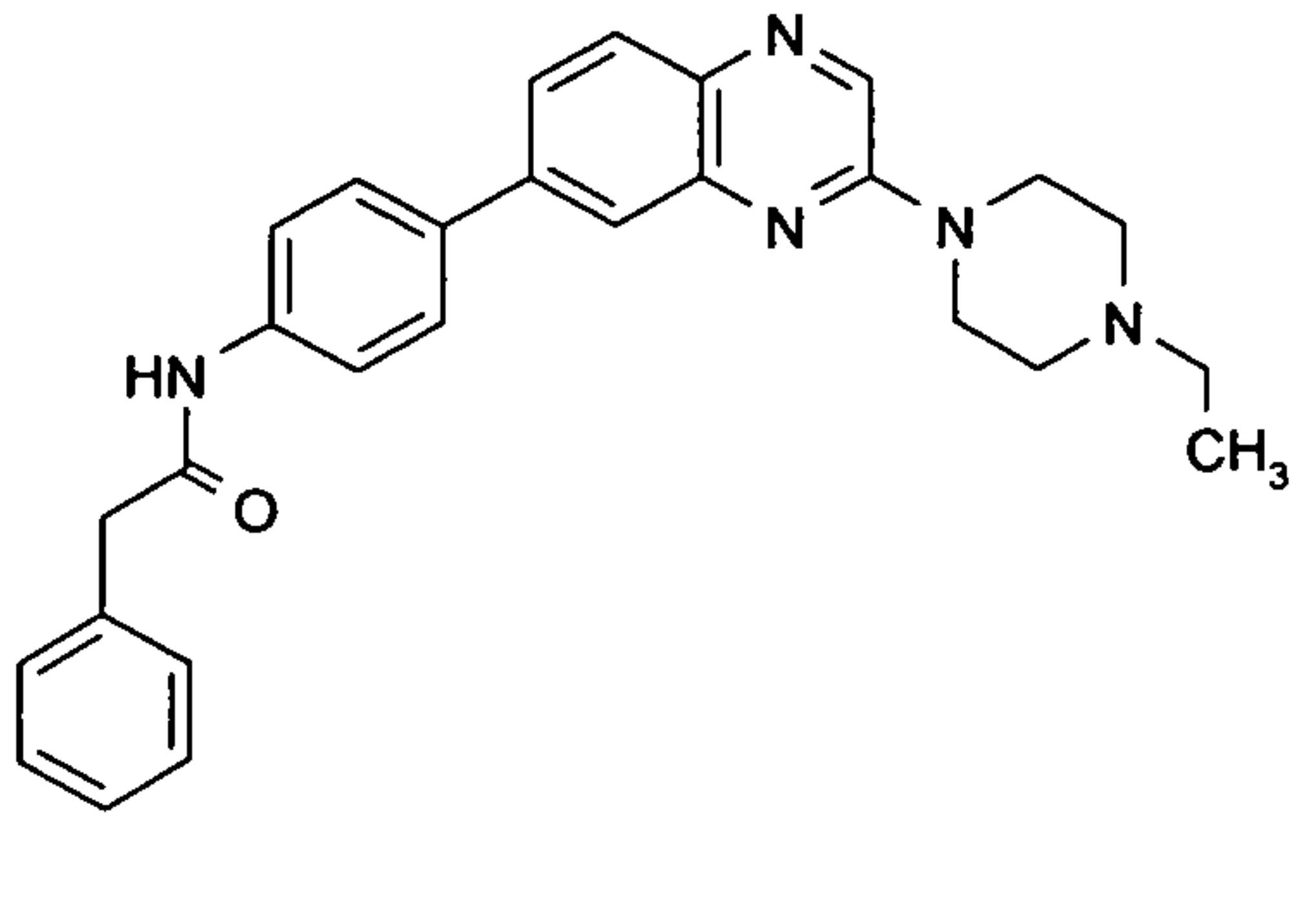
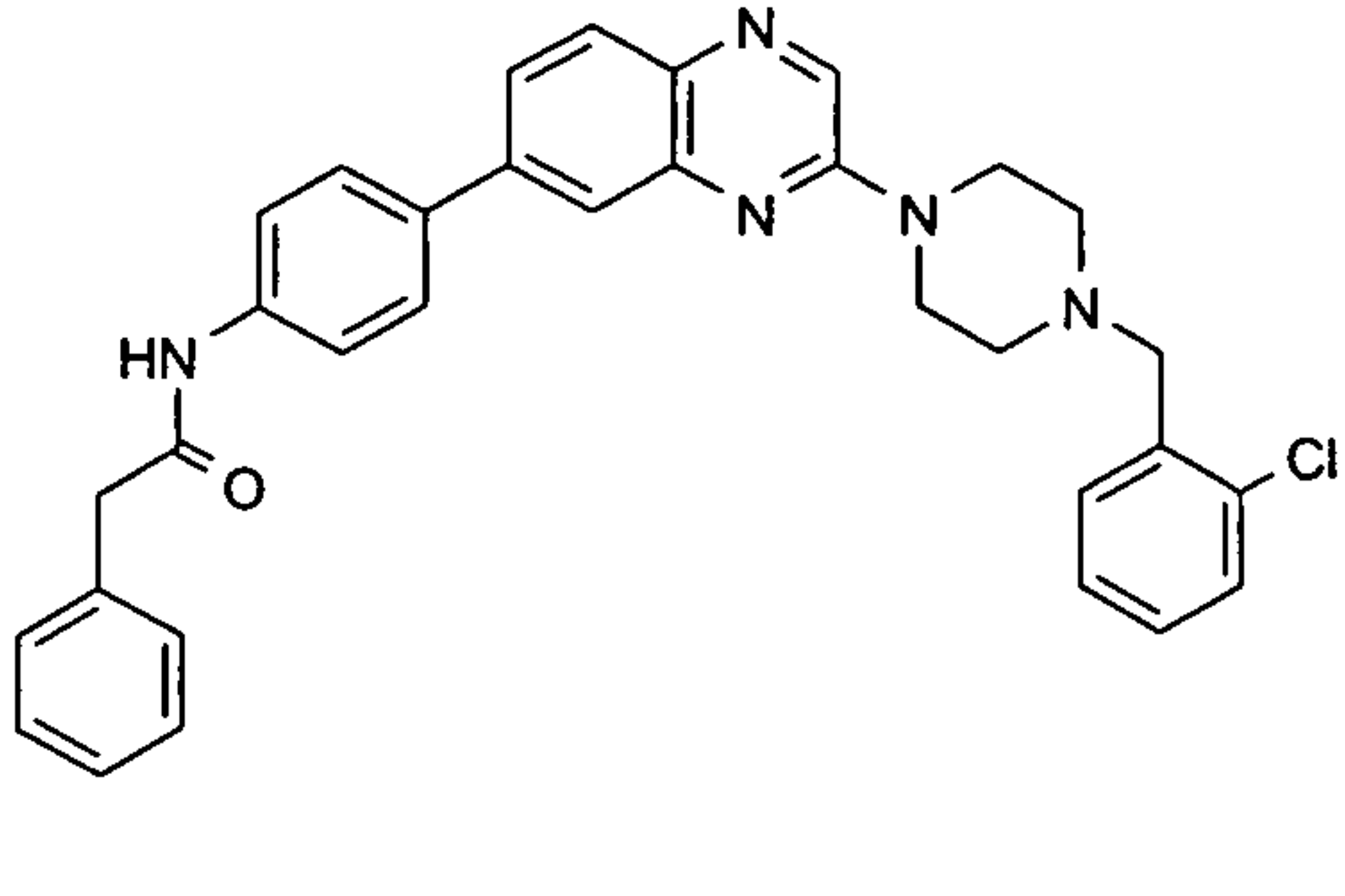
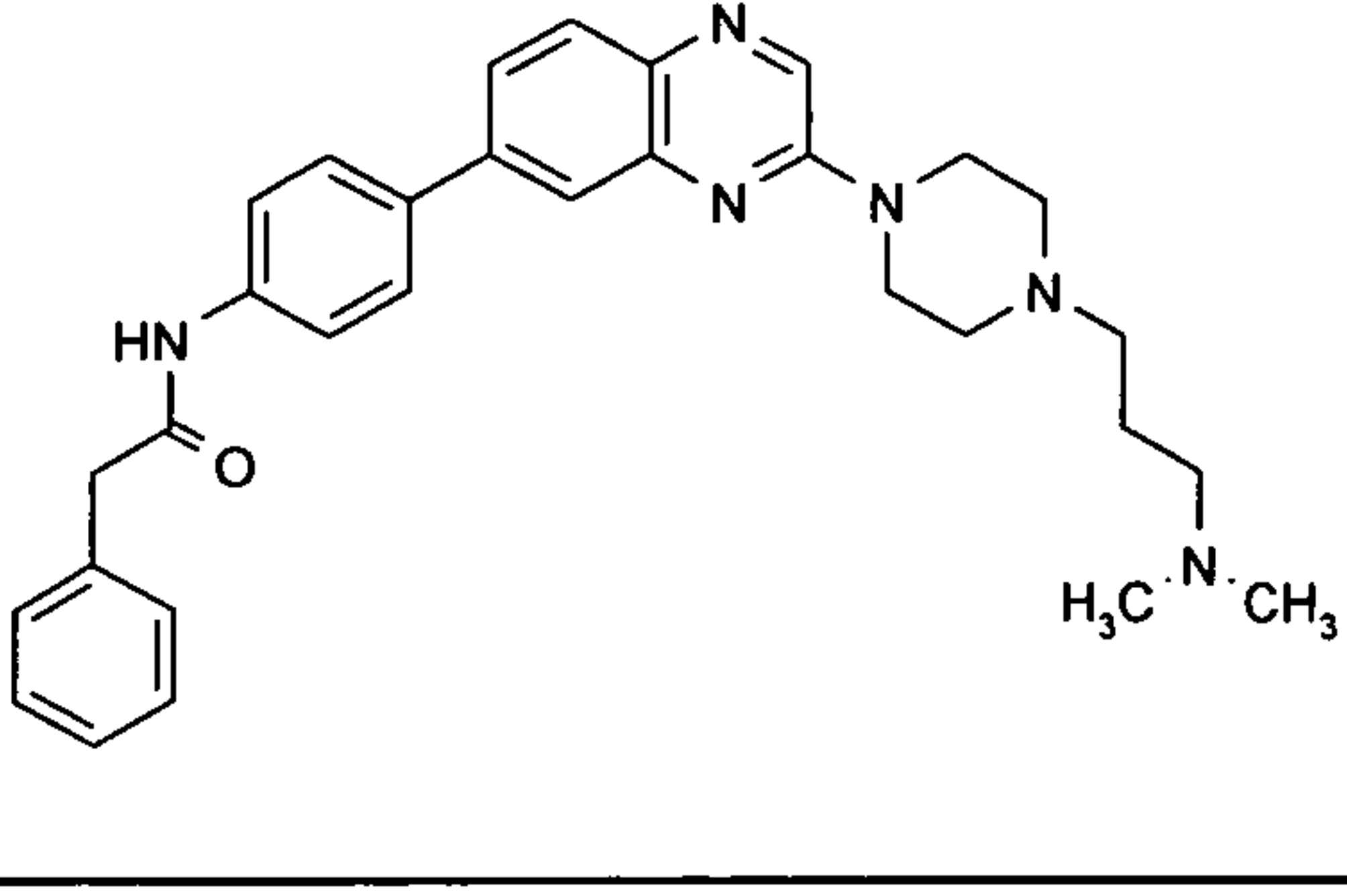
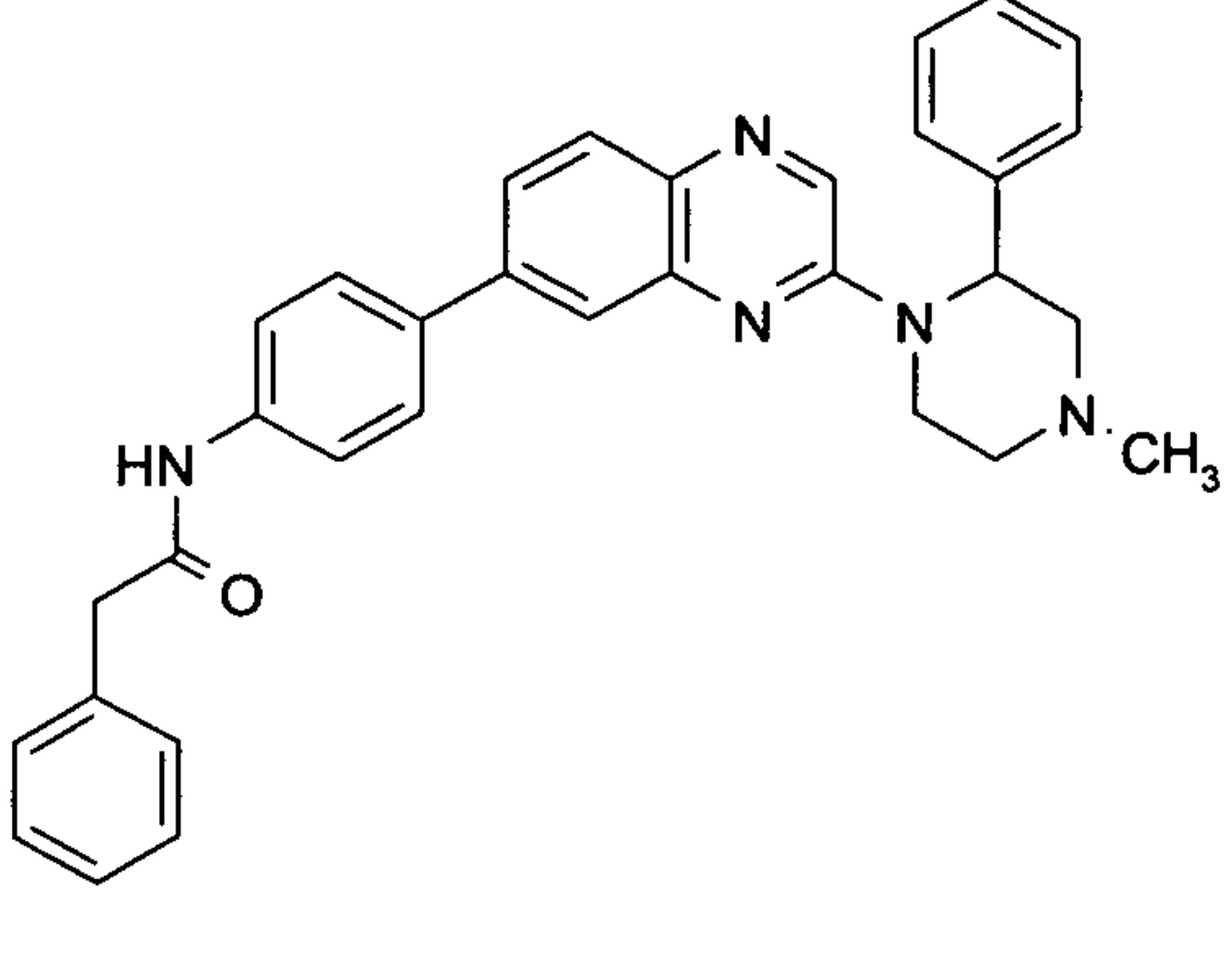
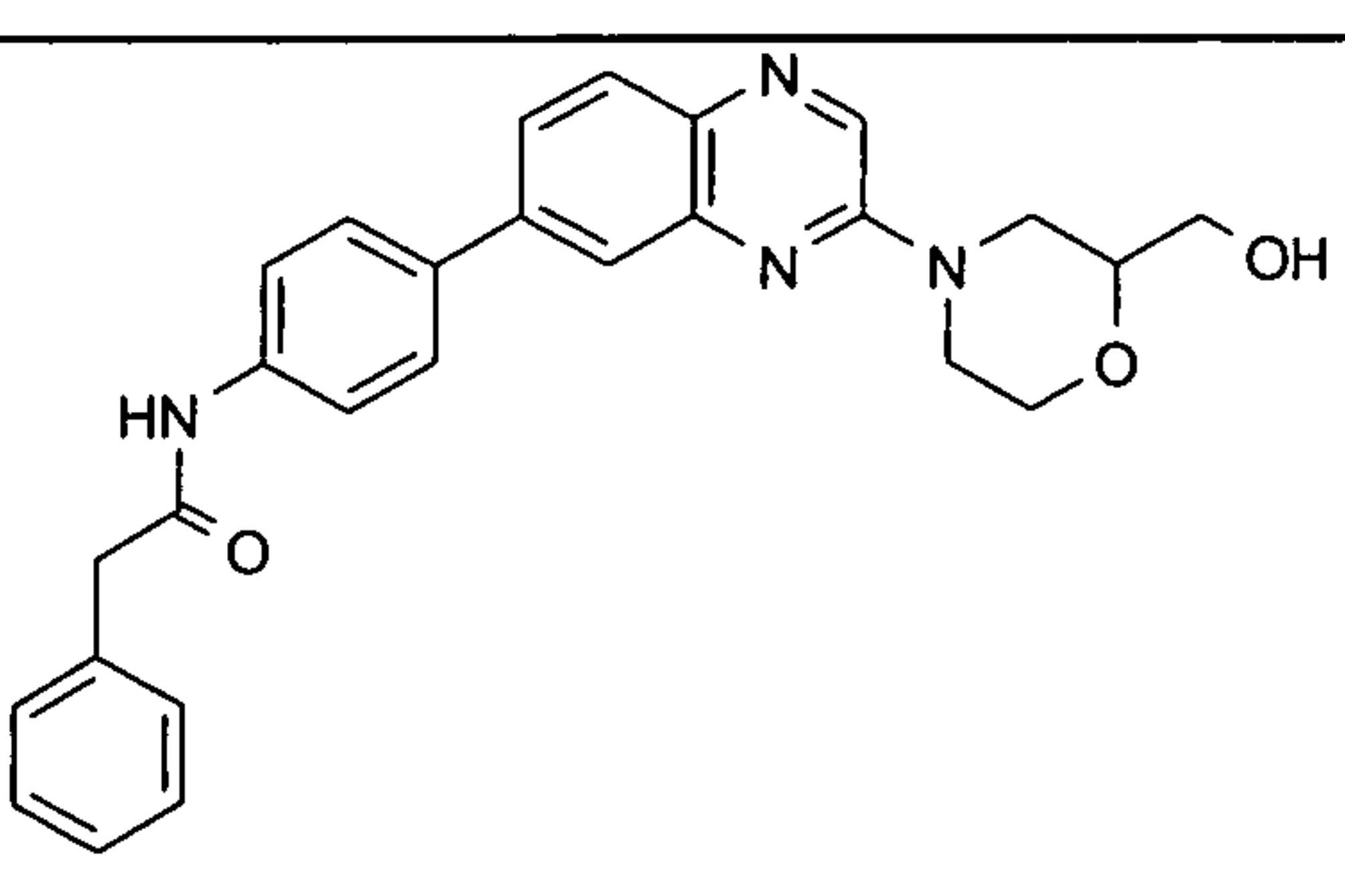
13.77		2,6-dimethyl-4-{3-[3-(piperidin-1-yl)azetidin-1-yl]quinoxalin-6-yl}phenol	RT = 0.80 MW _{found} = 389.5 MW _{calc} = 388.5
13.78		1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]azetidine-3-carbonitrile	RT = 1.50 MW _{found} = 331.4 MW _{calc} = 330.4
13.79		4-{3-[3-(hydroxymethyl)pyrrolidin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol	RT = 0.94 MW _{found} = 350.4 MW _{calc} = 349.4
13.80		2,6-dimethyl-4-{3-[3-(1H-pyrazol-1-yl)azetidin-1-yl]quinoxalin-6-yl}phenol	RT = 1.20 MW _{found} = 372.4 MW _{calc} = 371.4
13.81		N-{4-[3-(4-benzylpiperazin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 0.97 MW _{found} = 514.6 MW _{calc} = 513.6
13.82		N-{4-[3-(1,4'-bipiperidin-1'-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 0.92 MW _{found} = 506.7 MW _{calc} = 505.7

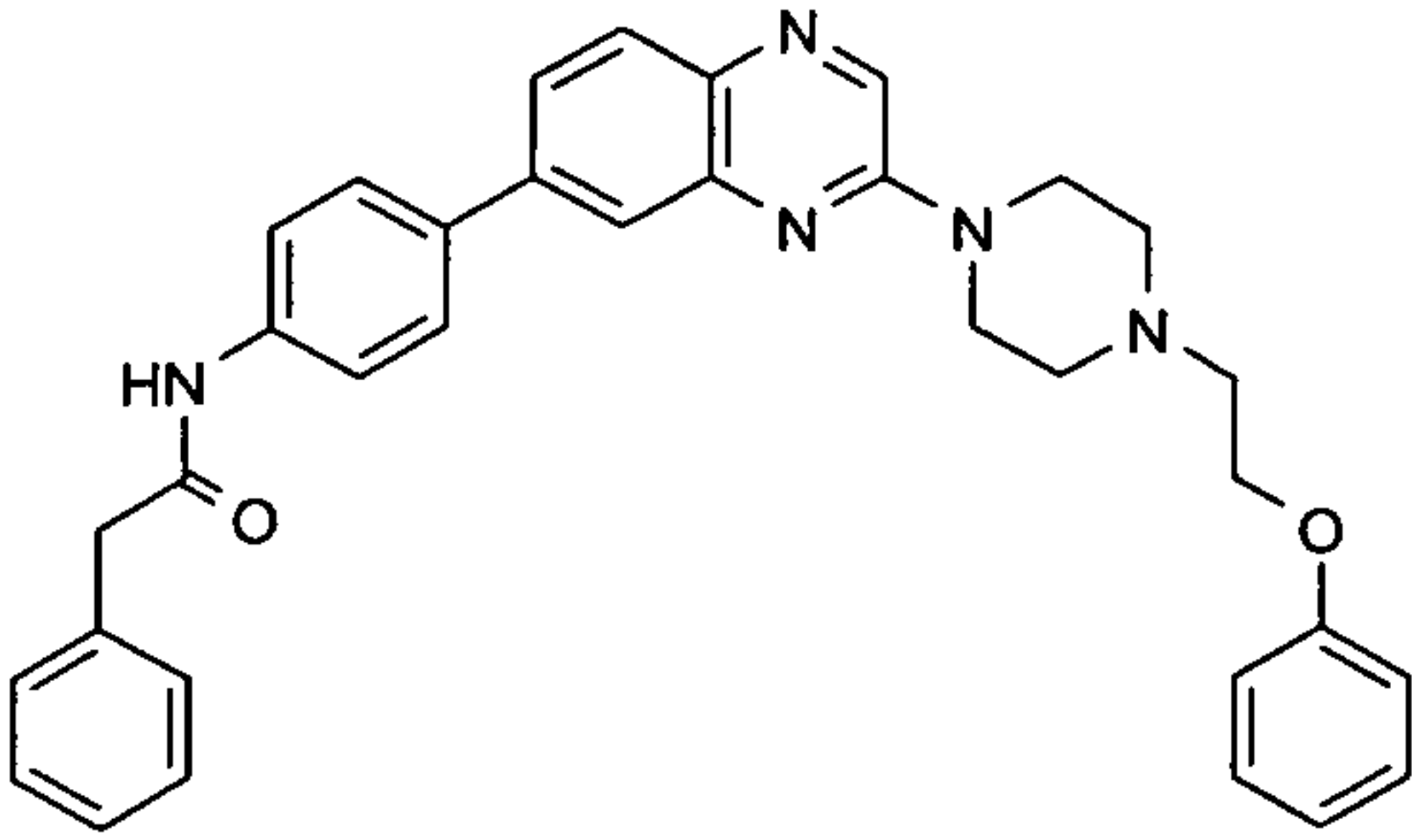
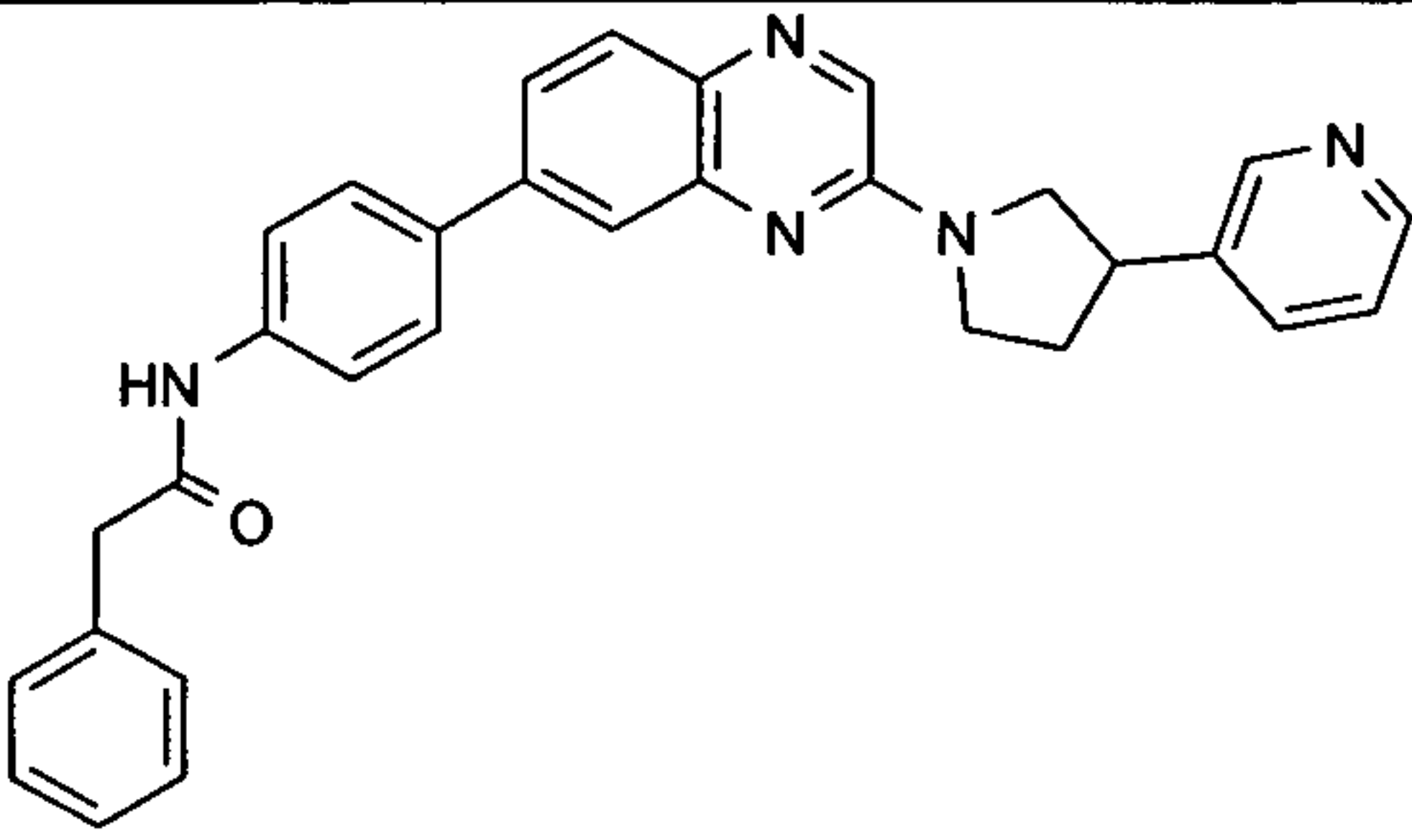
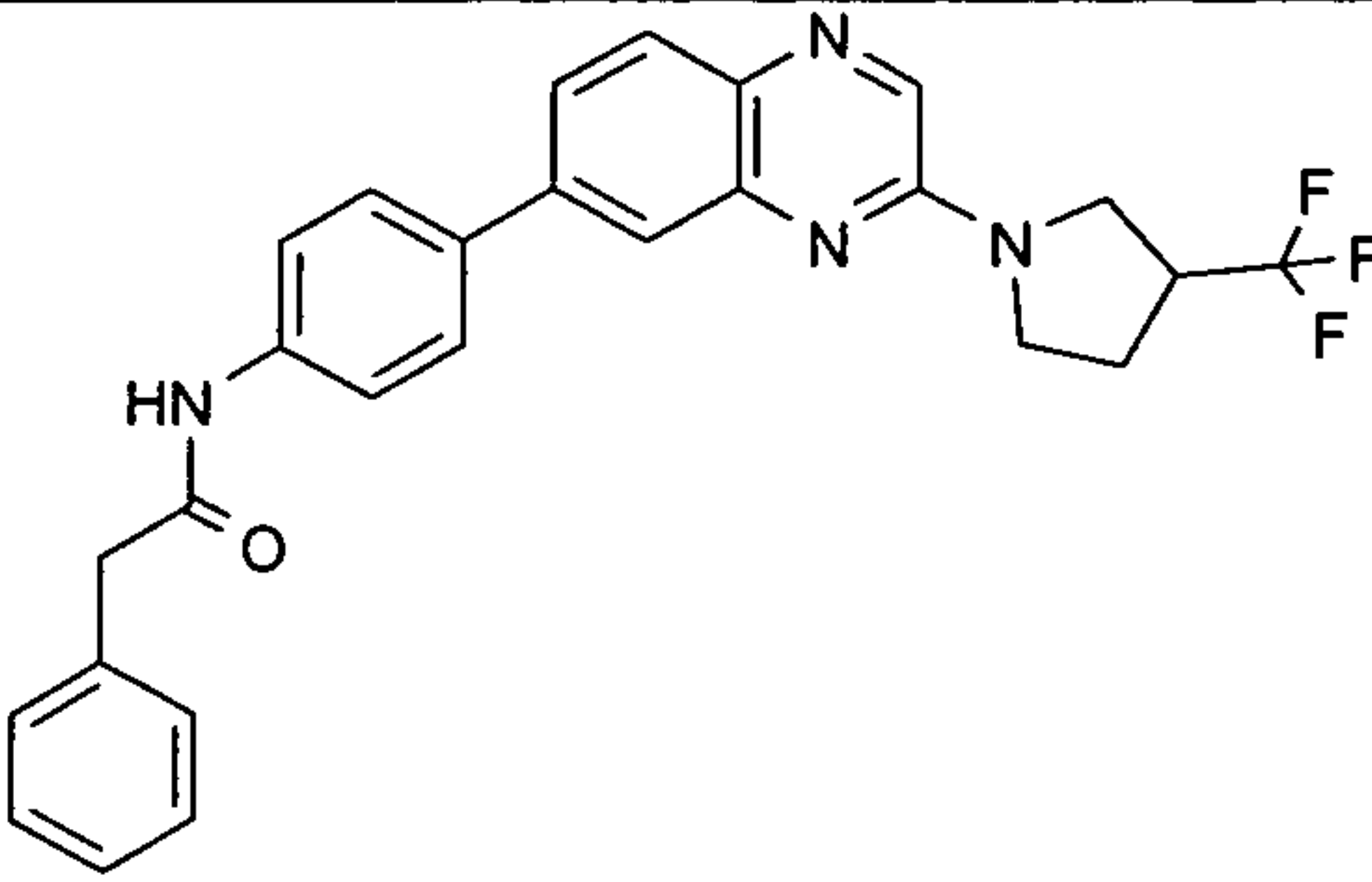
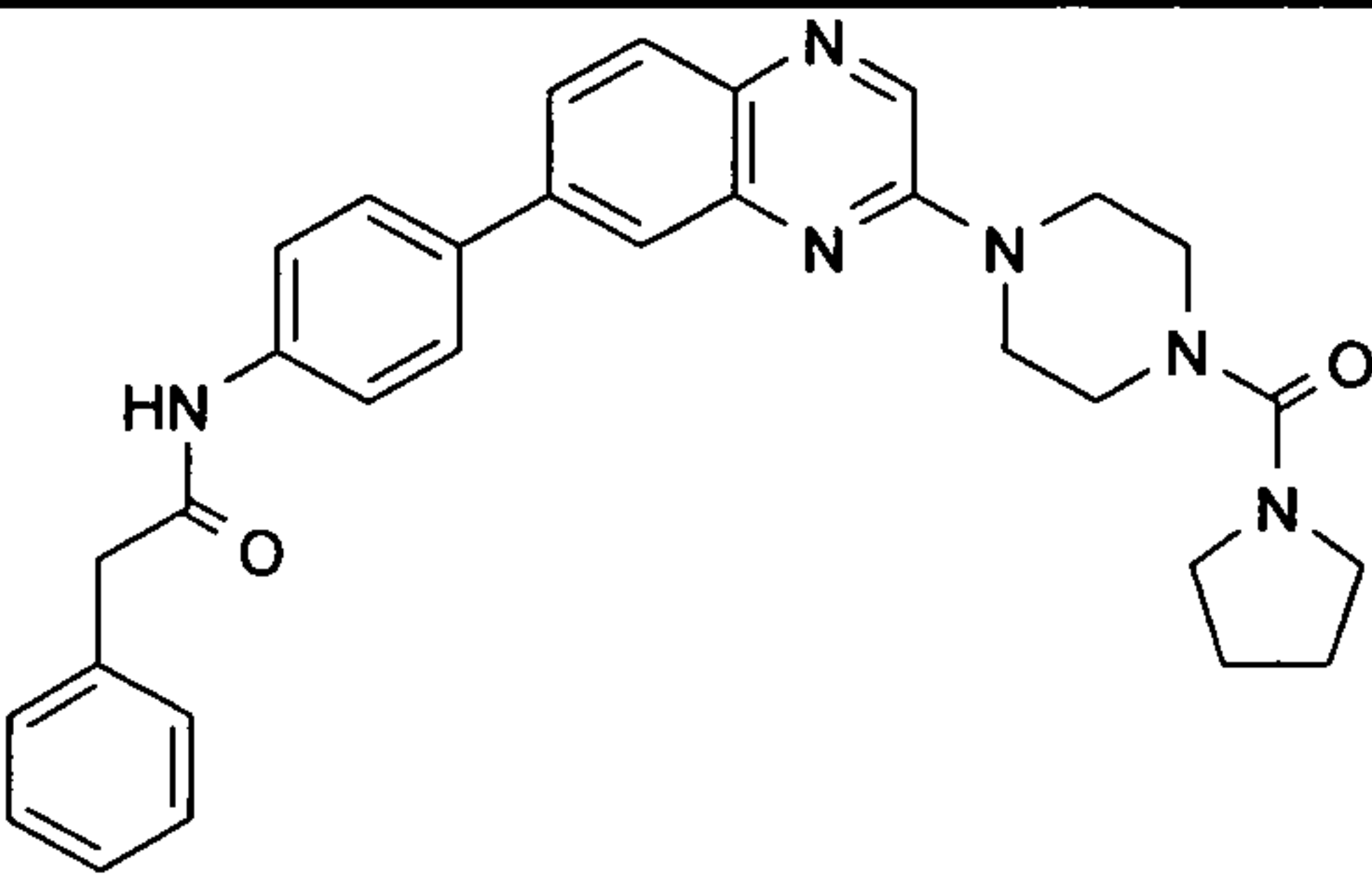
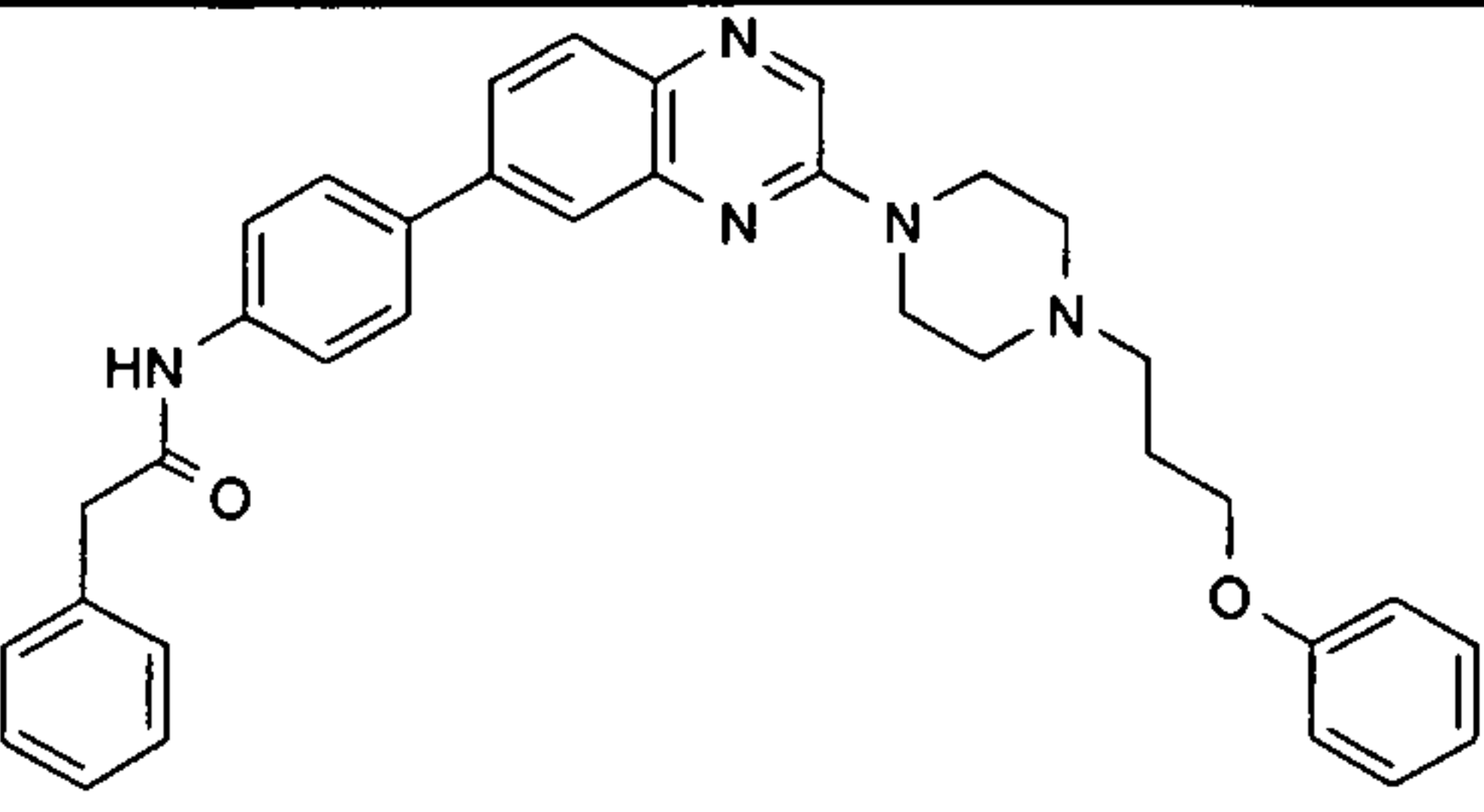
13.83		2-phenyl-N-(4-{3-[4-(pyridin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.02 MW _{found} = 501.6 MW _{calc} = 500.6
13.84		N-(4-{3-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 0.96 MW _{found} = 558.6 MW _{calc} = 557.6
13.85		N-{4-[3-(4-acetylpiperazin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.18 MW _{found} = 466.5 MW _{calc} = 465.5
13.86		ethyl 4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazine-1-carboxylate	RT = 1.35 MW _{found} = 496.6 MW _{calc} = 495.6
13.87		N-(4-{3-[4-(2-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.48 MW _{found} = 530.6 MW _{calc} = 529.6

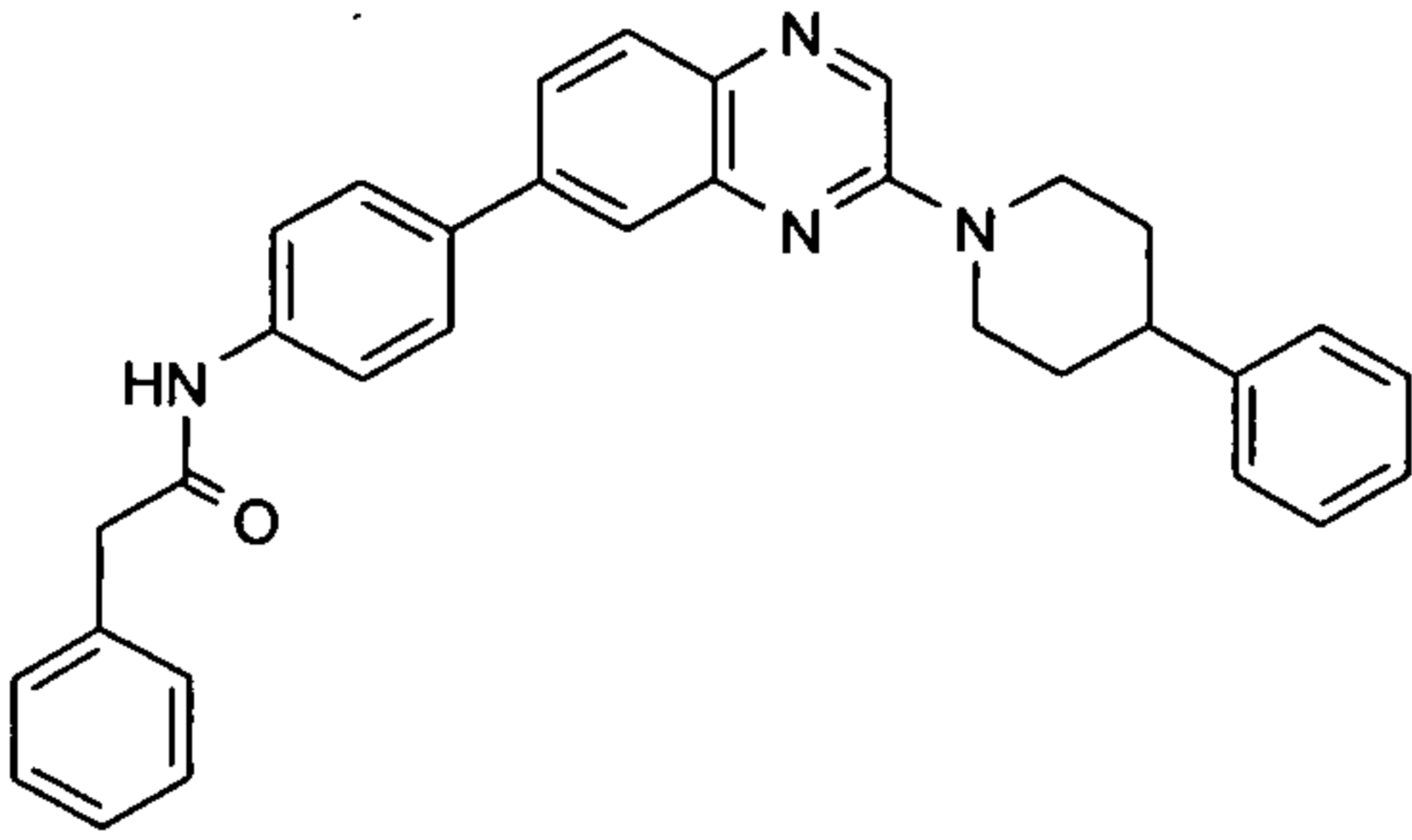
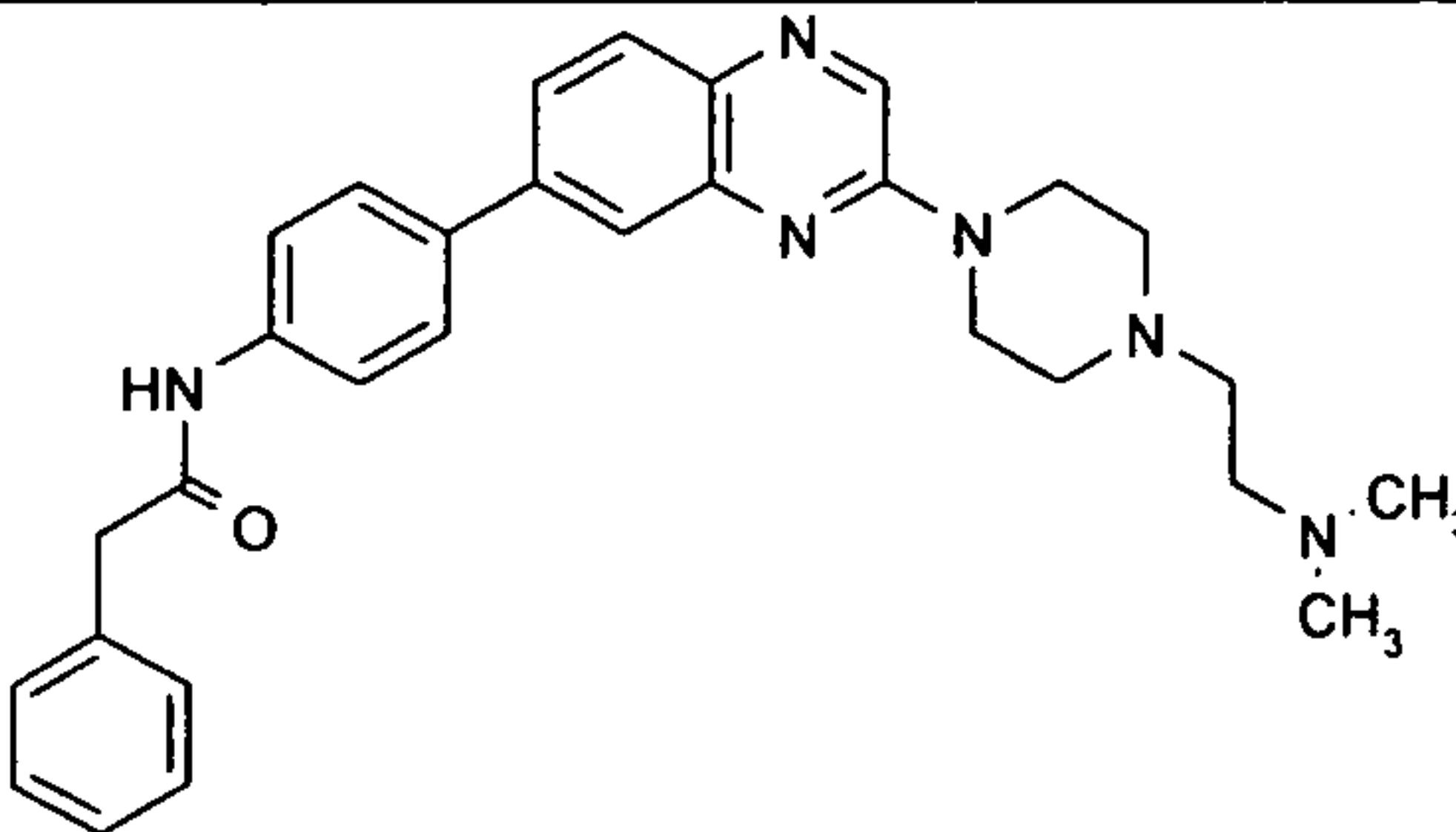
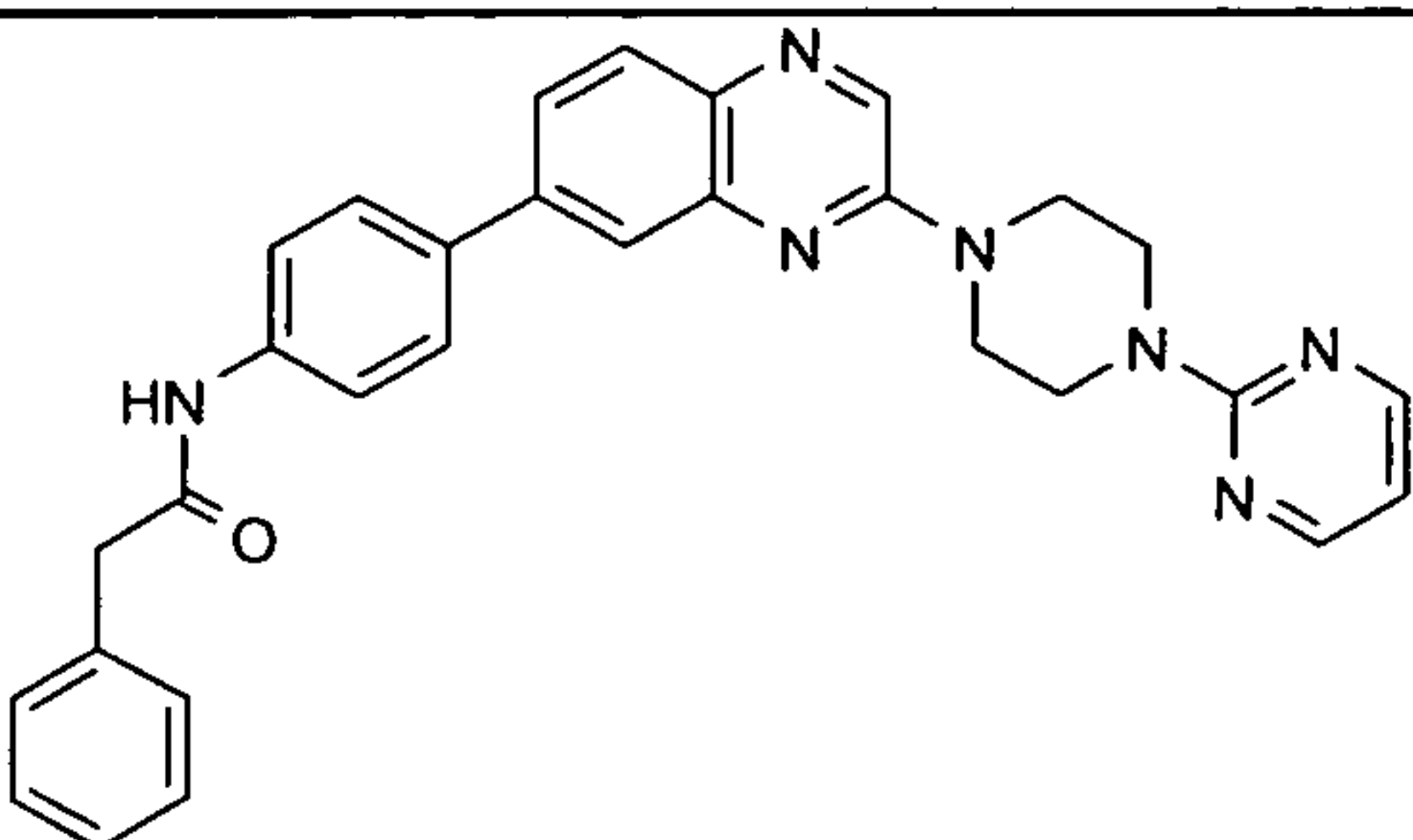
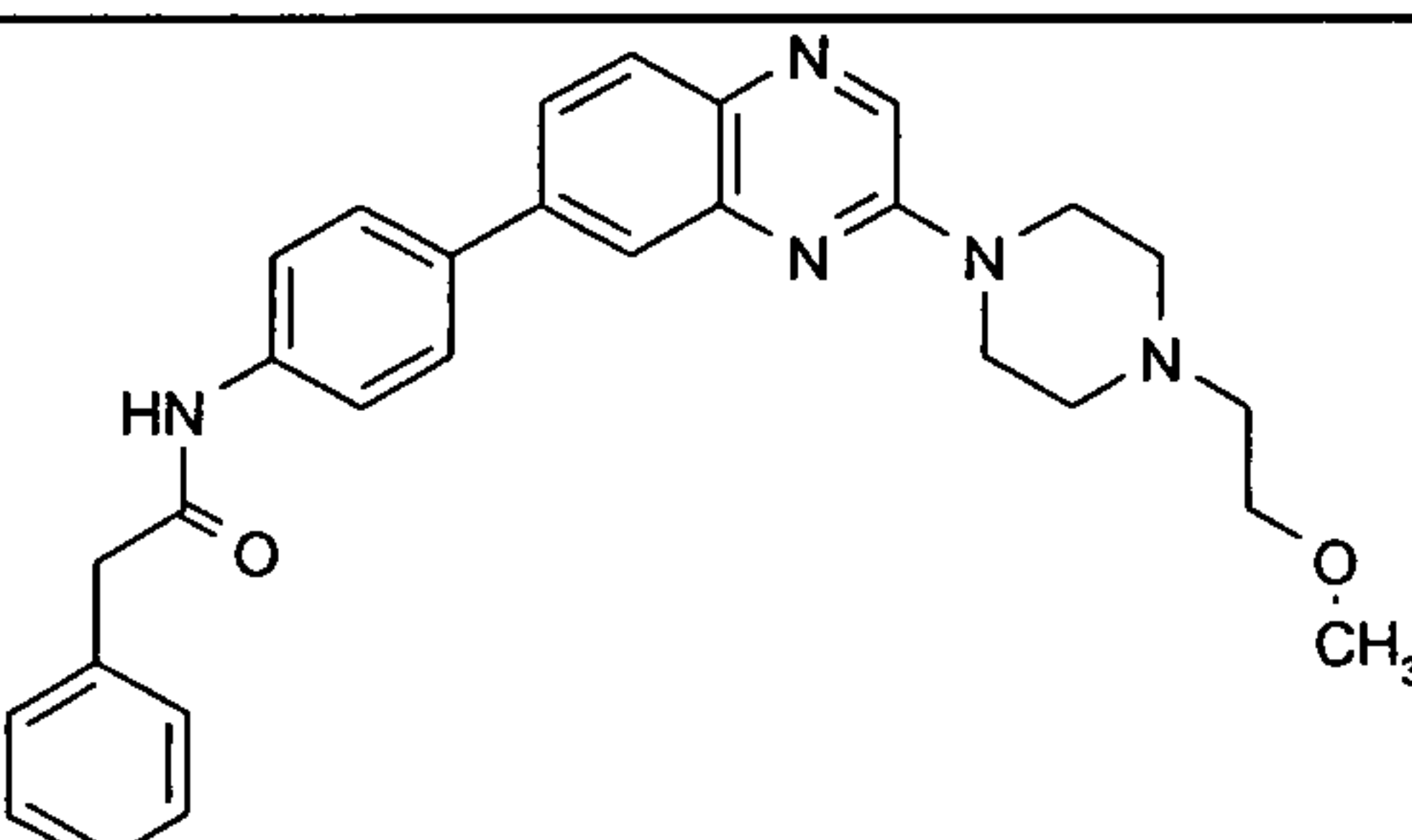
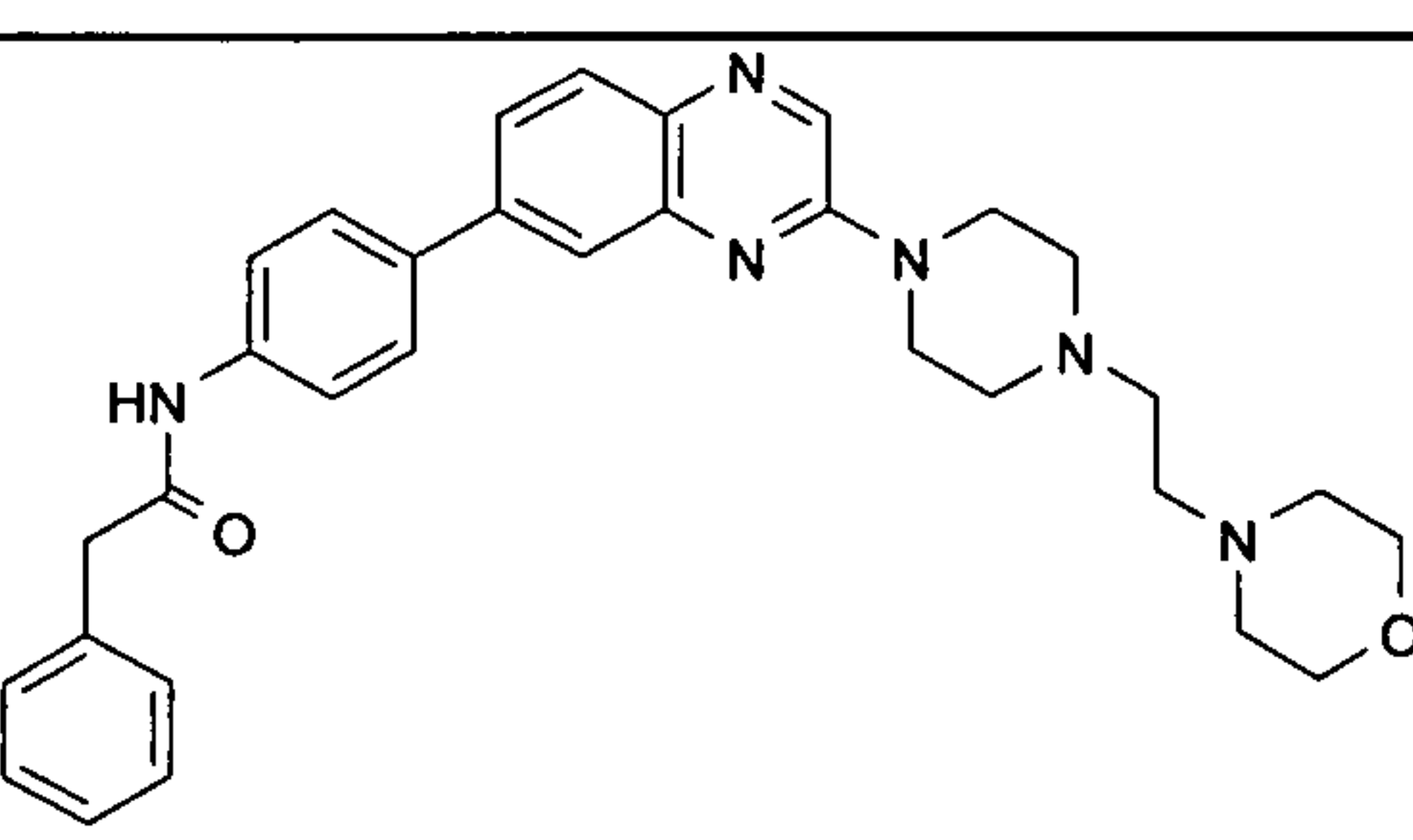
13.88		N-{4-[3-(3-methylpiperidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.53 MW _{found} = 437.5 MW _{calc} = 436.5
13.89		1-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperidine-3-carboxamide	RT = 1.16 MW _{found} = 466.5 MW _{calc} = 465.5
13.90		2-phenyl-N-{4-[3-(4-phenylpiperazin-1-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.52 MW _{found} = 500.6 MW _{calc} = 499.6
13.91		2-phenyl-N-{4-[3-(pyrrolidin-1-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.22 MW _{found} = 409.5 MW _{calc} = 408.5
13.92		N-(4-{3-[4-(diphenylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.47 MW _{found} = 590.7 MW _{calc} = 589.7

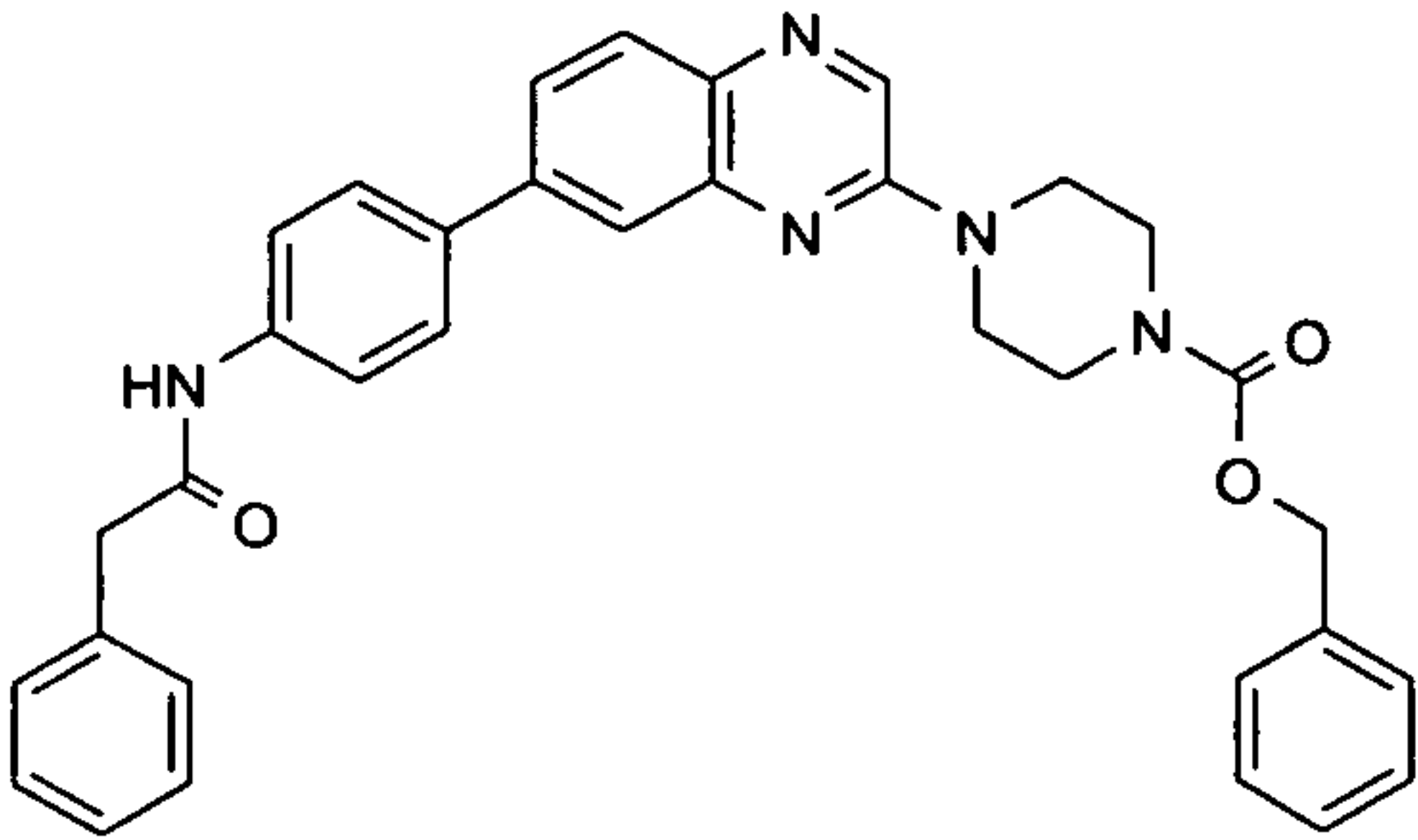
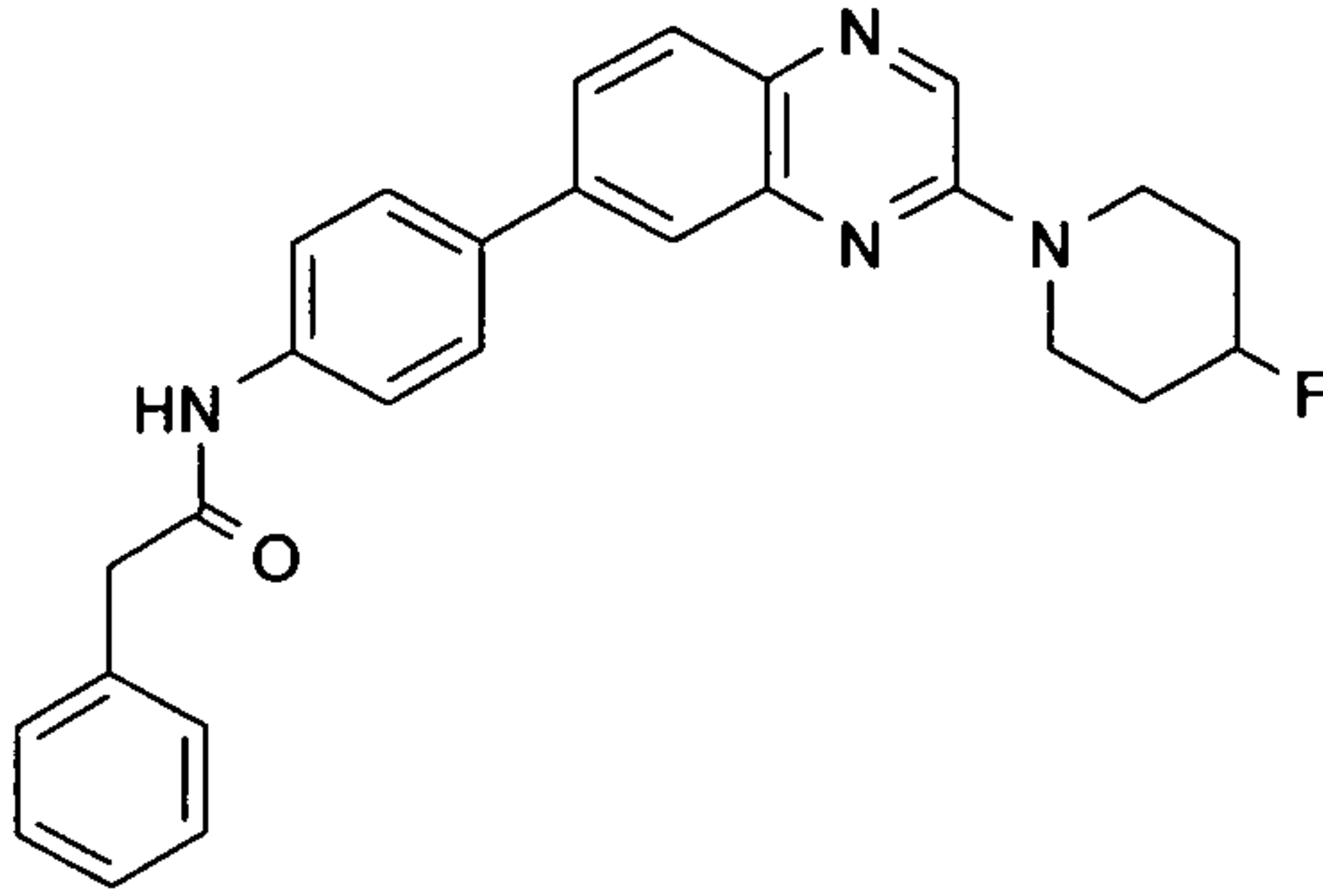
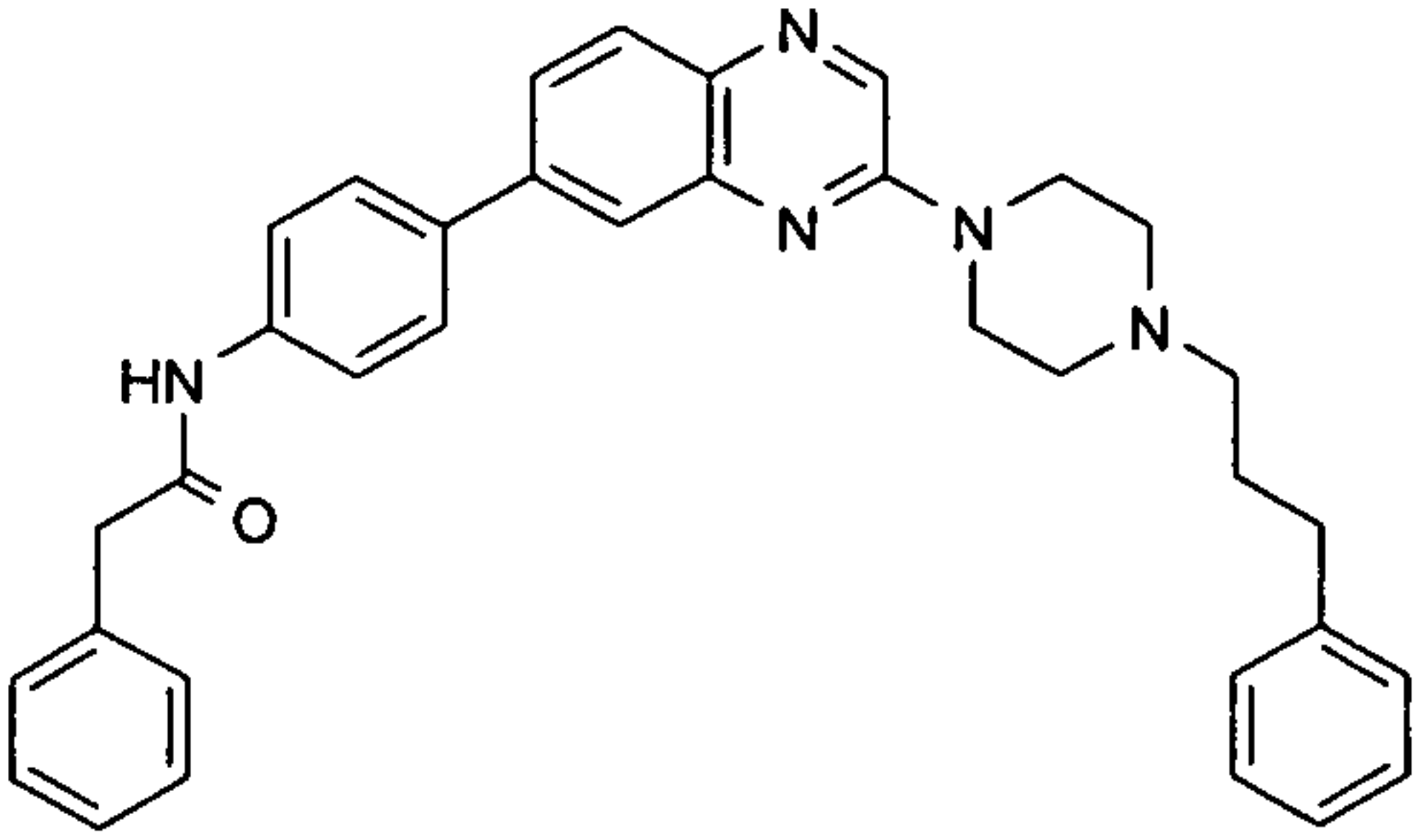
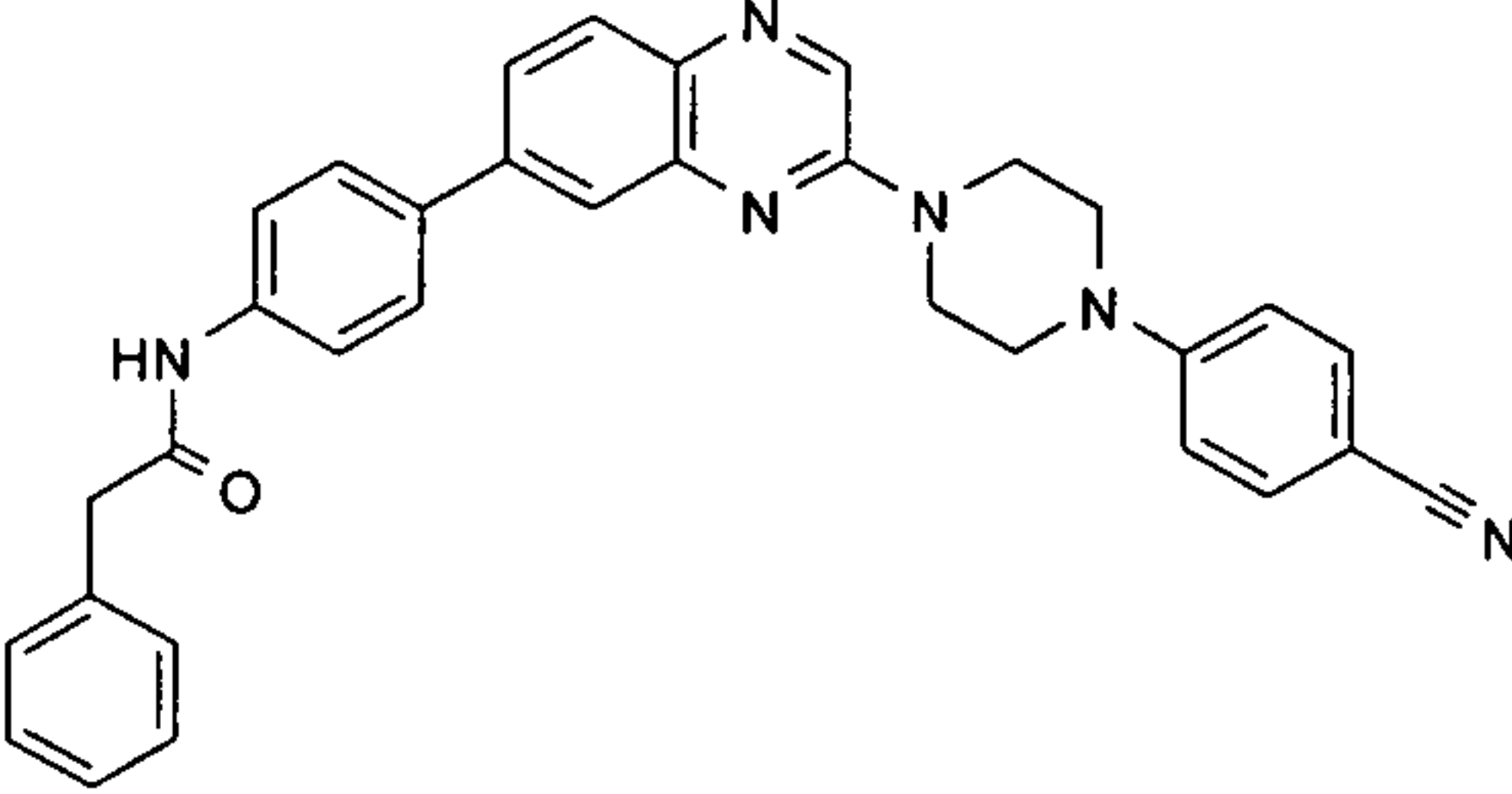
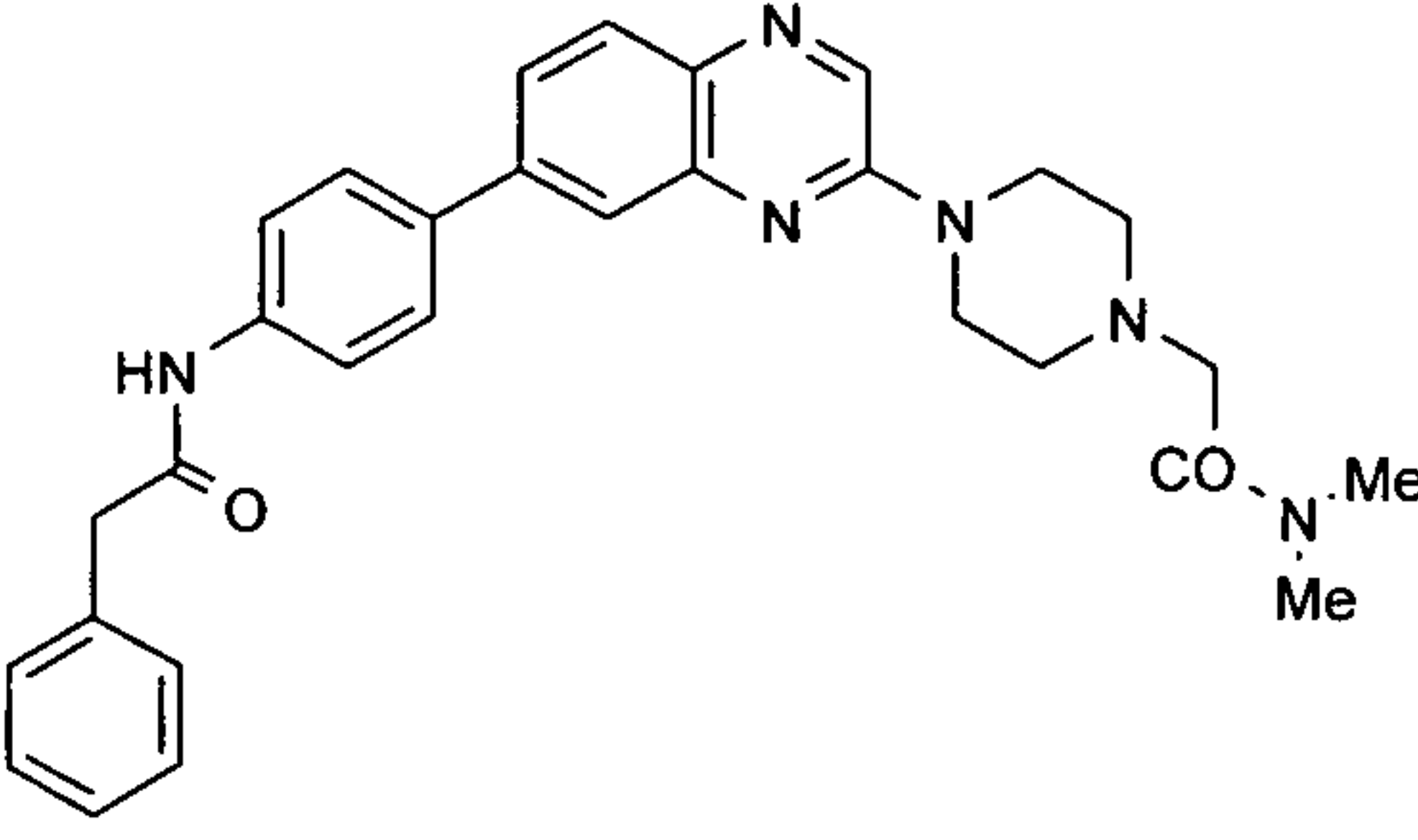
13.93		N-(4-{3-(3,4-dihydroisoquinolin-2(1H)-yl)quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.53 MW _{found} = 471.6 MW _{calc} = 470.6
13.94		N-(4-{3-[4-(3-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.50 MW _{found} = 530.6 MW _{calc} = 529.6
13.95		N-(4-{3-[4-(3-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.57 MW _{found} = 514.6 MW _{calc} = 513.6
13.96		N-(4-{3-[4-(4-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.44 MW _{found} = 530.6 MW _{calc} = 529.6
13.97		N-(4-{3-[4-(4-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.56 MW _{found} = 514.6 MW _{calc} = 513.6

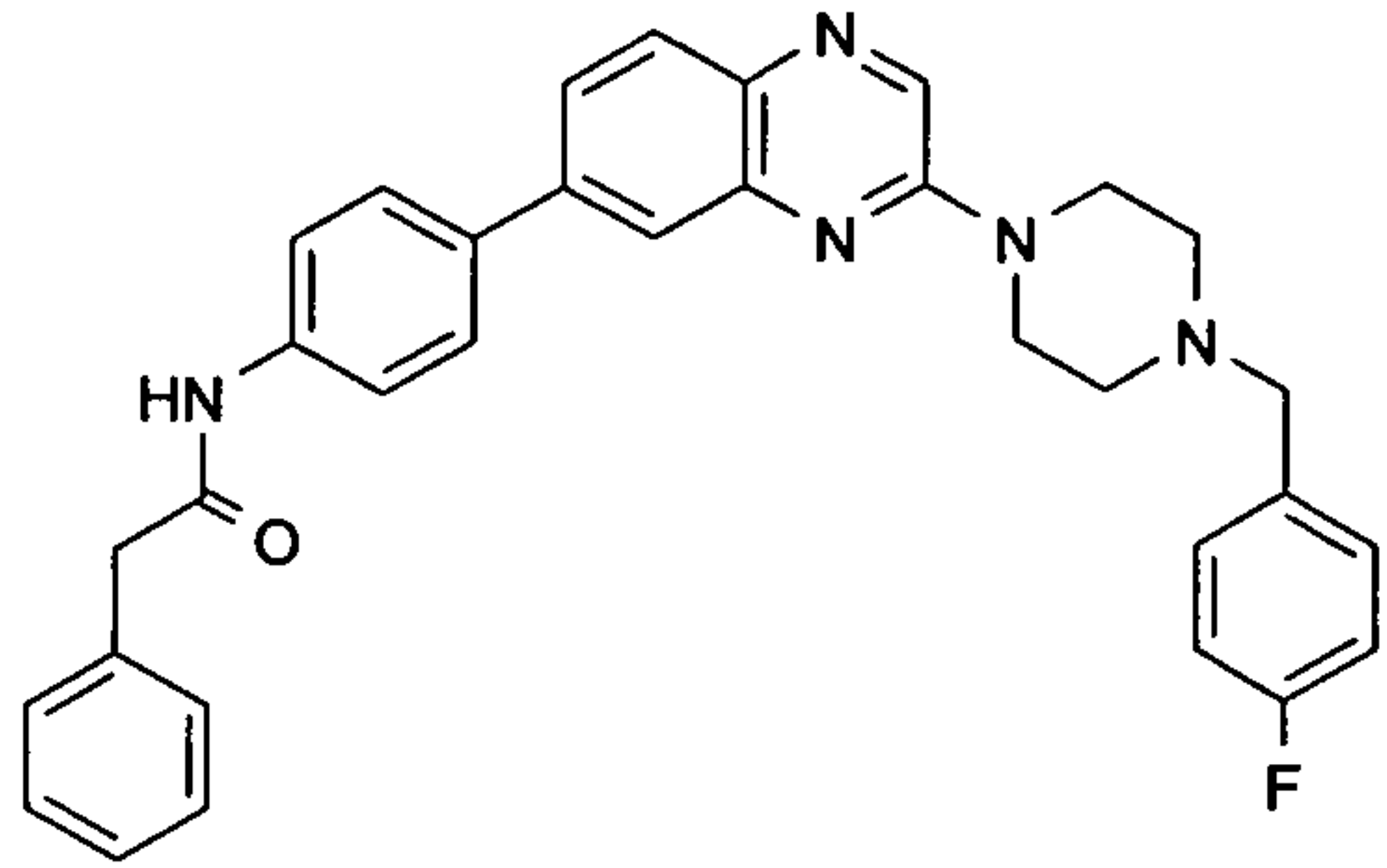
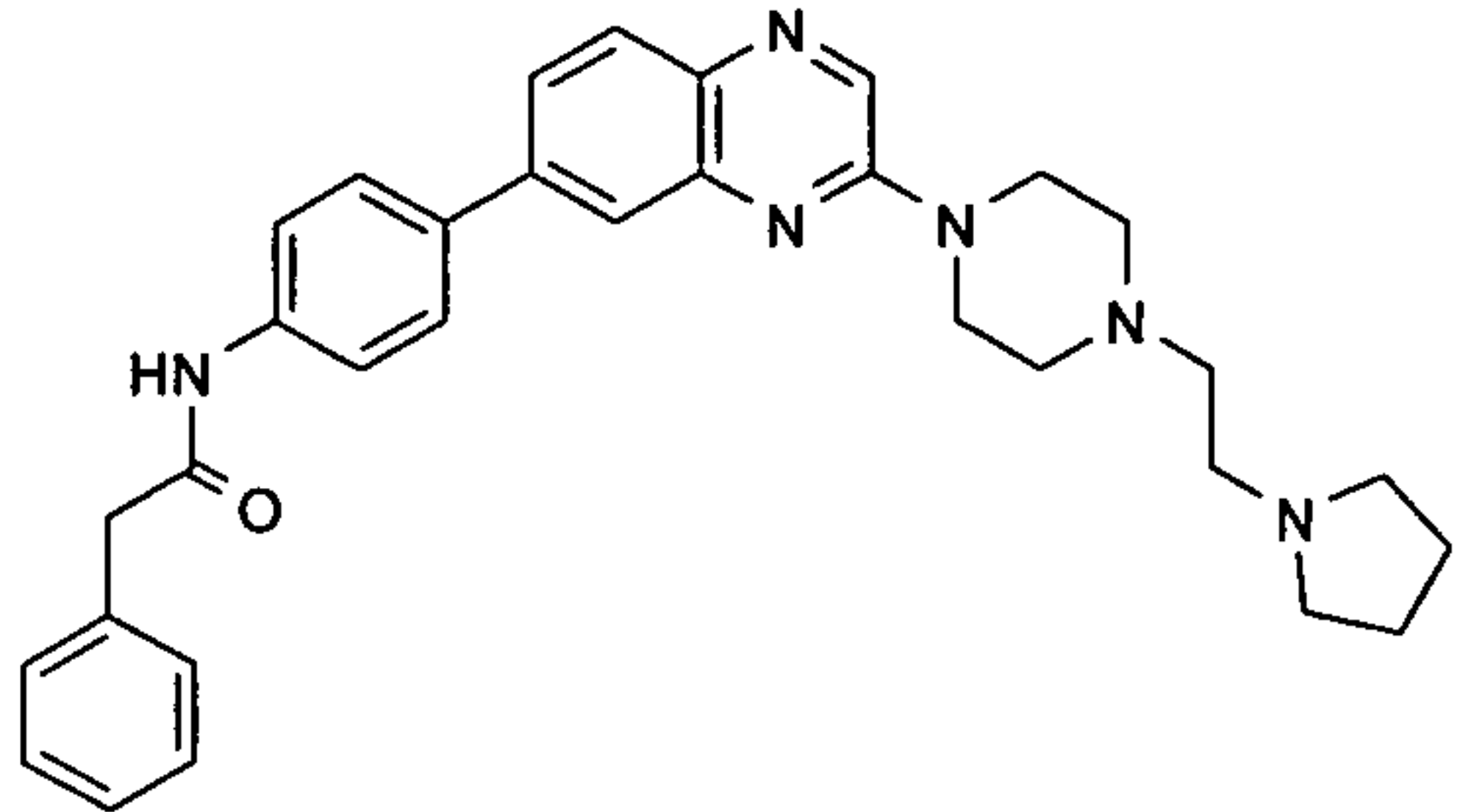
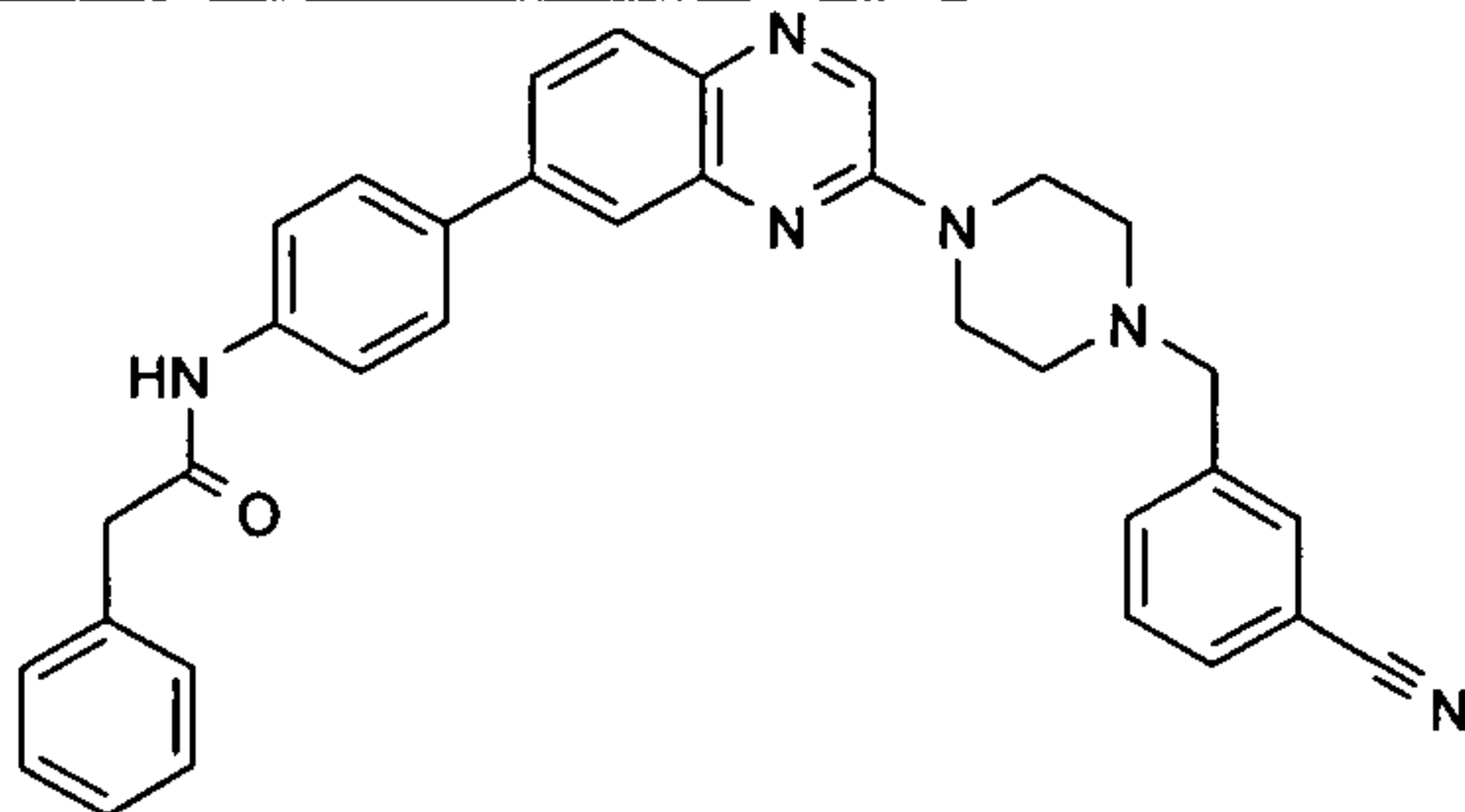
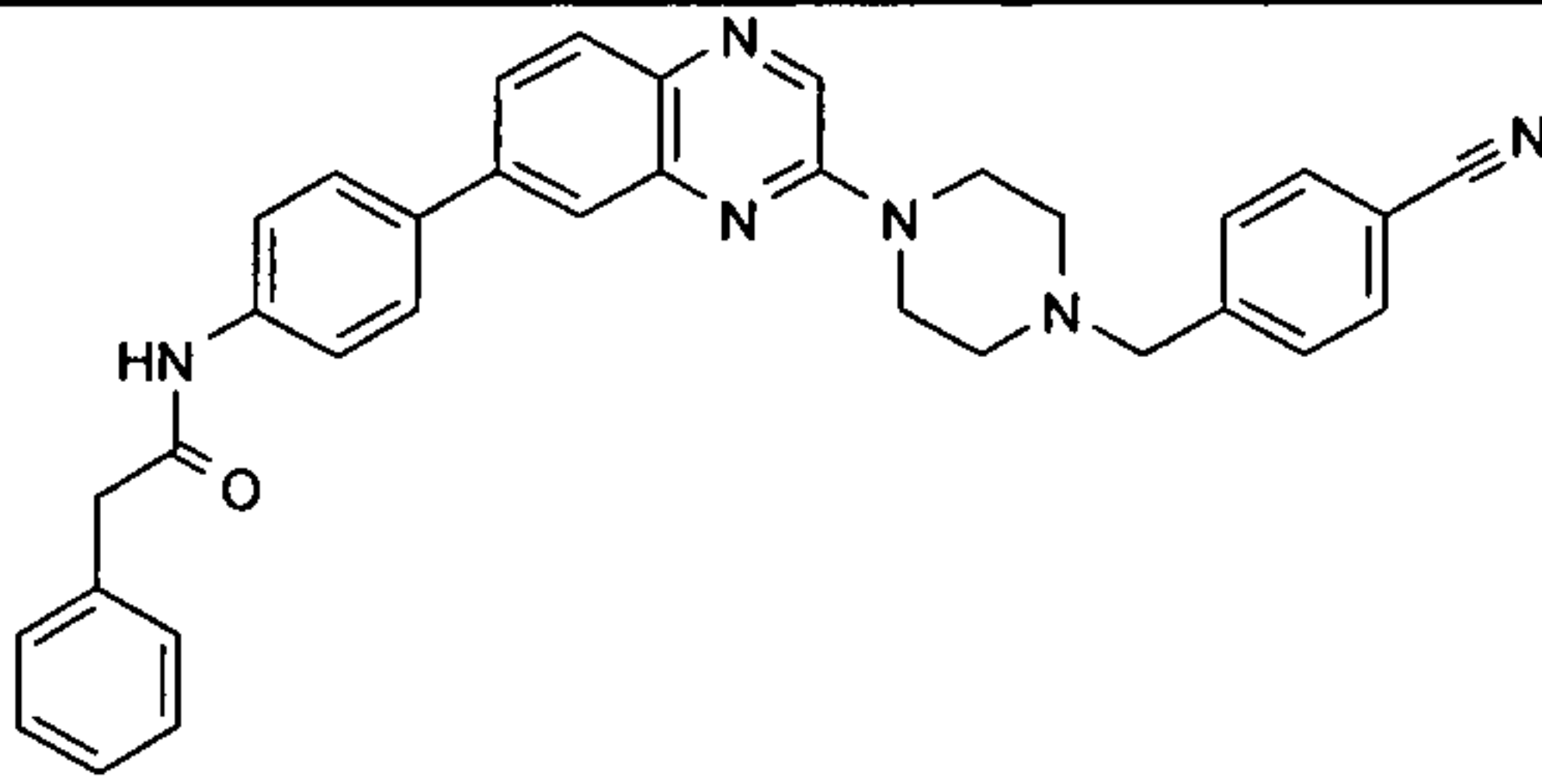
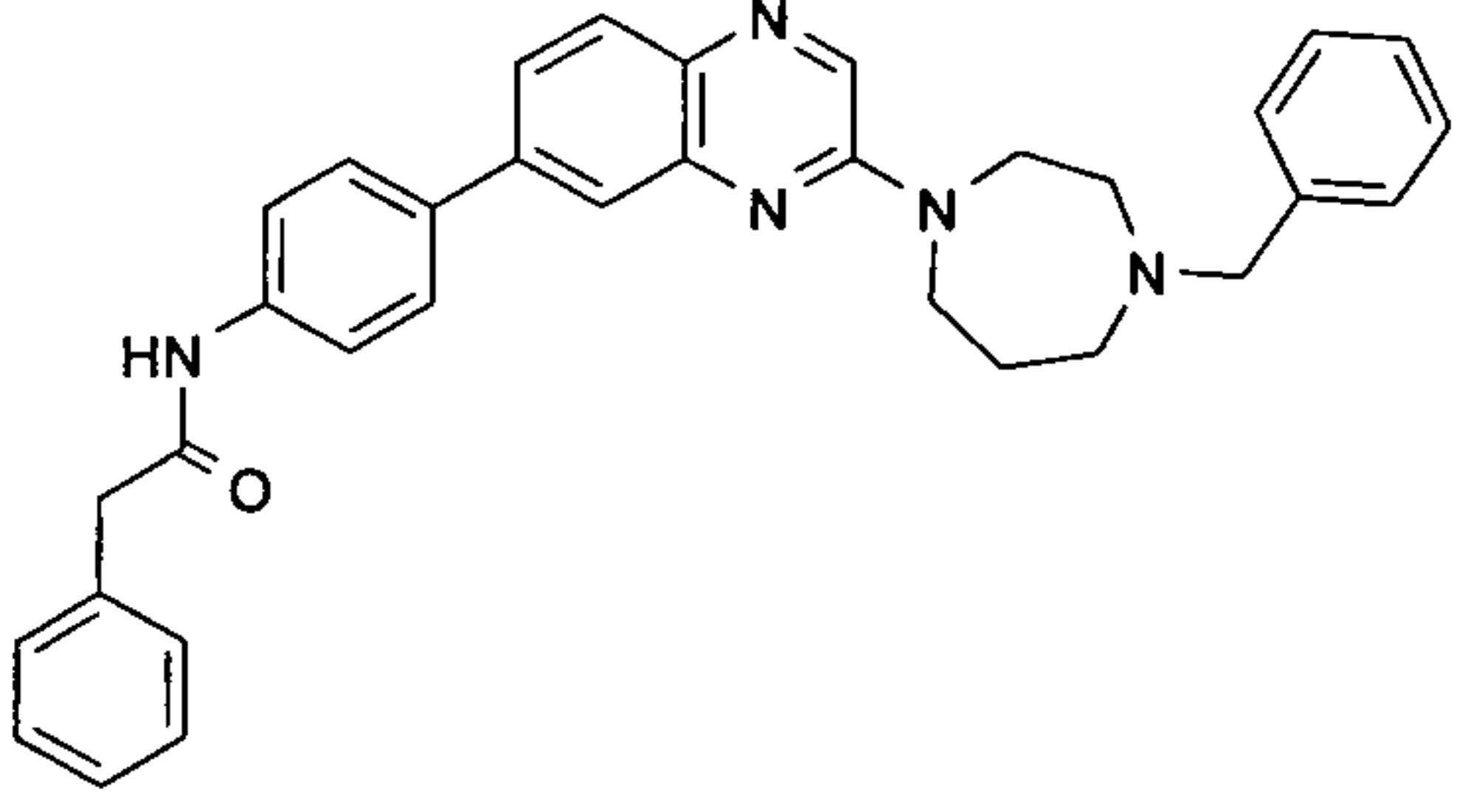
13.98		2-phenyl-N-(4-{3-[4-(1-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.99 MW _{found} = 528.7 MW _{calc} = 527.7
13.99		2-phenyl-N-(4-{3-[4-(2-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.99 MW _{found} = 528.7 MW _{calc} = 527.7
13.100		2-phenyl-N-[4-(3-{4-[4-(trifluoromethyl)phenyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]acetamide	RT = 1.60 MW _{found} = 568.6 MW _{calc} = 567.6
13.101		N-methyl-N-phenyl-2-[4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazin-1-yl]acetamide	RT = 0.98 MW _{found} = 571.7 MW _{calc} = 570.7
13.102		N-{4-[3-(4-methyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 0.87 MW _{found} = 452.6 MW _{calc} = 451.6

13.103		N-{4-[3-(4-ethylpiperazin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 0.87 MW _{found} = 452.6 MW _{calc} = 451.6
13.104		N-(4-{3-[4-(2-chlorobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.08 MW _{found} = 549.1 MW _{calc} = 548.1
13.105		N-[4-(3-{4-[3-(dimethylamino)propyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]-2-phenylacetamide	RT = 0.74 MW _{found} = 509.7 MW _{calc} = 508.7
13.106		N-{4-[3-(4-methyl-2-phenylpiperazin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 0.96 MW _{found} = 514.6 MW _{calc} = 513.6
13.107		N-(4-{3-[2-(hydroxymethyl)morpholin-4-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.16 MW _{found} = 455.5 MW _{calc} = 454.5

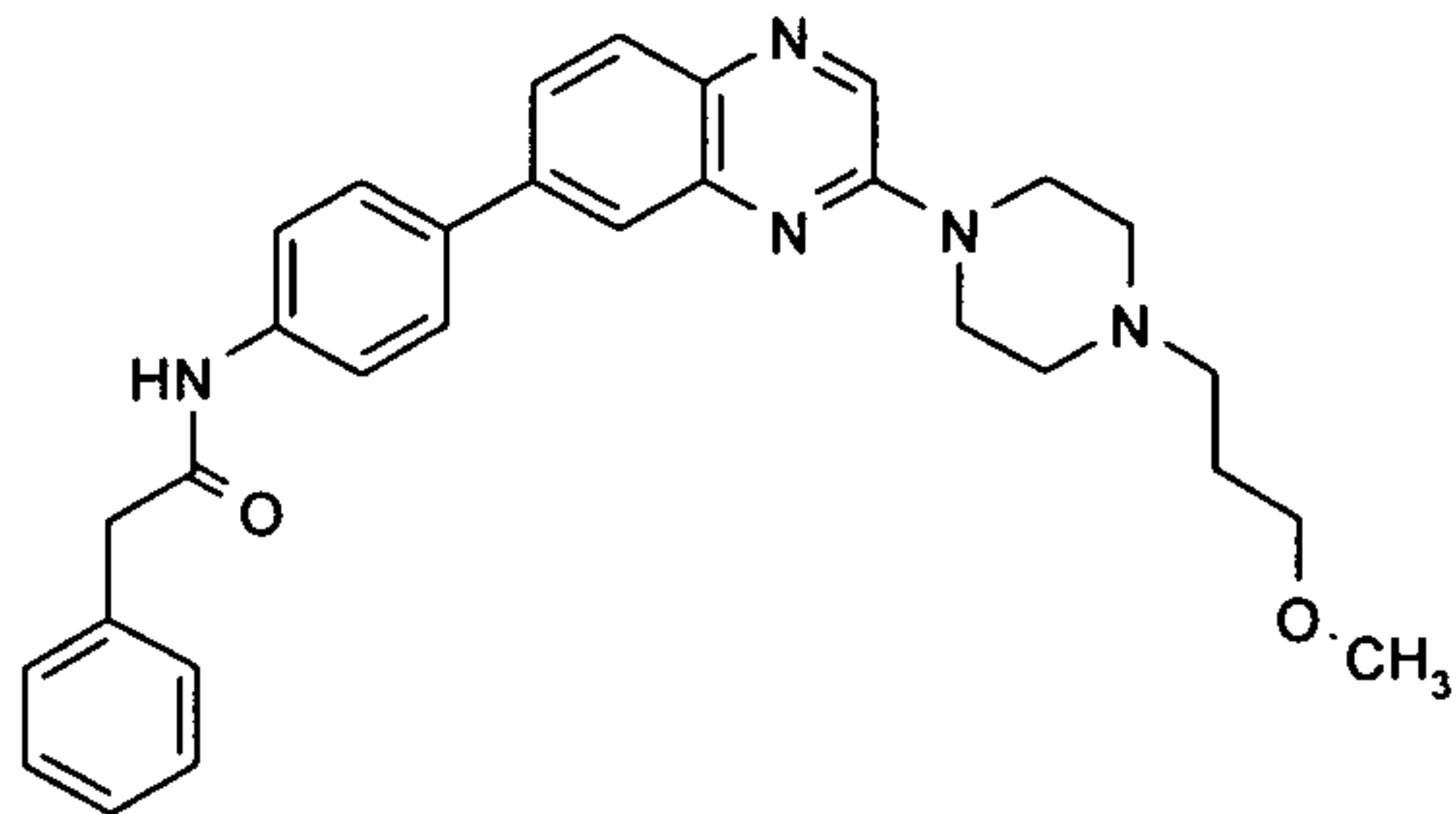
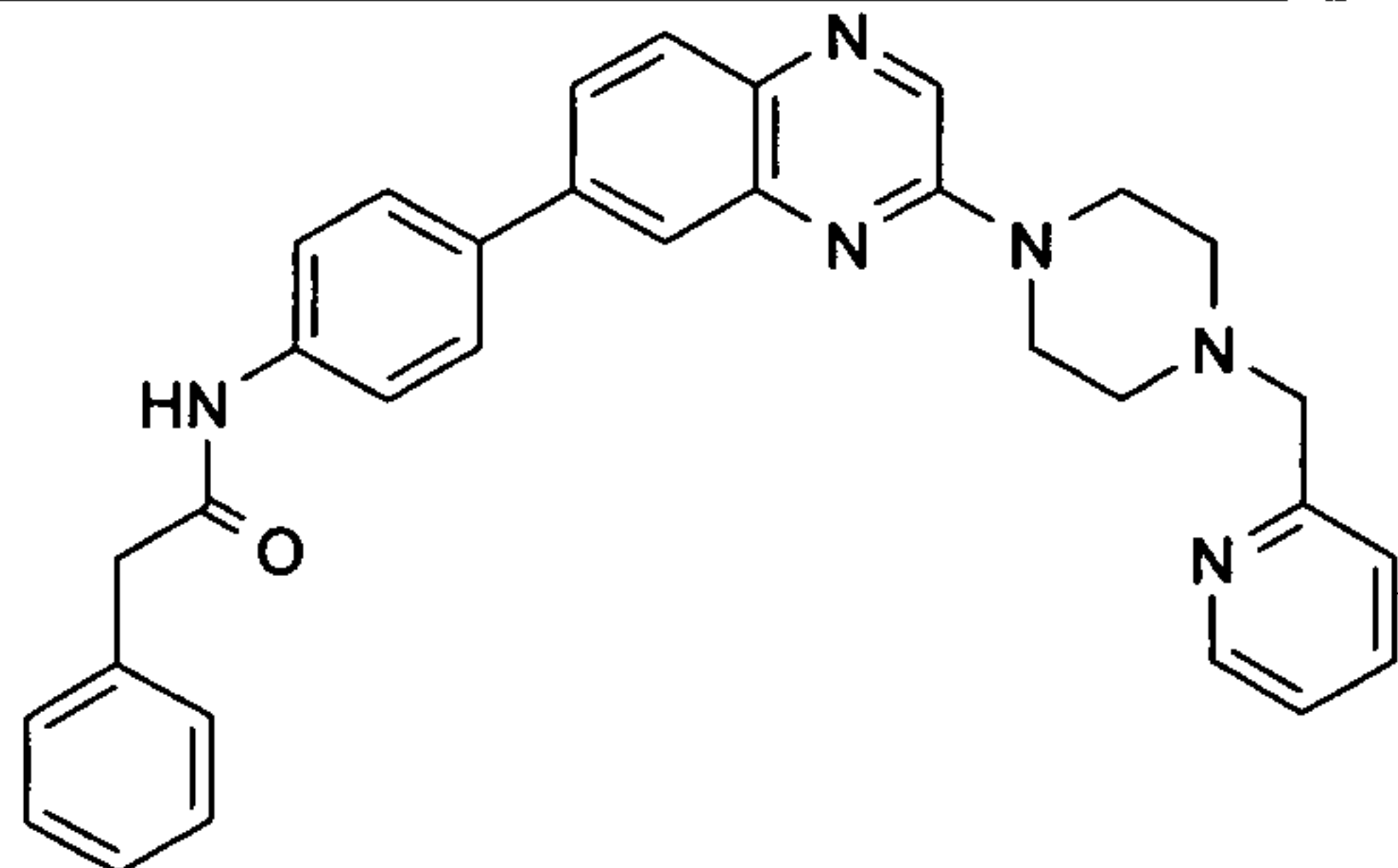
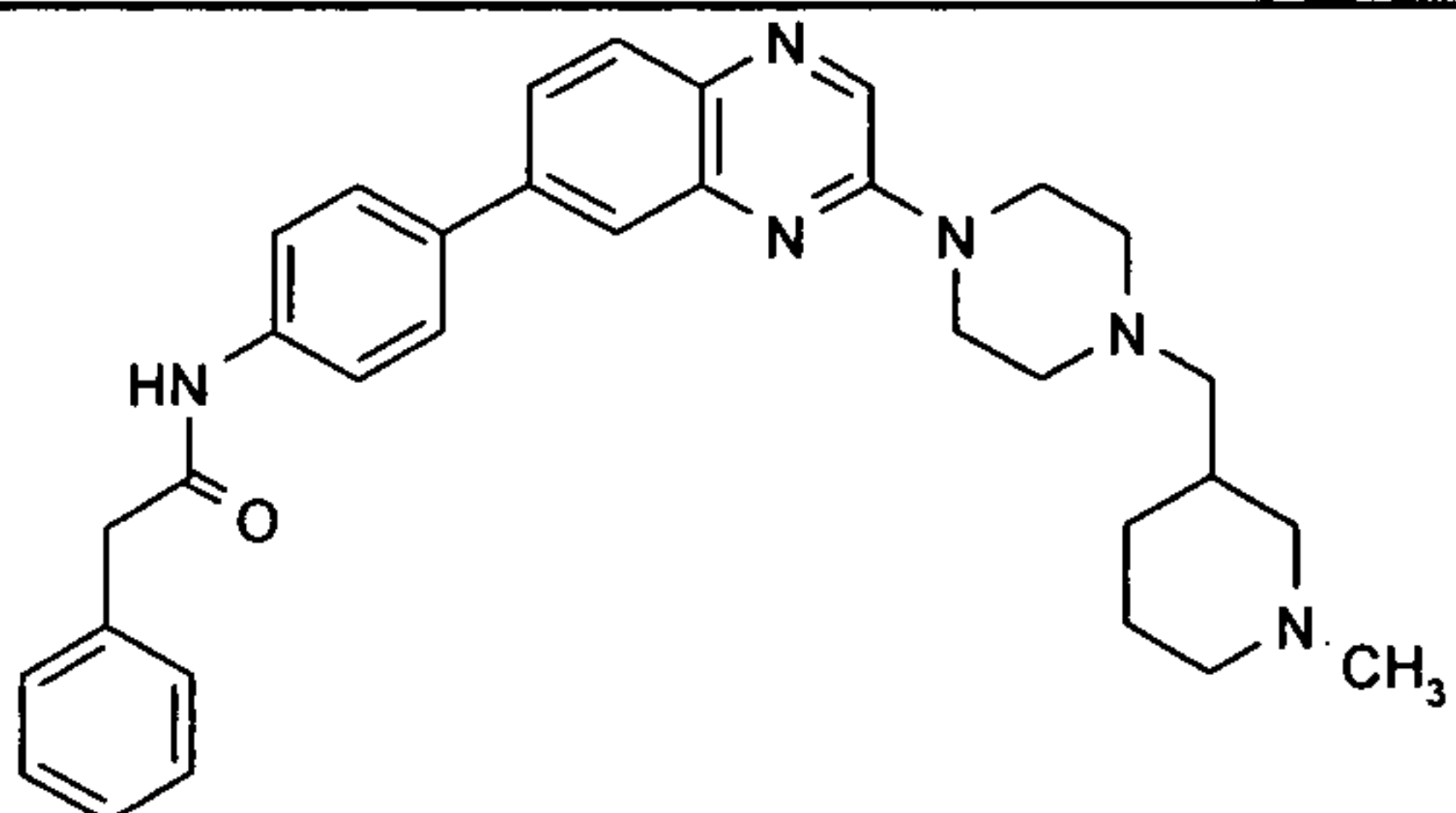
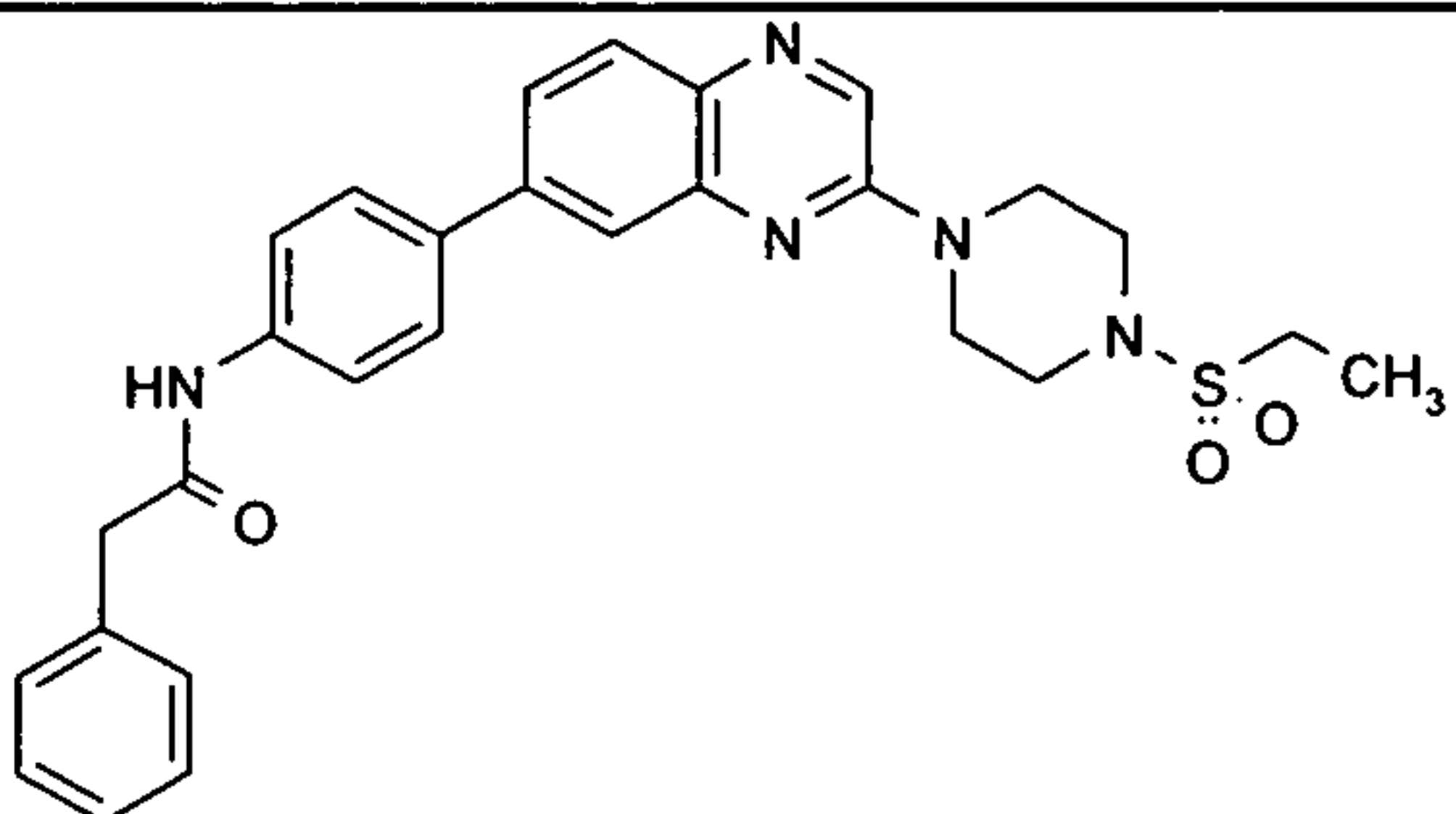
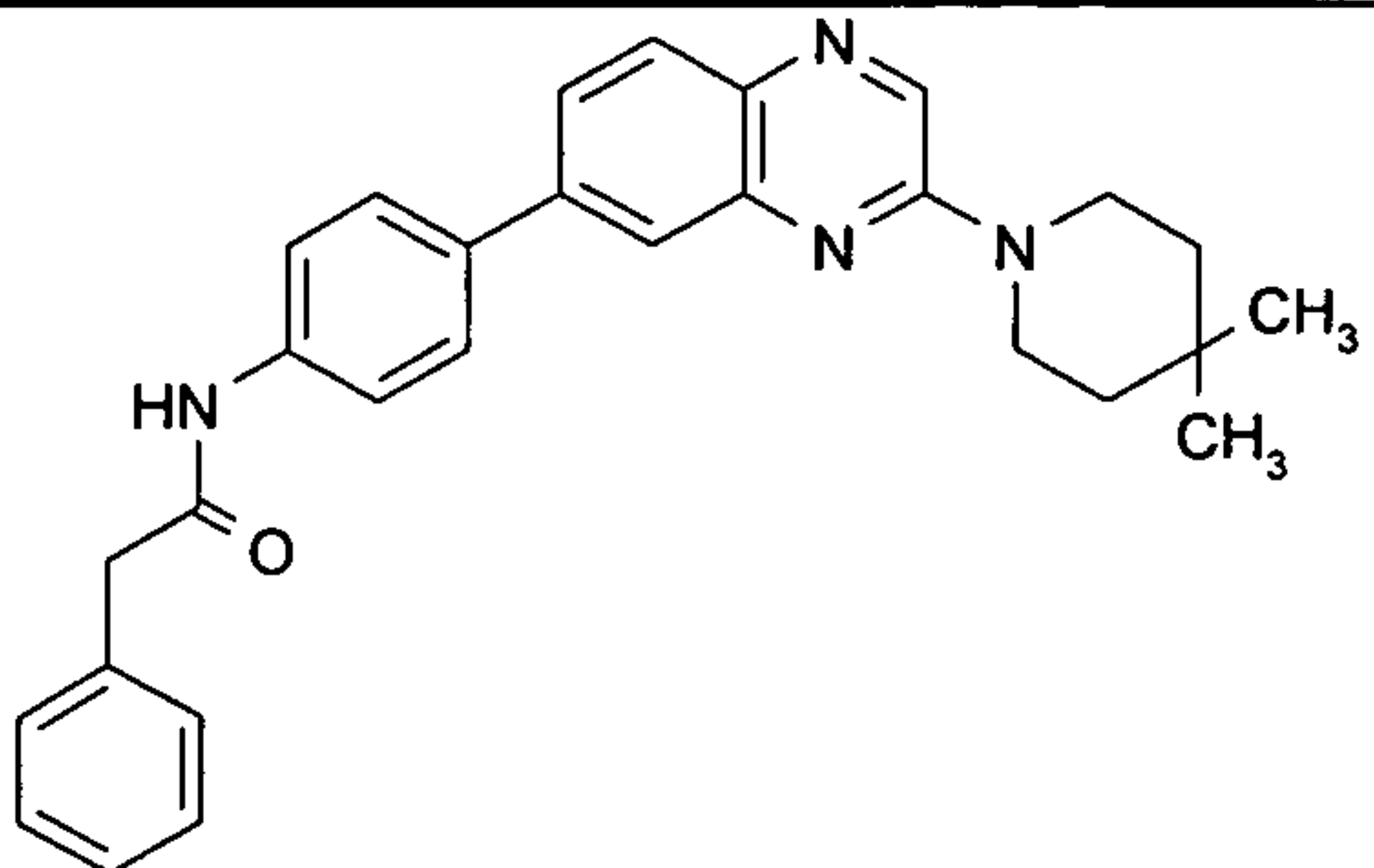
13.108		N-(4-{3-[4-(2-phenoxyethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.00 MW _{found} = 544.7 MW _{calc} = 543.7
13.109		2-phenyl-N-(4-{3-[3-(pyridin-3-yl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.01 MW _{found} = 486.6 MW _{calc} = 485.6
13.110		2-phenyl-N-(4-{3-[3-(trifluoromethyl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.41 MW _{found} = 477.5 MW _{calc} = 476.5
13.111		2-phenyl-N-(4-{3-[4-(pyrrolidin-1-ylcarbonyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.30 MW _{found} = 521.6 MW _{calc} = 520.6
13.112		N-(4-{3-[4-(3-phenoxypropyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.02 MW _{found} = 558.7 MW _{calc} = 557.7

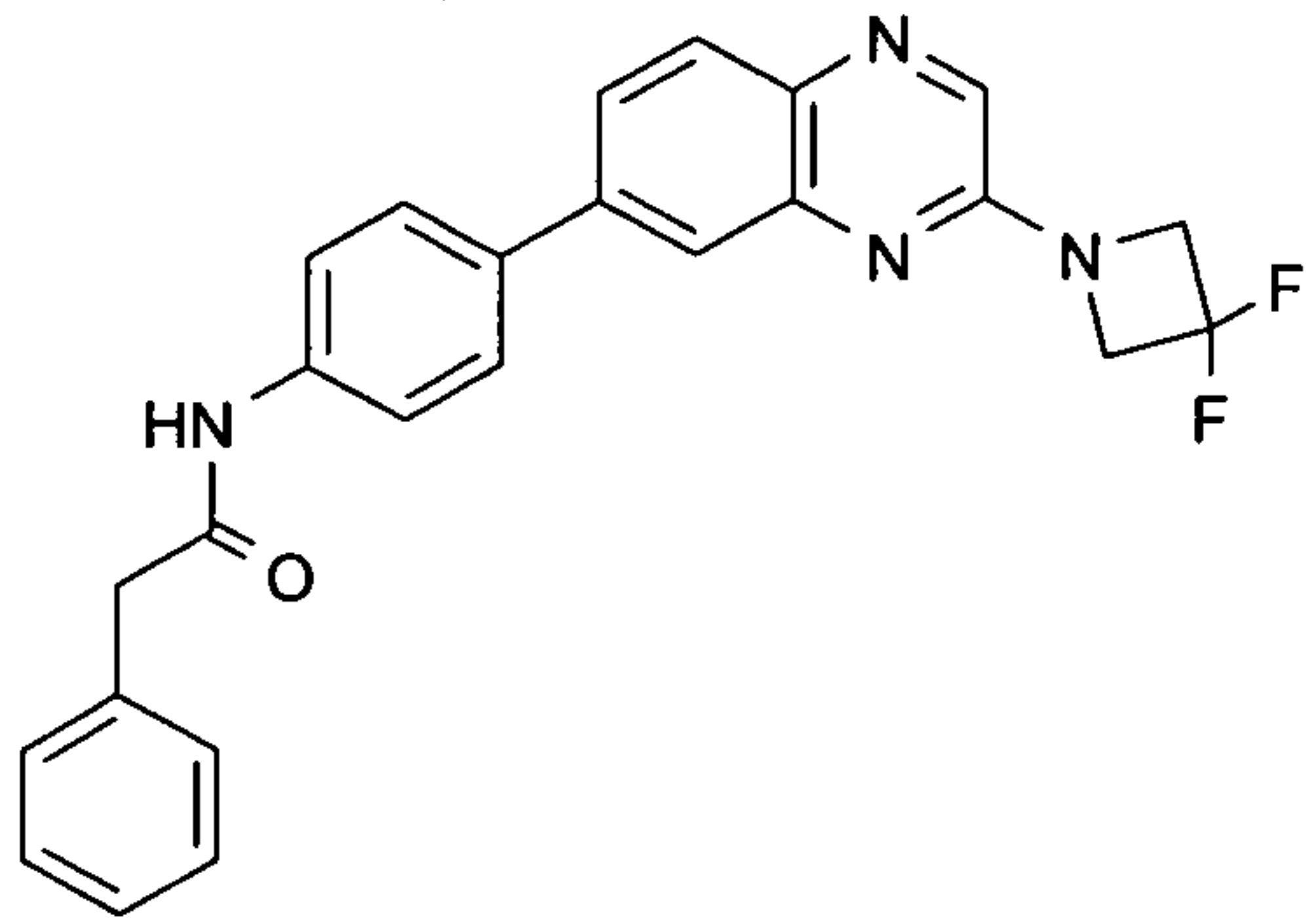
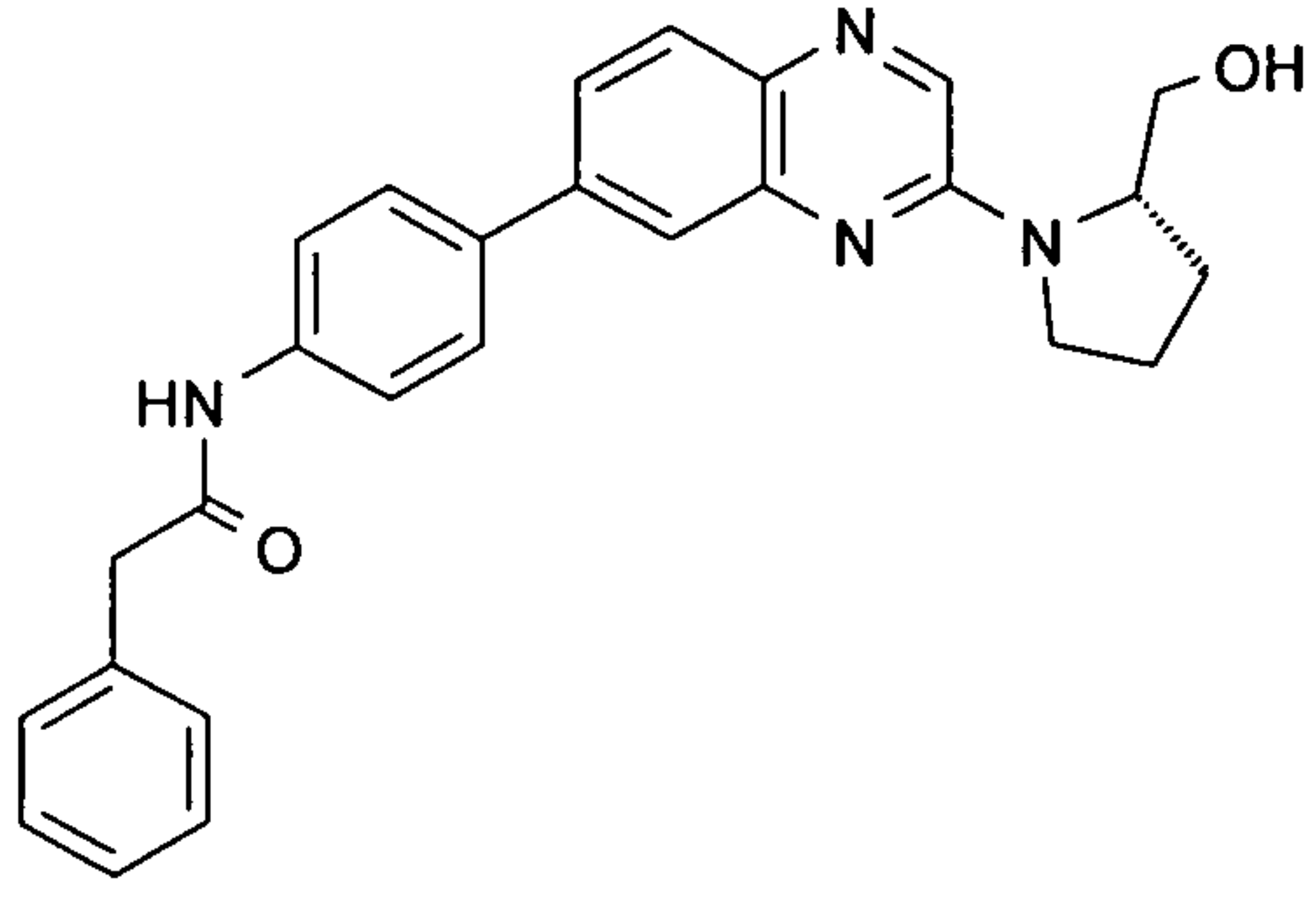
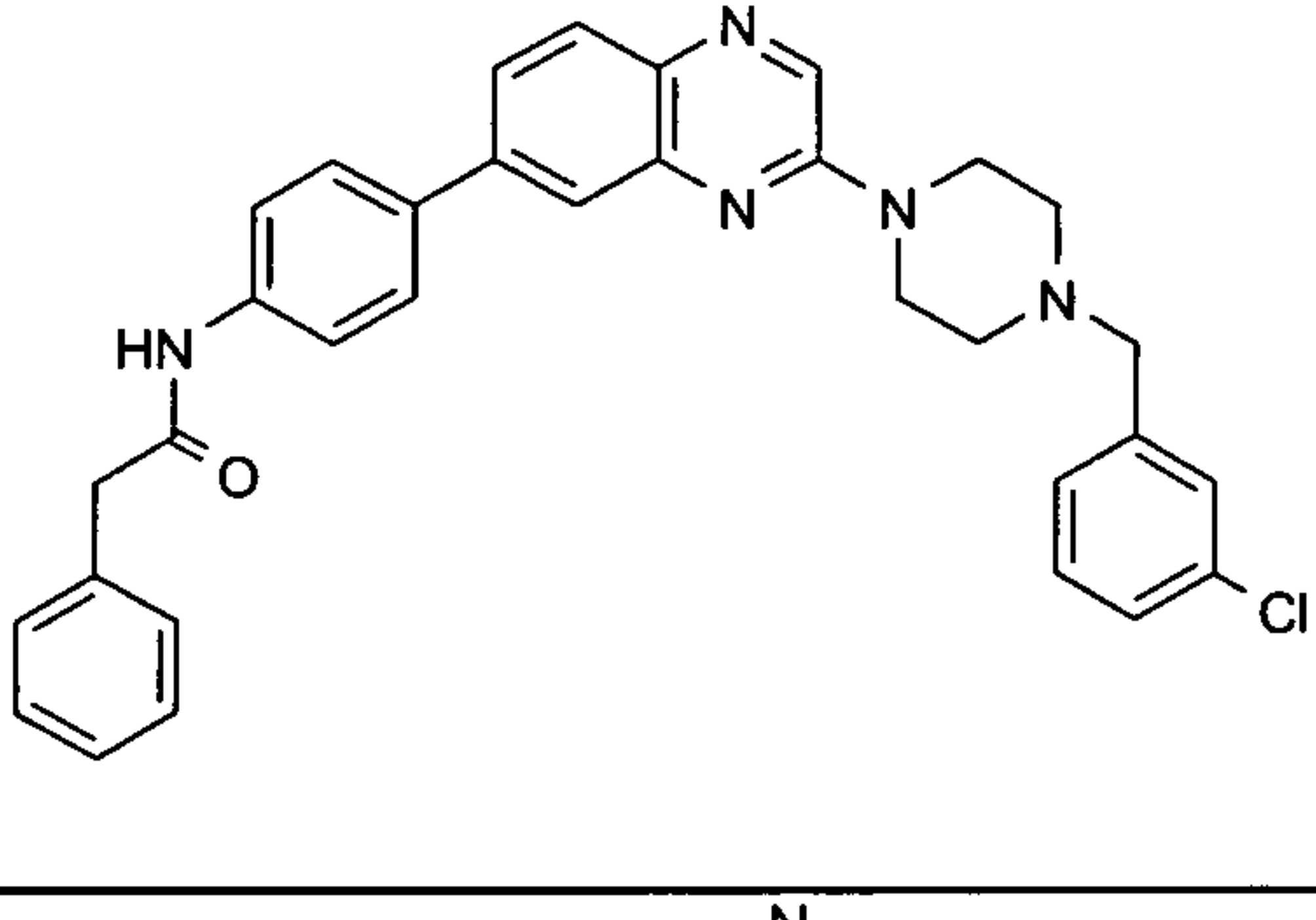
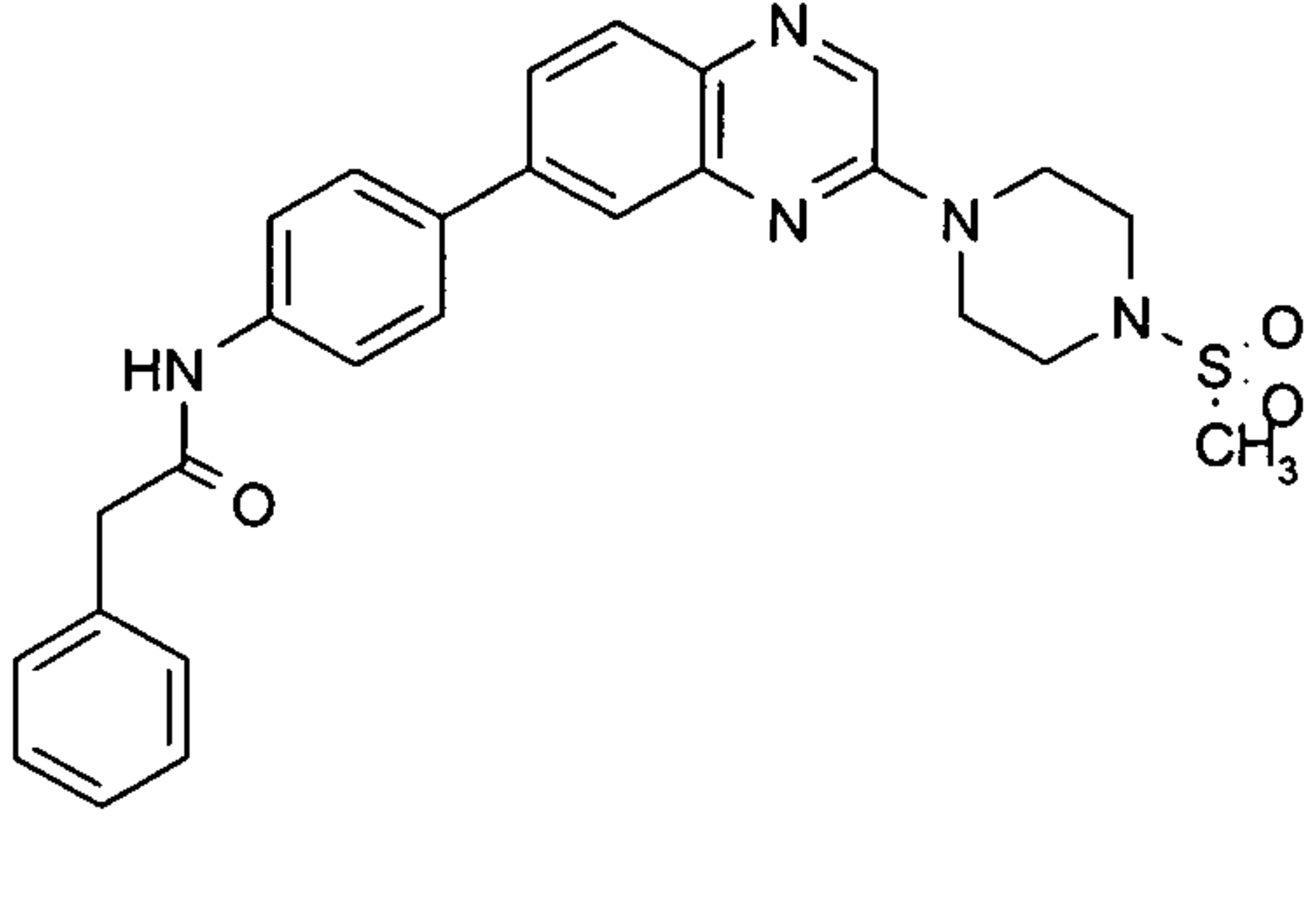
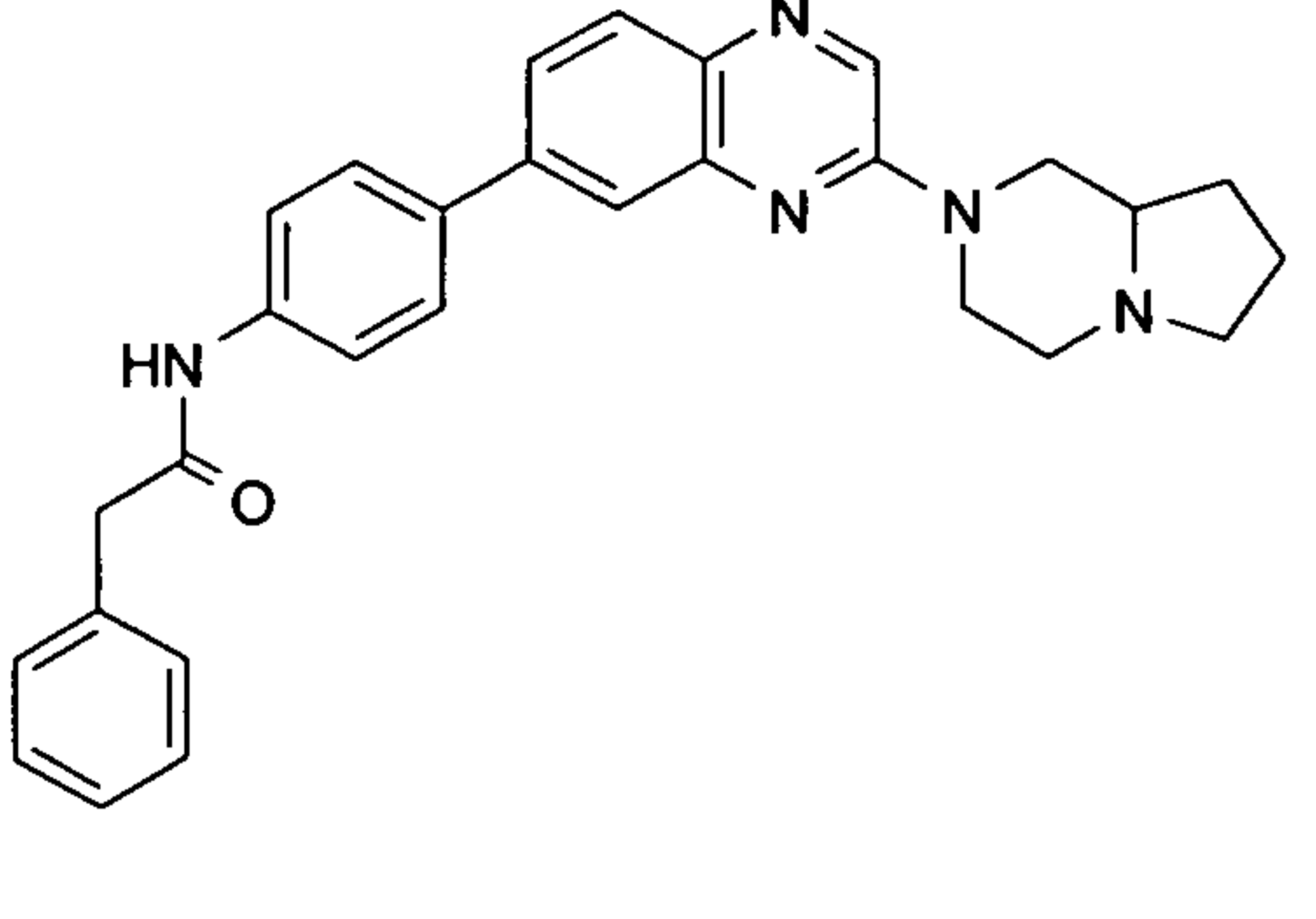
13.113		2-phenyl-N-{4-[3-(4-phenylpiperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.59 MW _{found} = 499.6 MW _{calc} = 498.6
13.114		N-[4-(3-{4-[2-(dimethylamino)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]-2-phenylacetamide	RT = 0.87 MW _{found} = 495.6 MW _{calc} = 494.6
13.115		2-phenyl-N-(4-{3-[4-(pyrimidin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.38 MW _{found} = 502.6 MW _{calc} = 501.6
13.116		N-(4-{3-[4-(2-methoxyethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 0.88 MW _{found} = 482.6 MW _{calc} = 481.6
13.117		N-[4-(3-{4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]-2-phenylacetamide	RT = 0.88 MW _{found} = 537.7 MW _{calc} = 536.7

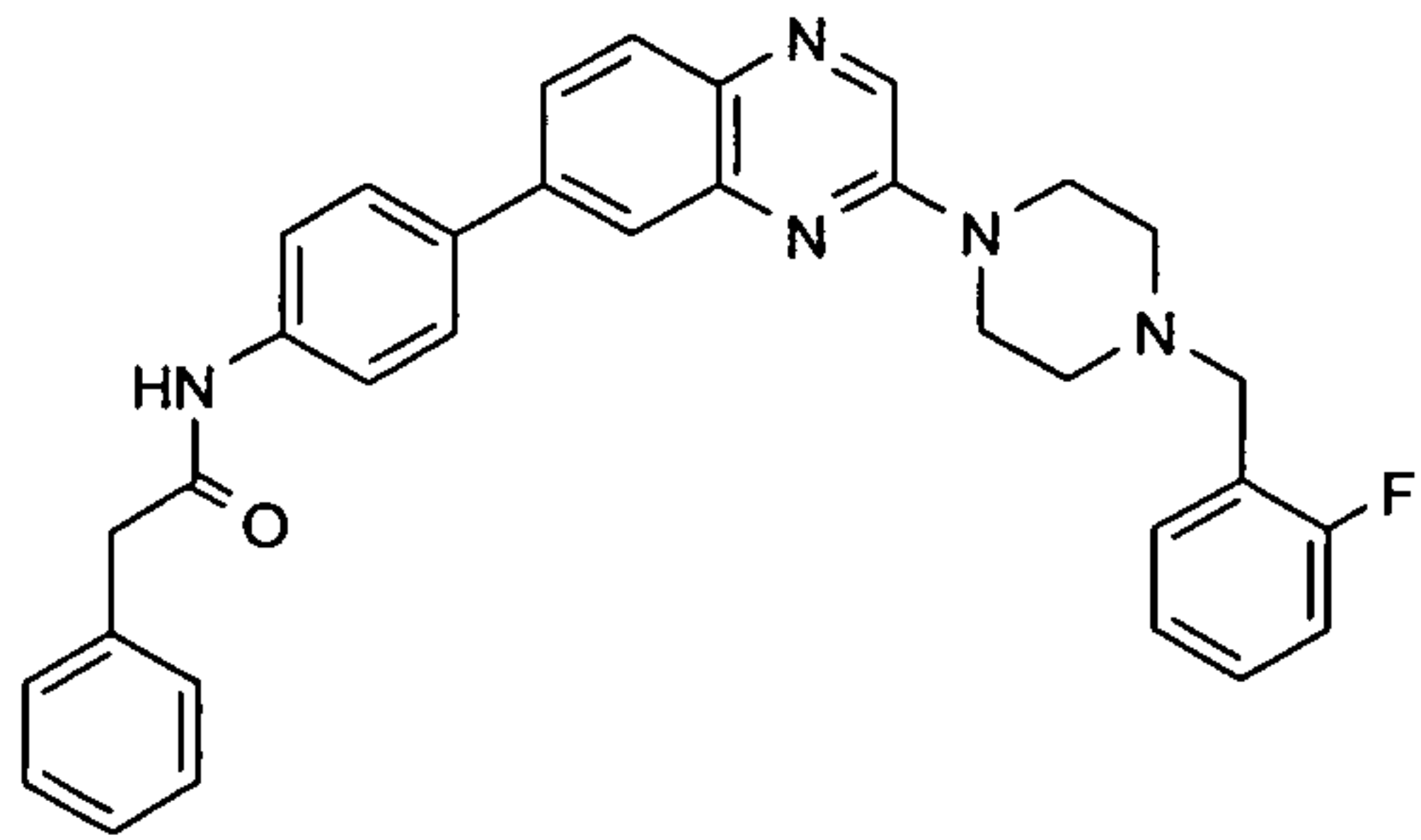
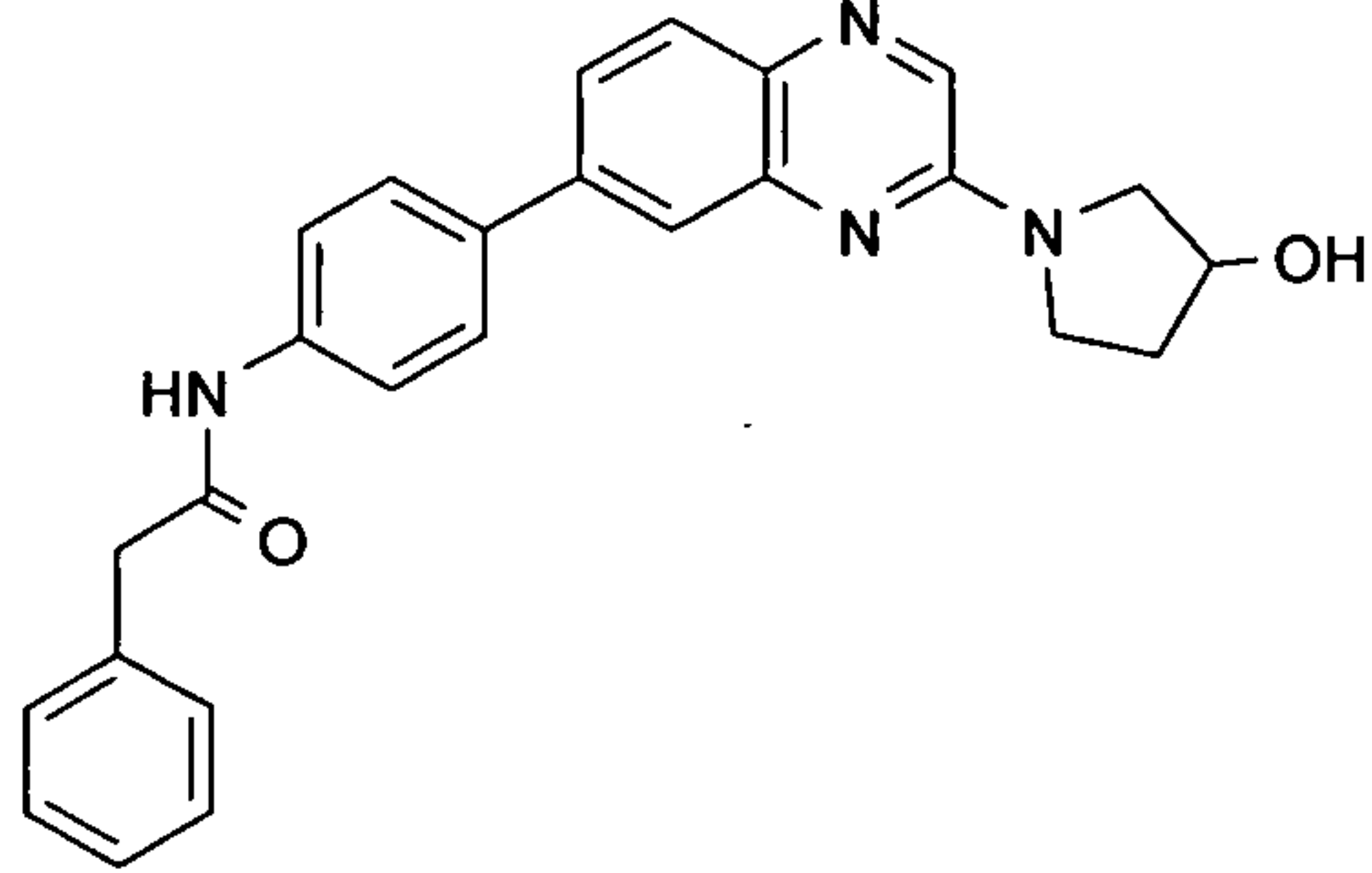
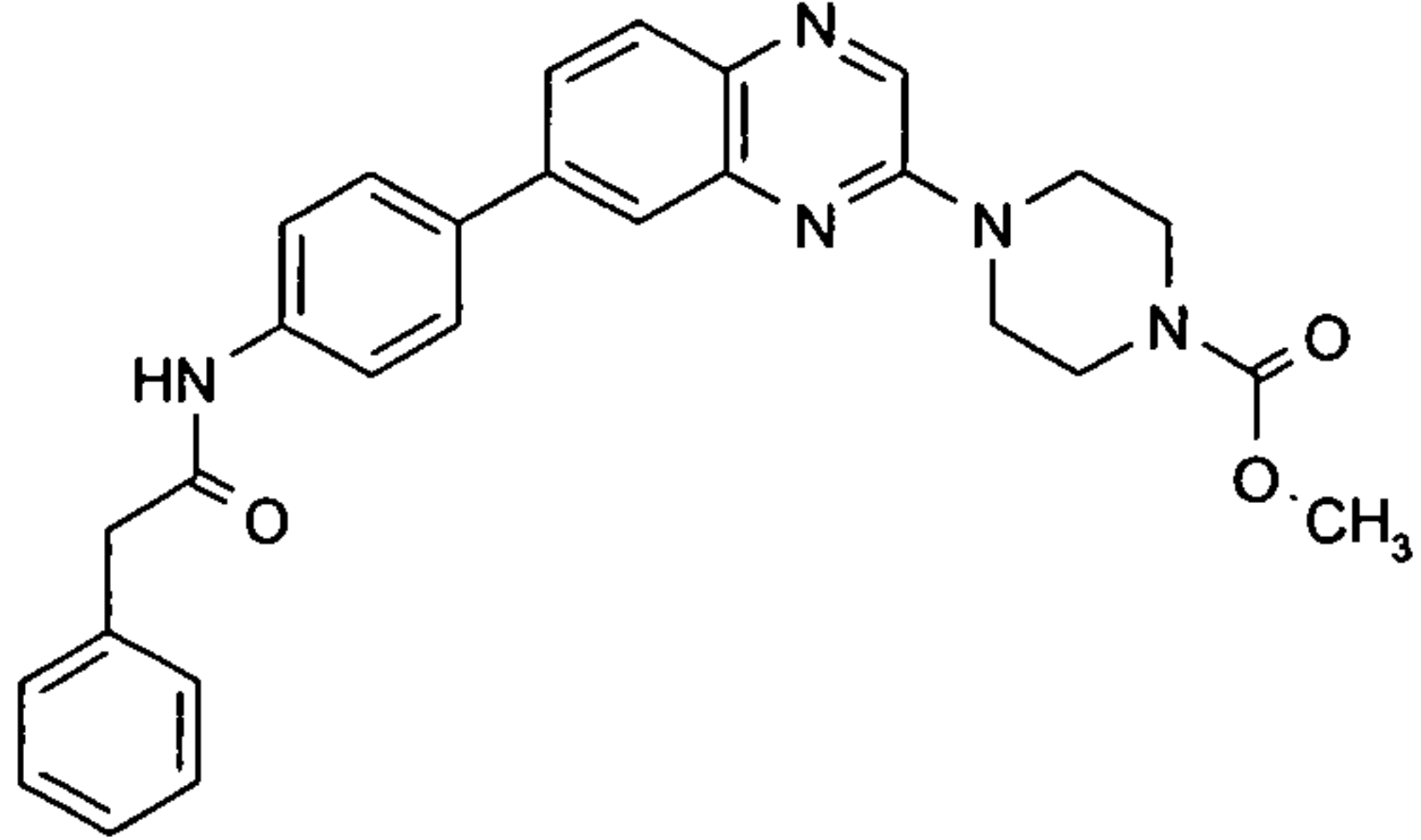
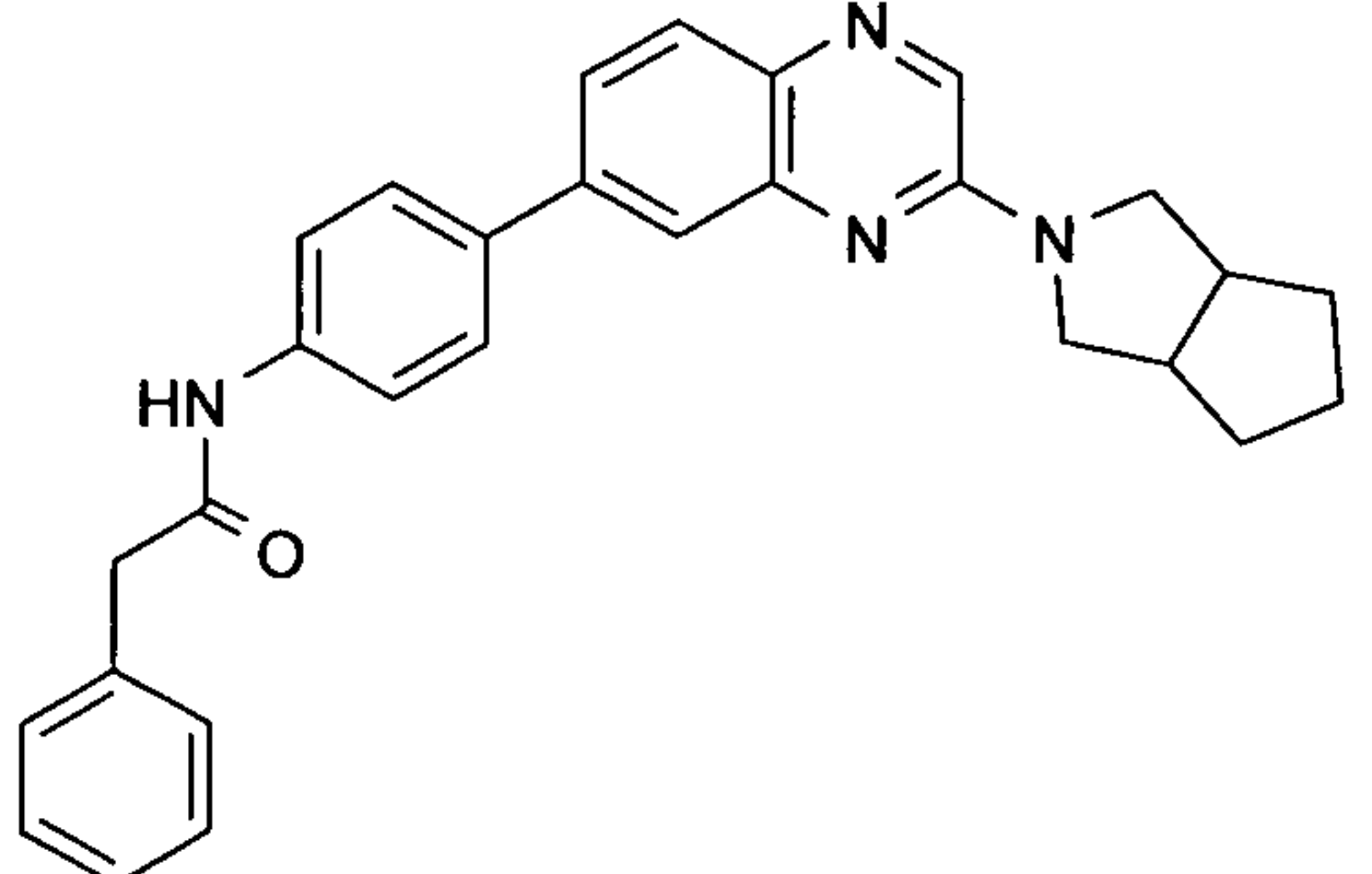
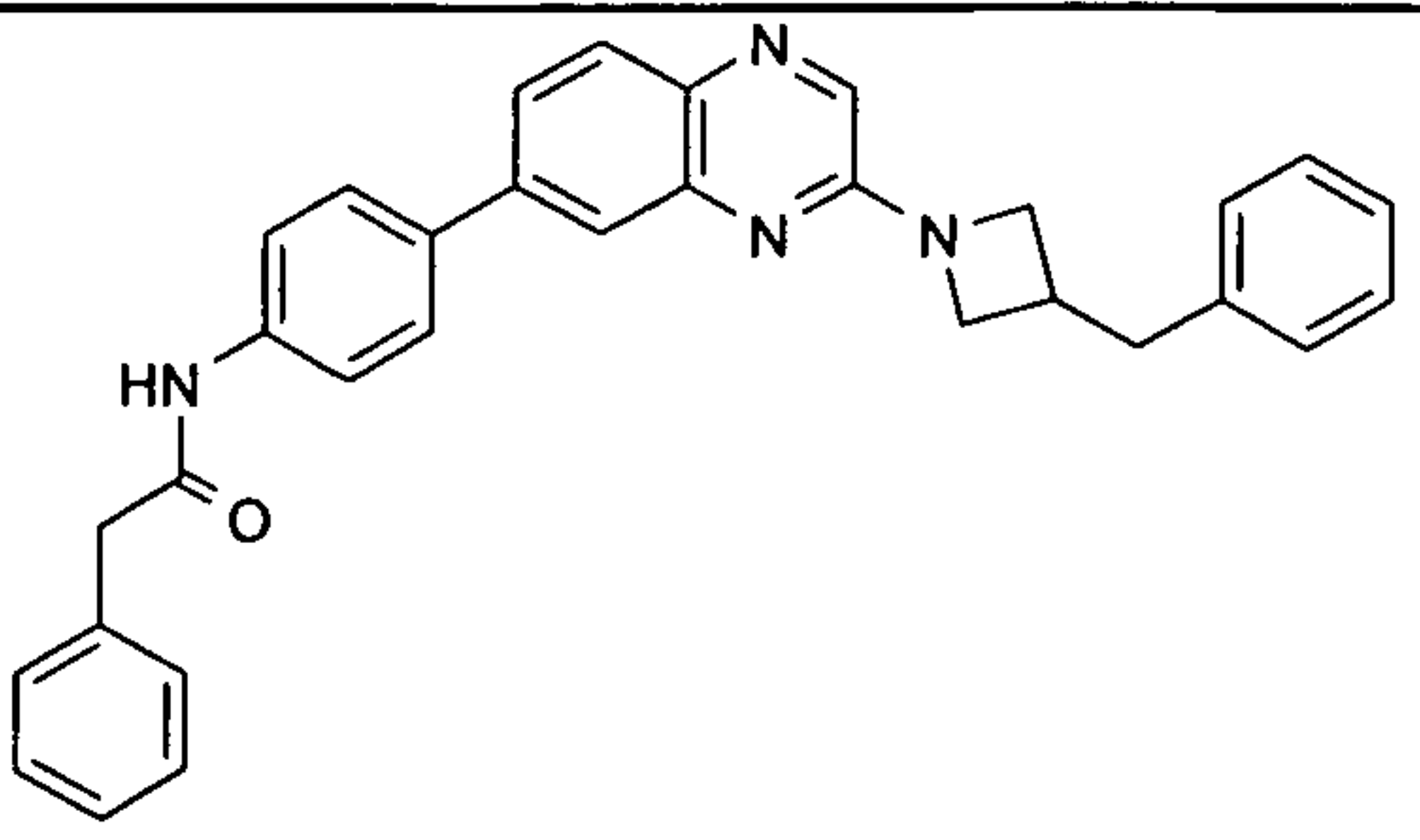
13.118		benzyl 4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazine-1-carboxylate	RT = 1.46 MW _{found} = 558.6 MW _{calc} = 557.6
13.119		N-{4-[3-(4-fluoropiperidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.37 MW _{found} = 441.5 MW _{calc} = 440.5
13.120		2-phenyl-N-(4-{3-[4-(3-phenylpropyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.01 MW _{found} = 542.7 MW _{calc} = 541.7
13.121		N-(4-{3-[4-(4-cyanophenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.42 MW _{found} = 525.6 MW _{calc} = 524.6
13.122		N,N-dimethyl-2-[4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazin-1-yl]acetamide	RT = 0.87 MW _{found} = 509.6 MW _{calc} = 508.6

13.123		N-(4-{3-[4-(4-fluorobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 0.98 MW _{found} = 532.6 MW _{calc} = 531.6
13.124		2-phenyl-N-[4-(3-{4-[2-(pyrrolidin-1-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]acetamide	RT = 0.88 MW _{found} = 521.7 MW _{calc} = 520.7
13.125		N-(4-{3-[4-(3-cyanobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.00 MW _{found} = 539.6 MW _{calc} = 538.6
13.126		N-(4-{3-[4-(4-cyanobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.01 MW _{found} = 539.6 MW _{calc} = 538.6
13.127		N-{4-[3-(4-benzyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 0.97 MW _{found} = 528.7 MW _{calc} = 527.7

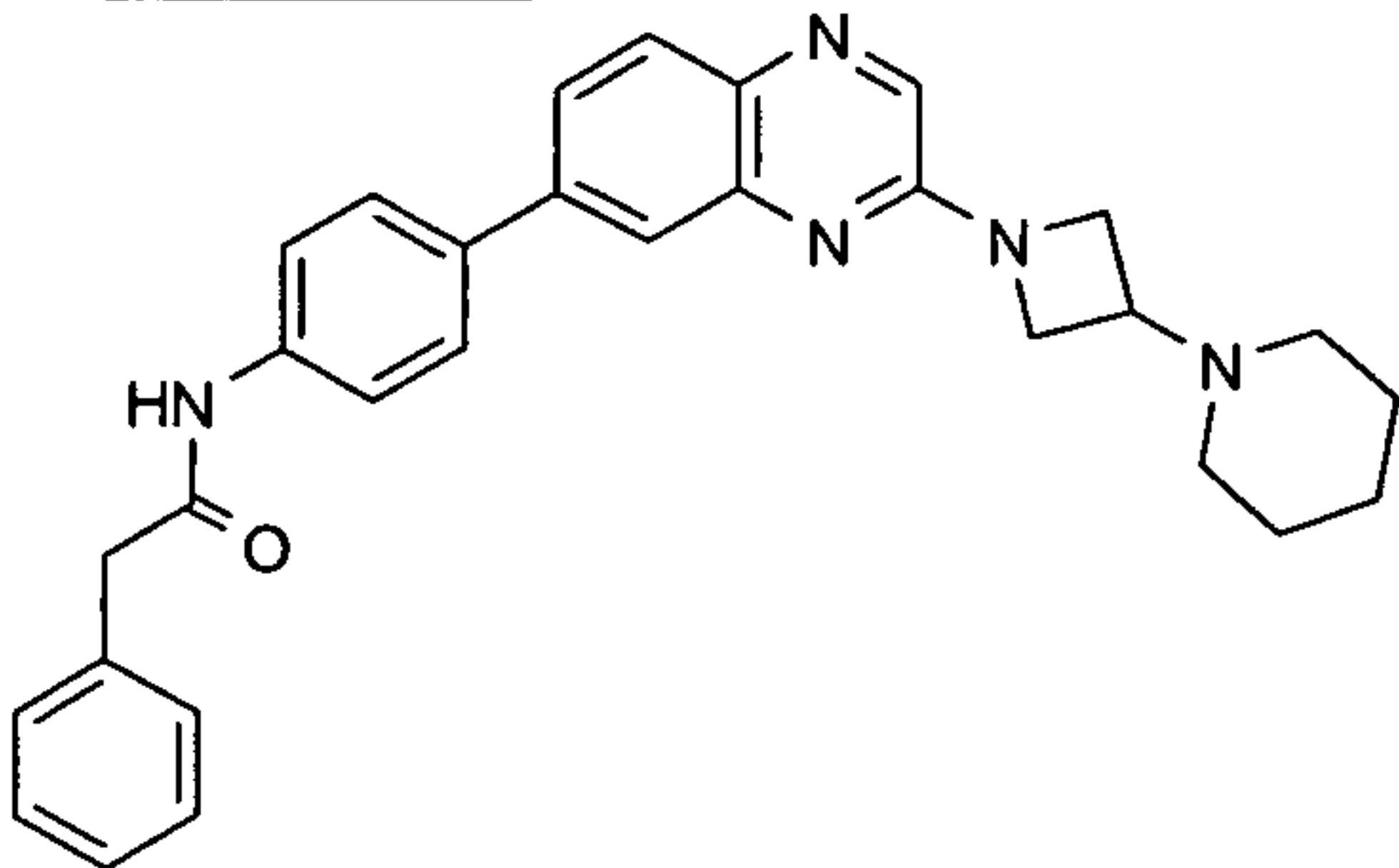
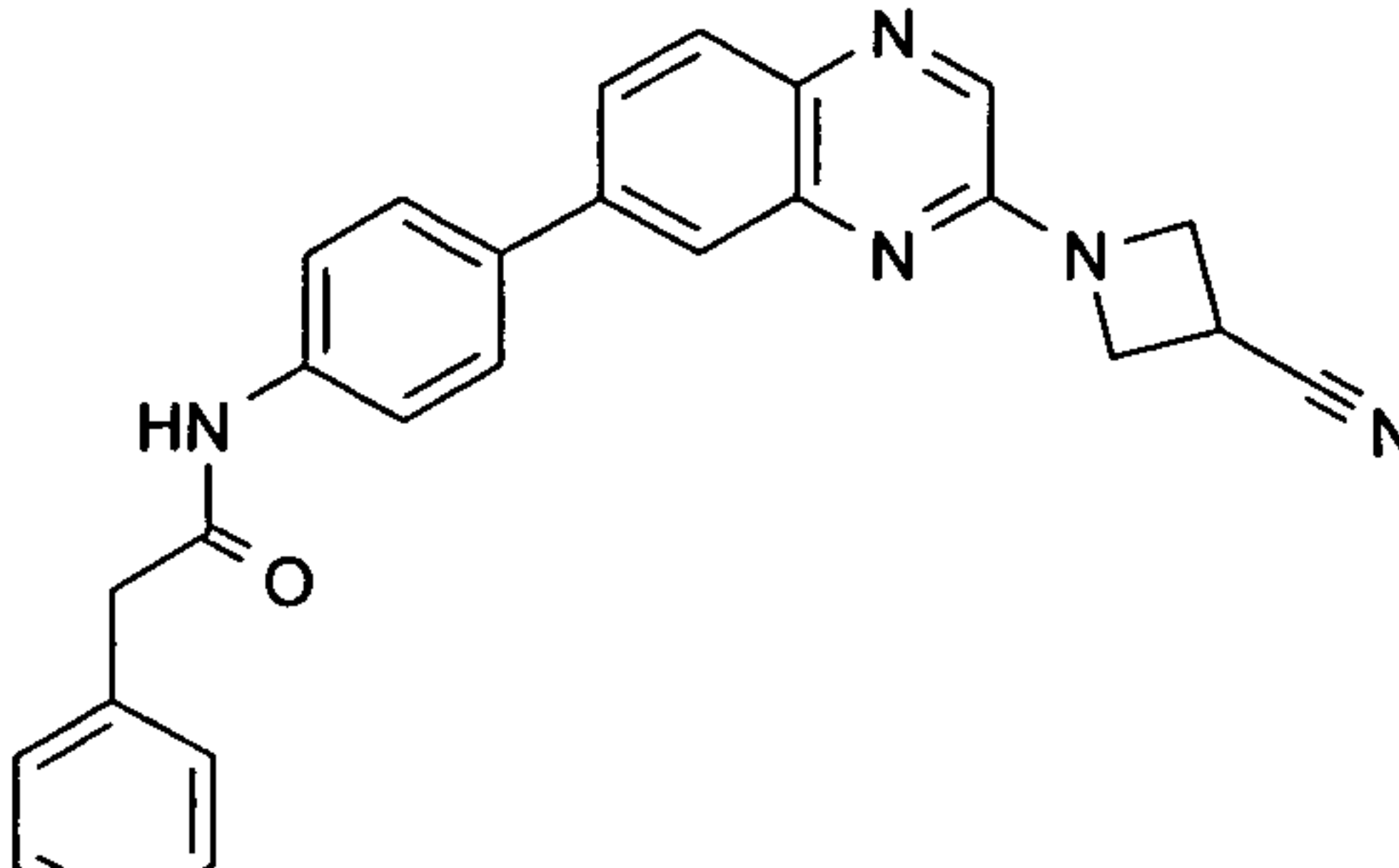
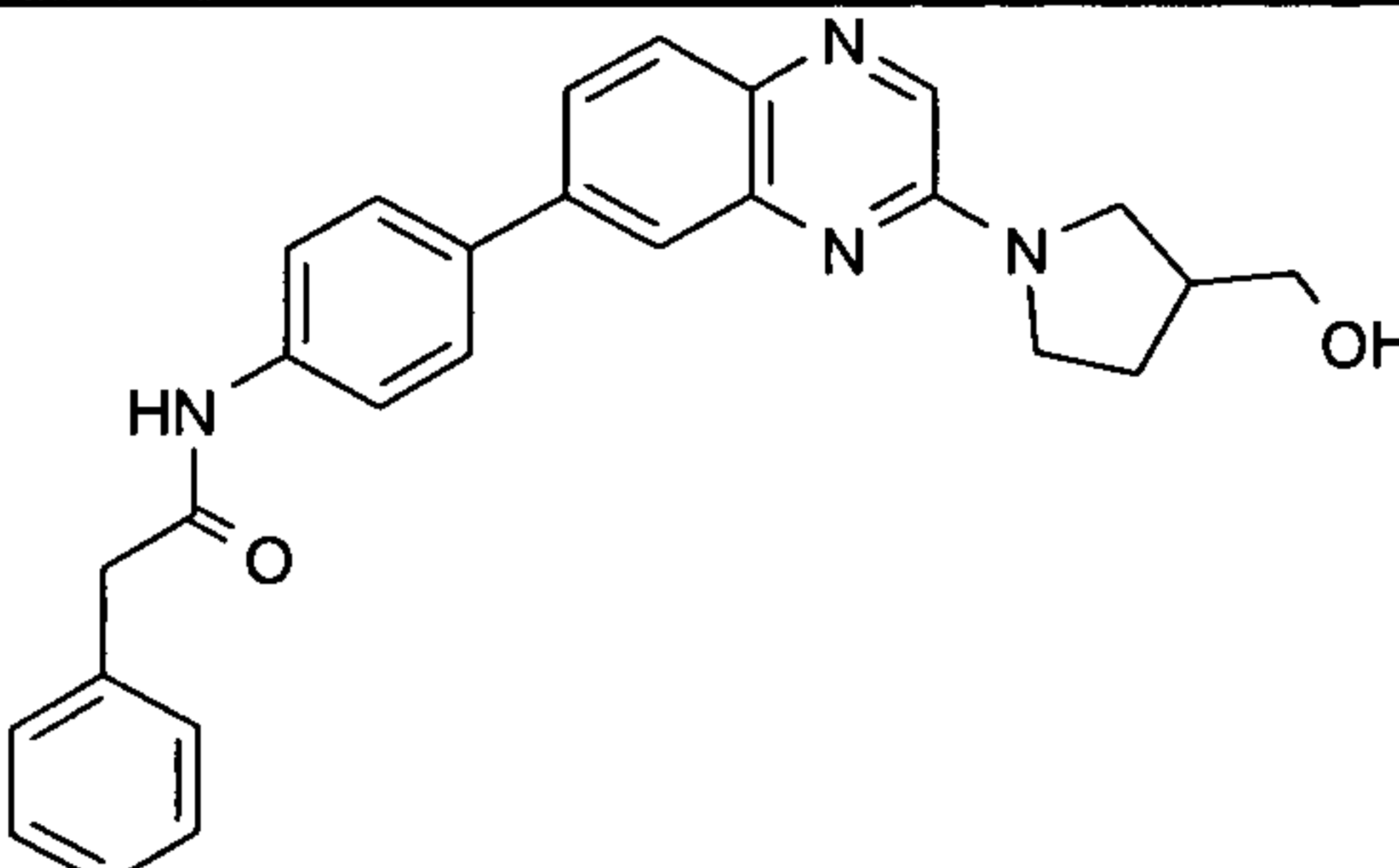
13.128		2-phenyl-N-(4-{3-[4-(2-phenylethyl)-1,4-diazepan-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 1.00 MW _{found} = 542.7 MW _{calc} = 541.7
13.129		2-phenyl-N-[4-(3-{4-[3-(pyrrolidin-1-yl)propyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]acetamide	RT = 0.75 MW _{found} = 535.7 MW _{calc} = 534.7
13.130		N-{4-[3-(2-cyanomorpholin-4-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.25 MW _{found} = 450.5 MW _{calc} = 449.5
13.131		2-phenyl-N-{4-[3-(2-phenylpyrrolidin-1-yl)quinoxalin-6-yl]phenyl}acetamide	RT = 1.52 MW _{found} = 485.6 MW _{calc} = 484.6
13.132		2-phenyl-N-(4-{3-[3-(pyridin-4-yl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.96 MW _{found} = 486.6 MW _{calc} = 485.6

13.133		N-(4-{3-[4-(3-methoxypropyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 0.89 MW _{found} = 496.6 MW _{calc} = 495.6
13.134		2-phenyl-N-(4-{3-[4-(pyridin-2-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.92 MW _{found} = 515.6 MW _{calc} = 514.6
13.135		N-[4-(3-{4-[(1-methylpiperidin-3-yl)methyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]-2-phenylacetamide	RT = 0.77 MW _{found} = 535.7 MW _{calc} = 534.7
13.136		N-(4-{3-[4-(ethylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.28 MW _{found} = 516.6 MW _{calc} = 515.6
13.137		N-{4-[3-(4,4-dimethylpiperidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.59 MW _{found} = 451.6 MW _{calc} = 450.6

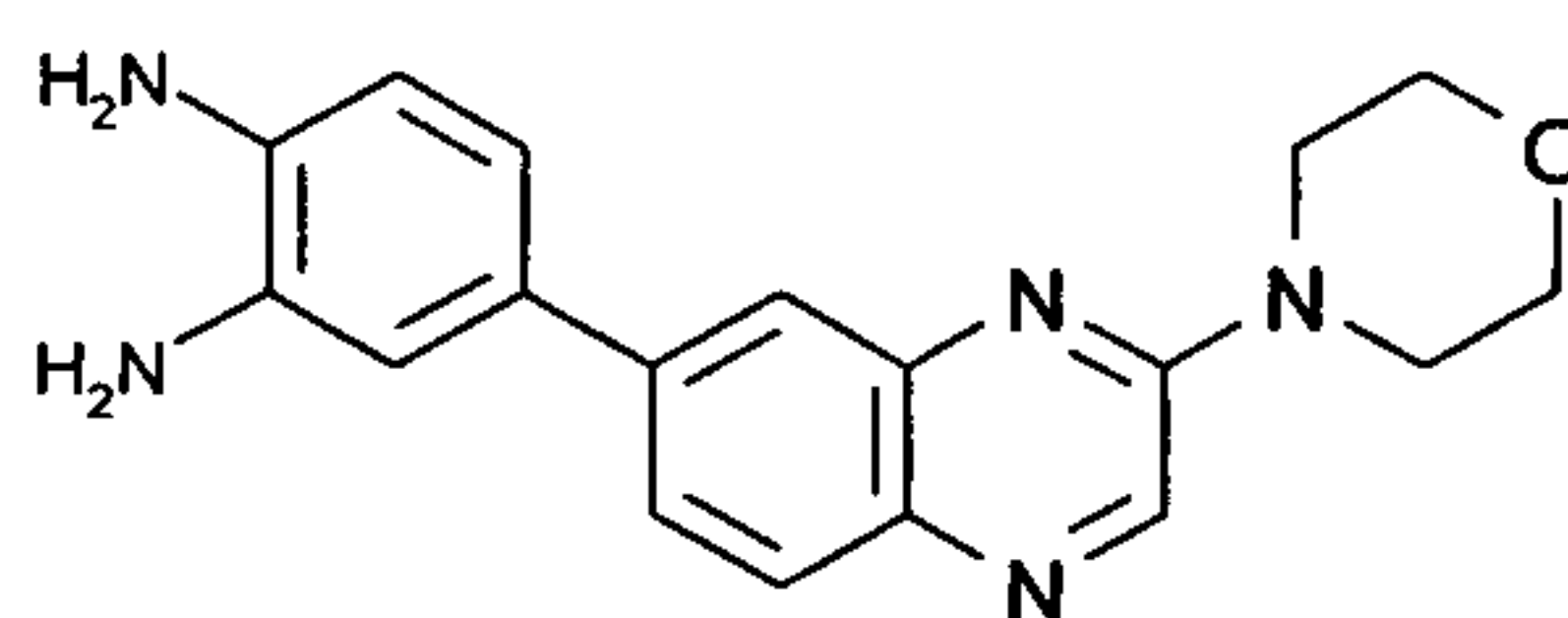
13.138		N-{4-[3-(3,3-difluoroazetidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.33 MW _{found} = 431.4 MW _{calc} = 430.4
13.139		N-(4-{3-[2-(hydroxymethyl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.16 MW _{found} = 439.5 MW _{calc} = 438.5
13.140		N-(4-{3-[4-(3-chlorobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.08 MW _{found} = 549.1 MW _{calc} = 548.1
13.141		N-(4-{3-[4-(methylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.24 MW _{found} = 502.6 MW _{calc} = 501.6
13.142		N-{4-[3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 0.88 MW _{found} = 464.6 MW _{calc} = 463.6

13.143		N-(4-{3-[4-(2-fluorobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.00 MW _{found} = 532.6 MW _{calc} = 531.6
13.144		N-{4-[3-(3-hydroxypyrrolidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.05 MW _{found} = 425.5 MW _{calc} = 424.5
13.145		methyl 4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazine-1-carboxylate	RT = 1.29 MW _{found} = 482.5 MW _{calc} = 481.5
13.146		N-{4-[3-(hexahydrocyclopenta[c]pyrrol-2(1H)-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.46 MW _{found} = 449.6 MW _{calc} = 448.6
13.147		N-{4-[3-(3-benzylazetidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.46 MW _{found} = 485.6 MW _{calc} = 484.6

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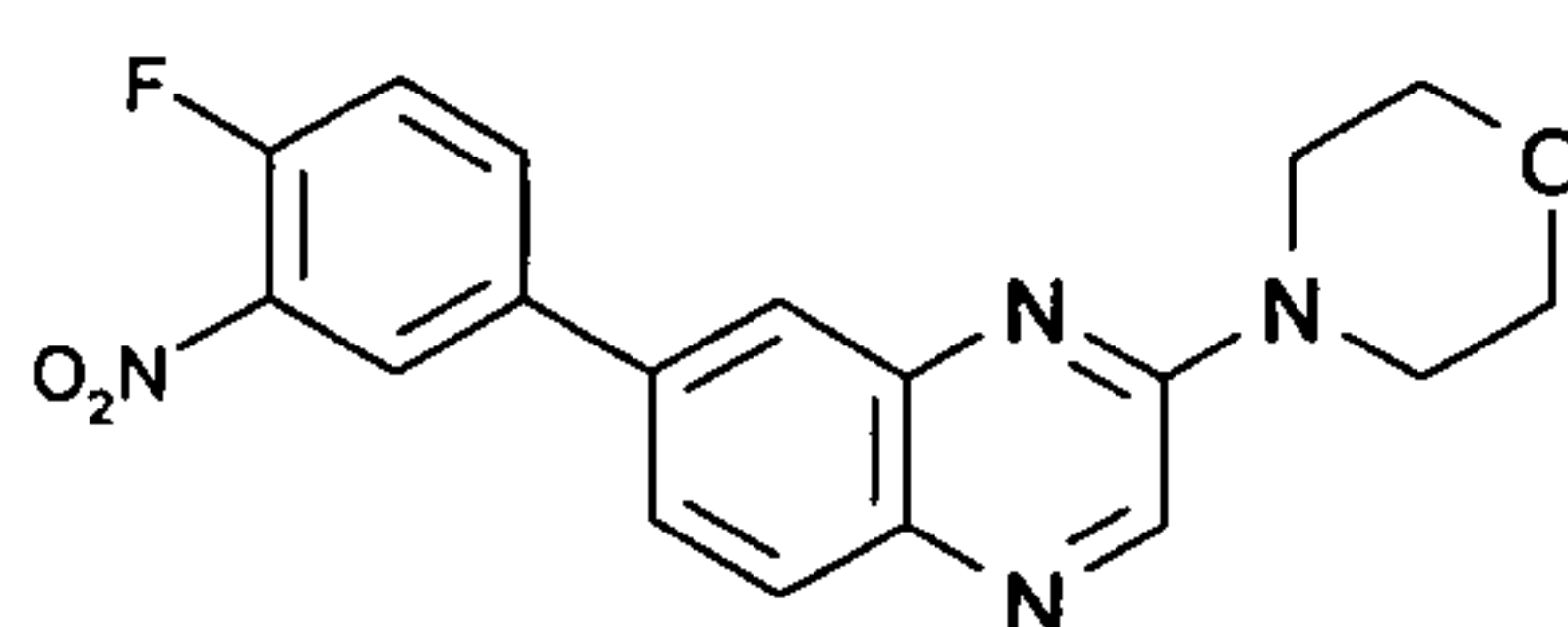
13.148		2-phenyl-N-(4-{3-[3-(piperidin-1-yl)azetidin-1-yl]quinoxalin-6-yl}phenyl)acetamide	RT = 0.87 MW _{found} = 478.6 MW _{calc} = 477.6
13.149		N-{4-[3-(3-cyanoazetidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	RT = 1.49 MW _{found} = 420.5 MW _{calc} = 419.5
13.150		N-(4-{3-[3-(hydroxymethyl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide	RT = 1.05 MW _{found} = 439.5 MW _{calc} = 438.5

Example 14.1: Preparation of 4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzene-1,2-diamine



5

Step A: Preparation of 7-(4-fluoro-3-nitrophenyl)-2-(morpholin-4-yl)quinoxaline

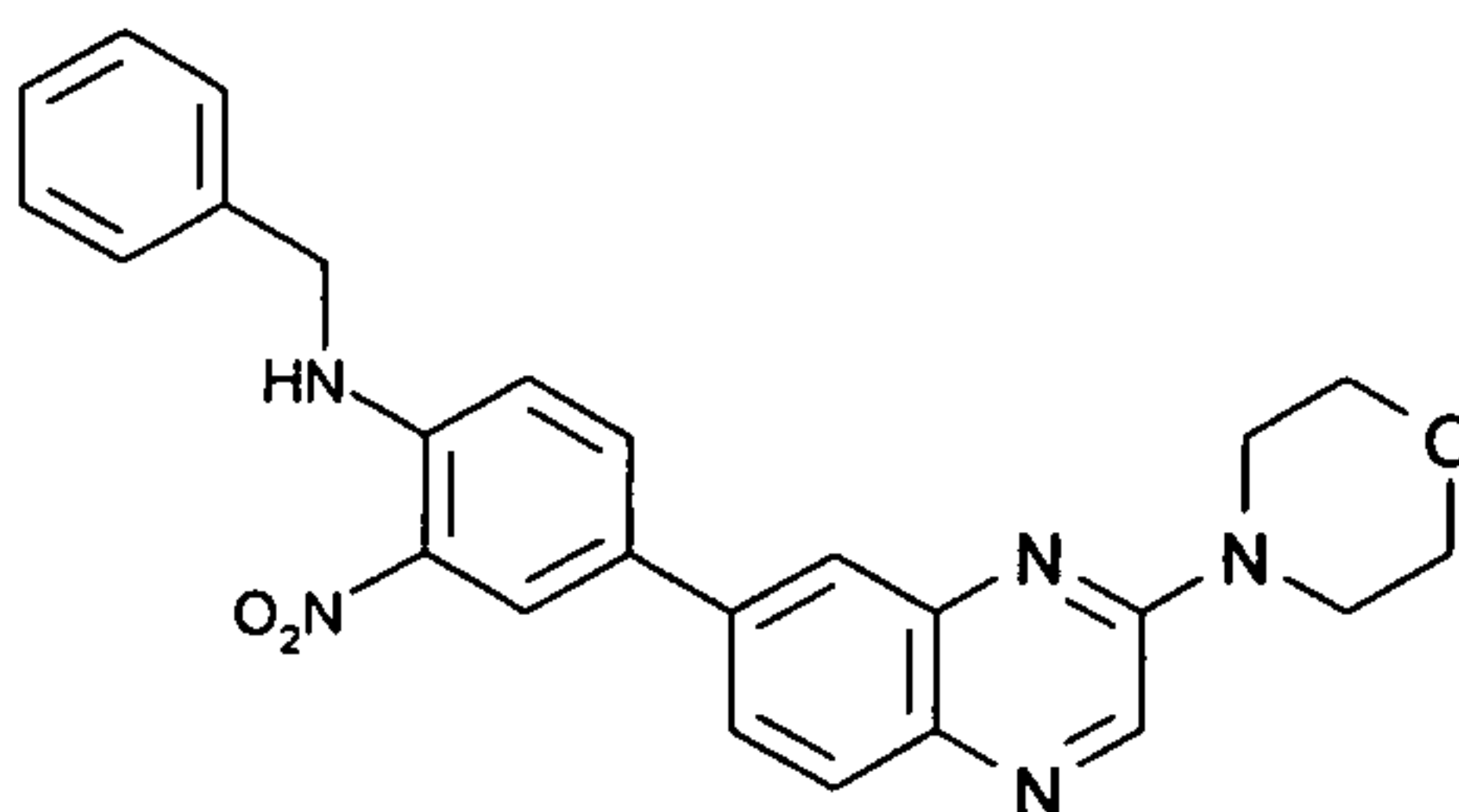


To a suspension of 7-bromo-2-morpholin-4-yl-quinoxaline (1g, 3.4 mmol),
 10 potassium carbonate (0.56 g, 4.1 mmol) and [1,1,-

Bis(diphenylphosphino)ferrocene]palladium(II)chloride (0.83 g, 1.0 mmol) in THF (38 mL) and water (3.8 mL) was added (4-fluoro-3-nitrophenyl)boronic acid (1.26 g, 6.8 mmol). The reaction mixture was allowed to reflux for 6h. After cooling to room temperature, the solvent was removed and the residue
5 was purified by chromatography (silica gel, Hexane/EtOAc: 20%→100% EtOAc) giving rise to 1.1 g (92%) of the desired product.

¹H-NMR (300MHz, DMSO-d₆): δ [ppm]= 3.70-3.80 (m, 8H), 7.64-7.77 (m, 2H), 7.89 - 7.93 (m, 2H), 8.24 (s, 1H), 8.46 (dd, 1H), 8.82 (s, 1H).

10 Step B: Preparation of N-benzyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]-2-nitroaniline



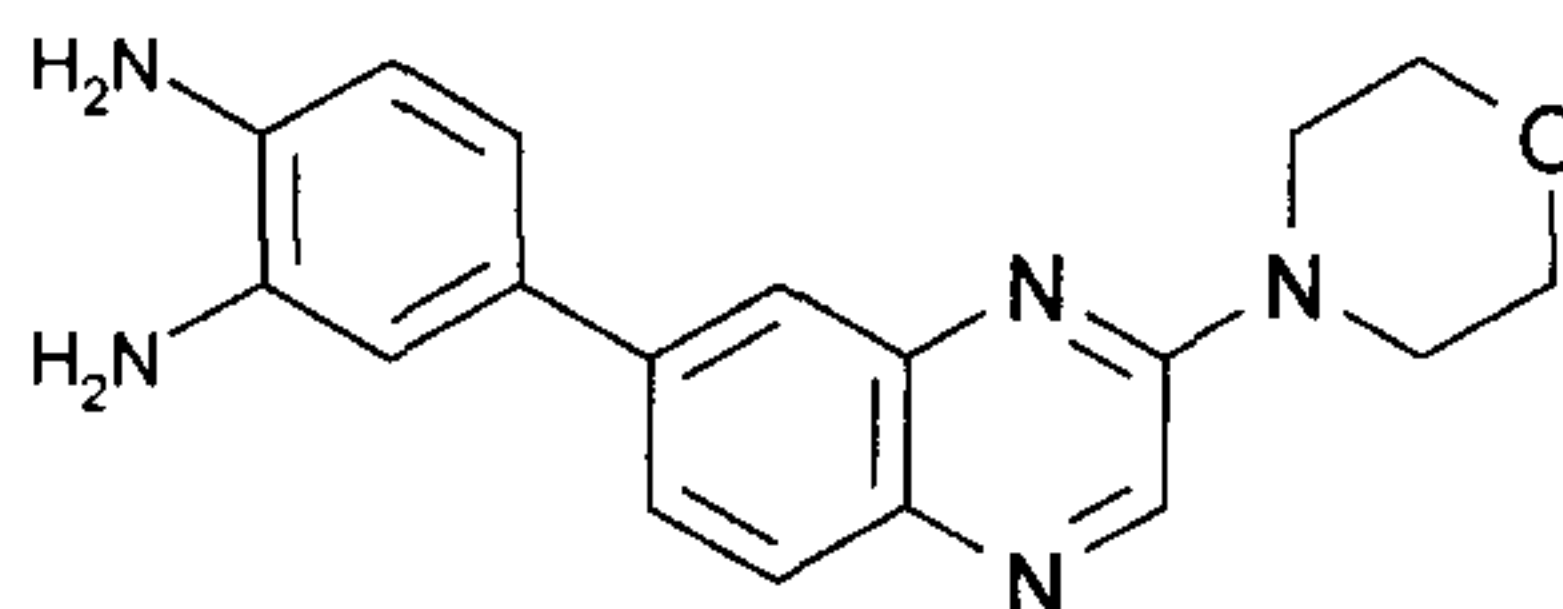
Benzylamine (336 mg, 3.1 mmol) and potassium carbonate (865 mg, 6.2 mmol) were added to a solution of 7-(4-fluoro-3-nitrophenyl)-2-(morpholin-4-yl)quinoxalin (1.1 g, 3.1 mmol) in DMF (100 mL). The mixture was stirred 24h
15 at room temperature and then diluted with EtOAc. The organic phase was washed with water and saturated aqueous sodium chloride solution, then dried over sodium sulphate. After filtration and subsequent removal of solvent, the residue was purified by chromatography (silica gel,
20 Hexane/EtOAc: 20%→100% EtOAc) giving rise to 1.29 g (93%) of the desired product.

¹H-NMR (300MHz, DMSO-d₆): δ [ppm]= 3.72 (s, 8H), 4.67 (d, 2H), 7.00 (d, 1H), 7.18 - 7.46 (m, 5H), 7.63 - 7.72 (m, 1H), 7.77 (d, 1H), 7.83 (d, 1H), 7.90 - 7.99 (m, 1H), 8.43 (d, 1H), 8.59 - 8.93 (m, 2H).

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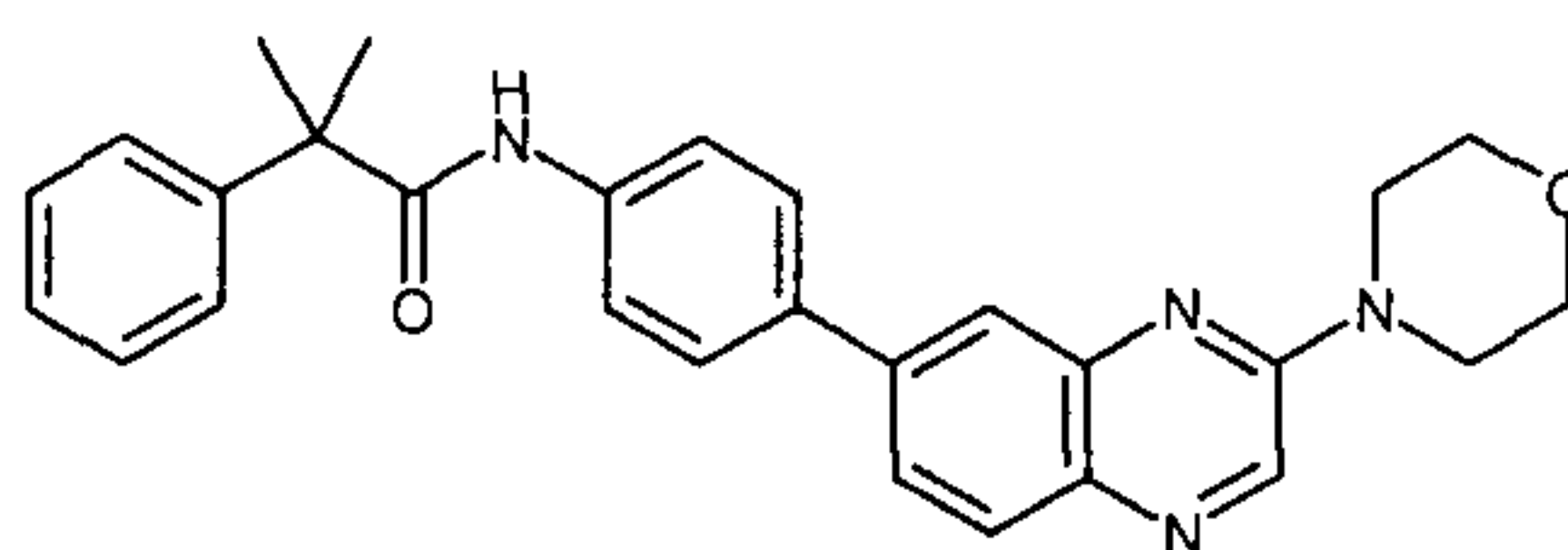
Step C: Preparation of 4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzene-1,2-diamine



Pd/C (120 mg, 1.13 mmol) and ammonium formate (857 mg, 13.6 mmol) were added to a suspension of N-benzyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]-2-nitroaniline (1.2 g, 2.7 mmol) in MeOH (120 mL) and water (0.12 mL). The reaction mixture was refluxed for 4h, then filtered over Celite™. After removal of solvent the residue was purified (silica gel, Hexane/EtOAc: 10%-->100% EtOAc) giving rise to 480 mg (48%) of the desired product.

¹H-NMR (400MHz, DMSO-d₆): δ [ppm]= 3.63 - 3.84 (m, 8H), 4.57 (br. s., 2H), 4.71 (br. s., 2H), 6.57 (d, 1H), 6.86 (dd, 1H), 7.00 (d, 1H), 7.53 - 7.66 (m, 2H), 7.76 (d, 1H), 8.68 (s, 1H).

Example 15.1: Preparation of N-(3-morpholin-4-yl-quinoxalin-6-yl)-2-phenyl-isobutyramide

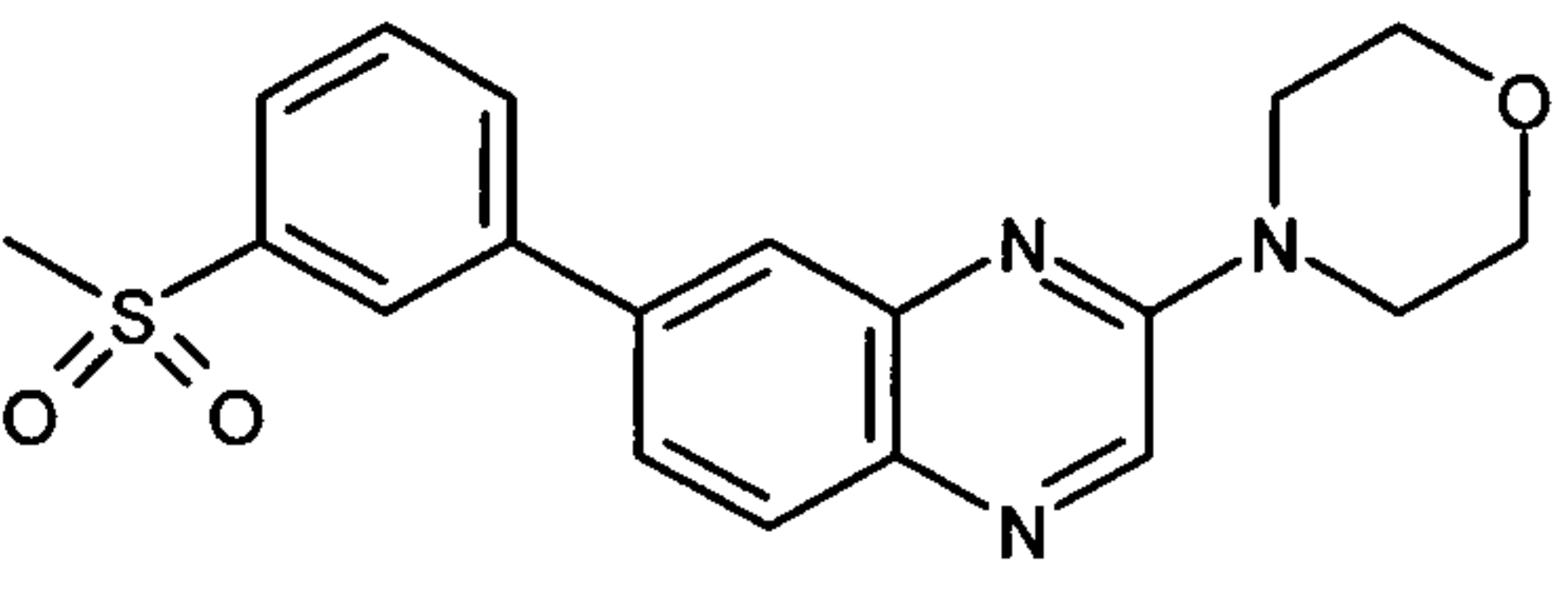


A mixture of 7-bromo-2-morpholin-4-yl-quinoxaline [intermediate example 2.1] (50 mg), 2-phenyl-N-[4-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-phenyl]-isobutyramide (87 mg, 0.24 mmol) and Pd(PPh₃)₄ in 1:1 Toluene/EtOH (2 mL) was treated with 1M aq. sodium carbonate solution and heated at 120 °C under microwave irradiation for 15 minutes. The reaction was partitioned between EtOAc and water, the phases separated and the organic layer concentrated *in vacuo*. The reaction was repeated and the combined crude products were purified by trituration with DMSO to give the title compound

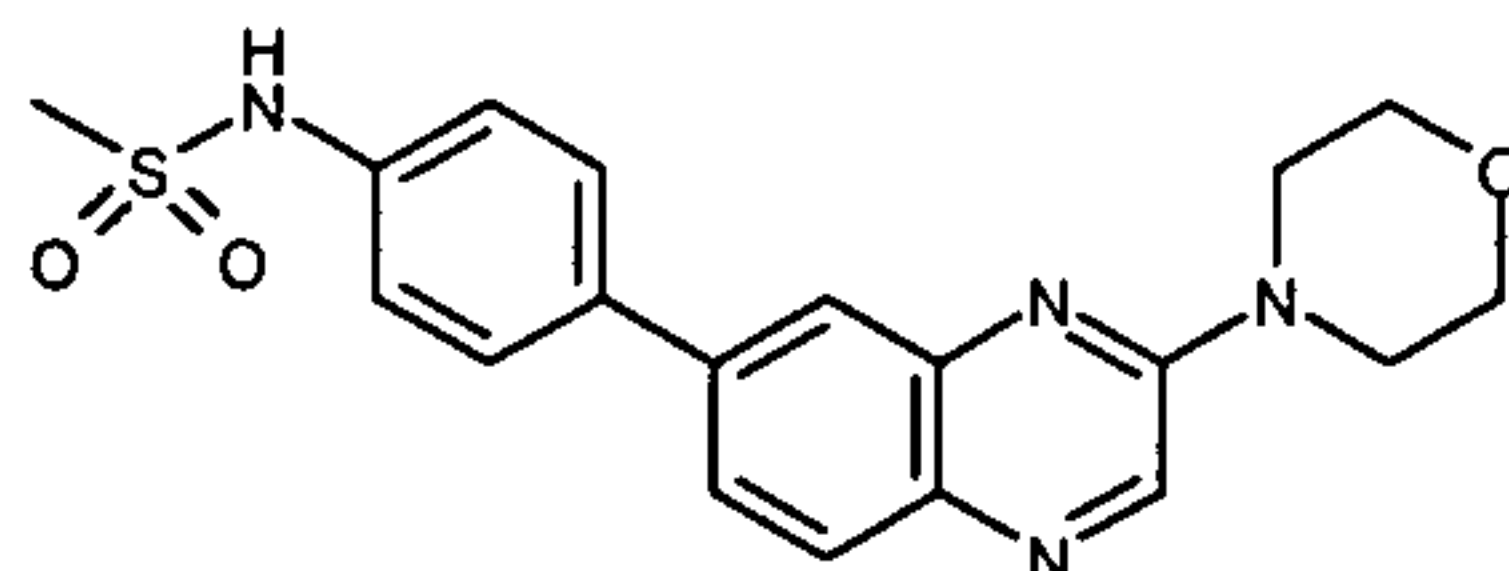
(108 mg). UPLC-MS: RT = 1.36 min; m/z (ES+) 453.27 [MH⁺]; required MW = 452.56.

The following compound was synthesised in an analogous manner:

5

Example	Structure	Name	Analytical Data
15.2		7-(3-methanesulfonyl-phenyl)-2-morpholin-4-yl-quinoline	1H-NMR (300 MHz, d6-DMSO) δ = 8.62 (1H, s), 8.27 (1H, m), 8.14-8.17 (1H, m), 7.97 (1H, d), 7.91-7.94 (2H, m), 7.72-7.81 (2H, m), 3.74 (8H, s), 3.30 (3H, s) ppm

Example 16.1: Preparation of N-[4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-methanesulfonamide

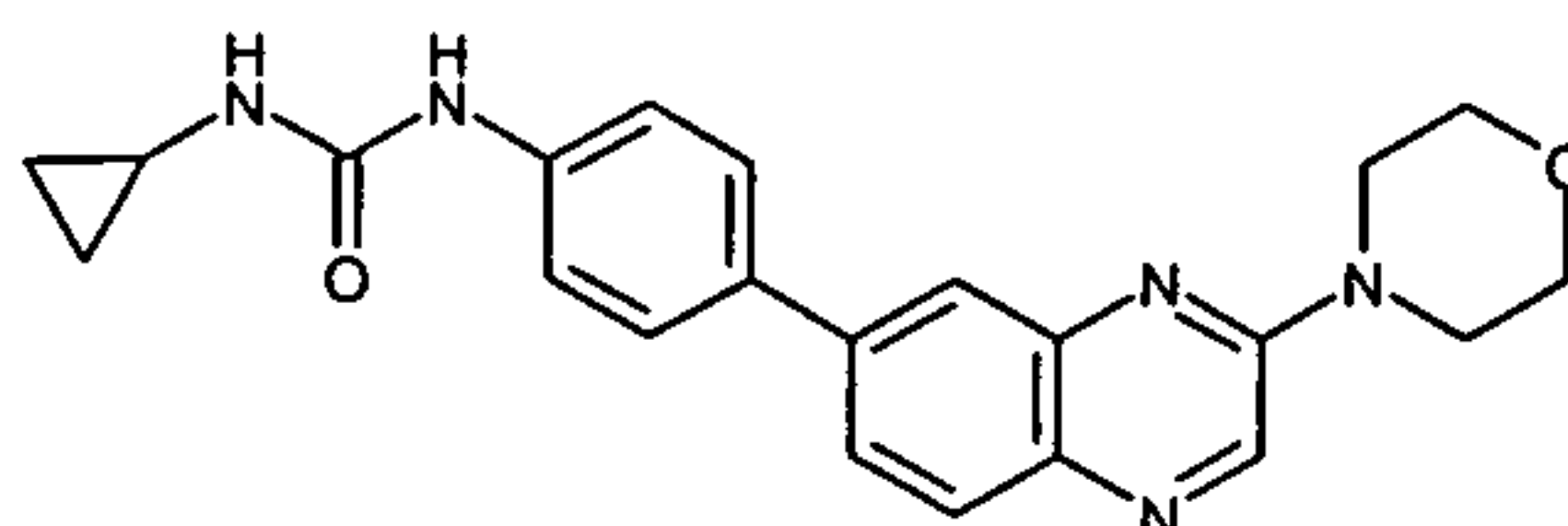


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A mixture of 4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenylamine [intermediate example 4.1] (100 mg) and pyridine (0.5 mL) was treated with a solution of methane sulfonyl chloride (75 mg, 0.65 mmol) in pyridine (0.6 mL) and stirred at room temperature overnight. The reaction was partitioned between dichloromethane and water, the phases separated and the organic layer concentrated *in vacuo*. The residue was triturated with dichloromethane and filtered to give the title compound (71 mg). UPLC-MS: RT = 0.97 min; m/z (ES+) 385.19 [MH⁺]; required MW = 384.46.

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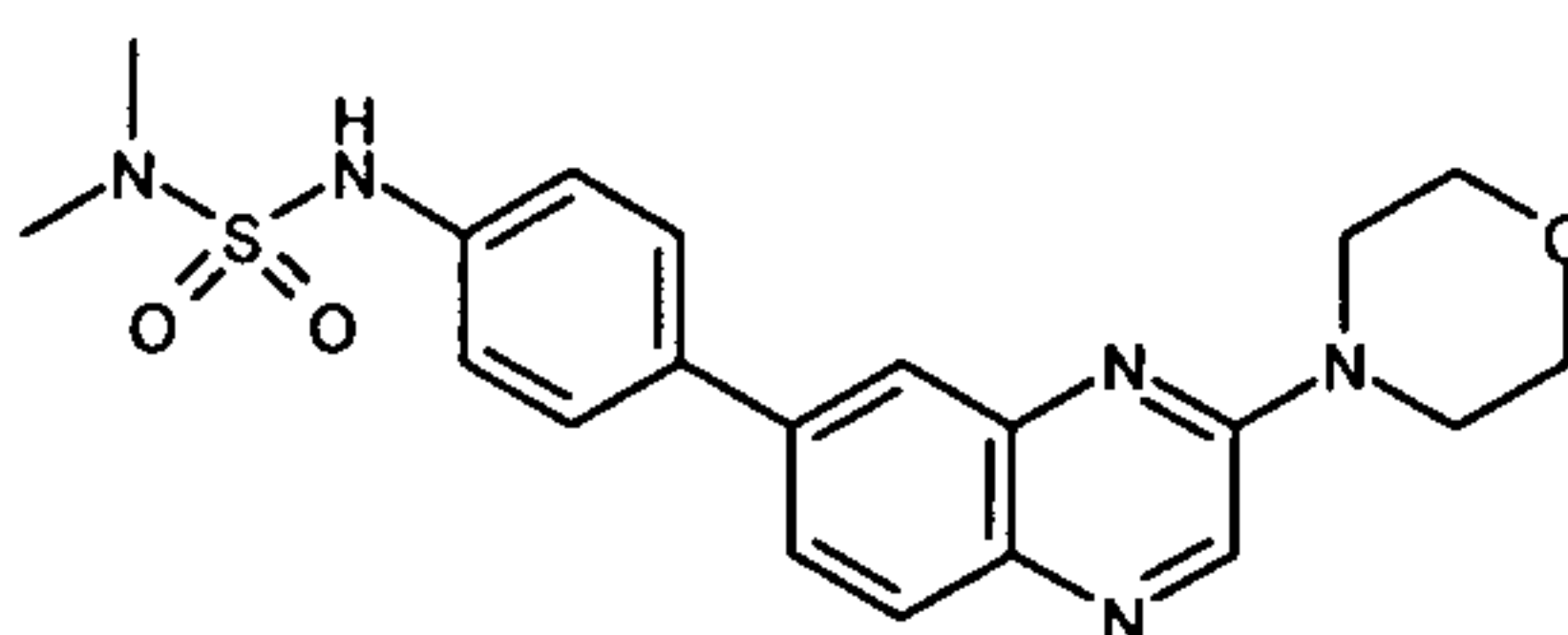
Example 17.1: Preparation of 1-cyclopropyl-3-[4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-urea



A mixture of 4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenylamine [Intermediate
 5 Example 4.1] (100 mg) in dichloromethane (3.3 mL) was cooled (ice bath) and treated with cyclopropyl isocyanate (30 mg, 0.36 mmol) and stirred at room temperature for 3 days. The resulting precipitate was filtered, washed with dichloromethane and dried to give the title compound (96 mg). UPLC-MS: RT = 1.01 min; m/z (ES+) 390.24 [MH⁺]; required MW = 389.46.

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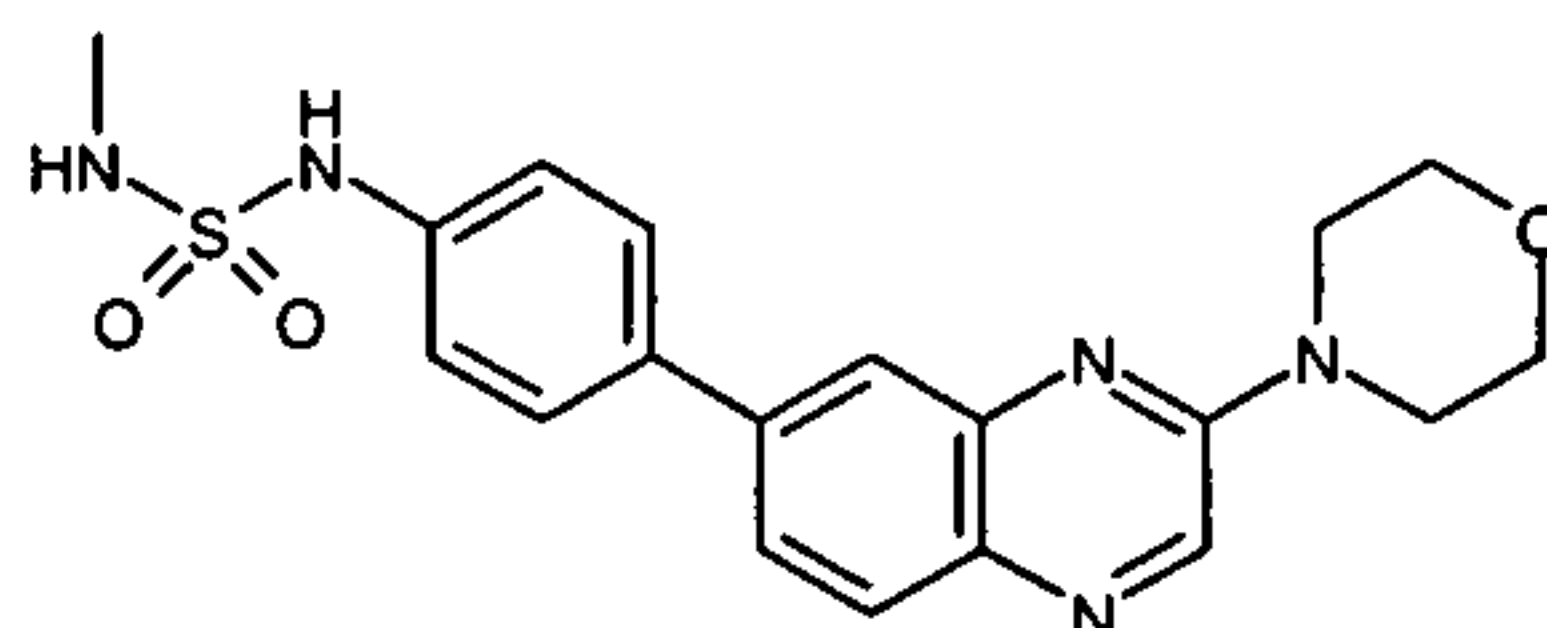
Example 18.1: Preparation of N,N-dimethyl-N'-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}sulfuric diamide



15 A mixture of 4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenylamine [intermediate example 4.1] (100 mg) and pyridine (0.5 mL) was treated with a solution of dimethylsulfamyl chloride (75 mg, 0.65 mmol) in pyridine (0.6 mL) and stirred at room temperature overnight. The reaction was partitioned between dichloromethane and water, the phases separated and the organic layer
 20 concentrated *in vacuo*. The residue was purified by preparative reverse phase HPLC to give the title compound (71 mg). ¹H-NMR (300 MHz, d₆-DMSO) δ = 10.06 (1H, br s), 8.76 (1H, s), 7.84 (1H, d), 7.66-7.79 (4H, m), 7.27 (2H, d), 3.72 (8H, s), 2.70 (6H, s).

25

Example 19.1: Preparation of N-methyl-N'-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}sulfuric diamide



5 A mixture of 4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenylamine [Intermediate Example 4.1] (100 mg) and pyridine (0.5 mL) was treated with a solution of methanesulfamyl chloride (75 mg, 0.65 mmol) in pyridine (0.6 mL) and stirred at room temperature overnight. The reaction was partitioned between dichloromethane and water, the phases separated and the organic layer
10 concentrated *in vacuo*. The residue was purified by preparative reverse phase HPLC to give the title compound (30 mg), contaminated by *ca.* 20% formic acid.

¹H-NMR (300 MHz, d₆-DMSO) δ = 9.85 (1H, s), 8.75 (1H, s), 8.10 (*HCO*₂H), 7.84 (1H, d), 7.67-7.78 (4H, m), 7.37 (1H, q), 7.24 (2H, d), 3.72 (8H, s), [CH₃ signals
15 obscured by solvent].

Further, the compounds of formula (I) of the present invention can be converted to any salt as described herein, by any method which is known to the person skilled in the art. Similarly, any salt of a compound of formula (I)
20 of the present invention can be converted into the free compound, by any method which is known to the person skilled in the art.

Pharmaceutical compositions of the compounds of the invention

This invention also relates to pharmaceutical compositions containing one or
25 more compounds of the present invention. These compositions can be utilised to achieve the desired pharmacological effect by administration to a patient in need thereof. A patient, for the purpose of this invention, is a mammal, including a human, in need of treatment for the particular condition or

disease. Therefore, the present invention includes pharmaceutical compositions that are comprised of a pharmaceutically acceptable carrier and a pharmaceutically effective amount of a compound, or salt thereof, of the present invention. A pharmaceutically acceptable carrier is preferably a carrier that is relatively non-toxic and innocuous to a patient at concentrations consistent with effective activity of the active ingredient so that any side effects ascribable to the carrier do not vitiate the beneficial effects of the active ingredient. A pharmaceutically effective amount of compound is preferably that amount which produces a result or exerts an influence on the particular condition being treated. The compounds of the present invention can be administered with pharmaceutically-acceptable carriers well known in the art using any effective conventional dosage unit forms, including immediate, slow and timed release preparations, orally, parenterally, topically, nasally, ophthalmically, optically, sublingually, rectally, vaginally, and the like.

For oral administration, the compounds can be formulated into solid or liquid preparations such as capsules, pills, tablets, troches, lozenges, melts, powders, solutions, suspensions, or emulsions, and may be prepared according to methods known to the art for the manufacture of pharmaceutical compositions. The solid unit dosage forms can be a capsule that can be of the ordinary hard- or soft-shelled gelatin type containing, for example, surfactants, lubricants, and inert fillers such as lactose, sucrose, calcium phosphate, and corn starch.

In another embodiment, the compounds of this invention may be tableted with conventional tablet bases such as lactose, sucrose and cornstarch in combination with binders such as acacia, corn starch or gelatin, disintegrating agents intended to assist the break-up and dissolution of the tablet following administration such as potato starch, alginic acid, corn starch, and guar gum, gum tragacanth, acacia, lubricants intended to improve the flow of tablet granulation and to prevent the adhesion of tablet material to the surfaces of

the tablet dies and punches, for example talc, stearic acid, or magnesium, calcium or zinc stearate, dyes, coloring agents, and flavoring agents such as peppermint, oil of wintergreen, or cherry flavoring, intended to enhance the aesthetic qualities of the tablets and make them more acceptable to the patient. Suitable excipients for use in oral liquid dosage forms include dicalcium phosphate and diluents such as water and alcohols, for example, ethanol, benzyl alcohol, and polyethylene alcohols, either with or without the addition of a pharmaceutically acceptable surfactant, suspending agent or emulsifying agent. Various other materials may be present as coatings or to otherwise modify the physical form of the dosage unit. For instance tablets, pills or capsules may be coated with shellac, sugar or both.

Dispersible powders and granules are suitable for the preparation of an aqueous suspension. They provide the active ingredient in admixture with a dispersing or wetting agent, a suspending agent and one or more preservatives. Suitable dispersing or wetting agents and suspending agents are exemplified by those already mentioned above. Additional excipients, for example those sweetening, flavoring and coloring agents described above, may also be present.

The pharmaceutical compositions of this invention may also be in the form of oil-in-water emulsions. The oily phase may be a vegetable oil such as liquid paraffin or a mixture of vegetable oils. Suitable emulsifying agents may be (1) naturally occurring gums such as gum acacia and gum tragacanth, (2) naturally occurring phosphatides such as soy bean and lecithin, (3) esters or partial esters derived from fatty acids and hexitol anhydrides, for example, sorbitan monooleate, (4) condensation products of said partial esters with ethylene oxide, for example, polyoxyethylene sorbitan monooleate. The emulsions may also contain sweetening and flavoring agents.

Oily suspensions may be formulated by suspending the active ingredient in a vegetable oil such as, for example, arachis oil, olive oil, sesame oil or coconut

oil, or in a mineral oil such as liquid paraffin. The oily suspensions may contain a thickening agent such as, for example, beeswax, hard paraffin, or cetyl alcohol. The suspensions may also contain one or more preservatives, for example, ethyl or n-propyl p-hydroxybenzoate ; one or more coloring agents ;
5 one or more flavoring agents ; and one or more sweetening agents such as sucrose or saccharin.

Syrups and elixirs may be formulated with sweetening agents such as, for example, glycerol, propylene glycol, sorbitol or sucrose. Such formulations may also contain a demulcent, and preservative, such as methyl and propyl
10 parabens and flavoring and coloring agents.

The compounds of this invention may also be administered parenterally, that is, subcutaneously, intravenously, intraocularly, intrasynovially, intramuscularly, or interperitoneally, as injectable dosages of the compound in preferably a physiologically acceptable diluent with a pharmaceutical
15 carrier which can be a sterile liquid or mixture of liquids such as water, saline, aqueous dextrose and related sugar solutions, an alcohol such as ethanol, isopropanol, or hexadecyl alcohol, glycols such as propylene glycol or polyethylene glycol, glycerol ketals such as 2,2-dimethyl-1,1-dioxolane-4-methanol, ethers such as poly(ethylene glycol) 400, an oil, a fatty acid, a fatty
20 acid ester or, a fatty acid glyceride, or an acetylated fatty acid glyceride, with or without the addition of a pharmaceutically acceptable surfactant such as a soap or a detergent, suspending agent such as pectin, carbomers, methycellulose, hydroxypropylmethylcellulose, or carboxymethylcellulose, or emulsifying agent and other pharmaceutical adjuvants.

25 Illustrative of oils which can be used in the parenteral formulations of this invention are those of petroleum, animal, vegetable, or synthetic origin, for example, peanut oil, soybean oil, sesame oil, cottonseed oil, corn oil, olive oil, petrolatum and mineral oil. Suitable fatty acids include oleic acid, stearic acid, isostearic acid and myristic acid. Suitable fatty acid esters are, for

example, ethyl oleate and isopropyl myristate. Suitable soaps include fatty acid alkali metal, ammonium, and triethanolamine salts and suitable detergents include cationic detergents, for example dimethyl dialkyl ammonium halides, alkyl pyridinium halides, and alkylamine acetates ; anionic
5 detergents, for example, alkyl, aryl, and olefin sulfonates, alkyl, olefin, ether, and monoglyceride sulfates, and sulfosuccinates ; non-ionic detergents, for example, fatty amine oxides, fatty acid alkanolamides, and poly(oxyethylene-oxypropylene)s or ethylene oxide or propylene oxide copolymers ; and amphoteric detergents, for example, alkyl-beta-aminopropionates, and 2-
10 alkylimidazoline quarternary ammonium salts, as well as mixtures.

The parenteral compositions of this invention will typically contain from about 0.5% to about 25% by weight of the active ingredient in solution. Preservatives and buffers may also be used advantageously. In order to minimise or eliminate irritation at the site of injection, such compositions may contain a
15 non-ionic surfactant having a hydrophile-lipophile balance (HLB) preferably of from about 12 to about 17. The quantity of surfactant in such formulation preferably ranges from about 5% to about 15% by weight. The surfactant can be a single component having the above HLB or can be a mixture of two or more components having the desired HLB.

20 Illustrative of surfactants used in parenteral formulations are the class of polyethylene sorbitan fatty acid esters, for example, sorbitan monooleate and the high molecular weight adducts of ethylene oxide with a hydrophobic base, formed by the condensation of propylene oxide with propylene glycol.

The pharmaceutical compositions may be in the form of sterile injectable
25 aqueous suspensions. Such suspensions may be formulated according to known methods using suitable dispersing or wetting agents and suspending agents such as, for example, sodium carboxymethylcellulose, methylcellulose, hydroxypropylmethyl-cellulose, sodium alginate, polyvinylpyrrolidone, gum tragacanth and gum acacia ; dispersing or wetting agents which may be a

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naturally occurring phosphatide such as lecithin, a condensation product of an alkylene oxide with a fatty acid, for example, polyoxyethylene stearate, a condensation product of ethylene oxide with a long chain aliphatic alcohol, for example, heptadeca-ethyleneoxycetanol, a condensation product of ethylene oxide
5 with a partial ester derived from a fatty acid and a hexitol such as polyoxyethylene sorbitol monooleate, or a condensation product of an ethylene oxide with a partial ester derived from a fatty acid and a hexitol anhydride, for example polyoxyethylene sorbitan monooleate.

The sterile injectable preparation may also be a sterile injectable solution or
10 suspension in a non-toxic parenterally acceptable diluent or solvent. Diluents and solvents that may be employed are, for example, water, Ringer's solution, isotonic sodium chloride solutions and isotonic glucose solutions. In addition, sterile fixed oils are conventionally employed as solvents or suspending media. For this purpose, any bland, fixed oil may be employed including synthetic mono- or diglycerides. In
15 addition, fatty acids such as oleic acid can be used in the preparation of injectables.

A composition of the invention may also be administered in the form of suppositories for rectal administration of the drug. These compositions can be prepared by mixing the drug with a suitable non-irritation excipient which is solid
20 at ordinary temperatures but liquid at the rectal temperature and will therefore melt in the rectum to release the drug. Such materials are, for example, cocoa butter and polyethylene glycol.

Another formulation employed in the methods of the present invention employs transdermal delivery devices ("patches"). Such transdermal patches may be used to
25 provide continuous or discontinuous infusion of the compounds of the present invention in controlled amounts. The construction and use of transdermal patches for the delivery of pharmaceutical agents is well known in the art (see, e.g., US Patent No. 5,023,252, issued June 11, 1991). Such patches may be

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constructed for continuous, pulsatile, or on demand delivery of pharmaceutical agents.

Controlled release formulations for parenteral administration include liposomal, polymeric microsphere and polymeric gel formulations that are known in the art.

- 5 It may be desirable or necessary to introduce the pharmaceutical composition to the patient via a mechanical delivery device. The construction and use of mechanical delivery devices for the delivery of pharmaceutical agents is well known in the art. Direct techniques for, for example, administering a drug directly to the brain usually involve placement of a drug delivery catheter into the patient's
- 10 ventricular system to bypass the blood-brain barrier. One such implantable delivery system, used for the transport of agents to specific anatomical regions of the body, is described in US Patent No. 5,011,472, issued April 30, 1991.

The compositions of the invention can also contain other conventional pharmaceutically acceptable compounding ingredients, generally referred to as

15 carriers or diluents, as necessary or desired. Conventional procedures for preparing such compositions in appropriate dosage forms can be utilized. Such ingredients and procedures include those described in the following references: Powell, M.F. *et al.*, "Compendium of Excipients for Parenteral Formulations" PDA Journal of Pharmaceutical Science & Technology **1998**, 52(5), 238-311 ; Strickley, R.G

20 "Parenteral Formulations of Small Molecule Therapeutics Marketed in the United States (1999)-Part-1" PDA Journal of Pharmaceutical Science & Technology **1999**, 53(6), 324-349 ; and Nema, S. *et al.*, "Excipients and Their Use in Injectable Products" PDA Journal of Pharmaceutical Science & Technology **1997**, 51(4), 166-171.

Commonly used pharmaceutical ingredients that can be used as appropriate to formulate the composition for its intended route of administration include:

acidifying agents (examples include but are not limited to acetic acid, citric acid, fumaric acid, hydrochloric acid, nitric acid) ;

- 5 **alkalinizing agents** (examples include but are not limited to ammonia solution, ammonium carbonate, diethanolamine, monoethanolamine, potassium hydroxide, sodium borate, sodium carbonate, sodium hydroxide, triethanolamine, trolamine) ;

- 10 **adsorbents** (examples include but are not limited to powdered cellulose and activated charcoal) ;

aerosol propellants (examples include but are not limited to carbon dioxide, CCl_2F_2 , $\text{F}_2\text{ClC-CClF}_2$ and CClF_3)

air displacement agents (examples include but are not limited to nitrogen and argon) ;

- 15 **antifungal preservatives** (examples include but are not limited to benzoic acid, butylparaben, ethylparaben, methylparaben, propylparaben, sodium benzoate) ;

- 20 **antimicrobial preservatives** (examples include but are not limited to benzalkonium chloride, benzethonium chloride, benzyl alcohol, cetylpyridinium chloride, chlorobutanol, phenol, phenylethyl alcohol, phenylmercuric nitrate and thimerosal) ;

- 25 **antioxidants** (examples include but are not limited to ascorbic acid, ascorbyl palmitate, butylated hydroxyanisole, butylated hydroxytoluene, hypophosphorus acid, monothioglycerol, propyl gallate, sodium ascorbate, sodium bisulfite, sodium formaldehyde sulfoxylate, sodium metabisulfite) ;

binding materials (examples include but are not limited to block polymers, natural and synthetic rubber, polyacrylates, polyurethanes, silicones, polysiloxanes and styrene-butadiene copolymers) ;

buffering agents (examples include but are not limited to potassium metaphosphate, dipotassium phosphate, sodium acetate, sodium citrate anhydrous and sodium citrate dihydrate)

carrying agents (examples include but are not limited to acacia syrup, aromatic syrup, aromatic elixir, cherry syrup, cocoa syrup, orange syrup, syrup, corn oil, mineral oil, peanut oil, sesame oil, bacteriostatic sodium chloride injection and bacteriostatic water for injection)

chelating agents (examples include but are not limited to edetate disodium and edetic acid)

colorants (examples include but are not limited to FD&C Red No. 3, FD&C Red No. 20, FD&C Yellow No. 6, FD&C Blue No. 2, D&C Green No. 5, D&C Orange No. 5, D&C Red No. 8, caramel and ferric oxide red) ;

clarifying agents (examples include but are not limited to bentonite) ;

emulsifying agents (examples include but are not limited to acacia, cetomacrogol, cetyl alcohol, glyceryl monostearate, lecithin, sorbitan monooleate, polyoxyethylene 50 monostearate) ;

encapsulating agents (examples include but are not limited to gelatin and cellulose acetate phthalate)

flavorants (examples include but are not limited to anise oil, cinnamon oil, cocoa, menthol, orange oil, peppermint oil and vanillin) ;

humectants (examples include but are not limited to glycerol, propylene glycol and sorbitol) ;

levigating agents (examples include but are not limited to mineral oil and glycerin) ;

oils (examples include but are not limited to arachis oil, mineral oil, olive oil, peanut oil, sesame oil and vegetable oil) ;

- 5 **ointment bases** (examples include but are not limited to lanolin, hydrophilic ointment, polyethylene glycol ointment, petrolatum, hydrophilic petrolatum, white ointment, yellow ointment, and rose water ointment) ;

- 10 **penetration enhancers (transdermal delivery)** (examples include but are not limited to monohydroxy or polyhydroxy alcohols, mono-or polyvalent alcohols, saturated or unsaturated fatty alcohols, saturated or unsaturated fatty esters, saturated or unsaturated dicarboxylic acids, essential oils, phosphatidyl derivatives, cephalin, terpenes, amides, ethers, ketones and ureas)

plasticizers (examples include but are not limited to diethyl phthalate and glycerol) ;

- 15 **solvents** (examples include but are not limited to ethanol, corn oil, cottonseed oil, glycerol, isopropanol, mineral oil, oleic acid, peanut oil, purified water, water for injection, sterile water for injection and sterile water for irrigation) ;

- 20 **stiffening agents** (examples include but are not limited to cetyl alcohol, cetyl esters wax, microcrystalline wax, paraffin, stearyl alcohol, white wax and yellow wax) ;

suppository bases (examples include but are not limited to cocoa butter and polyethylene glycols (mixtures)) ;

- 25 **surfactants** (examples include but are not limited to benzalkonium chloride, nonoxynol 10, oxtoxynol 9, polysorbate 80, sodium lauryl sulfate and sorbitan mono-palmitate) ;

suspending agents (examples include but are not limited to agar, bentonite, carbomers, carboxymethylcellulose sodium, hydroxyethyl cellulose, hydroxypropyl cellulose, hydroxypropyl methylcellulose, kaolin, methylcellulose, tragacanth and veegum) ;

- 5 **sweetening agents** (examples include but are not limited to aspartame, dextrose, glycerol, mannitol, propylene glycol, saccharin sodium, sorbitol and sucrose) ;

tablet anti-adherents (examples include but are not limited to magnesium stearate and talc) ;

- 10 **tablet binders** (examples include but are not limited to acacia, alginic acid, carboxymethylcellulose sodium, compressible sugar, ethylcellulose, gelatin, liquid glucose, methylcellulose, non-crosslinked polyvinyl pyrrolidone, and pregelatinized starch) ;

- tablet and capsule diluents** (examples include but are not limited to dibasic
15 calcium phosphate, kaolin, lactose, mannitol, microcrystalline cellulose, powdered cellulose, precipitated calcium carbonate, sodium carbonate, sodium phosphate, sorbitol and starch) ;

- tablet coating agents** (examples include but are not limited to liquid glucose, hydroxyethyl cellulose, hydroxypropyl cellulose, hydroxypropyl
20 methylcellulose, methylcellulose, ethylcellulose, cellulose acetate phthalate and shellac) ;

tablet direct compression excipients (examples include but are not limited to dibasic calcium phosphate) ;

- tablet disintegrants** (examples include but are not limited to alginic acid,
25 carboxymethylcellulose calcium, microcrystalline cellulose, polacrillin potassium, cross-linked polyvinylpyrrolidone, sodium alginate, sodium starch glycollate and starch) ;

tablet glidants (examples include but are not limited to colloidal silica, corn starch and talc) ;

tablet lubricants (examples include but are not limited to calcium stearate, magnesium stearate, mineral oil, stearic acid and zinc stearate) ;

5 **tablet/capsule opaquants** (examples include but are not limited to titanium dioxide) ;

tablet polishing agents (examples include but are not limited to carnuba wax and white wax) ;

10 **thickening agents** (examples include but are not limited to beeswax, cetyl alcohol and paraffin) ;

tonicity agents (examples include but are not limited to dextrose and sodium chloride) ;

15 **viscosity increasing agents** (examples include but are not limited to alginic acid, bentonite, carbomers, carboxymethylcellulose sodium, methylcellulose, polyvinyl pyrrolidone, sodium alginate and tragacanth) ; and

wetting agents (examples include but are not limited to heptadecaethylene oxycetanol, lecithins, sorbitol monooleate, polyoxyethylene sorbitol monooleate, and polyoxyethylene stearate).

20 Pharmaceutical compositions according to the present invention can be illustrated as follows:

Sterile IV Solution: A 5 mg/mL solution of the desired compound of this invention can be made using sterile, injectable water, and the pH is adjusted if necessary. The solution is diluted for administration to 1 - 2 mg/mL with sterile 5% dextrose and is administered as an IV infusion over about 60
25 minutes.

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Lyophilised powder for IV administration: A sterile preparation can be prepared with (i) 100 - 1000 mg of the desired compound of this invention as a lyophilised powder, (ii) 32- 327 mg/mL sodium citrate, and (iii) 300 - 3000 mg Dextran 40. The formulation is reconstituted with sterile, injectable saline or dextrose 5% to a concentration of 10 to 20 mg/mL, which is further diluted with saline or dextrose 5% to 0.2 - 0.4 mg/mL, and is administered either IV bolus or by IV infusion over 15 - 60 minutes.

Intramuscular suspension: The following solution or suspension can be prepared, for intramuscular injection:

10 50 mg/mL of the desired, water-insoluble compound of this invention

5 mg/mL sodium carboxymethylcellulose

4 mg/mL TWEEN™ 80

9 mg/mL sodium chloride

9 mg/mL benzyl alcohol

15 Hard Shell Capsules: A large number of unit capsules are prepared by filling standard two-piece hard galantine capsules each with 100 mg of powdered active ingredient, 150 mg of lactose, 50 mg of cellulose and 6 mg of magnesium stearate.

20 Soft Gelatin Capsules: A mixture of active ingredient in a digestible oil such as soybean oil, cottonseed oil or olive oil is prepared and injected by means of a positive displacement pump into molten gelatin to form soft gelatin capsules containing 100 mg of the active ingredient. The capsules are washed and dried. The active ingredient can be dissolved in a mixture of polyethylene glycol, glycerin and sorbitol to prepare a water miscible medicine mix.

Tablets: A large number of tablets are prepared by conventional procedures so that the dosage unit is 100 mg of active ingredient, 0.2 mg. of colloidal

silicon dioxide, 5 mg of magnesium stearate, 275 mg of microcrystalline cellulose, 11 mg. of starch, and 98.8 mg of lactose. Appropriate aqueous and non-aqueous coatings may be applied to increase palatability, improve elegance and stability or delay absorption.

- 5 Immediate Release Tablets/Capsules: These are solid oral dosage forms made by conventional and novel processes. These units are taken orally without water for immediate dissolution and delivery of the medication. The active ingredient is mixed in a liquid containing ingredient such as sugar, gelatin, pectin and sweeteners. These liquids are solidified into solid tablets or
- 10 caplets by freeze drying and solid state extraction techniques. The drug compounds may be compressed with viscoelastic and thermoelastic sugars and polymers or effervescent components to produce porous matrices intended for immediate release, without the need of water.

Combination therapies

- 15 The compounds of this invention can be administered as the sole pharmaceutical agent or in combination with one or more other pharmaceutical agents where the combination causes no unacceptable adverse effects. The present invention relates also to such combinations. For example, the compounds of this invention can be combined with known anti-
- 20 hyper-proliferative or other indication agents, and the like, as well as with admixtures and combinations thereof. Other indication agents include, but are not limited to, anti-angiogenic agents, mitotic inhibitors, alkylating agents, anti-metabolites, DNA-intercalating antibiotics, growth factor inhibitors, cell cycle inhibitors, enzyme inhibitors, topoisomerase inhibitors,
- 25 biological response modifiers, or anti-hormones.

The additional pharmaceutical agent can be aldesleukin, alendronic acid, alfaferone, alitretinoin, allopurinol, aloprim, aloxi, altretamine, aminoglutethimide, amifostine, amrubicin, amsacrine, anastrozole, anzmet,

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aranesp, arglabin, arsenic trioxide, aromasin, 5-azacytidine, azathioprine, BCG or
 tice BCG, bestatin, betamethasone acetate, betamethasone sodium phosphate,
 bexarotene, bleomycin sulfate, broxuridine , bortezomib, busulfan, calcitonin,
 campath, capecitabine, carboplatin, casodex, cefesone, celmoleukin, cerubidine,
 5 chlorambucil, cisplatin, cladribine, cladribine, clodronic acid, cyclophosphamide,
 cytarabine, dacarbazine, dactinomycin, DaunoXome, decadron, decadron
 phosphate, delestrogen, denileukin diftitox, depo-medrol, deslorelin, dexrazoxane,
 diethylstilbestrol, diflucan, docetaxel, doxifluridine, doxorubicin, dronabinol,
 DW-166HC, eligard, elitek, ellence, emend, epirubicin, epoetin alfa, epogen,
 10 eptaplatin, ergamisol, estrace, estradiol, estramustine phosphate sodium, ethinyl
 estradiol, ethylol, etidronic acid, etopophos, etoposide, fadrozole, farston,
 filgrastim, finasteride, fligrastim, floxuridine, fluconazole, fludarabine,
 5-fluorodeoxyuridine monophosphate, 5-fluorouracil (5-FU), fluoxymesterone,
 flutamide, formestane, fosteabine, fotemustine, fulvestrant, gammagard,
 15 gemcitabine, gemtuzumab, gleevec™, gliadel, goserelin, granisetron HCl, histrelin,
 hycamtin, hydrocortone, eyrthro-hydroxynonyladenine, hydroxyurea, ibritumomab
 tiuxetan, idarubicin, ifosfamide, interferon alpha, interferon-alpha 2, interferon
 alfa-2A, interferon alfa-2B, interferon alfa-n1, interferon alfa-n3, interferon beta,
 interferon gamma-1a, interleukin-2, intron A, iressa, irinotecan, kytril, lentinan
 20 sulfate, letrozole, leucovorin, leuprolide, leuprolide acetate, levamisole,
 levofolinic acid calcium salt, levothroid, levoxyl, lomustine, lonidamine, marinol,
 mechlorethamine, mecobalamin, medroxyprogesterone acetate, megestrol acetate,
 melphalan, menest, 6-mercaptopurine, Mesna, methotrexate, metvix, miltefosine,
 minocycline, mitomycin C, mitotane, mitoxantrone, Modrenal, Myocet, nedaplatin,
 25 neulasta, neumega, neupogen, nilutamide, nolvadex, NSC-631570, OCT-43,
 octreotide, ondansetron HCl, orapred, oxaliplatin, paclitaxel, pediaped,
 pegaspargase, Pegasys, pentostatin, picibanil, pilocarpine HCl, pirarubicin,
 plicamycin, porfimer sodium, prednimustine, prednisolone, prednisone, premarin,
 procarbazine, procrit, raltitrexed, rebif, rhenium-186 etidronate, rituximab,

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roferon-A, romurtide, salagen, sandostatin, sargramostim, semustine, sizofiran, sobuzoxane, solu-medrol, sparfosic acid, stem-cell therapy, streptozocin, strontium-89 chloride, synthroid, tamoxifen, tamsulosin, tasonermin, tastolactone, taxotere, teceleukin, temozolomide, teniposide, testosterone propionate, testred, 5 thioguanine, thiotepa, thyrotropin, tiludronic acid, topotecan, toremifene, tositumomab, trastuzumab, treosulfan, tretinoin, trexall, trimethylmelamine, trimetrexate, triptorelin acetate, triptorelin pamoate, UFT, uridine, valrubicin, vesnarinone, vinblastine, vincristine, vindesine, vinorelbine, virulizin, zinocard, zinostatin stimalamer, zofran™, ABL-007, acolbifene, actimmune, affinitak, 10 aminopterin, arzoxifene, asoprisnil, atamestane, atrasentan, sorafenib, avastin, CCI-779, CDC-501, celebrex, cetuximab, crisnatol, cyproterone acetate, decitabine, DN-101, doxorubicin-MTC, dSLIM, dutasteride, edotecarin, eflornithine, exatecan, fenretinide, histamine dihydrochloride, histrelin hydrogel implant, holmium-166 DOTMP, ibandronic acid, interferon gamma, intron-PEG, ixabepilone, 15 keyhole limpet hemocyanin, L-651582, lanreotide, lasofoxifene, libra, lonafarnib, miproxifene, minodronate, MS-209, liposomal MTP-PE, MX-6, nafarelin, nemorubicin, neovastat, nolatrexed, oblimersen, onco-TCS, osidem, paclitaxel polyglutamate, pamidronate disodium, PN-401, QS-21, quazepam, R-1549, raloxifene, ranpirnase, 13-cis -retinoic acid, satraplatin, seocalcitol, T-138067, 20 tarceva™, taxoprexin, thymosin alpha 1, tiazofurine, tipifarnib, tirapazamine, TLK-286, toremifene, TransMID-107R, valspodar, vapreotide, vatalanib, verteporfin, vinflunine, Z-100, zoledronic acid or combinations thereof.

Optional anti-hyper-proliferative agents which can be added to the composition include but are not limited to compounds listed on the cancer 25 chemotherapy drug regimens in the 11th Edition of the Merck Index, (1996), such as asparaginase, bleomycin, carboplatin, carmustine, chlorambucil, cisplatin, colaspase, cyclophosphamide, cytarabine, dacarbazine, dactinomycin,

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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, 5 tamoxifen, thioguanine, topotecan, vinblastine, vincristine, and vindesine.

Other anti-hyper-proliferative agents suitable for use with the composition of the invention include but are not limited to those compounds acknowledged to be used in the treatment of neoplastic diseases in Goodman and Gilman's The Pharmacological Basis of Therapeutics (Ninth Edition), editor Molinoff *et al.*, publ. 10 by McGraw-Hill, pages 1225-1287, (1996), such as aminoglutethimide, L-asparaginase, azathioprine, 5-azacytidine cladribine, busulfan, diethylstilbestrol, 2',2'-difluorodeoxycytidine, docetaxel, erythrohydroxynonyl adenine, ethinyl estradiol, 5-fluorodeoxyuridine, 5-fluorodeoxyuridine monophosphate, fludarabine phosphate, fluoxymesterone, flutamide, hydroxyprogesterone caproate, idarubicin, 15 interferon, medroxyprogesterone acetate, megestrol acetate, melphalan, mitotane, paclitaxel, pentostatin, N-phosphonoacetyl-L-aspartate (PALA), plicamycin, semustine, teniposide, testosterone propionate, thiotepa, trimethyl-melamine, uridine, and vinorelbine.

Other anti-hyper-proliferative agents suitable for use with the composition of the 20 invention include but are not limited to other anti-cancer agents such as epothilone and its derivatives, irinotecan, raloxifen and topotecan.

The compounds of the invention may also be administered in combination with protein therapeutics. Such protein therapeutics suitable for the treatment of cancer or other angiogenic disorders and for use with the compositions 25 of the invention include, but are not limited to, an interferon (e.g., interferon .alpha., .beta., or .gamma.) supraagonistic monoclonal antibodies,

Tuebingen, TRP-1 protein vaccine, Colostrinin, anti-FAP antibody, YH-16, gemtuzumab, infliximab, cetuximab, trastuzumab, denileukin diftitox, rituximab, thymosin alpha 1, bevacizumab, mecasermin, mecasermin rinfabate, oprelvekin, natalizumab, rhMBL, MFE-CP1 + ZD-2767-P, ABT-828, 5 ErbB2-specific immunotoxin, SGN-35, MT-103, rinfabate, AS-1402, B43-genistein, L-19 based radioimmunotherapeutics, AC-9301, NY-ESO-1 vaccine, IMC-1C11, CT-322, rhCC10, r(m)CRP, MORAb-009, aviscumine, MDX-1307, Her-2 vaccine, APC-8024, NGR-hTNF, rhH1.3, IGN-311, Endostatin, volociximab, PRO-1762, lexatumumab, SGN-40, pertuzumab, EMD-273063, L19-IL-2 fusion 10 protein, PRX-321, CNTO-328, MDX-214, tigapotide, CAT-3888, labetuzumab, alpha-particle-emitting radioisotope-linked lintuzumab, EM-1421, HyperAcute vaccine, tucotuzumab celmoleukin, galiximab, HPV-16-E7, Javelin - prostate cancer, Javelin - melanoma, NY-ESO-1 vaccine, EGF vaccine, CYT-004-MelQbG10, WT1 peptide, oregovomab, ofatumumab, zalutumumab, 15 cintredekin besudotox, WX-G250, Albuferon, aflibercept, denosumab, vaccine, CTP-37, efungumab, or ¹³¹I-chTNT-1/B. Monoclonal antibodies useful as the protein therapeutic include, but are not limited to, muromonab-CD3, abciximab, edrecolomab, daclizumab, gentuzumab, alemtuzumab, ibritumomab, cetuximab, bevicizumab, efalizumab, adalimumab, 20 omalizumab, muromomab-CD3, rituximab, daclizumab, trastuzumab, palivizumab, basiliximab, and infliximab.

Generally, the use of cytotoxic and/or cytostatic agents in combination with a compound or composition of the present invention will serve to:

- (1) yield better efficacy in reducing the growth of a tumor or even 25 eliminate the tumor as compared to administration of either agent alone,
- (2) provide for the administration of lesser amounts of the administered chemotherapeutic agents,

- (3) provide for a chemotherapeutic treatment that is well tolerated in the patient with fewer deleterious pharmacological complications than observed with single agent chemotherapies and certain other combined therapies,
- (4) provide for treating a broader spectrum of different cancer types in mammals, especially humans,
- (5) provide for a higher response rate among treated patients,
- (6) provide for a longer survival time among treated patients compared to standard chemotherapy treatments,
- (7) provide a longer time for tumor progression, and/or
- (8) yield efficacy and tolerability results at least as good as those of the agents used alone, compared to known instances where other cancer agent combinations produce antagonistic effects.

Methods of Sensitizing Cells to Radiation

In a distinct embodiment of the present invention, a compound of the present invention may be used to sensitize a cell to radiation. That is, treatment of a cell with a compound of the present invention prior to radiation treatment of the cell renders the cell more susceptible to DNA damage and cell death than the cell would be in the absence of any treatment with a compound of the invention. In one aspect, the cell is treated with at least one compound of the invention.

Thus, the present invention also provides a method of killing a cell, wherein a cell is administered one or more compounds of the invention in combination with conventional radiation therapy.

The present invention also provides a method of rendering a cell more susceptible to cell death, wherein the cell is treated one or more compounds of the invention prior to the treatment of the cell to cause or induce cell

death. In one aspect, after the cell is treated with one or more compounds of the invention, the cell is treated with at least one compound, or at least one method, or a combination thereof, in order to cause DNA damage for the purpose of inhibiting the function of the normal cell or killing the cell.

- 5 In one embodiment, a cell is killed by treating the cell with at least one DNA damaging agent. That is, after treating a cell with one or more compounds of the invention to sensitize the cell to cell death, the cell is treated with at least one DNA damaging agent to kill the cell. DNA damaging agents useful in the present invention include, but are not limited to, chemotherapeutic
10 agents (e.g., cisplatin), ionizing radiation (X-rays, ultraviolet radiation), carcinogenic agents, and mutagenic agents.

In another embodiment, a cell is killed by treating the cell with at least one method to cause or induce DNA damage. Such methods include, but are not limited to, activation of a cell signalling pathway that results in DNA damage
15 when the pathway is activated, inhibiting of a cell signalling pathway that results in DNA damage when the pathway is inhibited, and inducing a biochemical change in a cell, wherein the change results in DNA damage. By way of a non-limiting example, a DNA repair pathway in a cell can be inhibited, thereby preventing the repair of DNA damage and resulting in an
20 abnormal accumulation of DNA damage in a cell.

In one aspect of the invention, a compound of the invention is administered to a cell prior to the radiation or other induction of DNA damage in the cell. In another aspect of the invention, a compound of the invention is administered to a cell concomitantly with the radiation or other induction of DNA damage
25 in the cell. In yet another aspect of the invention, a compound of the invention is administered to a cell immediately after radiation or other induction of DNA damage in the cell has begun.

In another aspect, the cell is *in vitro*. In another embodiment, the cell is *in vivo*.

As mentioned *supra*, the compounds of the present invention have surprisingly been found to effectively inhibit Mps-1 and may therefore be used for the treatment or prophylaxis of diseases of uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses, or diseases which are accompanied with uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses, particularly in which the uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses is mediated by Mps-1, such as, for example, haematological tumours, solid tumours, and/or metastases thereof, e.g. leukaemias and myelodysplastic syndrome, malignant lymphomas, head and neck tumours including brain tumours and brain metastases, tumours of the thorax including non-small cell and small cell lung tumours, gastrointestinal tumours, endocrine tumours, mammary and other gynaecological tumours, urological tumours including renal, bladder and prostate tumours, skin tumours, and sarcomas, and/or metastases thereof.

20

In accordance with another aspect therefore, the present invention covers a compound of general formula (I), or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, particularly a pharmaceutically acceptable salt thereof, or a mixture of same, as described and defined herein, for use in the treatment or prophylaxis of a disease, as mentioned *supra*.

25

Another particular aspect of the present invention is therefore the use of a compound of general formula (I), described *supra*, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, particularly a

30

pharmaceutically acceptable salt thereof, or a mixture of same, for the prophylaxis or treatment of a disease.

Another particular aspect of the present invention is therefore the use of a
5 compound of general formula (I) described *supra* for manufacturing a pharmaceutical composition for the treatment or prophylaxis of a disease.

The diseases referred to in the two preceding paragraphs are diseases of uncontrolled cell growth, proliferation and/or survival, inappropriate cellular
10 immune responses, or inappropriate cellular inflammatory responses, or diseases which are accompanied with uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses, particularly in which the uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune
15 responses, or inappropriate cellular inflammatory responses is mediated by Mps-1, such as, for example, haematological tumours, solid tumours, and/or metastases thereof, e.g. leukaemias and myelodysplastic syndrome, malignant lymphomas, head and neck tumours including brain tumours and brain metastases, tumours of the thorax including non-small cell and small cell lung
20 tumours, gastrointestinal tumours, endocrine tumours, mammary and other gynaecological tumours, urological tumours including renal, bladder and prostate tumours, skin tumours, and sarcomas, and/or metastases thereof.

The term “inappropriate” within the context of the present invention, in
25 particular in the context of “inappropriate cellular immune responses, or inappropriate cellular inflammatory responses”, as used herein, is to be understood as preferably meaning a response which is less than, or greater than normal, and which is associated with, responsible for, or results in, the pathology of said diseases.

Preferably, the use is in the treatment or prophylaxis of diseases, wherein the diseases are haematological tumours, solid tumours and/or metastases thereof.

5 Method of treating hyper-proliferative disorders

The present invention relates to a method for using the compounds of the present invention and compositions thereof, to treat mammalian hyper-proliferative disorders. Compounds can be utilized to inhibit, block, reduce, decrease, etc., cell proliferation and/or cell division, and/or produce
10 apoptosis. This method comprises administering to a mammal in need thereof, including a human, an amount of a compound of this invention, or a pharmaceutically acceptable salt, isomer, polymorph, metabolite, hydrate, solvate or ester thereof ; etc. which is effective to treat the disorder. Hyper-proliferative disorders include but are not limited, e.g., psoriasis, keloids, and
15 other hyperplasias affecting the skin, benign prostate hyperplasia (BPH), solid tumors, such as cancers of the breast, respiratory tract, brain, reproductive organs, digestive tract, urinary tract, eye, liver, skin, head and neck, thyroid, parathyroid and their distant metastases. Those disorders also include lymphomas, sarcomas, and leukemias.

20 Examples of breast cancer include, but are not limited to invasive ductal carcinoma, invasive lobular carcinoma, ductal carcinoma in situ, and lobular carcinoma in situ.

Examples of cancers of the respiratory tract include, but are not limited to small-cell and non-small-cell lung carcinoma, as well as bronchial adenoma
25 and pleuropulmonary blastoma.

Examples of brain cancers include, but are not limited to brain stem and hypophtalmic glioma, cerebellar and cerebral astrocytoma, medulloblastoma, ependymoma, as well as neuroectodermal and pineal tumor.

Tumors of the male reproductive organs include, but are not limited to prostate and testicular cancer. Tumors of the female reproductive organs include, but are not limited to endometrial, cervical, ovarian, vaginal, and vulvar cancer, as well as sarcoma of the uterus.

- 5 Tumors of the digestive tract include, but are not limited to anal, colon, colorectal, esophageal, gallbladder, gastric, pancreatic, rectal, small-intestine, and salivary gland cancers.

Tumors of the urinary tract include, but are not limited to bladder, penile, kidney, renal pelvis, ureter, urethral and human papillary renal cancers.

- 10 Eye cancers include, but are not limited to intraocular melanoma and retinoblastoma.

- Examples of liver cancers include, but are not limited to hepatocellular carcinoma (liver cell carcinomas with or without fibrolamellar variant), cholangiocarcinoma (intrahepatic bile duct carcinoma), and mixed
15 hepatocellular cholangiocarcinoma.

Skin cancers include, but are not limited to squamous cell carcinoma, Kaposi's sarcoma, malignant melanoma, Merkel cell skin cancer, and non-melanoma skin cancer.

- Head-and-neck cancers include, but are not limited to laryngeal,
20 hypopharyngeal, nasopharyngeal, oropharyngeal cancer, lip and oral cavity cancer and squamous cell. Lymphomas include, but are not limited to AIDS-related lymphoma, non-Hodgkin's lymphoma, cutaneous T-cell lymphoma, Burkitt lymphoma, Hodgkin's disease, and lymphoma of the central nervous system.

- 25 Sarcomas include, but are not limited to sarcoma of the soft tissue, osteosarcoma, malignant fibrous histiocytoma, lymphosarcoma, and rhabdomyosarcoma.

Leukemias include, but are not limited to acute myeloid leukemia, acute lymphoblastic leukemia, chronic lymphocytic leukemia, chronic myelogenous leukemia, and hairy cell leukemia.

These disorders have been well characterized in humans, but also exist with a
5 similar etiology in other mammals, and can be treated by administering pharmaceutical compositions of the present invention.

The term “treating” or “treatment” as stated throughout this document is used conventionally, e.g., the management or care of a subject for the purpose of combating, alleviating, reducing, relieving, improving the
10 condition of, etc., of a disease or disorder, such as a carcinoma.

Methods of treating kinase disorders

The present invention also provides methods for the treatment of disorders associated with aberrant mitogen extracellular kinase activity, including, but not limited to stroke, heart failure, hepatomegaly, cardiomegaly, diabetes,
15 Alzheimer's disease, cystic fibrosis, symptoms of xenograft rejections, septic shock or asthma.

Effective amounts of compounds of the present invention can be used to treat such disorders, including those diseases (e.g., cancer) mentioned in the Background section above. Nonetheless, such cancers and other diseases can
20 be treated with compounds of the present invention, regardless of the mechanism of action and/or the relationship between the kinase and the disorder.

The phrase “aberrant kinase activity” or “aberrant tyrosine kinase activity,” includes any abnormal expression or activity of the gene encoding the kinase
25 or of the polypeptide it encodes. Examples of such aberrant activity, include, but are not limited to, over-expression of the gene or polypeptide ; gene

amplification ; mutations which produce constitutively-active or hyperactive kinase activity ; gene mutations, deletions, substitutions, additions, etc.

The present invention also provides for methods of inhibiting a kinase activity, especially of mitogen extracellular kinase, comprising administering an effective amount of a compound of the present invention, including salts, polymorphs, metabolites, hydrates, solvates, prodrugs (e.g.: esters) thereof, and diastereoisomeric forms thereof. Kinase activity can be inhibited in cells (e.g., *in vitro*), or in the cells of a mammalian subject, especially a human patient in need of treatment.

10 Methods of treating angiogenic disorders

The present invention also provides methods of treating disorders and diseases associated with excessive and/or abnormal angiogenesis.

Inappropriate and ectopic expression of angiogenesis can be deleterious to an organism. A number of pathological conditions are associated with the growth of extraneous blood vessels. These include, e.g., diabetic retinopathy, ischemic retinal-vein occlusion, and retinopathy of prematurity [Aiello et al. New Engl. J. Med. **1994**, 331, 1480 ; Peer et al. Lab. Invest. **1995**, 72, 638], age-related macular degeneration [AMD ; see, Lopez et al. Invest. Ophthalmol. Vis. Sci. **1996**, 37, 855], neovascular glaucoma, psoriasis, retrolental fibroplasias, angiofibroma, inflammation, rheumatoid arthritis (RA), restenosis, in-stent restenosis, vascular graft restenosis, etc. In addition, the increased blood supply associated with cancerous and neoplastic tissue, encourages growth, leading to rapid tumor enlargement and metastasis. Moreover, the growth of new blood and lymph vessels in a tumor provides an escape route for renegade cells, encouraging metastasis and the consequence spread of the cancer. Thus, compounds of the present invention can be utilized to treat and/or prevent any of the aforementioned angiogenesis disorders, e.g., by inhibiting and/or reducing blood vessel formation ; by

inhibiting, blocking, reducing, decreasing, etc. endothelial cell proliferation or other types involved in angiogenesis, as well as causing cell death or apoptosis of such cell types.

Dose and administration

5 Based upon standard laboratory techniques known to evaluate compounds useful for the treatment of hyper-proliferative disorders and angiogenic disorders, by standard toxicity tests and by standard pharmacological assays for the determination of treatment of the conditions identified above in mammals, and by comparison of these results with the results of known
10 medicaments that are used to treat these conditions, the effective dosage of the compounds of this invention can readily be determined for treatment of each desired indication. The amount of the active ingredient to be administered in the treatment of one of these conditions can vary widely according to such considerations as the particular compound and dosage unit
15 employed, the mode of administration, the period of treatment, the age and sex of the patient treated, and the nature and extent of the condition treated.

The total amount of the active ingredient to be administered will generally range from about 0.001 mg/kg to about 200 mg/kg body weight per day, and
20 preferably from about 0.01 mg/kg to about 20 mg/kg body weight per day. Clinically useful dosing schedules will range from one to three times a day dosing to once every four weeks dosing. In addition, "drug holidays" in which a patient is not dosed with a drug for a certain period of time, may be beneficial to the overall balance between pharmacological effect and
25 tolerability. A unit dosage may contain from about 0.5 mg to about 1500 mg of active ingredient, and can be administered one or more times per day or less than once a day. The average daily dosage for administration by injection, including intravenous, intramuscular, subcutaneous and parenteral injections, and use of infusion techniques will preferably be from 0.01 to 200 mg/kg of

total body weight. The average daily rectal dosage regimen will preferably be from 0.01 to 200 mg/kg of total body weight. The average daily vaginal dosage regimen will preferably be from 0.01 to 200 mg/kg of total body weight. The average daily topical dosage regimen will preferably be from 0.1 to 200 mg administered between one to four times daily. The transdermal concentration will preferably be that required to maintain a daily dose of from 0.01 to 200 mg/kg. The average daily inhalation dosage regimen will preferably be from 0.01 to 100 mg/kg of total body weight.

Of course the specific initial and continuing dosage regimen for each patient will vary according to the nature and severity of the condition as determined by the attending diagnostician, the activity of the specific compound employed, the age and general condition of the patient, time of administration, route of administration, rate of excretion of the drug, drug combinations, and the like. The desired mode of treatment and number of doses of a compound of the present invention or a pharmaceutically acceptable salt or ester or composition thereof can be ascertained by those skilled in the art using conventional treatment tests.

Preferably, the diseases of said method are haematological tumours, solid tumour and/or metastases thereof.

The compounds of the present invention can be used in particular in therapy and prevention, i.e. prophylaxis, of tumour growth and metastases, especially in solid tumours of all indications and stages with or without pre-treatment of the tumour growth.

Methods of testing for a particular pharmacological or pharmaceutical property are well known to persons skilled in the art.

The example testing experiments described herein serve to illustrate the present invention and the invention is not limited to the examples given.

Biological assay: Proliferation Assay

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Cultivated HeLa human cervical tumor cells (ATCC CCL-2) were plated at a density of 3000 cells/well in a 96-well multititer plate in 200 μ l DMEM/HAMS F12 medium supplemented with 2 mM L-Glutamine and 10% fetal calf serum. After 24 hours, the cells of one plate (zero-point plate) were stained with
10 crystal violet (see below), while the medium of the other plates was replaced by fresh culture medium (200 μ l), to which the test substances were added in various concentrations (0 μ M, as well as in the range of 0.01-30 μ M; the final concentration of the solvent dimethyl sulfoxide was 0.5%). The cells were incubated for 4 days in the presence of test substances. Cell proliferation was
15 determined by staining the cells with crystal violet: the cells were fixed by adding 20 μ l/measuring point of an 11% glutaric aldehyde solution for 15 minutes at room temperature. After three washing cycles of the fixed cells with water, the plates were dried at room temperature. The cells were stained by adding 100 μ l/measuring point of a 0.1% crystal violet solution (pH
20 3.0). After three washing cycles of the stained cells with water, the plates were dried at room temperature. The dye was dissolved by adding 100 μ l/measuring point of a 10% acetic acid solution. The extinction was determined by photometry at a wavelength of 595 nm. The change of cell number, in percent, was calculated by normalization of the measured values
25 to the extinction values of the zero-point plate (=0%) and the extinction of the untreated (0 μ m) cells (=100%). The IC50 values were determined by means of a 4 parameter fit using the company's own software.

Example	Name	EO-PROLIF- HELA (M)
1.47	N-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	3.00E-06
1.71	1-{4-[(4-methylpiperazin-1-yl)methyl]-3-(trifluoromethyl)phenyl}-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea	8.89E-07
1.73	N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide	3.00E-06
1.8	N-cyclopropyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide	0.000003
1.108	2,6-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	2.32E-06
2.73	1-{4-[(4-methylpiperazin-1-yl)methyl]-3-(trifluoromethyl)phenyl}-3-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}urea	1.12E-06
2.90	N-phenyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide	3.00E-06
3.5	4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol	2.51E-06
3.15	4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	2.92E-06
3.17	4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol	3.87E-06
3.35	4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol	8.25E-06

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4.3	N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide	10.0E-06
4.13	N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-2-[3-(trifluoromethyl)phenyl]acetamide	8.12E-06
6.6	1-benzyl-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea	10.0E-06
6.8	1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-pyridin-3-ylurea	3.93E-06
7.1	2-phenyl-N-{4-[3-(4-pyridin-4-yl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-acetamide	2.61E-06
7.2	2-(2-fluorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	2.84E-06
7.3	2-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	2.56E-06
7.4	3-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	1.43E-06
7.6	2-(pyridin-2-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	2.79E-06
7.7	2-cyclopropyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	1.71E-06

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8.3	1-cyclopropyl-3-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea	3.00E-06
9.1	2-phenyl-N-{4-[3-(4-pyridin-3-ylmethylpiperazin-1-yl)-quinoxalin-6-yl]-phenyl}-acetamide	3.00E-06
9.2	2-(2-fluorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	3.00E-06
9.3	2-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	3.00E-06
11.2	2-(2-fluorophenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide	3.00E-06
11.3	2-phenyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide	6.50E-06

Mps-1 kinase assay

- The human kinase Mps-1 phosphorylates a biotinylated substrate peptide. Detection of the phosphorylated product is achieved by homogenous time-resolved fluorescence resonance energy transfer (HTRF) from Europium-labelled anti-phospho-Serine/Threonine antibody as donor to streptavidin labelled with cross-linked allophycocyanin (SA-XLent) as acceptor. Compounds are tested for their inhibition of the kinase activity.
- 10 N-terminally GST-tagged human full length recombinant Mps-1 kinase (purchased from Invitrogen™, Karlsruhe, Germany, cat. no PV4071) was used. As substrate for the kinase reaction a biotinylated peptide of the amino-acid

sequence PWDPDDADITEILG (C-terminus in amide form, purchased from Biosynthan GmbH, Berlin) was used.

For the assay 50 nl of a 100-fold concentrated solution of the test compound
5 in DMSO was pipetted into a black low volume 384well microtiter plate (Greiner Bio-One, Frickenhausen, Germany), 2 µl of a solution of Mps-1 in assay buffer [0.1 mM sodium-ortho-vanadate, 10 mM MgCl₂, 2 mM DTT, 25 mM Hepes pH 7.7, 0.05% BSA, 0.001% Pluronic F-127] were added and the mixture was incubated for 15 min at 22°C to allow pre-binding of the test compounds
10 to Mps-1 before the start of the kinase reaction. Then the kinase reaction was started by the addition of 3 µl of a solution of 16.7 µM ATP and 1.67 µM peptide in assay buffer and the resulting mixture was incubated for a reaction time of 60 min at 22°C. The concentration of Mps-1 in the assay was adjusted to the activity of the enzyme lot and was chosen appropriate to have the
15 assay in the linear range, typical enzyme concentrations were in the range of about 1 nM (final conc. in the 5 µl assay volume). The reaction was stopped by the addition of 3 µl of a solution of HTRF detection reagents (100 mM Hepes pH 7.4, 0.1% BSA, 40 mM EDTA, 140 nM Streptavidin-XLent [# 61GSTXLB, Fa. Cis Biointernational, Marcoule, France], 1.5 nM anti-phospho(Ser/Thr)-
20 Europium-antibody [#AD0180, PerkinElmer LAS, Rodgau-Jügesheim, Germany].

The resulting mixture was incubated 1 h at 22°C to allow the binding of the phosphorylated peptide to the anti-phospho(Ser/Thr)-Europium-antibody. Subsequently the amount of phosphorylated substrate was evaluated by
25 measurement of the resonance energy transfer from the Europium-labelled anti-phospho(Ser/Thr) antibody to the Streptavidin-XLent. Therefore, the fluorescence emissions at 620 nm and 665 nm after excitation at 350 nm was measured in a HTRF reader, e.g. a Rubystar (BMG Labtechnologies, Offenburg, Germany) or a Viewlux (PerkinElmer LAS, Rodgau-Jügesheim, Germany). The
30 ratio of the emissions at 665 nm and at 622 nm was taken as the measure for the amount of phosphorylated substrate. The data were normalised (enzyme

reaction without inhibitor = 0 % inhibition, all other assay components but no enzyme = 100 % inhibition). Test compounds were tested on the same microtiter plate at 10 different concentrations in the range of 20 μ M to 1 nM (20 μ M, 6.7 μ M, 2.2 μ M, 0.74 μ M, 0.25 μ M, 82 nM, 27 nM, 9.2 nM, 3.1 nM and 1 nM, dilution series prepared before the assay at the level of the 100fold conc. stock solutions by serial 1:3 dilutions) in duplicate values for each concentration and IC₅₀ values were calculated by a 4 parameter fit using an inhouse software.

10 Spindle Assembly Checkpoint Assay

The spindle assembly checkpoint assures the proper segregation of chromosomes during mitosis. Upon entry into mitosis, chromosomes begin to condensate which is accompanied by the phosphorylation of histone H3 on serine 10. Dephosphorylation of histone H3 on serine 10 begins in anaphase and ends at early telophase. Accordingly, phosphorylation of histone H3 on serine 10 can be utilized as a marker of cells in mitosis. Nocodazole is a microtubule destabilizing substance. Thus, nocodazole interferes with microtubule dynamics and mobilises the spindle assembly checkpoint. The cells arrest in mitosis at G2/M transition and exhibit phosphorylated histone H3 on serine 10. An inhibition of the spindle assembly checkpoint by Mps-1 inhibitors overrides the mitotic blockage in the presence of nocodazole, and the cells complete mitosis prematurely. This alteration is detected by the decrease of cells with phosphorylation of histone H3 on serine 10. This decline is used as a marker to determine the capability of compounds of the present invention to induce a mitotic breakthrough.

Cultivated cells of the human cervical tumor cell line HeLa (ATCC CCL-2) were plated at a density of 2500 cells/well in a 384-well microtiter plate in 20 μ l Dulbecco's Medium (w/o phenol red, w/o sodium pyruvate, w 1000 mg/ml glucose, w pyridoxine) supplemented with 1% (v/v) glutamine, 1% (v/v)

penicillin, 1% (v/v) streptomycin and 10% (v/v) fetal calf serum. After incubation overnight at 37°C, 10 µl/well nocodazole at a final concentration of 0.1 µg/ml were added to cells. After 24 h incubation, cells were arrested at G2/M phase of the cell cycle progression. Test compounds solubilised in dimethyl sulfoxide (DMSO) were added at various concentrations (0 µM, as well as in the range of 0.005 µM - 10 µM; the final concentration of the solvent DMSO was 0.5% (v/v)). Cells were incubated for 4 h at 37°C in the presence of test compounds. Thereafter, cells were fixed in 4% (v/v) paraformaldehyde in phosphate buffered saline (PBS) at 4°C overnight then permeabilised in 0.1% (v/v) Triton XTM 100 in PBS at room temperature for 20 min and blocked in 0.5% (v/v) bovine serum albumin (BSA) in PBS at room temperature for 15 min. After washing with PBS, 20 µl/well antibody solution (anti-phospho-histone H3 clone 3H10, FITC; Upstate, Cat# 16-222; 1:200 dilution) was added to cells, which were incubated for 2 h at room temperature. Afterwards, cells were washed with PBS and 20 µl/well HOECHST 33342 dye solution (5 µg/ml) was added to cells and cells were incubated 12 min at room temperature in the dark. Cells were washed twice with PBS then covered with PBS and stored at 4°C until analysis. Images were acquired with a Perkin Elmer OPERATM High-Content Analysis reader. Images were analyzed with image analysis software MetaXpressTM from Molecular devices utilizing the Cell Cycle application module. In this assay both labels HOECHST 33342 and phosphorylated Histone H3 on serine 10 were measured. HOECHST 33342 labels DNA and is used to count cell number. The staining of phosphorylated Histone H3 on serine 10 determines the number of mitotic cells. Inhibition of Mps-1 decreases the number of mitotic cells in the presence of nocodazole indicating an inappropriate mitotic progression. The raw assay data were further analysed by four parameter logistic regression analysis to determine the IC₅₀ value for each tested compound.

It will be apparent to persons skilled in the art that assays for other Mps kinases may be performed in analogy using the appropriate reagents.

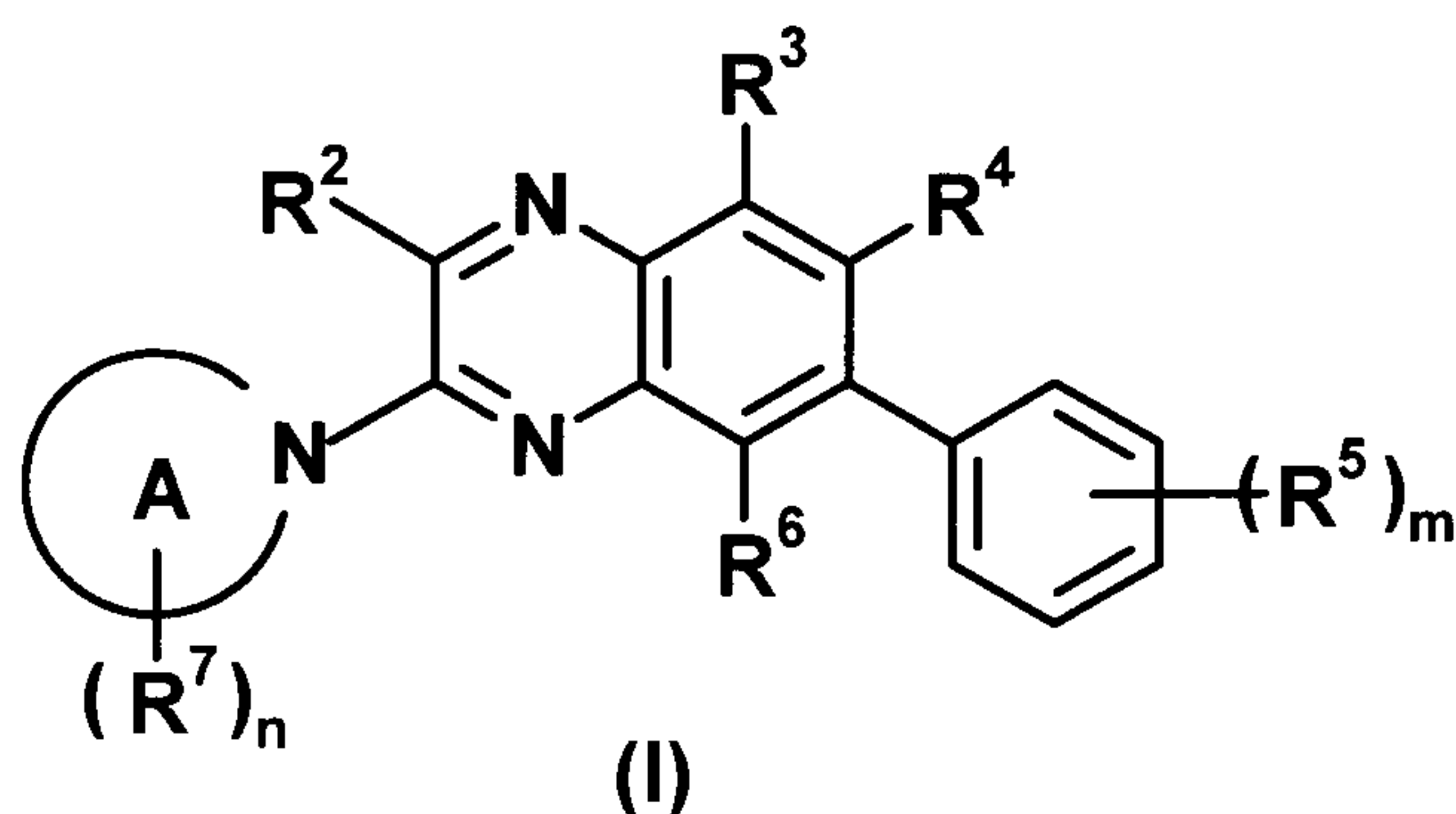
Thus the compounds of the present invention effectively inhibit one or more Mps-1 kinases and are therefore suitable for the treatment or prophylaxis of diseases of uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses, particularly in which the uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses is mediated by Mps-1, more particularly in which the diseases of uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune responses, or inappropriate cellular inflammatory responses are haematological tumours, solid tumours and/or metastases thereof, e.g. leukaemias and myelodysplastic syndrome, malignant lymphomas, head and neck tumours including brain tumours and brain metastases, tumours of the thorax including non-small cell and small cell lung tumours, gastrointestinal tumours, endocrine tumours, mammary and other gynaecological tumours, urological tumours including renal, bladder and prostate tumours, skin tumours, and sarcomas, and/or metastases thereof.

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CLAIMS:

1. A compound of general formula (I) :



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(I)

in which :



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represents a 4-, 5-, 6-, 7-, 8-, 9- or 10-membered heterocyclic ring, optionally further containing 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ represents hydrogen, or a group selected from : C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, hydroxyl-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-, aryloxy- C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, a 4- or 5-(1,3-benzodioxolinyl)- group, -C₁-C₆-alkylene-heteroaryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -C(=O)R⁸, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -C(=O)NR⁹R¹⁰, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-,

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hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

R¹ and R⁷ can form together a 5-7 membered ring which is optionally substituted with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -C(=O)H, -C(=O)R⁸, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰, =O;

R², R³, R⁴, R⁶, represent independently from each other hydrogen, or a group selected from:

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halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)R⁸,
 5 -N(C₁-C₆-alkyl)C(=O)R⁸, -C(=O)NR⁹R¹⁰, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -NR⁹R¹⁰;

R⁵, R⁷ represent, independently from each other, a substituent selected from hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group selected from: C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸,
 10 -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;
 15
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wherein, when m or n represent, independently from each other, an integer of 2, 3, 4, or 5, then said substituent or substituent group is, independently from each other, identical or different;

wherein said substituent group is optionally substituted one or more times, in identically or differently, with a substituent selected from: halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, a 4- or 5-(1,3-benzodioxolinyl)- group, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-,
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-C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered
 heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH, -C(=O)O-C₁-C₆-
 alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-
 cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl,
 5 -N(H)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂,
 -C(=O)N(H)C₁-C₆-alkyl, -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl,
 -OC(=O)N(C₁-C₆-alkyl)₂, -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-C₁-C₆-
 alkyl, -N(H)C(=O)NH₂, -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-C₆-alkyl)₂,
 -N(C₁-C₆-alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl, -N(C₁-C₆-
 10 alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -S(=O)₂-C₁-C₆-
 alkyl, -S(=O)₂NH₂, -S(=O)₂N(H)C₁-C₆-alkyl, -S(=O)₂N(C₁-C₆-alkyl)₂, -NH₂,
 -N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)₂;

R₈ represents a group selected from:

15 C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-
 alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, hydroxy-C₁-C₆-alkyl,
 C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered
 heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-
 heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-aryl, -C₁-C₆-
 20 alkylene-heteroaryl, -C₁-C₆-alkylene-(3- to 10-membered heterocycloalkyl),
 -NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in identically
 or differently, with a substituent selected from: halo-, hydroxyl-, cyano-,
 nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-,
 25 hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-,
 C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to 10-membered
 heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-
 heteroaryl-, -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to
 10-membered heterocycloalkyl), -C(=O)H, -C(=O)R¹¹-, C(=O)OH, -C(=O)O-
 30 C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-

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cycloalkyl, $-N(H)C(=O)R^{11}$, $-N(C_1-C_6\text{-alkyl})C(=O)R^{11}$, $-N(H)S(=O)_2R^{11}$, $-N(C_1-C_6\text{-alkyl})S(=O)_2R^{11}$, $-C(=O)NR^9R^{10}$, $-OC(=O)NR^9R^{10}$, $-N(H)C(=O)OR^{11}$, $-N(C_1-C_6\text{-alkyl})C(=O)OR^{11}$, $-N(H)C(=O)NR^9R^{10}$, $-N(C_1-C_6\text{-alkyl})C(=O)NR^9R^{10}$, $-SR^{11}$, $-S(=O)R^{11}$, $-S(=O)_2R^{11}$, $-S(=O)_2NR^9R^{10}$, $-NR^9R^{10}$;

5

R^9 , R^{10} represent independently from each other hydrogen, or a group selected from:

$C_1-C_6\text{-alkyl-}$, $\text{halo-}C_1-C_6\text{-alkyl-}$, $C_1-C_6\text{-alkoxy-}$, $\text{halo-}C_1-C_6\text{-alkoxy-}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, $\text{halo-}C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, $C_2-C_{10}\text{-alkenyl-}$, $C_2-C_{10}\text{-alkynyl-}$, $C_3-C_{10}\text{-cycloalkyl-}$, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, $-C_1-C_6\text{-alkylene-aryl}$, $C_1-C_6\text{-alkylene-aryl-}$, $C_1-C_6\text{-alkylene-heteroaryl-}$, $-C_1-C_6\text{-alkylene-}C_3-C_{10}\text{-cycloalkyl}$, $-C_1-C_6\text{-alkylene-(3- to 10-membered heterocycloalkyl)}$, $-C(=O)-C_1-C_6\text{-alkyl-}$, $-C(=O)-C_3-C_{10}\text{-cycloalkyl-}$, $-C(=O)-(3- to 10-membered heterocycloalkyl-)$, $-C(=O)\text{-aryl-}$, $-C(=O)\text{-heteroaryl-}$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$, $-S(=O)_2-C_1-C_6\text{-alkyl-}$, $-S(=O)_2-C_3-C_{10}\text{-cycloalkyl-}$, $-S(=O)_2-(3- to 10-membered heterocycloalkyl-)$, $-S(=O)_2\text{-aryl-}$, $-S(=O)_2\text{-heteroaryl-}$,

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R^9 and R^{10} can form together a 5-7 saturated or partially unsaturated heterocyclic ring, which optionally further contains 1 or 2 heteroatom-containing groups selected from O, $C(=O)$, S, $S(=O)$, $S(=O)_2$, NR^1 in which R^1 is defined *infra*;

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R^{11} represents a group selected from:

$C_1-C_6\text{-alkyl-}$, $\text{halo-}C_1-C_6\text{-alkyl-}$, $C_1-C_6\text{-alkoxy-}$, $\text{halo-}C_1-C_6\text{-alkoxy-}$, $\text{hydroxy-}C_1-C_6\text{-alkyl}$, $C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, $\text{halo-}C_1-C_6\text{-alkoxy-}C_1-C_6\text{-alkyl-}$, $C_2-C_{10}\text{-alkenyl-}$, $C_2-C_{10}\text{-alkynyl-}$, $C_3-C_{10}\text{-cycloalkyl-}$, 3- to 10-membered heterocycloalkyl-, aryl-, heteroaryl-, $C_1-C_6\text{-alkylene-aryl-}$, $C_1-C_6\text{-alkylene-heteroaryl-}$, $-C_1-C_6\text{-alkylene-}C_3-C_{10}\text{-cycloalkyl}$, $-C_1-C_6\text{-alkylene-(3- to 10-membered heterocycloalkyl)}$, $-C(=O)-C_1-C_6\text{-alkyl}$, $-C(=O)-C_3-C_{10}\text{-cycloalkyl}$, $-C(=O)-(3- to 10-membered heterocycloalkyl)$, $-C(=O)\text{-aryl}$, $-C(=O)\text{-heteroaryl}$, $-C(=O)OH$, $-C(=O)O-C_1-C_6\text{-alkyl}$, $-C(=O)O-C_3-C_{10}\text{-cycloalkyl}$,

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-OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl,
 -N(H)C(=O)-C₃-C₁₀-cycloalkyl, -N(H)C(=O)-(3- to 10-membered
 heterocycloalkyl), -N(H)C(=O)-aryl, -N(H)C(=O)-heteroaryl, -N(C₁-C₆-
 alkyl)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₃-C₁₀-cycloalkyl, -N(C₁-C₆-
 alkyl)C(=O)-(3- to 10-membered heterocycloalkyl), -N(C₁-C₆-alkyl)C(=O)-aryl,
 -N(C₁-C₆-alkyl)C(=O)-heteroaryl, -N(H)S(=O)₂-C₁-C₆-alkyl, -N(H)S(=O)₂-
 C₃-C₁₀-cycloalkyl, -N(H)S(=O)₂-(3- to 10-membered heterocycloalkyl),
 -N(H)S(=O)₂-aryl, -N(H)S(=O)₂-heteroaryl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl,
 -N(C₁-C₆-alkyl)S(=O)₂-C₃-C₁₀-cycloalkyl, -N(C₁-C₆-alkyl)S(=O)₂-(3- to
 10-membered heterocycloalkyl), -N(C₁-C₆-alkyl)S(=O)₂-aryl, -N(C₁-C₆-
 alkyl)S(=O)₂-heteroaryl, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)-OC₁-C₆-
 alkyl, -N(H)C(=O)-OC₃-C₁₀-cycloalkyl, -N(H)C(=O)-O(3- to 10-membered
 heterocycloalkyl), -N(H)C(=O)-O-aryl, -N(H)C(=O)-O-heteroaryl, -N(C₁-C₆-
 alkyl)C(=O)-OC₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-OC₃-C₁₀-cycloalkyl, -N(C₁-C₆-
 alkyl)C(=O)-O(3- to 10-membered heterocycloalkyl), -N(C₁-C₆-alkyl)C(=O)-O-
 aryl, -N(C₁-C₆-alkyl)C(=O)-O-heteroaryl, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-
 alkyl)C(=O)NR⁹R¹⁰, -S-C₁-C₆-alkyl, -S-C₃-C₁₀-cycloalkyl, -S-(3- to 10-membered
 heterocycloalkyl), -S-aryl, -S-heteroaryl, -S(=O)-C₁-C₆-alkyl, -S(=O)-C₃-C₁₀-
 cycloalkyl, -S(=O)-(3- to 10-membered heterocycloalkyl), -S(=O)-aryl,
 -S(=O)-heteroaryl, -S(=O)₂-C₁-C₆-alkyl, -S(=O)₂-C₃-C₁₀-cycloalkyl, -S(=O)₂-(3-
 to 10-membered heterocycloalkyl), -S(=O)₂-aryl, -S(=O)₂-heteroaryl,
 -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

n, m represent, independently from each other, an integer of 0, 1, 2, 3, 4,
 or 5 ;

or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof,
 or a mixture of same.

2. The compound according to claim 1, wherein:

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represents a 4- to 6-membered heterocyclic ring, optionally further containing 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ represents hydrogen, or a group selected from: C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, hydroxyl-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-, aryloxy- C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, a 4- or 5-(1,3-benzodioxolynyl)- group, -C₁-C₆-alkylene-heteroaryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)R⁸, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -C(=O)NR⁹R¹⁰, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from: halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

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R^1 and R^7 can form together a 5-6 membered ring which is optionally substituted with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, hydroxy- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, C_3 - C_7 -cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-, - C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, - C_1 - C_6 -alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O) R^8 -, C(=O)OH, -C(=O)O- C_1 - C_6 -alkyl, -C(=O)O- C_3 - C_{10} -cycloalkyl, -OC(=O)- C_1 - C_6 -alkyl, -OC(=O)- C_3 - C_7 -cycloalkyl, -N(H)C(=O) R^8 , -N(C_1 - C_6 -alkyl)C(=O) R^8 , -N(H)S(=O) $_2R^8$, -N(C_1 - C_6 -alkyl)S(=O) $_2R^8$, -C(=O)NR $^9R^{10}$, -OC(=O)NR $^9R^{10}$, -N(H)C(=O)OR ^{8} , -N(C_1 - C_6 -alkyl)C(=O)OR ^{8} , -N(H)C(=O)NR $^9R^{10}$, -N(C_1 - C_6 -alkyl)C(=O)NR $^9R^{10}$, -SR ^{8} , -S(=O) R^8 , -S(=O) $_2R^8$, -S(=O) $_2NR^9R^{10}$, -NR $^9R^{10}$, =O ;

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R^2 , R^3 , R^4 , R^6 , represent independently from each other hydrogen, or a group selected from:

halo-, hydroxyl-, cyano-, nitro-, C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, hydroxy- C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, -C(=O) R^8 -, C(=O)OH, -C(=O)O- C_1 - C_6 -alkyl, -C(=O)O- C_3 - C_7 -cycloalkyl, -OC(=O)- C_1 - C_6 -alkyl, -OC(=O)- C_3 - C_7 -cycloalkyl, -N(H)C(=O) R^8 , -N(C_1 - C_6 -alkyl)C(=O) R^8 , -C(=O)NR $^9R^{10}$, -N(H)C(=O)NR $^9R^{10}$, -N(C_1 - C_6 -alkyl)C(=O)NR $^9R^{10}$, -NR $^9R^{10}$;

R^5 , R^7 represent, independently from each other, a substituent selected from hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group selected from : C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkyl-, C_1 - C_6 -alkoxy-, halo- C_1 - C_6 -alkoxy-, C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, halo- C_1 - C_6 -alkoxy- C_1 - C_6 -alkyl-, C_3 - C_7 -cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, - C_1 - C_6 -alkylene-aryl, C_1 - C_6 -alkylene-aryl-, C_1 - C_6 -alkylene-heteroaryl-, - C_1 - C_6 -

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alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸,
 5 -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(-C₁-C₆-alkylene-aryl,=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

wherein, when m or n represent, independently from each other, an integer of 2, 3, 4, or 5, then said substituent or substituent group is, independently
 10 from each other, identical or different;

wherein said substituent group is optionally substituted one or more times, in identically or differently, with a substituent selected from: halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-,
 15 aryl-, heteroaryl-, a 4- or 5-(1,3-benzodioxolynyl)- group, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -N(H)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂, -C(=O)N(H)C₁-C₆-alkyl, -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl, -OC(=O)N(C₁-C₆-alkyl)₂, -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-C₁-C₆-alkyl, -N(H)C(=O)NH₂, -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-C₆-alkyl)₂,
 20 -N(C₁-C₆-alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -S(=O)₂-C₁-C₆-alkyl, -S(=O)₂NH₂, -S(=O)₂N(H)C₁-C₆-alkyl, -S(=O)₂N(C₁-C₆-alkyl)₂, -NH₂, -N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)₂ ;

R₈ represents a group selected from:

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C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, hydroxy-C₁-C₆-alkyl, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-aryl, -C₁-C₆-alkylene-heteroaryl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)R¹¹-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R¹¹, -N(C₁-C₆-alkyl)C(=O)R¹¹, -N(H)S(=O)₂R¹¹, -N(C₁-C₆-alkyl)S(=O)₂R¹¹, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR¹¹, -N(C₁-C₆-alkyl)C(=O)OR¹¹, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR¹¹, -S(=O)R¹¹, -S(=O)₂R¹¹, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

R⁹, R¹⁰ represent independently from each other hydrogen, or a group selected from:

C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)-C₁-C₆-alkyl-, -C(=O)-C₃-C₇-cycloalkyl-, -C(=O)-(3- to 7-membered heterocycloalkyl)-, -C(=O)-aryl-, -C(=O)-heteroaryl-, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -S(=O)₂-C₁-C₆-alkyl-, -S(=O)₂-C₃-C₇-cycloalkyl-,

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-S(=O)₂-(3- to 7-membered heterocycloalkyl)-, -S(=O)₂-aryl-, -S(=O)₂-heteroaryl-,

R⁹ and R¹⁰ can form together a 5-7 saturated or partially unsaturated heterocyclic ring, which optionally further contains 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ is defined *infra* ;

R¹¹ represents a group selected from:

C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)-C₁-C₆-alkyl, -C(=O)-C₃-C₇-cycloalkyl, -C(=O)-(3- to 7-membered heterocycloalkyl), -C(=O)-aryl, -C(=O)-heteroaryl, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(H)C(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)-(3- to 7-membered heterocycloalkyl), -N(H)C(=O)-aryl, -N(H)C(=O)-heteroaryl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₃-C₇-cycloalkyl, -N(C₁-C₆-alkyl)C(=O)-(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)C(=O)-aryl, -N(C₁-C₆-alkyl)C(=O)-heteroaryl, -N(H)S(=O)₂-C₁-C₆-alkyl, -N(H)S(=O)₂-C₃-C₇-cycloalkyl, -N(H)S(=O)₂-(3- to 7-membered heterocycloalkyl), -N(H)S(=O)₂-aryl, -N(H)S(=O)₂-heteroaryl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₃-C₇-cycloalkyl, -N(C₁-C₆-alkyl)S(=O)₂-(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)S(=O)₂-aryl, -N(C₁-C₆-alkyl)S(=O)₂-heteroaryl, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)-OC₁-C₆-alkyl, -N(H)C(=O)-OC₃-C₇-cycloalkyl, -N(H)C(=O)-O(3- to 7-membered heterocycloalkyl), -N(H)C(=O)-O-aryl, -N(H)C(=O)-O-heteroaryl, -N(C₁-C₆-alkyl)C(=O)-OC₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-OC₃-C₇-cycloalkyl, -N(C₁-C₆-alkyl)C(=O)-O(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)C(=O)-O-aryl, -N(C₁-C₆-alkyl)C(=O)-O-heteroaryl, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-

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alkyl)C(=O)NR⁹R¹⁰, -S-C₁-C₆-alkyl, -S-C₃-C₇-cycloalkyl, -S-(3- to 7-membered heterocycloalkyl), -S-aryl, -S-heteroaryl, -S(=O)-C₁-C₆-alkyl, -S(=O)-C₃-C₇-cycloalkyl, -S(=O)-(3- to 7-membered heterocycloalkyl), -S(=O)-aryl, -S(=O)-heteroaryl, -S(=O)₂-C₁-C₆-alkyl, -S(=O)₂-C₃-C₇-cycloalkyl, -S(=O)₂-(3- to 7-membered heterocycloalkyl), -S(=O)₂-aryl, -S(=O)₂-heteroaryl, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

n, m represent, independently from each other, an integer of 0, 1, 2, 3, 4, or 5.

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3. The compound according to claim 1 or 2, wherein :



represents a 4- to 6-membered heterocyclic ring, optionally further containing 1 or 2 heteroatom-containing groups selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ represents hydrogen, or a group selected from: C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, hydroxyl-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-, aryloxy- C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl, a 4- or 5-(1,3-benzodioxoliny)- group, -C₁-C₆-alkylene-heteroaryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)R⁸, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -C(=O)NR⁹R¹⁰, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in identically or differently, with a substituent selected from: halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-,

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halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

R¹ and R⁷ can form together a 5-6 membered ring which is optionally substituted with a substituent selected from: halo-, hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)R⁸-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰, =O ;

R², R³, R⁴, R⁶, represent independently from each other hydrogen, or a group selected from:

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halo-, hydroxyl-, cyano-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-,
 -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -N(H)C(=O)R⁸,
 -N(C₁-C₆-alkyl)C(=O)R⁸, -C(=O)NR⁹R¹⁰, -NR⁹R¹⁰;

- 5 R⁵, R⁷ represent, independently from each other, a substituent selected
 from hydrogen, halo-, hydroxyl-, cyano-, nitro-, or a substituent group
 selected from: C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-
 alkoxy-, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-
 cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-
 10 alkylene-aryl, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-
 alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered
 heterocycloalkyl), -OR⁸, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl,
 -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl,
 -N(H)C(=O)R⁸, -N(C₁-C₆-alkyl)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸,
 15 -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸,
 -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -SR⁸, -S(=O)R⁸, -S(-C₁-C₆-
 alkylene-aryl,=O)₂R⁸, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

wherein, when m or n represent, independently from each other, an integer
 of 2, 3, 4, or 5, then said substituent or substituent group is, independently
 20 from each other, identical or different;

wherein said substituent group is optionally substituted one or more times,
 in identically or differently, with a substituent selected from: halo-,
 hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-
 C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-
 25 alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-,
 aryl-, heteroaryl-, a 4- or 5-(1,3-benzodioxolynyl)- group, C₁-C₆-alkylene-aryl-,
 C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl, -C₁-C₆-alkylene-
 (3- to 7-membered heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH,
 -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-
 30 C₃-C₇-cycloalkyl, -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl,

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-N(H)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂,
 -C(=O)N(H)C₁-C₆-alkyl, -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl,
 -OC(=O)N(C₁-C₆-alkyl)₂, -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-C₁-C₆-
 alkyl, -N(H)C(=O)NH₂, -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-C₆-alkyl)₂,
 5 -N(C₁-C₆-alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl, -N(C₁-C₆-
 alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -S(=O)₂-C₁-C₆-
 alkyl, -S(=O)₂NH₂, -S(=O)₂N(H)C₁-C₆-alkyl, -S(=O)₂N(C₁-C₆-alkyl)₂, -NH₂,
 -N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)₂ ;

R₈ represents a group selected from:

10 C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-
 alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, hydroxy-C₁-C₆-alkyl, C₃-C₇-
 cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-
 alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl,
 -C₁-C₆-alkylene-aryl, -C₁-C₆-alkylene-heteroaryl, -C₁-C₆-alkylene-(3- to
 15 7-membered heterocycloalkyl), -NR⁹R¹⁰;

wherein said group is optionally substituted one or more times, in identically
 or differently, with a substituent selected from: halo-, hydroxyl-, cyano-,
 nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-,
 hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-,
 20 C₃-C₇-cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-,
 C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-
 cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)H,
 -C(=O)R¹¹-, C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-cycloalkyl, -OC(=O)-
 C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)R¹¹, -N(C₁-C₆-alkyl)C(=O)R¹¹,
 25 -N(H)S(=O)₂R¹¹, -N(C₁-C₆-alkyl)S(=O)₂R¹¹, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰,
 -N(H)C(=O)OR¹¹, -N(C₁-C₆-alkyl)C(=O)OR¹¹, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-
 alkyl)C(=O)NR⁹R¹⁰, -SR¹¹, -S(=O)R¹¹, -S(=O)₂R¹¹, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

R⁹, R¹⁰ represent independently from each other hydrogen, or a group selected
 30 from:

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C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, C₁-C₆-
 alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-cycloalkyl-, 3- to
 7-membered heterocycloalkyl-, aryl-, heteroaryl-, -C₁-C₆-alkylene-aryl,
 C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-
 5 cycloalkyl, -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)-
 C₁-C₆-alkyl-, -C(=O)-C₃-C₇-cycloalkyl-, -C(=O)-(3- to 7-membered
 heterocycloalkyl)-, -C(=O)-aryl-, -C(=O)-heteroaryl-, -C(=O)O-C₁-C₆-alkyl,
 -C(=O)O-C₃-C₇-cycloalkyl, -S(=O)₂-C₁-C₆-alkyl-, -S(=O)₂-C₃-C₇-cycloalkyl-,
 -S(=O)₂-(3- to 7-membered heterocycloalkyl)-, -S(=O)₂-aryl-, -S(=O)₂-
 10 heteroaryl-,

R⁹ and R¹⁰ can form together a 5-7 saturated or partially unsaturated heterocyclic
 ring, which optionally further contains 1 or 2 heteroatom-containing groups
 selected from O, C(=O), S, S(=O), S(=O)₂, NR¹ in which R¹ is defined *infra* ;

15 R¹¹ represents a group selected from:

C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-C₁-C₆-alkoxy-, hydroxy-
 C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-alkoxy-C₁-C₆-alkyl-, C₃-C₇-
 cycloalkyl-, 3- to 7-membered heterocycloalkyl-, aryl-, heteroaryl-, C₁-C₆-
 alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-, -C₁-C₆-alkylene-C₃-C₇-cycloalkyl,
 20 -C₁-C₆-alkylene-(3- to 7-membered heterocycloalkyl), -C(=O)-C₁-C₆-alkyl,
 -C(=O)-C₃-C₇-cycloalkyl, -C(=O)-(3- to 7-membered heterocycloalkyl), -C(=O)-
 aryl, -C(=O)-heteroaryl, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -C(=O)O-C₃-C₇-
 cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)-C₁-C₆-
 alkyl, -N(H)C(=O)-C₃-C₇-cycloalkyl, -N(H)C(=O)-(3- to 7-membered
 25 heterocycloalkyl), -N(H)C(=O)-aryl, -N(H)C(=O)-heteroaryl, -N(C₁-C₆-
 alkyl)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₃-C₇-cycloalkyl, -N(C₁-C₆-
 alkyl)C(=O)-(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)C(=O)-aryl,
 -N(C₁-C₆-alkyl)C(=O)-heteroaryl, -N(H)S(=O)₂-C₁-C₆-alkyl, -N(H)S(=O)₂-C₃-C₇-
 cycloalkyl, -N(H)S(=O)₂-(3- to 7-membered heterocycloalkyl), -N(H)S(=O)₂-
 30 aryl, -N(H)S(=O)₂-heteroaryl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -N(C₁-C₆-

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alkyl)S(=O)₂-C₃-C₇-cycloalkyl, -N(C₁-C₆-alkyl)S(=O)₂-(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)S(=O)₂-aryl, -N(C₁-C₆-alkyl)S(=O)₂-heteroaryl, -C(=O)NR⁹R¹⁰, -OC(=O)NR⁹R¹⁰, -N(H)C(=O)-OC₁-C₆-alkyl, -N(H)C(=O)-OC₃-C₇-cycloalkyl, -N(H)C(=O)-O(3- to 7-membered heterocycloalkyl), -N(H)C(=O)-O-aryl, -N(H)C(=O)-O-heteroaryl, -N(C₁-C₆-alkyl)C(=O)-OC₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-OC₃-C₇-cycloalkyl, -N(C₁-C₆-alkyl)C(=O)-O(3- to 7-membered heterocycloalkyl), -N(C₁-C₆-alkyl)C(=O)-O-aryl, -N(C₁-C₆-alkyl)C(=O)-O-heteroaryl, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰, -S-C₁-C₆-alkyl, -S-C₃-C₇-cycloalkyl, -S-(3- to 7-membered heterocycloalkyl), -S-aryl, -S-heteroaryl, -S(=O)-C₁-C₆-alkyl, -S(=O)-C₃-C₇-cycloalkyl, -S(=O)-(3- to 7-membered heterocycloalkyl), -S(=O)-aryl, -S(=O)-heteroaryl, -S(=O)₂-C₁-C₆-alkyl, -S(=O)₂-C₃-C₇-cycloalkyl, -S(=O)₂-(3- to 7-membered heterocycloalkyl), -S(=O)₂-aryl, -S(=O)₂-heteroaryl, -S(=O)₂NR⁹R¹⁰, -NR⁹R¹⁰;

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n, m represent, independently from each other, an integer of 0, 1, 2, 3, 4, or 5.

4. The compound according to any one of claims 1 to 3, wherein:

20 R⁵ represents a substituent selected from: halo-, hydroxyl-, or a substituent group selected from : C₁-C₆-alkyl-, -C(=O)H, -C(=O)R⁸, -C(=O)OH, -C(=O)O-C₁-C₆-alkyl, -N(H)C(=O)R⁸, -N(H)S(=O)₂R⁸, -N(C₁-C₆-alkyl)S(=O)₂R⁸, -C(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸, -N(C₁-C₆-alkyl)C(=O)OR⁸, -N(H)C(=O)NR⁹R¹⁰, -N(C₁-C₆-alkyl)C(=O)NR⁹R¹⁰ and -NR⁹R¹⁰;

25 wherein, when m or n represent, independently from each other, an integer of 2, 3, 4, or 5, then said substituent or substituent group is, independently from each other, identical or different;

wherein said substituent group is optionally substituted one or more times, in identically or differently, with a substituent selected from : halo-,
30 hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-

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C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-
 alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to
 10-membered heterocycloalkyl-, aryl-, heteroaryl-, a 4- or 5-(1,3-
 benzodioxoliny)- group, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-,
 5 -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered
 heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH, -C(=O)O-C₁-C₆-alkyl,
 -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl,
 -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -N(H)S(=O)₂-C₁-C₆-
 alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂, -C(=O)N(H)C₁-C₆-alkyl,
 10 -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl, -OC(=O)N(C₁-C₆-alkyl)₂,
 -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-C₁-C₆-alkyl, -N(H)C(=O)NH₂,
 -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-C₆-alkyl)₂, -N(C₁-C₆-
 alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl, -N(C₁-C₆-
 alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -S(=O)₂-C₁-C₆-
 15 alkyl, -S(=O)₂NH₂, -S(=O)₂N(H)C₁-C₆-alkyl, -S(=O)₂N(C₁-C₆-alkyl)₂, -NH₂,
 -N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)₂.

5. The compound according to any one of claims 1 to 4, wherein:

R⁵ represents a substituent selected from : hydroxyl-, or a substituent group
 20 selected from : -C(=O)H, -C(=O)OH, -N(H)C(=O)R⁸, -N(H)S(=O)₂R⁸,
 -C(=O)NR⁹R¹⁰, -N(H)C(=O)OR⁸ and -N(H)C(=O)NR⁹R¹⁰;
 wherein, when m or n represent, independently from each other, an integer
 of 2, 3, 4, or 5, then said substituent or substituent group is, independently
 from each other, identical or different;
 25 wherein said substituent group is optionally substituted one or more times,
 in identically or differently, with a substituent selected from: halo-,
 hydroxyl-, cyano-, nitro-, C₁-C₆-alkyl-, halo-C₁-C₆-alkyl-, C₁-C₆-alkoxy-, halo-
 C₁-C₆-alkoxy-, hydroxy-C₁-C₆-alkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl-, halo-C₁-C₆-
 alkoxy-C₁-C₆-alkyl-, C₂-C₁₀-alkenyl-, C₂-C₁₀-alkynyl-, C₃-C₁₀-cycloalkyl-, 3- to
 30 10-membered heterocycloalkyl-, aryl-, heteroaryl-, a 4- or 5-(1,3-

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benzodioxoliny)- group, C₁-C₆-alkylene-aryl-, C₁-C₆-alkylene-heteroaryl-,
 -C₁-C₆-alkylene-C₃-C₁₀-cycloalkyl, -C₁-C₆-alkylene-(3- to 10-membered
 heterocycloalkyl), -C(=O)H, -C(=O)-C₁-C₆-alkyl, C(=O)OH, -C(=O)O-C₁-C₆-alkyl,
 -C(=O)O-C₃-C₁₀-cycloalkyl, -OC(=O)-C₁-C₆-alkyl, -OC(=O)-C₃-C₁₀-cycloalkyl,
 5 -N(H)C(=O)-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)-C₁-C₆-alkyl, -N(H)S(=O)₂-C₁-C₆-
 alkyl, -N(C₁-C₆-alkyl)S(=O)₂-C₁-C₆-alkyl, -C(=O)NH₂, -C(=O)N(H)C₁-C₆-alkyl,
 -C(=O)N(C₁-C₆-alkyl)₂, -OC(=O)N(H)C₁-C₆-alkyl, -OC(=O)N(C₁-C₆-alkyl)₂,
 -N(H)C(=O)O-C₁-C₆-alkyl, -N(C₁-C₆-alkyl)C(=O)O-C₁-C₆-alkyl, -N(H)C(=O)NH₂,
 -N(H)C(=O)N(H)C₁-C₆-alkyl, -N(H)C(=O)N(C₁-C₆-alkyl)₂, -N(C₁-C₆-
 10 alkyl)C(=O)NH₂, -N(C₁-C₆-alkyl)C(=O)N(H)C₁-C₆-alkyl, -N(C₁-C₆-
 alkyl)C(=O)N(C₁-C₆-alkyl)₂, -S-C₁-C₆-alkyl, -S(=O)-C₁-C₆-alkyl, -S(=O)₂-C₁-C₆-
 alkyl, -S(=O)₂NH₂, -S(=O)₂N(H)C₁-C₆-alkyl, -S(=O)₂N(C₁-C₆-alkyl)₂, -NH₂,
 -N(H)C₁-C₆-alkyl, -N(C₁-C₆-alkyl)₂.

15 6. The compound according to any one of claims 1 to 3, which is selected from the group consisting of:

7-(2-methoxy-phenyl)-2-morpholin-4-yl-quinoxaline
 2-(morpholin-4-yl)-7-phenylquinoxaline
 7-(2,4-dichlorophenyl)-2-(morpholin-4-yl)quinoxaline
 7-(3-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline

 2-(morpholin-4-yl)-7-(4-phenoxyphenyl)quinoxaline
 7-(biphenyl-4-yl)-2-(morpholin-4-yl)quinoxaline
 7-(3-methylphenyl)-2-(morpholin-4-yl)quinoxaline
 7-(3-chlorophenyl)-2-(morpholin-4-yl)quinoxaline
 7-(3,4-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline
 7-(3,5-dichlorophenyl)-2-(morpholin-4-yl)quinoxaline
 7-(4-methylphenyl)-2-(morpholin-4-yl)quinoxaline

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7-(2,4-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline
7-(2-fluoro-4-methylphenyl)-2-(morpholin-4-yl)quinoxaline
{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanol
4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzonitrile
7-(4-fluorophenyl)-2-(morpholin-4-yl)quinoxaline
4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol
2-(morpholin-4-yl)-7-[3-(trifluoromethyl)phenyl]quinoxaline
7-(2-fluoro-5-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline
7-(5-fluoro-2-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline
7-[4-(methylsulfanyl)phenyl]-2-(morpholin-4-yl)quinoxaline
1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}ethanone
7-(4-methoxy-2-methylphenyl)-2-(morpholin-4-yl)quinoxaline
7-(4-tert-butylphenyl)-2-(morpholin-4-yl)quinoxaline
7-(2,6-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline
2-(morpholin-4-yl)-7-(3-nitrophenyl)quinoxaline
7-(4-chlorophenyl)-2-(morpholin-4-yl)quinoxaline
7-(5-chloro-2-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline
7-(4-chloro-2-methylphenyl)-2-(morpholin-4-yl)quinoxaline

1-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}ethanone
7-[2-(methylsulfanyl)phenyl]-2-(morpholin-4-yl)quinoxaline
2-(morpholin-4-yl)-7-[4-(propan-2-yl)phenyl]quinoxaline
7-(3-fluorophenyl)-2-(morpholin-4-yl)quinoxaline
2-(morpholin-4-yl)-7-[2-(trifluoromethoxy)phenyl]quinoxaline
7-(biphenyl-3-yl)-2-(morpholin-4-yl)quinoxaline
2-(morpholin-4-yl)-7-[3-(propan-2-yl)phenyl]quinoxaline

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2-(morpholin-4-yl)-7-(2-phenoxyphenyl)quinoxaline
{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanol
7-[3-(methylsulfanyl)phenyl]-2-(morpholin-4-yl)quinoxaline
7-(2-chlorophenyl)-2-(morpholin-4-yl)quinoxaline
2-(morpholin-4-yl)-7-[4-(trifluoromethoxy)phenyl]quinoxaline
2-(morpholin-4-yl)-7-[4-(trifluoromethyl)phenyl]quinoxaline
3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol
N,N-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

7-(3-chloro-4-methylphenyl)-2-(morpholin-4-yl)quinoxaline
N-methyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide
N-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide
N-{2-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide
7-(2-fluorophenyl)-2-(morpholin-4-yl)quinoxaline
7-(4-ethoxyphenyl)-2-(morpholin-4-yl)quinoxaline
7-(2,5-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline
7-(3-fluoro-4-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline
7-(2-methylphenyl)-2-(morpholin-4-yl)quinoxaline
2-(morpholin-4-yl)-7-[3-(propan-2-yloxy)phenyl]quinoxaline
2-(morpholin-4-yl)-7-(4-nitrophenyl)quinoxaline
7-[2-(benzyloxy)phenyl]-2-(morpholin-4-yl)quinoxaline
N-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanesulfonamide
2-(morpholin-4-yl)-7-[4-(propan-2-yloxy)phenyl]quinoxaline
N-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide
7-(3,4-difluorophenyl)-2-(morpholin-4-yl)quinoxaline

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7-(4-methoxy-3,5-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline
7-(3,5-difluorophenyl)-2-(morpholin-4-yl)quinoxaline
3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzonitrile
7-(2,4-difluorophenyl)-2-(morpholin-4-yl)quinoxaline
7-(2,3-difluorophenyl)-2-(morpholin-4-yl)quinoxaline
7-(2,5-difluorophenyl)-2-(morpholin-4-yl)quinoxaline
methyl 3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzoate
7-(2-fluoro-3-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline
methyl 2-[3-(morpholin-4-yl)quinoxalin-6-yl]benzoate

3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide
1-{4-[(4-methylpiperazin-1-yl)methyl]-3-(trifluoromethyl)phenyl}-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea
7-(3,5-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline
N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide
7-(3-fluoro-5-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline
7-(3,4-dichlorophenyl)-2-(morpholin-4-yl)quinoxaline
7-[4-(methylsulfonyl)phenyl]-2-(morpholin-4-yl)quinoxaline
2-chloro-N-cyclopropyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide
2-chloro-N-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide
7-[4-(2-methylpropoxy)phenyl]-2-(morpholin-4-yl)quinoxaline
7-(2-chloro-5-methylphenyl)-2-(morpholin-4-yl)quinoxaline
N-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzyl}acetamide
N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzyl}acetamide

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3-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-phenylbenzamide

N-cyclopropyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

3-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide

N-benzyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}(pyrrolidin-1-yl)methanone

N,N-diethyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

4-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-phenylbenzamide

7-[3-(methoxymethyl)phenyl]-2-(morpholin-4-yl)quinoxaline

{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}(pyrrolidin-1-yl)methanone

7-(2,3-dimethoxyphenyl)-2-(morpholin-4-yl)quinoxaline

7-(2,4-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline

7-(2,5-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline

7-(2,3-dimethylphenyl)-2-(morpholin-4-yl)quinoxaline

7-(2,5-dichlorophenyl)-2-(morpholin-4-yl)quinoxaline

2-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol

7-(3-ethoxyphenyl)-2-(morpholin-4-yl)quinoxaline

4-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide

N-cyclopropyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

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{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}(piperidin-1-yl)methanone

2-chloro-N-cyclopropyl-5-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

2-fluoro-5-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide

N,N-dimethyl-2-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

2-fluoro-4-[3-(morpholin-4-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide

7-[4-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-2-(morpholin-4-yl)quinoxaline

7-[3-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-2-(morpholin-4-yl)quinoxaline

2,6-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol

3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzoic acid

7-(2-chloro-5-methoxyphenyl)-2-(morpholin-4-yl)quinoxaline

{2-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanol

7-(5-fluoro-2-methylphenyl)-2-(morpholin-4-yl)quinoxaline

N,N-dimethyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

N-{2-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanesulfonamide

7-[2-(methylsulfonyl)phenyl]-2-(morpholin-4-yl)quinoxaline

7-[3-(methylsulfonyl)phenyl]-2-(morpholin-4-yl)quinoxaline

2-fluoro-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzonitrile

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morpholin-4-yl{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanone

N-benzyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

3-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol

N,N-dimethyl-2-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide

5-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}imidazolidine-2,4-dione

{3-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}(piperidin-1-yl)methanone

N,N-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]aniline

2-(morpholin-4-yl)-7-[4-(morpholin-4-yl)phenyl]quinoxaline

N-[2-(dimethylamino)ethyl]-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzyl}methanesulfonamide

N-{3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzyl}methanesulfonamide

4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide

3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide

N,N-dimethyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide

N-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide

N,N-dimethyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide

N-cyclopropyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide

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N-methyl-3-[3-(morpholin-4-yl)quinoxalin-6-yl]benzenesulfonamide

{2-fluoro-5-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}methanol

2-fluoro-5-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol

2-methyl-4-[3-(morpholin-4-yl)quinoxalin-6-yl]aniline

2-fluoro-4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol

7-(2-methoxy-phenyl)-2-piperidin-1-yl-quinoxaline

7-phenyl-2-(piperidin-1-yl)quinoxaline

7-(2,4-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline

7-(3-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(4-phenoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(biphenyl-4-yl)-2-(piperidin-1-yl)quinoxaline

7-(3-methylphenyl)-2-(piperidin-1-yl)quinoxaline

7-(3-chlorophenyl)-2-(piperidin-1-yl)quinoxaline

7-(3,4-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(3,5-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline

7-(4-methylphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,4-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2-fluoro-4-methylphenyl)-2-(piperidin-1-yl)quinoxaline

{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanol

4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzonitrile

7-(4-fluorophenyl)-2-(piperidin-1-yl)quinoxaline

4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol

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2-(piperidin-1-yl)-7-[3-(trifluoromethyl)phenyl]quinoxaline
7-(2-fluoro-5-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline
7-(5-fluoro-2-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline
7-[4-(methylsulfanyl)phenyl]-2-(piperidin-1-yl)quinoxaline
1-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}ethanone
7-(4-methoxy-2-methylphenyl)-2-(piperidin-1-yl)quinoxaline
7-(4-tert-butylphenyl)-2-(piperidin-1-yl)quinoxaline
7-(3-nitrophenyl)-2-(piperidin-1-yl)quinoxaline
7-(4-chlorophenyl)-2-(piperidin-1-yl)quinoxaline
7-(5-chloro-2-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline
7-(4-chloro-2-methylphenyl)-2-(piperidin-1-yl)quinoxaline

1-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}ethanone
7-[2-(methylsulfanyl)phenyl]-2-(piperidin-1-yl)quinoxaline
2-(piperidin-1-yl)-7-[4-(propan-2-yl)phenyl]quinoxaline
7-(3-fluorophenyl)-2-(piperidin-1-yl)quinoxaline
2-(piperidin-1-yl)-7-[2-(trifluoromethoxy)phenyl]quinoxaline
7-(biphenyl-3-yl)-2-(piperidin-1-yl)quinoxaline
2-(piperidin-1-yl)-7-[3-(propan-2-yl)phenyl]quinoxaline
7-(2-phenoxyphenyl)-2-(piperidin-1-yl)quinoxaline
{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanol
7-[3-(methylsulfanyl)phenyl]-2-(piperidin-1-yl)quinoxaline
2-(piperidin-1-yl)-7-[2-(trifluoromethyl)phenyl]quinoxaline
7-(2-chlorophenyl)-2-(piperidin-1-yl)quinoxaline
2-(piperidin-1-yl)-7-[4-(trifluoromethoxy)phenyl]quinoxaline
2-(piperidin-1-yl)-7-[4-(trifluoromethyl)phenyl]quinoxaline
3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol

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N,N-dimethyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

7-(3-chloro-4-methylphenyl)-2-(piperidin-1-yl)quinoxaline

N-methyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

N-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

N-{2-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide

7-(2-fluorophenyl)-2-(piperidin-1-yl)quinoxaline

7-(4-ethoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,5-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(3-fluoro-4-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2-methylphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,6-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline

2-(piperidin-1-yl)-7-[3-(propan-2-yloxy)phenyl]quinoxaline

7-(4-nitrophenyl)-2-(piperidin-1-yl)quinoxaline

7-[2-(benzyloxy)phenyl]-2-(piperidin-1-yl)quinoxaline

N-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanesulfonamide

2-(piperidin-1-yl)-7-[4-(propan-2-yloxy)phenyl]quinoxaline

N-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide

7-(2,6-difluorophenyl)-2-(piperidin-1-yl)quinoxaline

7-(3,4-difluorophenyl)-2-(piperidin-1-yl)quinoxaline

7-(4-methoxy-3,5-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline

7-(3,5-difluorophenyl)-2-(piperidin-1-yl)quinoxaline

3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzonitrile

7-(2,4-difluorophenyl)-2-(piperidin-1-yl)quinoxaline

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7-(2,3-difluorophenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,5-difluorophenyl)-2-(piperidin-1-yl)quinoxaline

methyl 3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzoate

7-(2-fluoro-3-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline

methyl 2-[3-(piperidin-1-yl)quinoxalin-6-yl]benzoate

3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

1-{4-[(4-methylpiperazin-1-yl)methyl]-3-

(trifluoromethyl)phenyl}-3-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}urea

7-(3,5-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline

N-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide

7-(3-fluoro-5-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(3,4-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline

7-[4-(methylsulfonyl)phenyl]-2-(piperidin-1-yl)quinoxaline

2-chloro-N-cyclopropyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

2-chloro-N-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

7-[4-(2-methylpropoxy)phenyl]-2-(piperidin-1-yl)quinoxaline

7-(2-chloro-5-methylphenyl)-2-(piperidin-1-yl)quinoxaline

N-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzyl}acetamide

N-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzyl}acetamide

N-phenyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

3-[3-(piperidin-1-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide

N-benzyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}(pyrrolidin-1-yl)methanone

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N,N-diethyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

N-phenyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

7-[3-(methoxymethyl)phenyl]-2-(piperidin-1-yl)quinoxaline

{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}(pyrrolidin-1-yl)methanone

7-(2,3-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,4-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,5-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,3-dimethylphenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,5-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline

7-(2,3-dichlorophenyl)-2-(piperidin-1-yl)quinoxaline

2-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol

7-(3-ethoxyphenyl)-2-(piperidin-1-yl)quinoxaline

4-[3-(piperidin-1-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide

N-cyclopropyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

piperidin-1-yl{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanone

2-chloro-N-cyclopropyl-5-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

2-fluoro-5-[3-(piperidin-1-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide

N,N-dimethyl-2-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

2-fluoro-4-[3-(piperidin-1-yl)quinoxalin-6-yl]-N-(propan-2-yl)benzamide

7-[4-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-2-(piperidin-1-yl)quinoxaline

2-(piperidin-1-yl)-7-[4-(1H-pyrrol-1-ylsulfonyl)phenyl]quinoxaline

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7-[3-(5-methyl-1,3,4-oxadiazol-2-yl)phenyl]-2-(piperidin-1-yl)quinoxaline
7-(3,5-dimethoxyphenyl)-2-(piperidin-1-yl)quinoxaline
2-(piperidin-1-yl)-7-[3-(1H-tetrazol-5-yl)phenyl]quinoxaline
2,6-dimethyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol
3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzoic acid
7-(2-chloro-5-methoxyphenyl)-2-(piperidin-1-yl)quinoxaline
{2-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanol
7-(5-fluoro-2-methylphenyl)-2-(piperidin-1-yl)quinoxaline
N,N-dimethyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide
4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide
N-{2-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanesulfonamide
7-[3-(methylsulfonyl)phenyl]-2-(piperidin-1-yl)quinoxaline
2-fluoro-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzonitrile
morpholin-4-yl{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanone
N-benzyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide
3-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol
N,N-dimethyl-2-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide
piperidin-1-yl{3-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanone
N,N-dimethyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]aniline
7-[4-(morpholin-4-yl)phenyl]-2-(piperidin-1-yl)quinoxaline
N-[2-(dimethylamino)ethyl]-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzamide

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N-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzyl}methanesulfonamide
N-{3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzyl}methanesulfonamide
4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide
3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide
N,N-dimethyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide
N-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide
N,N-dimethyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide
N-cyclopropyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide
N-methyl-3-[3-(piperidin-1-yl)quinoxalin-6-yl]benzenesulfonamide
{2-fluoro-5-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}methanol
2-fluoro-5-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol
2-methyl-4-[3-(piperidin-1-yl)quinoxalin-6-yl]aniline
2-phenyl-N-{4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide
2-fluoro-4-[3-(piperidin-1-yl)quinoxalin-6-yl]phenol
4-(3-pyrrolidin-1-yl-quinoxalin-6-yl)-phenol
4-[3-(4-methylpiperazin-1-yl)quinoxalin-6-yl]phenol
4-[3-(3-methylpiperidin-1-yl)quinoxalin-6-yl]phenol
4-[3-(4-methylpiperidin-1-yl)quinoxalin-6-yl]phenol
4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenol
4-[3-(4-phenylpiperazin-1-yl)quinoxalin-6-yl]phenol

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4-{3-[4-(pyridin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol
benzyl 4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazine-1-
carboxylate
1-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperidine-3-
carboxamide
4-(3-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}quinoxalin-6-
yl)phenol
4-[3-(3,4-dihydroisoquinolin-2(1H)-yl)quinoxalin-6-yl]phenol
4-[3-(4-benzylpiperazin-1-yl)quinoxalin-6-yl]phenol
4-{3-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]quinoxalin-
6-yl}phenol
4-{3-[4-(2-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenol
4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenol
4-(3-{4-[4-(trifluoromethyl)phenyl]piperazin-1-yl}quinoxalin-6-
yl)phenol
4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol
4-(3-{4-[2-(dimethylamino)ethyl]piperazin-1-yl}quinoxalin-6-
yl)phenol
4-(3-{4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl}quinoxalin-6-
yl)phenol
2-{4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}-N,N-
dimethylacetamide
4-(3-{4-[3-(morpholin-4-yl)propyl]piperazin-1-yl}quinoxalin-6-
yl)phenol
4-[3-(4-benzylpiperidin-1-yl)quinoxalin-6-yl]phenol
4-[3-(4-methyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenol
4-[3-(4-benzyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenol

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4-{3-[4-(2-phenylethyl)-1,4-diazepan-1-yl]quinoxalin-6-yl}phenol

4-[3-(1,4'-bipiperidin-1'-yl)quinoxalin-6-yl]phenol

4-{3-[4-(diphenylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-[3-(4-phenylpiperidin-1-yl)quinoxalin-6-yl]phenol

1-{4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}ethanone

4-{3-[4-(methylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-{3-[4-(1-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-{3-[4-(2-phenoxyethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-{3-[4-(3-phenylpropyl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-{3-[4-(pyridin-2-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

{4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}(pyrrolidin-1-yl)methanone

4-{3-[4-(3-phenoxypropyl)piperazin-1-yl]quinoxalin-6-yl}phenol

3-({4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}methyl)benzonitrile

4-({4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}methyl)benzonitrile

methyl 4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazine-1-carboxylate

3-{4-[7-(4-hydroxyphenyl)quinoxalin-2-yl]piperazin-1-yl}benzonitrile

N-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-succinamide

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N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}cyclohexanecarboxamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}benzamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}cyclopropanecarboxamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}pyridine-2-carboxamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-1H-indole-2-carboxamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}furan-2-carboxamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}but-2-ynamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}propanamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}cyclopentanecarboxamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-(trifluoromethyl)benzamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-2-[3-(trifluoromethyl)phenyl]acetamide

N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-[3-(trifluoromethyl)phenyl]propanamide

2-cyclopropyl-N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}acetamide

N-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-3-trifluoromethyl-benzenesulfonamide

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N-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}benzenesulfonamide

1-methyl-3-[3-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-urea

1-methyl-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea

1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-[3-(trifluoromethyl)phenyl]urea

1-(2-fluorophenyl)-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea

1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-[4-(trifluoromethyl)phenyl]urea

1-benzyl-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea

1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-(2-phenylethyl)urea

1-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}-3-pyridin-3-ylurea

1-ethyl-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea

1-[2-fluoro-5-(trifluoromethyl)phenyl]-3-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}urea

2-phenyl-N-{4-[3-(4-pyridin-4-yl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-acetamide

2-(2-fluorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

3-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

2-(pyridin-3-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

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2-(pyridin-2-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-cyclopropyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

3-(pyridin-4-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclohexanecarboxamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

2-hydroxy-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

4-fluoro-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

3-methyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide

4-(acetylamino)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

1-methyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-indole-2-carboxamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanediamide

2-(4-chlorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

1-methyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrrole-2-carboxamide

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N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide

3-cyano-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

1-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide

3-cyclohexyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)furan-3-carboxamide

2-(2-methoxyphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-3-(trifluoromethyl)benzamide

2-(2-chlorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-methoxy-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-2-yl)acetamide

2-(biphenyl-4-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-4-(trifluoromethyl)benzamide

2-(1H-imidazol-4-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-3-yl)acetamide

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N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-
2-[4-(trifluoromethyl)phenyl]acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-
2-[2-(trifluoromethyl)phenyl]acetamide

2-hydroxy-2-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-
yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)thiophene-3-carboxamide

2-(3-fluorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-
yl]quinoxalin-6-yl}phenyl)acetamide

5-oxo-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)prolinamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-
1H-benzimidazole-5-carboxamide

2-(1,3-benzodioxol-5-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-
yl]quinoxalin-6-yl}phenyl)acetamide

6-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)hexanamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)tetrahydrofuran-2-carboxamide

2-(3-chlorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-
yl]quinoxalin-6-yl}phenyl)acetamide

4-cyano-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)benzamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)cyclobutanecarboxamide

2-(4-fluorophenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-
yl]quinoxalin-6-yl}phenyl)acetamide

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2-fluoro-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

3-fluoro-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

N-{4-[3-(Pyridin-4-yl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-2-ureido-acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-4-carboxamide

2-(1H-indol-3-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(3-methoxyphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

4-phenyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide

1-methyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopentanecarboxamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-2-carboxamide

2-(4-methylphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide

2-cyclohexyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

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2-(4-methoxyphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(2-methylphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(3-methylphenyl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-[4-(dimethylamino)phenyl]-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)tetrahydro-2H-pyran-4-carboxamide

5-chloro-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-2-carboxamide

3-hydroxy-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-imidazole-4-carboxamide

3-cyclopropyl-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(1H-tetrazol-5-yl)acetamide

2-{4-[(methylsulfonyl)amino]phenyl}-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

3-[(methylsulfonyl)amino]-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

1-cyano-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide

2-[4-(acetylamino)phenyl]-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

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N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyrimidine-5-carboxamide

2-(1,2-benzoxazol-3-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

4-(piperidin-1-yl)-N-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide

1-methyl-1-phenyl-3-{4-[3-(4-pyridin-4-yl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-urea

1-ethyl-1-methyl-3-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea

1-cyclopropyl-3-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea

1-benzyl-1-methyl-3-(4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea

2-phenyl-N-{4-[3-(4-pyridin-3-ylmethyl)piperazin-1-yl]-quinoxalin-6-yl}-phenyl}-acetamide

2-(2-fluorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

3-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

2-(pyridin-3-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(pyridin-2-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-cyclopropyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

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3-(pyridin-4-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclohexanecarboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pentanamide

2-hydroxy-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

4-fluoro-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

3-methyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide

4-(acetylamino)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

1-methyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-indole-2-carboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanediamide

2-(4-chlorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

1-methyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrrole-2-carboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide

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3-cyano-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

1-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide

3-cyclohexyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)furan-3-carboxamide

2-(2-methoxyphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-3-(trifluoromethyl)benzamide

2-(2-chlorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-methyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-[3-(trifluoromethyl)phenyl]acetamide

2-methoxy-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-2-yl)acetamide

2-(biphenyl-4-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-4-(trifluoromethyl)benzamide

6-amino-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-3-carboxamide

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2-(1H-imidazol-4-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(thiophen-3-yl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pent-4-ynamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-[4-(trifluoromethyl)phenyl]acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-[2-(trifluoromethyl)phenyl]acetamide

2-hydroxy-2-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-3-carboxamide

2-(3-fluorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-hydroxy-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

5-oxo-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)prolinamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-benzimidazole-5-carboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)but-2-ynamide

2-(1,3-benzodioxol-5-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

6-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)hexanamide

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N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)tetrahydrofuran-2-carboxamide

2-(3-chlorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

4-cyano-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclobutanecarboxamide

2-(4-fluorophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)furan-2-carboxamide

2-fluoro-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

3-fluoro-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

N-{4-[3-(4-Pyridin-3-ylmethyl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-2-ureido-acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-4-carboxamide

2-(1H-indol-3-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(3-methoxyphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-3-carboxamide

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2,6-dioxo-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1,2,3,6-tetrahydropyrimidine-4-carboxamide

4-phenyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-2-carboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyrazine-2-carboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopentanecarboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopropanecarboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-2-carboxamide

2-(4-methylphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridazine-4-carboxamide

2-cyclohexyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(4-methoxyphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(2-methylphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

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2-(3-methylphenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-[4-(dimethylamino)phenyl]-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)tetrahydro-2H-pyran-4-carboxamide

5-chloro-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)thiophene-2-carboxamide

2-(3-methyl-1,2-oxazol-5-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

3-hydroxy-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-imidazole-4-carboxamide

3-cyclopropyl-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-(1H-tetrazol-5-yl)acetamide

2-{4-[(methylsulfonyl)amino]phenyl}-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

3-[(methylsulfonyl)amino]-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)benzamide

N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-3-sulfamoylbenzamide

2-[4-(acetylamino)phenyl]-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(4-cyanophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

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N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyrimidine-5-carboxamide

2-(1,2-benzoxazol-3-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-(3-cyanophenyl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

4-(piperidin-1-yl)-N-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)butanamide

1-methyl-1-phenyl-3-{4-[3-(4-pyridin-3-ylmethyl-piperazin-1-yl)-quinoxalin-6-yl]-phenyl}-urea

1-pyridin-2-yl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea

1-pyridin-3-yl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea

1-pyridin-4-yl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea

1-cyclopropyl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea

1-benzyl-1-methyl-3-(4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)urea

2-phenyl-N-{4-[3-(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)-quinoxalin-6-yl]-phenyl}-acetamide

2-(2-fluorophenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-phenyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

3-phenyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)propanamide

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N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-
2-(pyridin-3-yl)acetamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-
2-(pyridin-2-yl)acetamide

2-cyclopropyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-
yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)benzamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)pentanamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)hexanamide

3-cyano-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)benzamide

2-methyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)butanamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-
2-(thiophen-3-yl)acetamide

2-(1,3-benzodioxol-5-yl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-
yl]quinoxalin-6-yl}phenyl)acetamide

6-phenyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)hexanamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)tetrahydrofuran-2-carboxamide

4-cyano-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)benzamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-
yl}phenyl)cyclobutanecarboxamide

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2-(3-methoxyphenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-3-carboxamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyridine-2-carboxamide

1-methyl-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)cyclopentanecarboxamide

2-(4-methylphenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-1H-pyrazole-3-carboxamide

2-(4-methoxyphenyl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)pyrimidine-5-carboxamide

2-(1,2-benzoxazol-3-yl)-N-(4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

1-benzyl-3-{4-[3-(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)-quinoxalin-6-yl]-phenyl}-urea

2,6-dimethyl-4-[3-(4-methylpiperazin-1-yl)-quinoxalin-6-yl]-phenol

4-[3-(4-benzylpiperazin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol

4-[3-(4-benzylpiperidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol

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2,6-dimethyl-4-(3-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}quinoxalin-6-yl)phenol

4-[3-(1,4'-bipiperidin-1'-yl)quinoxalin-6-yl]-2,6-dimethylphenol

2,6-dimethyl-4-{3-[4-(pyridin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-{3-[4-(4-fluorophenyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

4-{3-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

1-{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}ethanone

ethyl 4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazine-1-carboxylate

4-{3-[4-(2-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperidine-3-carboxamide

2,6-dimethyl-4-[3-(4-phenylpiperazin-1-yl)quinoxalin-6-yl]phenol

2,6-dimethyl-4-[3-(pyrrolidin-1-yl)quinoxalin-6-yl]phenol

4-{3-[4-(diphenylmethyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

4-[3-(3,4-dihydroisoquinolin-2(1H)-yl)quinoxalin-6-yl]-2,6-dimethylphenol

2,6-dimethyl-4-{3-[4-(2-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-{3-[4-(3-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenol

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4-{3-[4-(4-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

2,6-dimethyl-4-{3-[4-(4-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-{3-[4-(1-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-{3-[4-(2-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-{3-[4-(pyridin-4-yl)piperazin-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-{3-[4-(pyrazin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol

2-{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}-N-methyl-N-phenylacetamide

2,6-dimethyl-4-[3-(4-methyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenol

4-[3-(4-ethylpiperazin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol

4-{3-[4-(2-chlorobenzyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

4-(3-{4-[3-(dimethylamino)propyl]piperazin-1-yl}quinoxalin-6-yl)-2,6-dimethylphenol

2,6-dimethyl-4-[3-(4-methyl-2-phenylpiperazin-1-yl)quinoxalin-6-yl]phenol

2,6-dimethyl-4-{3-[4-(2-phenoxyethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-{3-[3-(pyridin-3-yl)pyrrolidin-1-yl]quinoxalin-6-yl}phenol

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2,6-dimethyl-4-{3-[3-(trifluoromethyl)pyrrolidin-1-yl]quinoxalin-6-yl}phenol

{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}(pyrrolidin-1-yl)methanone

2,6-dimethyl-4-{3-[4-(3-phenoxypropyl)piperazin-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-[3-(4-phenylpiperidin-1-yl)quinoxalin-6-yl]phenol

4-(3-{4-[2-(dimethylamino)ethyl]piperazin-1-yl}quinoxalin-6-yl)-2,6-dimethylphenol

2,6-dimethyl-4-{3-[4-(pyrimidin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-{3-[4-(2-methoxyethyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

2,6-dimethyl-4-(3-{4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenol

benzyl 4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazine-1-carboxylate

4-[3-(4-fluoropiperidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol

2,6-dimethyl-4-{3-[4-(3-phenylpropyl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}benzonitrile

2-{4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}-N,N-dimethylacetamide

4-{3-[4-(4-fluorobenzyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

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2,6-dimethyl-4-(3-{4-[2-(pyrrolidin-1-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenol

3-({4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}methyl)benzonitrile

4-({4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazin-1-yl}methyl)benzonitrile

4-[3-(4-benzyl-1,4-diazepan-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol

2,6-dimethyl-4-(3-{4-[3-(morpholin-4-yl)propyl]piperazin-1-yl}quinoxalin-6-yl)phenol

2,6-dimethyl-4-{3-[4-(2-phenylethyl)-1,4-diazepan-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-(3-{4-[3-(pyrrolidin-1-yl)propyl]piperazin-1-yl}quinoxalin-6-yl)phenol

4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]morpholine-2-carbonitrile

1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperidine-3-carbonitrile

2,6-dimethyl-4-[3-(2-phenylpyrrolidin-1-yl)quinoxalin-6-yl]phenol

2,6-dimethyl-4-{3-[3-(pyridin-4-yl)pyrrolidin-1-yl]quinoxalin-6-yl}phenol

4-{3-[4-(3-methoxypropyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

2,6-dimethyl-4-{3-[4-(pyridin-2-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

2,6-dimethyl-4-{3-[4-(pyridin-3-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenol

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2,6-dimethyl-4-(3-{4-[(1-methylpiperidin-3-yl)methyl]piperazin-1-yl}quinoxalin-6-yl)phenol

4-{3-[4-(ethylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

4-[3-(4,4-dimethylpiperidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol

4-[3-(3,3-difluoroazetidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol

4-{3-[2-(hydroxymethyl)pyrrolidin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

4-[3-(3-methoxyazetidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol

4-{3-[4-(3-chlorobenzyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

2,6-dimethyl-4-{3-[4-(methylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}phenol

4-[3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)quinoxalin-6-yl]-2,6-dimethylphenol

4-{3-[4-(2-fluorobenzyl)piperazin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]pyrrolidin-3-ol

1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperidine-4-carbonitrile

Methyl 4-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]piperazine-1-carboxylate

4-[3-(hexahydrocyclopenta[c]pyrrol-2(1H)-yl)quinoxalin-6-yl]-2,6-dimethylphenol

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2-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]hexahydropyrrolo[1,2-a]pyrazin-6(2H)-one

4-[3-(3-benzylazetidin-1-yl)quinoxalin-6-yl]-2,6-dimethylphenol
2,6-dimethyl-4-{3-[3-(piperidin-1-yl)azetidin-1-yl]quinoxalin-6-yl}phenol

1-[7-(4-hydroxy-3,5-dimethylphenyl)quinoxalin-2-yl]azetidine-3-carbonitrile

4-{3-[3-(hydroxymethyl)pyrrolidin-1-yl]quinoxalin-6-yl}-2,6-dimethylphenol

2,6-dimethyl-4-{3-[3-(1H-pyrazol-1-yl)azetidin-1-yl]quinoxalin-6-yl}phenol

N-{4-[3-(4-benzylpiperazin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-{4-[3-(1,4'-bipiperidin-1'-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

2-phenyl-N-(4-{3-[4-(pyridin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-{4-[3-(4-acetylpiperazin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

ethyl 4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazine-1-carboxylate

N-(4-{3-[4-(2-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-{4-[3-(3-methylpiperidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

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1-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperidine-3-carboxamide

2-phenyl-N-{4-[3-(4-phenylpiperazin-1-yl)quinoxalin-6-yl]phenyl}acetamide

2-phenyl-N-{4-[3-(pyrrolidin-1-yl)quinoxalin-6-yl]phenyl}acetamide

N-(4-{3-[4-(diphenylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-{4-[3-(3,4-dihydroisoquinolin-2(1H)-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-(4-{3-[4-(3-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-(4-{3-[4-(3-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-(4-{3-[4-(4-methoxyphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-(4-{3-[4-(4-methylphenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

2-phenyl-N-(4-{3-[4-(1-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-phenyl-N-(4-{3-[4-(2-phenylethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-phenyl-N-[4-(3-{4-[4-(trifluoromethyl)phenyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]acetamide

N-methyl-N-phenyl-2-[4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazin-1-yl]acetamide

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N-{4-[3-(4-methyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-{4-[3-(4-ethylpiperazin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-(4-{3-[4-(2-chlorobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-[4-(3-{4-[3-(dimethylamino)propyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]-2-phenylacetamide

N-{4-[3-(4-methyl-2-phenylpiperazin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-(4-{3-[2-(hydroxymethyl)morpholin-4-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-(4-{3-[4-(2-phenoxyethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

2-phenyl-N-(4-{3-[3-(pyridin-3-yl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-phenyl-N-(4-{3-[3-(trifluoromethyl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)acetamide

2-phenyl-N-(4-{3-[4-(pyrrolidin-1-ylcarbonyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(3-phenoxypropyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

2-phenyl-N-{4-[3-(4-phenylpiperidin-1-yl)quinoxalin-6-yl]phenyl}acetamide

N-[4-(3-{4-[2-(dimethylamino)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]-2-phenylacetamide

2-phenyl-N-(4-{3-[4-(pyrimidin-2-yl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

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N-(4-{3-[4-(2-methoxyethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-[4-(3-{4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]-2-phenylacetamide

benzyl 4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazine-1-carboxylate

N-{4-[3-(4-fluoropiperidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

2-phenyl-N-(4-{3-[4-(3-phenylpropyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(4-cyanophenyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N,N-dimethyl-2-[4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazin-1-yl]acetamide

N-(4-{3-[4-(4-fluorobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

2-phenyl-N-[4-(3-{4-[2-(pyrrolidin-1-yl)ethyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]acetamide

N-(4-{3-[4-(3-cyanobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-(4-{3-[4-(4-cyanobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-{4-[3-(4-benzyl-1,4-diazepan-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

2-phenyl-N-(4-{3-[4-(2-phenylethyl)-1,4-diazepan-1-yl]quinoxalin-6-yl}phenyl)acetamide

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2-phenyl-N-[4-(3-{4-[3-(pyrrolidin-1-yl)propyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]acetamide

N-{4-[3-(2-cyanomorpholin-4-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

2-phenyl-N-{4-[3-(2-phenylpyrrolidin-1-yl)quinoxalin-6-yl]phenyl}acetamide

2-phenyl-N-(4-{3-[3-(pyridin-4-yl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-(4-{3-[4-(3-methoxypropyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

2-phenyl-N-(4-{3-[4-(pyridin-2-ylmethyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-[4-(3-{4-[(1-methylpiperidin-3-yl)methyl]piperazin-1-yl}quinoxalin-6-yl)phenyl]-2-phenylacetamide

N-(4-{3-[4-(ethylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-{4-[3-(4,4-dimethylpiperidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-{4-[3-(3,3-difluoroazetidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-(4-{3-[2-(hydroxymethyl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-(4-{3-[4-(3-chlorobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-(4-{3-[4-(methylsulfonyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-{4-[3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

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N-(4-{3-[4-(2-fluorobenzyl)piperazin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

N-{4-[3-(3-hydroxypyrrolidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

methyl 4-(7-{4-[(phenylacetyl)amino]phenyl}quinoxalin-2-yl)piperazine-1-carboxylate

N-{4-[3-(hexahydrocyclopenta[c]pyrrol-2(1H)-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-{4-[3-(3-benzylazetidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

2-phenyl-N-(4-{3-[3-(piperidin-1-yl)azetidin-1-yl]quinoxalin-6-yl}phenyl)acetamide

N-{4-[3-(3-cyanoazetidin-1-yl)quinoxalin-6-yl]phenyl}-2-phenylacetamide

N-(4-{3-[3-(hydroxymethyl)pyrrolidin-1-yl]quinoxalin-6-yl}phenyl)-2-phenylacetamide

4-[3-(morpholin-4-yl)quinoxalin-6-yl]benzene-1,2-diamine

N-(3-morpholin-4-yl-quinoxalin-6-yl)-2-phenyl-isobutyramide

7-(3-methanesulfonyl-phenyl)-2-morpholin-4-yl-quinoxaline

N-[4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-methanesulfonamide

1-cyclopropyl-3-[4-(3-morpholin-4-yl-quinoxalin-6-yl)-phenyl]-urea

N,N-dimethyl-N'-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}sulfuric diamide

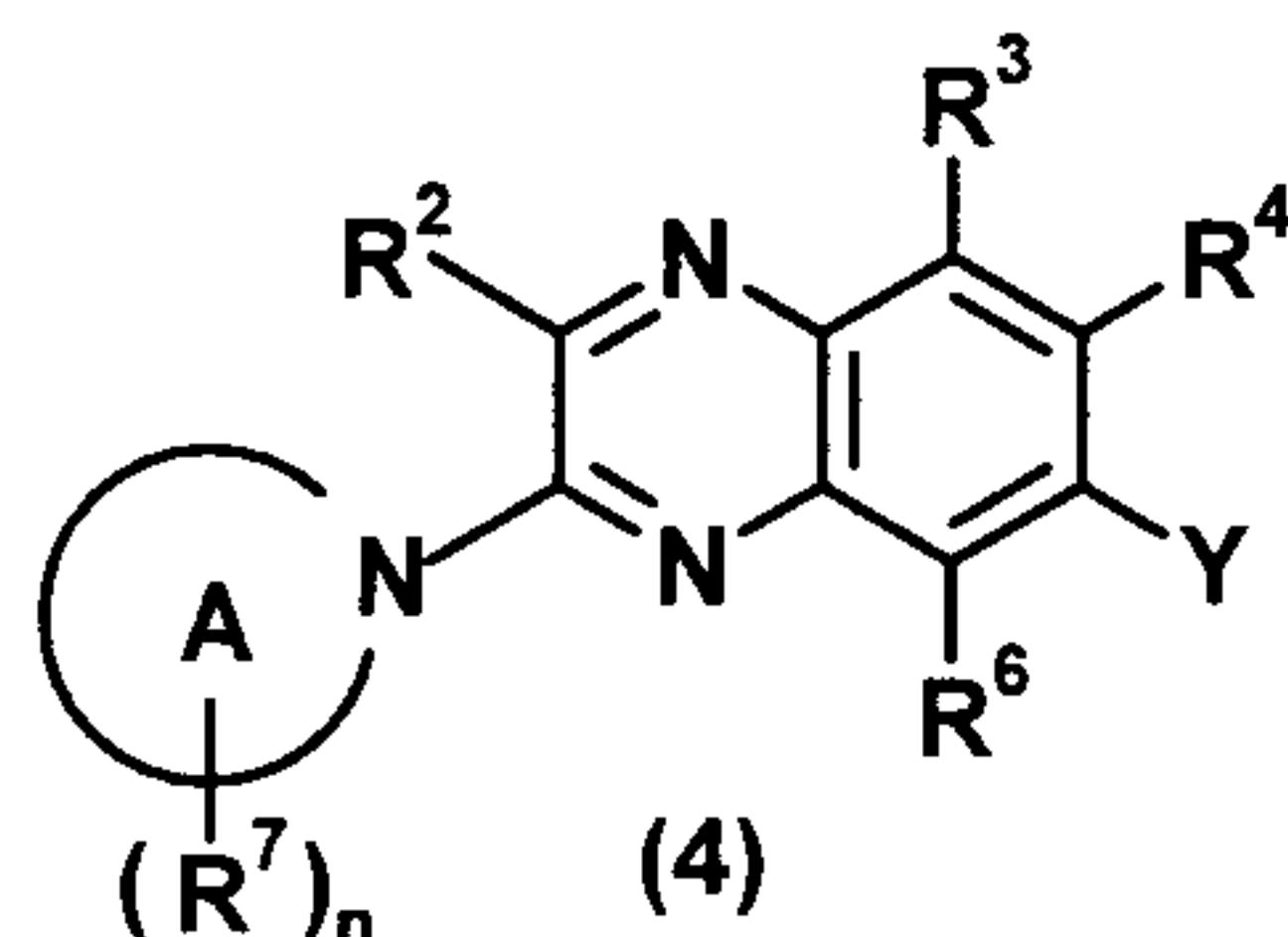
and

N-methyl-N'-{4-[3-(morpholin-4-yl)quinoxalin-6-yl]phenyl}sulfuric diamide.

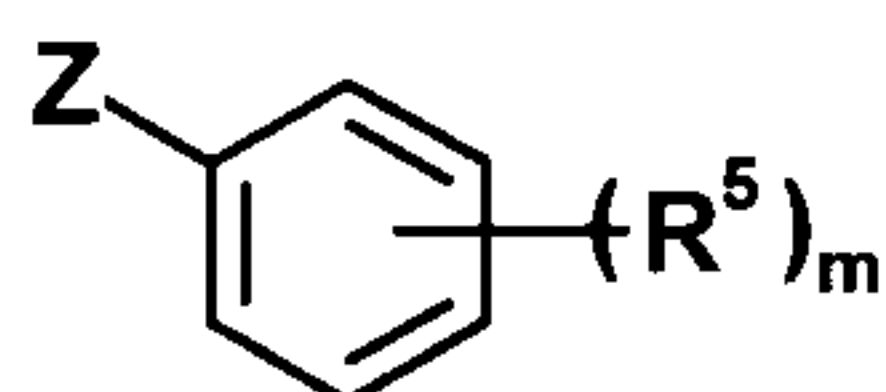
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7. A method of preparing a compound of general formula (I) according to any one of claims 1 to 6, in which method an intermediate compound of general formula (4) :

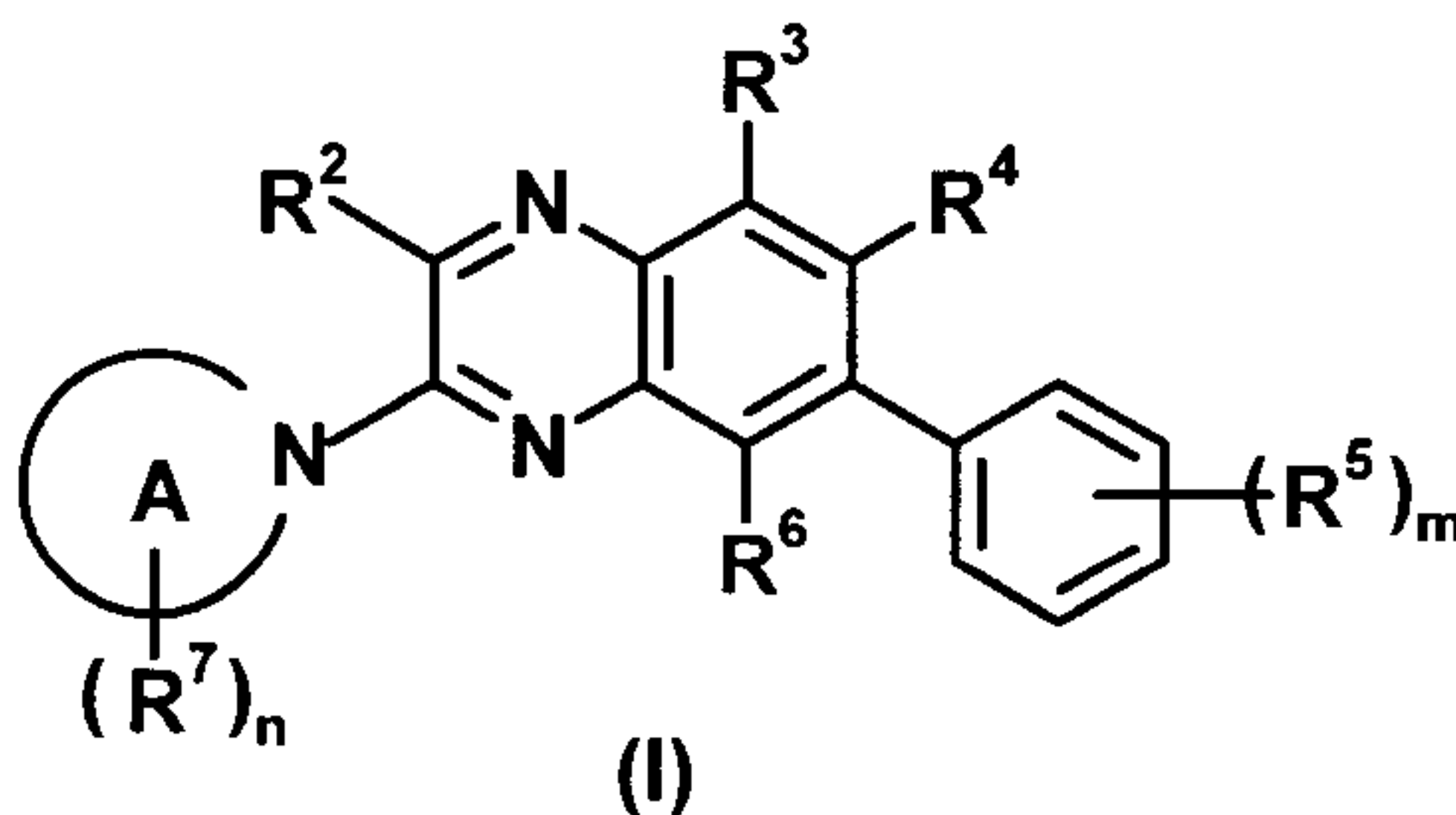


- 5 in which R^2 , R^3 , R^4 , R^6 , R^7 and n are as defined for the compound of general formula (I) in any one of claims 1 to 6, and Y is a halogen atom,
is allowed to react with a compound of general formula 4a :



10 (4a)

in which R^5 and m are as defined for the compound of general formula (I) in any one of claims 1 to 6 and Z represents a labile functional group,
thus providing a compound of general formula (I) :



15

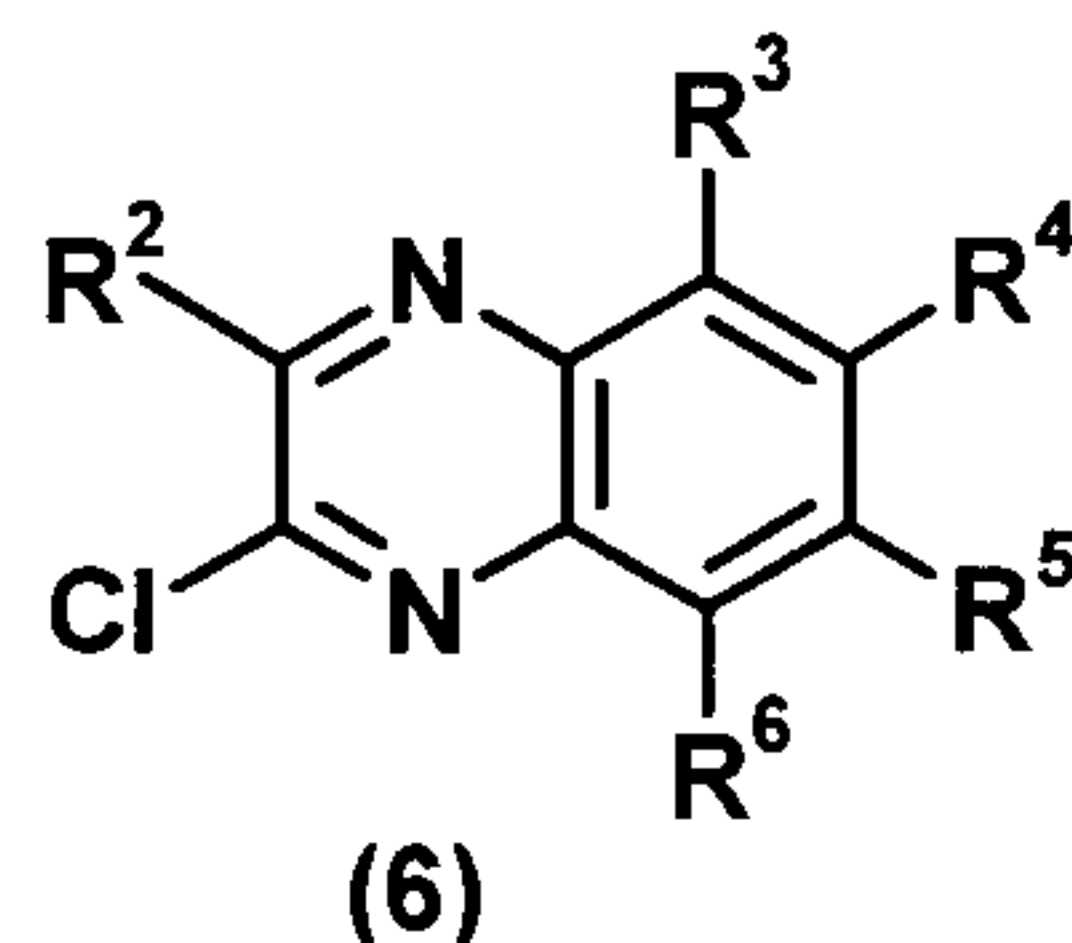
in which R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , m and n are as defined for the compound of general formula (I) in any one of claims 1 to 6.

8. The method of claim 7, wherein the labile functional group is a boronic acid
20 moiety.

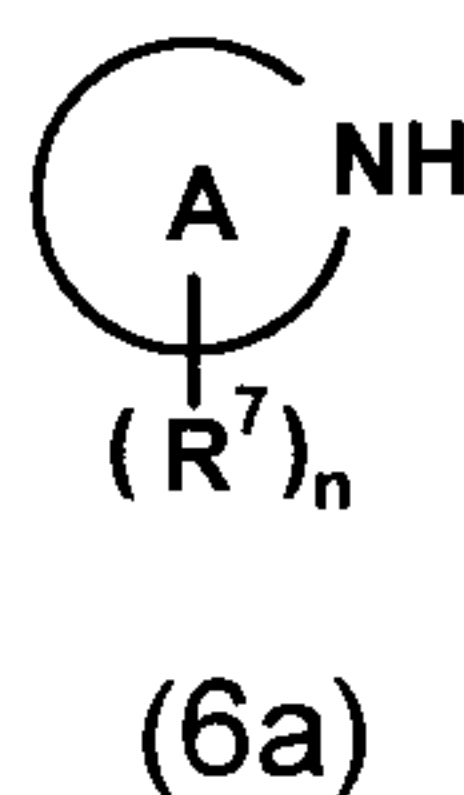
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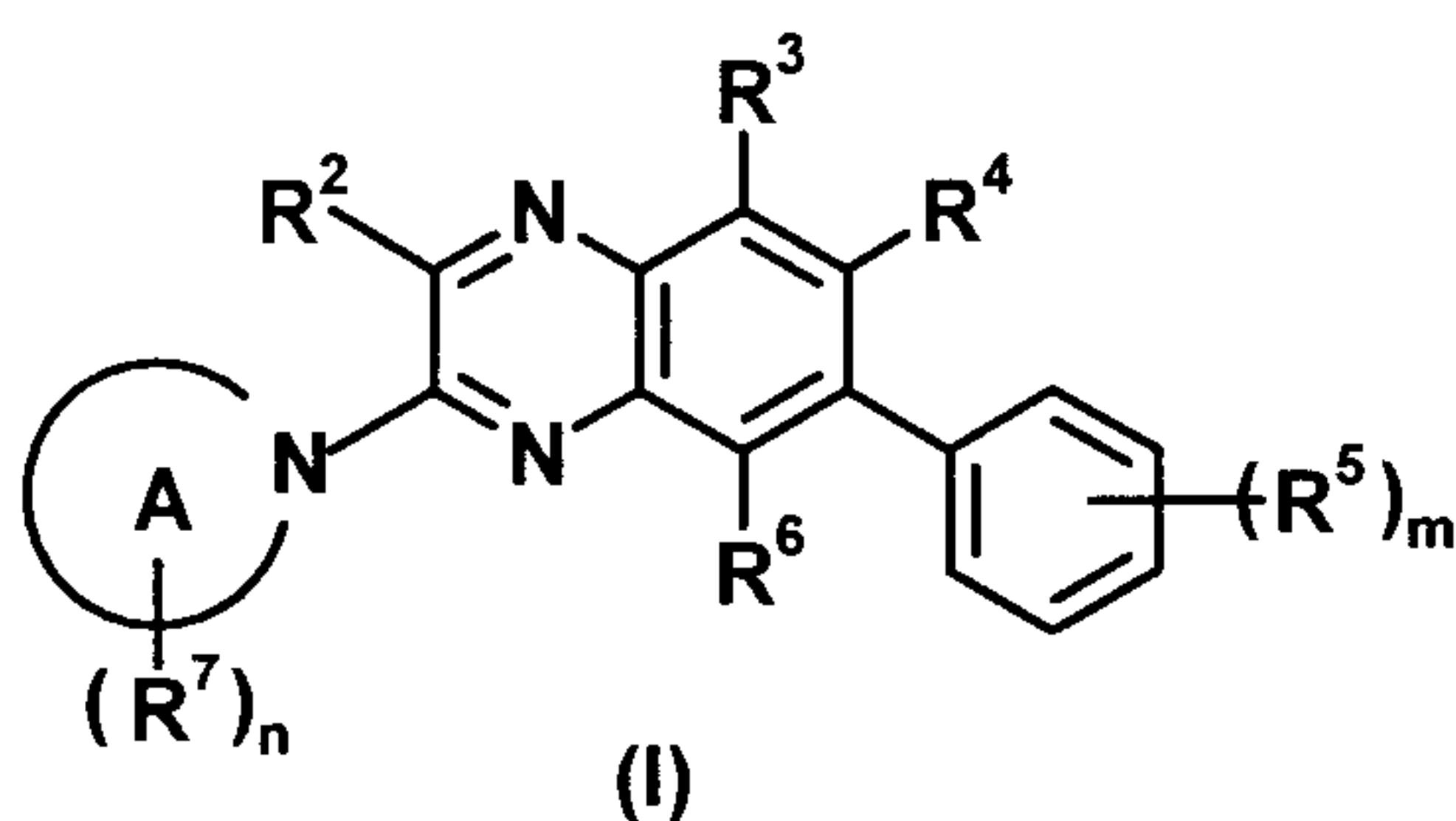
9. A method of preparing a compound of general formula (I) according to any one of claims 1 to 6, in which method an intermediate compound of general formula (6) :



5 in which R^2 , R^3 , R^4 , R^5 , and R^6 are as defined for the compound of general formula (I) in any one of claims 1 to 6,
is allowed to react with a compound of general formula 6a :



10 in which A, R^7 and n are as defined for the compound of general formula (I) in any one of claims 1 to 6,
thus providing a compound of general formula (I) :



15 in which R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , m and n are as defined for the compound of general formula (I) in any one of claims 1 to 6.

10. A compound of general formula (I), or a stereoisomer, a tautomer, an N-oxide,
a hydrate, a solvate, or a pharmaceutically acceptable salt thereof, or a mixture of
20 same, according to any one of claims 1 to 6, for use in the treatment or prophylaxis
of a disease.

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11. A pharmaceutical composition comprising a compound of general formula (I), or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same, according to any one of claims 1 to 6, and a pharmaceutically acceptable diluent or carrier.

12. A pharmaceutical combination comprising :

- one or more compounds of general formula (I), or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same, according to any one of claims 1 to 6 ;

and

- one or more agents selected from : a taxane; an epothilone; Mitoxantrone; Prednisolone; Dexamethasone; Estramustine; Vinblastine; Vincristine; Doxorubicin; Adriamycin; Idarubicin; Daunorubicin; Bleomycin; Etoposide; Cyclophosphamide; Ifosfamide; Procarbazine; Melphalan; 5-Fluorouracil; Capecitabine; Fludarabine; Cytarabine; Ara-C; 2-Chloro-2'-deoxyadenosine; Thioguanine; an anti-androgen, Bortezomib; a platinum derivative; Chlorambucil; Methotrexate; and Rituximab.

13. The pharmaceutical combination according to claim 12, wherein the epothilone is Ixabepilone, Patupilone, or Sagopilone.

14. The pharmaceutical combination according to claim 12, wherein the taxane is Docetaxel, Paclitaxel, or Taxol.

15. The pharmaceutical combination according to claim 12, wherein the anti-androgen is Flutamide, Cyproterone acetate, or Bicalutamide.

16. The pharmaceutical combination according to claim 12, wherein the platinum derivative is Cisplatin or Carboplatin.

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17. Use of a compound of general formula (I), or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a pharmaceutically acceptable salt thereof, or a mixture of same, according to any one of claims 1 to 6, for the prophylaxis or treatment of a disease.

5

18. Use of a compound of general formula (I), or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a pharmaceutically acceptable salt thereof, or a mixture of same, according to any one of claims 1 to 6, for the preparation of a medicament for the prophylaxis or treatment of a disease.

10

19. Use according to claim 17 or 18, wherein said disease is a disease of uncontrolled cell growth, proliferation and/or survival, an inappropriate cellular immune response, or an inappropriate cellular inflammatory response.

15 20. The use according to claim 19, wherein the uncontrolled cell growth, proliferation and/or survival, inappropriate cellular immune response, or inappropriate cellular inflammatory response is mediated by Mps-1.

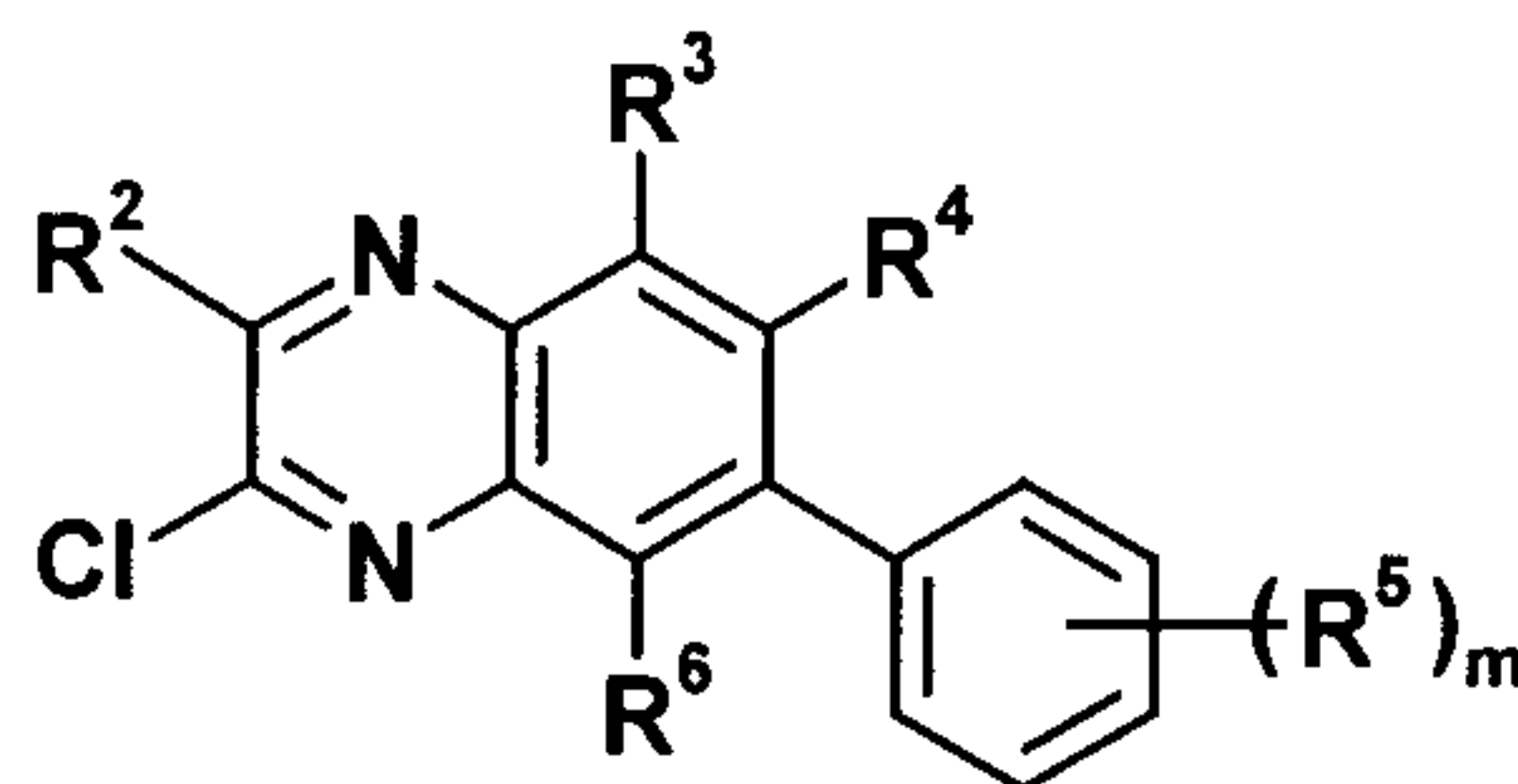
21. The use according to claim 19 or 20, wherein the disease of uncontrolled cell
20 growth, proliferation and/or survival, inappropriate cellular immune response, or inappropriate cellular inflammatory response is a haematological tumour, a solid tumour and/or metastases thereof.

22. The use according to claim 21, wherein the haematological tumour, solid
25 tumour and/or metastases thereof are selected from leukaemias, myelodysplastic syndrome, malignant lymphomas, head and neck tumours, brain tumours, brain metastases, tumours of the thorax, non-small cell and small cell lung tumours, gastrointestinal tumours, endocrine tumours, mammary tumours, gynaecological tumours, urological tumours, renal tumours, bladder tumours, prostate tumours,
30 skin tumours, sarcomas, and metastases thereof.

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23. A compound of general formula (6) :

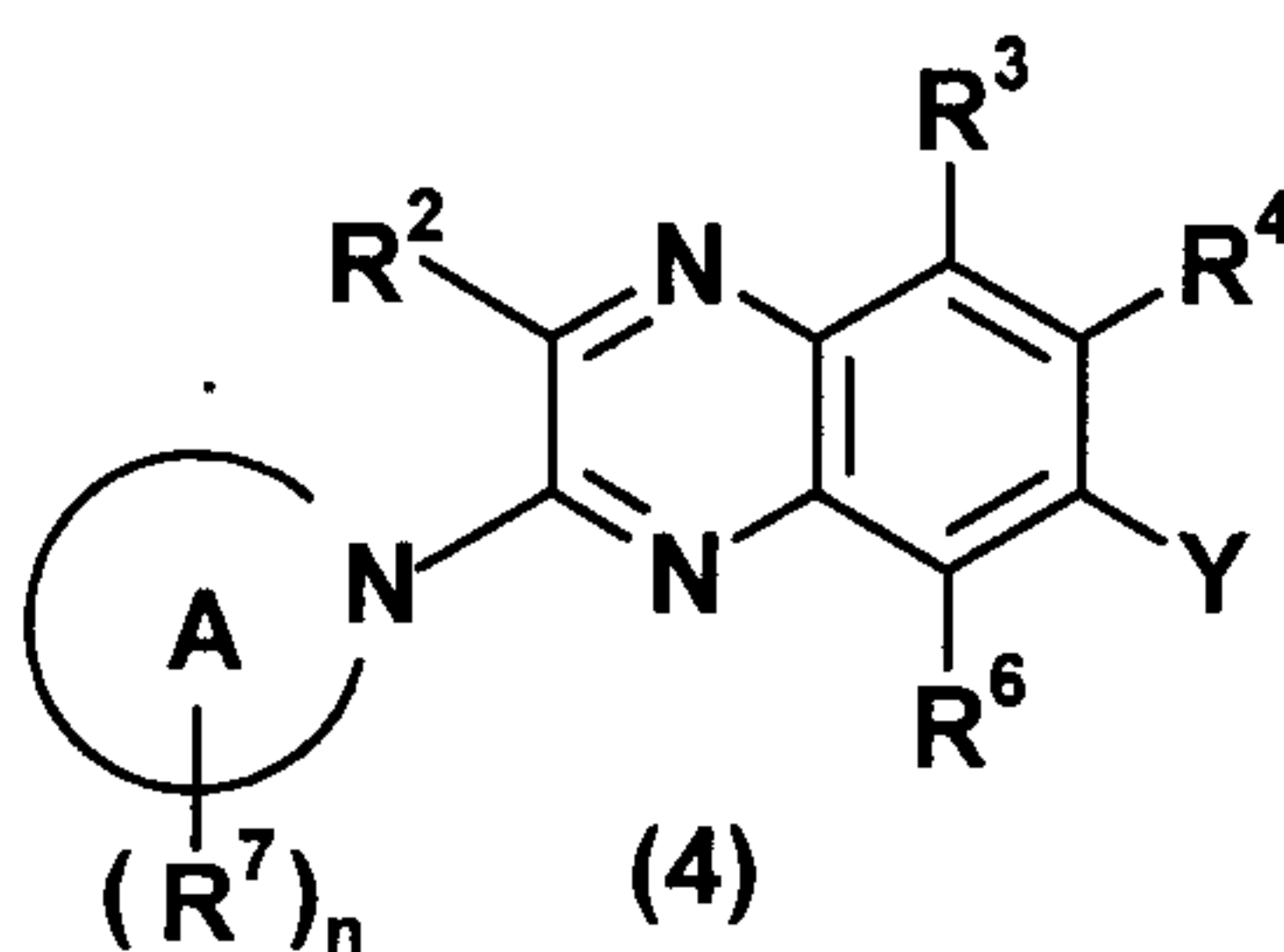


(6)

in which R^2 , R^3 , R^4 , R^5 , R^6 and m are as defined for the compound of general formula (I) according to any one of claims 1 to 6.

24. Use of a compound of general formula (6) according to claim 23 for the preparation of a compound of general formula (I) according to any one of claims 1 to 6.

25. Use of a compound of general formula (4) :



(4)

in which



represents morpholine, and R^2 , R^3 , R^4 , R^6 , R^7 and n are as defined for the compound of general formula (I) in any one of claims 1 to 6, and Y represents a halogen atom, for the preparation of a compound of general formula (I) according to any one of claims 1 to 6.

