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(以上各欄由本局填註)

0016409N

發明專利說明書

一、發明 名稱	中 文	NPYY5 拮抗劑
	英 文	NPYY5 antagonist
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(由本局填寫)

承辦人代碼：
大類：
I P C 分類：

A6
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本案已向

國（地區）申請專利，申請日期：案號：，☒有 ☐無主張優先權
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1999 年 11 月 26 日特願平 11-336469
1999 年 12 月 14 日特願平 11-353786

有關微生物已寄存於：，寄存日期：，寄存號碼：

（請先閱讀背面之注意事項再填寫本頁各欄）

裝

訂

線

五、發明說明 (1)

技術領域

本發明為關於 NPY₅ 受體拮抗劑，詳言之，為關於抗肥胖藥及具有此作用之新穎化合物。

背景技術

神經胜肽 Y(以下，稱為 NPY)為由 36 個胺基酸殘基所構成之胜肽，為於 1982 年由豬腦中被分離出來。NPY 為廣泛分佈於人類及動物之中樞神經系及末梢組織。

於直到今日之報導中，已得知 NPY 於中樞神經系具有促進攝食作用、抗痙攣作用、促進學習作用、抗不安作用、抗壓力作用等，更且亦具有深度參與抑鬱病、阿耳滋海默氏型痴呆、帕金森氏病等之中樞神經系疾病的可能性。又，於末梢組織中，NPY 為引起血管等之平滑肌和心肌之收縮，故認為其亦參與循環器系損害。更且，已知其亦參與肥胖症、糖尿病、荷爾蒙異常等之代謝性疾病(Trends in Pharmacological Sciences, Vol.15, 153(1994))。因此，NPY 受體拮抗劑具有成為上述 NPY 受體所參與之各種疾病之預防或治療藥的可能性。

於 NPY 受體，迄今已發現 Y₁、Y₂、Y₃、Y₄、Y₅ 及 Y₆ 之亞類型(Trends in Pharmacological Sciences, Vol.18, 372(1997))。Y₅ 受體為至少參與攝食機能，並且其拮抗劑已被指示可做為抗肥胖藥(Peptides, Vol.18, 445(1997))。

與本發明化合物具有類似構造，且具有 NPY 受體拮抗劑作用之喹啉化合物為被記載於 W097/20820、W097

五、發明說明 (2)

／20821、W097／20823 等。此外，於 W097／64349 中所記載之具有磺醯胺基之脈衍生物及具有磺醯基之醯胺衍生物，於 EP1010691-A 中所記載之苄基磺醯胺化合物為分別具有 NPY 拮抗活性。

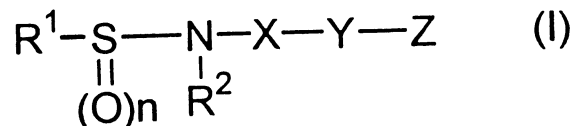
又，與本發明化合物具有類似構造之化合物為記載於特開昭 59-16871 及 W097／15567 等，但其作用為完全相異，並未指出本發明。

發明之揭示

本發明之目的為在於提供優良之 NPYY5 受體拮抗劑及具有該作用之新穎化合物。

本發明為提供

[1] 一種含有式(I)所示化合物，其前體藥物，其製藥上所容許鹽或其溶劑化物之 NPYY5 受體拮抗劑：



[式中，R¹ 為亦可具有取代基之低烷基、亦可具有取代基之環烷基或亦可具有取代基之芳基，

R² 為氫或低烷基，R¹ 及 R² 亦可共同形成低伸烷基，

n 為 1 或 2，

X 為亦可具有取代基之低伸烷基，

亦可具有取代基之低伸烯基、

亦可具有取代基之 -CO- 低伸烷基、

亦可具有取代基之 -CO- 低伸烯基或



五、發明說明 (3)

(式中， R^3 、 R^4 、 R^5 及 R^6 分別獨立為氫或低烷基，

$\text{—}\bigcirc\text{(A)}\text{—}$ 為亦可具有取代基之環伸烷基、亦可具有取代基之環伸烯基、亦可具有取代基之雙環伸烷基、亦可具有取代基之伸芳基或亦可具有取代基之雜環二基， p 及 q 分別獨立為0或1)

$\text{—NR}^2\text{—X—}$ 亦可為 $\text{—N}\bigcirc\text{—U—}$ (式中， $\text{—N}\bigcirc\text{—}$ 為哌啶二基、哌啉二基、吡啶二基、吡啉二基、吡咯烷二基或吡咯二基、 U 為單鍵、低伸烷基或低伸烯基)

Y 為 OCONR^7 、 CONR^7 、 CSNR^7 、 NR^7CO 或 NR^7CS ，

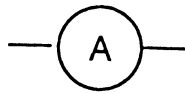
R^7 為氫或低烷基，

Z 為亦可具有取代基之低烷基、亦可具有取代基之低烯基、亦可具有取代基之胺基、亦可具有取代基之低烷氧基、亦可具有取代基之烴類環式基、亦可具有取代基之雜環基]，

[2] 如[1]記載之NPYY5受體拮抗劑，其中 R^2 為氫或低烷基， Z 為亦可具有取代基之低烷基、亦可具有取代基之低烯基、亦可具有取代基之低烷氧基、亦可具有取代基之烴類環式基、亦可具有取代基之雜環基或亦可具有取代基之胺基(但， Z 為亦可具有取代基之胺基時， R^1 為亦可具有取代基之碳數3~10個烷基)。

[3] 如[1]記載之NPYY5受體拮抗劑，其中 R^1 為亦可具有取代基之低烷基或亦可具有取代基之環烷基， X 為亦可具有取代基之低伸烷基、亦可具有取代基之低伸烯基或

五、發明說明 (4)



(式中， 為與 [1] 同義)

Z 為亦可具有取代基之低烷基、亦可具有取代基之烴類環式基或亦可具有取代基之雜環基、

[4] 如 [1] ~ [3] 任一項記載之 NPYY5 受體拮抗劑，其中 R¹ 為亦可具有取代基之碳數 3 ~ 10 個烷基、

[5] 如 [1] ~ [4] 任一項記載之 NPYY5 受體拮抗劑，其為抗肥胖藥、

[6] 如 [1] ~ [4] 任一項記載之 NPYY5 受體拮抗劑，其為攝食抑制劑、

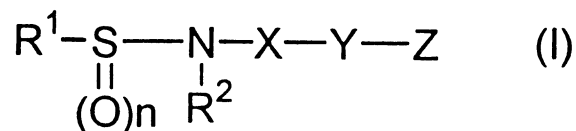
[7] 一種肥胖之治療方法和 / 或預防方法，其特徵為投與 [1] ~ [4] 任一項記載之 NPYY5 受體拮抗劑之治療有效量、

[8] 一種抑制攝食之方法，其特徵為投與 [1] ~ [4] 任一項記載之 NPYY5 受體拮抗劑之治療有效量、

[9] 一種 [1] ~ [4] 任一項記載之 NPYY5 受體拮抗劑之用法，其係用於製造治療和 / 或預防肥胖之醫藥、

[10] 一種 [1] ~ [4] 任一項記載之 NPYY5 受體拮抗劑之用法，其係用於製造抑制攝食之醫藥、

[11] 一種如式 (I) 所示之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物：

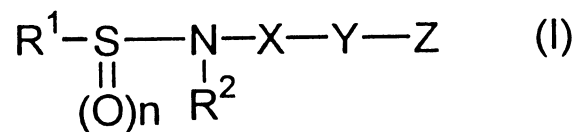


五、發明說明 (5)

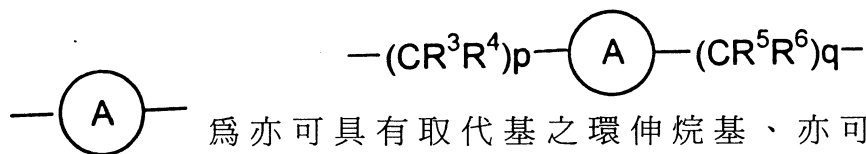
(式中，X 為碳數 2~6 個之伸烷基或碳數 3~6 個之伸烯基， R^1 為亦可具有取代基之碳數 3~10 個烷基或亦可具有取代基之碳數 5~6 個環烷基，其他符號為與[1]定義。但，Y 為 NR^7CO 時，Z 不為低烷基胺基、經低烷基胺基、醯胺基)。

[12] 如[11]記載之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物，其中 Z 為亦可具有取代基之低烷基或亦可具有取代基之苯基。

[13] 一種如式(I)所示之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化合物：



(式中，X 為



為亦可具有取代基之環伸烷基、亦可具有取代基之環伸烯基、亦可具有取代基之雙環伸烷基或亦可具有取代基之伸哌啶基， R^1 為亦可具有取代基之碳數 3~10 個烷基或亦可具有取代基之碳數 5~6 個環烷基，其他之符號為與[1]同義)。

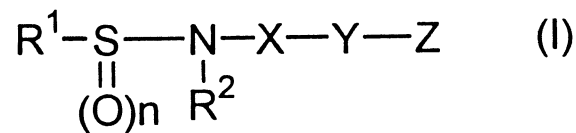
[14] 如[13]記載之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物，其中 $-(\text{CR}^3\text{R}^4)_p-\textcircled{\text{A}}-(\text{CR}^5\text{R}^6)_q-$ 為亦可具有取代基之環伸己基或亦可具有取代基之伸哌啶基，p 及 q 均為 0。

五、發明說明(6)

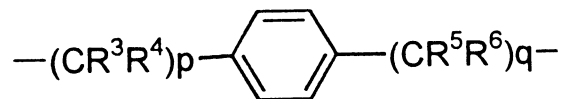
[15] 如[13]或[14]記載之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物，其中 Y 為 CONH、

[16] 如[13]～[15]任一項記載之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物，其中 Z 為亦可具有取代基之低烷基、亦可具有取代基之苯基、亦可具有取代基之吡啶基或亦可具有取代基之苯基吡喃基、

[17] 一種如式(I)所示之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物(但，R¹為異丙基時，Z不為對-正丁苯基)：

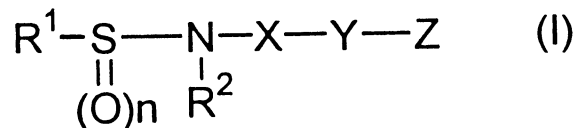


(式中，X 為

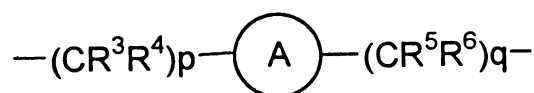


R¹為亦可具有取代基之碳數 3～10 個烷基或亦可具有取代基之碳數 5～6 個之環烷基，Z 為對-低烷基苯基，其他符號為與[1]同義)

[18] 一種如式(I)所示之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物：



(式中，X 為



五、發明說明 (7)

—— 為雜仲芳基， R^1 為亦可具有取代基之碳數 3~10 個烷基或亦可具有取代基之碳數 5~6 個環烷基，其他符號為與 [1] 同義)

[19] 如 [18] 記載之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物，其中 —— 為噻吩二基或呋喃二基及

[20] 一種醫藥組成物，其為含有 [11]~[19] 任一項記載之化合物、其前體藥物、其製藥上所容許鹽或其溶劑化物。

用以實施發明之最佳型態

於本說明書中，所謂「鹵素」為包含氟、氯、溴及碘。特別以氟及氯為佳。

「亦可經保護之羥基」、「亦可經保護之羥低烷基」之保護基為包含通常所用之全部的羥基保護基。可列舉例如醯基(乙醯基、三氟乙醯基、苯甲醯基等)、低烷氧羰基(第三丁氧羰基等)、低烷磺醯基(甲烷磺醯基等)、低烷氧低烷基(甲氧甲基等)、三烷基甲矽烷基(第三丁基二甲基甲矽烷基等)等。

所謂「低烷基」為包含碳數 1~10 個之直鏈或分支狀烷基，可列舉例如甲基、乙基、正丙基、異丙基、正丁基、異丁基、第二丁基、第三丁基、正戊基、異戊基、新戊基、己基、異己基、正庚基、異庚基、正辛基、異辛基、正壬基及正癸基等等。

R^1 中之「低烷基」較佳為碳數 3~10 個、較佳為碳數 3

五、發明說明 (8)

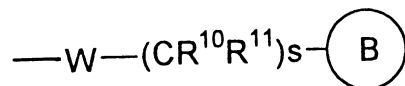
～6 個、最佳為異丙基或第三丁基。

其他情形中之「低烷基」較佳為碳數 1～6 個、更佳為碳數 1～4 個。

Z 中之「亦可具有取代基之低烷基」之取代基可列舉例如為 (1) 鹵素；(2) 氰基；

(3) 分別亦可經下述定義之取代基群 β 中選出至少 1 個以上之取代基所取代之 (i) 經基、(ii) 低烷氧基、(iii) 氫硫基、(iv) 低烷硫基、(v) 醯基、(vi) 醯氧基、(vii) 羧基、(viii) 低烷氧羰基、(ix) 亞胺基、(x) 胺甲醯基、(xi) 硫胺甲醯基、(xiv) 胺基、(xv) 低烷胺基或 (xvi) 雜環羰基；

或 (4) 式：



(式中， R^{10} 及 R^{11} 分別獨立為氫或低烷基，二個以上之 R^{10} 和 / 或 R^{11} 存在時，各個 R^{10} 及 R^{11} 亦可為相異，

W 為單鍵、O、S 或 NR^{12} ，

R^{12} 為氫、低烷基或苯基，

$\text{—}\textcircled{\text{B}}$ 為分別亦可經下述定義之取代基群 α 中選出至少一個以上之取代基所取代之環烷基、雙環烷基、環烯基、芳基或雜環基，

S 為 0～4 之整數) 所示之基。

此處所謂之取代基群 α 為 (1) 鹵素；(2) 氧基；(3) 氰基；(4) 硝基；(5) 亦可經低烷基或經基所取代之亞胺基；

五、發明說明 (9)

(6)分別亦可經取代基群 β 中選出至少一個以上之取代基所取代之(i)羥基、(ii)低烷基、(iii)低烯基、(iv)低烷氧基、(v)羧基、(vi)低烷氧羰基、(vii)醯基、(viii)醯氧基、(ix)亞胺基、(x)氫硫基、(xi)低烷硫基、(xii)胺甲醯基、(xiii)低烷基胺甲醯基、(xiv)環烷基胺甲醯基、(xv)硫胺甲醯基、(xvi)低烷硫胺甲醯基、(xvii)低烷基亞磺醯基、(xviii)低烷磺醯基、(xix)胺磺醯基、(xx)低烷基胺磺醯基及(xxi)環烷基胺磺醯基；

(7)分別亦可經取代基群 β 、低烷基、低烷氧低烷基、亦可經保護之羥低烷基、鹵低烷基、低烷磺醯基和／或芳磺醯基所取代之(i)環烷基、(ii)環烯基、(iii)環烷氧基、(iv)胺基及(v)烷二氧基；及

(8)分別亦可經取代基群 β 、低烷基、鹵低烷基和／或氧基所取代之(i)苯基、(ii)萘基、(iii)苯氧基、(iv)苯低烷氧基、(v)苯硫基、(vi)苯低烷硫基、(vii)苯偶氮基、(viii)雜環基、(ix)雜環氧基、(x)雜環硫基、(xi)雜環羰基及(xii)雜環磺醯基。

B環中做為取代基之取代基群 α 的較佳例為鹵素；硝基；羥基；

亦可具有取代基之低烷基(此處所謂之取代基為鹵素、氰基、苯基、羧基和／或低烷氧羰基)；

低烯基；低烷氧羰基低烯基；

亦可具有取代基之低烷氧基(此處所謂之取代基為鹵素

五、發明說明 (¹⁰)

、羥基、低烷基氧基、羧基、低烷基氧羰基、低烷基胺基和／或氰基)；

醯基；羥亞胺基；低烷基硫基；低烷基亞磺醯基；胺磺醯基；亦可具有取代基之胺基(此處所謂之取代基為低烷基、亦可經保護之羥低烷基、苯基和／或醯基)；

烷二氧基；氰苯基；雜環取代苯基；聯苯基；苯氧基；亦可經低烷基所取代之苯偶氮基；

亦可具有取代基之羥環基(此處所謂之取代基為亦可經保護之羥基；氫硫基；鹵素；低烷基；環烷基；低烷基氧羰基；胺基；低烷基氧羰胺基；胺甲醯基；氧基；苯基；低烷基氧苯基；或雜環基)，更佳為鹵素；亦可經鹵素所取代之低烷基；亦可經鹵素所取代之低烷基氧基。

所謂取代基群 β 為指亦可經保護之羥基、氫硫基、低烷基氧基、低烯基、胺基、低烷基胺基、低烷基氧羰胺基、低烷基硫基、醯基、羧基、低烷基氧羰基、胺甲醯基、氰基、環烷基、苯基、苯氧基、低烷基苯基、低烷基氧苯基、鹵苯基、萘基及雜環基所組成之群。

Z 以外之「亦可具有取代基之低烷基」(例如 R^1 之情況等)之取代基可為由取代基群 β 中選出一個以上之基，且此些取代基亦可在任意的位置進行取代。

「低烷基氧基」、「低烷基氧羰基」、「低烷基氧羰基低烷基」、「低烷基苯基」、「低烷基氧苯基」、「低烷基胺甲醯基」、「低烷基硫胺甲醯基」、「低烷基胺基」、「鹵低烷基」、「羥低烷基」、「苯低烷基氧基」、「低烷基硫基」

五、發明說明（¹¹）

、「苯低烷硫基」、「低烷氧羰胺基」、「低烷氧羰基低烯基」、「低烷亞磺醯基」、「低烷磺醯基」、「芳低烷氧羰基」、「低烷苯甲醯基」及「低烷氧苯甲醯基」之低烷基部分為與上述「低烷基」相同。

「亦可具有取代基之低烷氧基」之取代基可列舉由取代基群 β 中選出一個以上之基，且較佳為苯基、低烷苯基、低烷氧苯基、萘基或雜環基。

所謂「環烷基」為包含碳數3~8個，較佳為5或6個之環狀烷基。具體而言，可列舉環丙基、環丁基、環戊基、環己基、環庚基及環辛基等。

「亦可具有取代基之環烷基」之取代基可列舉由取代基群 α 中選出一個以上之基，且可在任意之位置進行取代。

所謂「雙環烷基」為包含二個環為共有2個或以上之原子之碳數5~8個脂族環所構成之可除去1個氫之基。具體而言，可列舉雙環[2.1.0]戊基、雙環[2.2.1]庚基、雙環[2.2.2]辛基及雙環[3.2.1]辛基等。

所謂「低烯基」為包含於任意位置具有1個以上雙鍵之碳數2~10個，較佳為碳數2~8個、更佳為碳數3~6個之直鏈或分支狀烯基。具體而言為包含乙烯基、丙烯基、異丙烯基、丁烯基、異丁烯基、間戊二烯基、丁二烯基、戊烯基、異戊烯基、戊二烯基、己烯基、環己烯基、己二烯基、庚烯基、辛烯基、壬烯基及癸烯基等。

「低烷氧羰基低烯基」中之「低烯基」部分為與上述

五、發明說明 (¹²)

「低烯基」相同。

「亦可具有取代基之低烯基」之取代基可列舉鹵素、低烷氧基、低烯基、胺基、低烷胺基、低烷氧羰胺基、低烷硫基、醯基、羧基、低烷氧羰基、胺甲醯基、氰基、環烷基、苯基、低烷基苯基、低烷氧羰基、萘基和／或雜環基等。

所謂「醯基」為包含(1)碳數 1~10 個，較佳為碳數 1~6 個、最佳為碳數 1~4 個之直鏈或分支狀烷羰基或烯羰基、(2)碳數 4~9 個、較佳為碳數 4~7 個之環烷羰基及(3)碳數 7~11 個之芳羰基。具體而言為包含甲醯基、乙醯基、丙醯基、丁醯基、異丁醯基、戊醯基、特戊醯基、己醯基、丙烯醯基、丙炔醯基、甲基丙烯醯基、丁烯醯基、異丙羰基、環己羰基、環辛羰基及苯甲醯基等。

「醯氧基」之醯基部分亦與上述同樣。

所謂「環烯基」為包含上述環烷基之環中的任意位置具有 1 個以上之雙鍵者，且具體而言可列舉環丙烯基、環丁烯基、環戊烯基、環己烯基、及環己二烯基等。

「亦可具有取代基之環烯基」之取代基可列舉由取代基群 β 所選出之一個以上之基。

「亦可具有取代基之胺基」之取代基可列舉取代基群 β 、亦可具有取代基之苯甲醯基和／或亦可具有取代基之雜環羰基(此處所謂之取代基為羥基、低烷基、低烷氧基和／或低烷硫基)。

五、發明說明 (¹³)

所謂「芳基」為單環或多環之芳香族碳環基、其包含苯基、萘基、蒽基及菲基。又，亦包含與其他非芳香族烴類環式基縮合之芳基，且具體而言可列舉茛滿基、茛基、聯苯基、卮基、四氫萘基及芴基等。特別以苯基為佳。

「芳低烷氧羰基」之芳基部分亦為相同。

Z中之「亦可具有取代基之芳基」及「亦可具有取代基之苯基」為包含取代基群 α 、亦可經取代基群 α 所選出之一個以上之基所取代之低烷基等所取代之「芳基」、「苯基」。

Z以外之「亦可具有取代基之芳基」及「亦可具有取代基之苯基」之取代基可列舉由取代基群 β 中選出一個以上之基。

所謂「烴類環式基」為包含上述「環烷基」、「環烯基」、「以環烷基」及「芳基」。

所謂「非芳香族烴類環式基」為包含上述「環烷基」、「環烯基」及「雙環烷基」。

所謂「亦可具有取代基之烴類環式基」為包含上述「亦可具有取代基之環烷基」、「亦可具有取代基之環烯基」、「亦可具有取代基之雙環烷基」及「亦可具有取代基之芳基」。

所謂「雜環基」為包含環內具有1個以上任意選自O、S及N之雜環，且具體而言為包含吡咯基、咪唑基、吡啶基、吡啶基、噻吩基、噻吩基、吡嗪基、三唑基、三吡

五、發明說明 (¹⁴)

基、四唑基、異噁唑基、噁唑基、噁二唑基、異噻唑基、噻唑基、噻二唑基、呋喃基及噻吩基等之 5~6 員雜芳基；吡啶基、異吡啶基、吡唑基、吡啶烷基、二氫吡啶基、異二氫吡啶基、喹啉基、異喹啉基、噌啉基、酞吡基、喹唑基、伸蔡基、喹喔啉基、嘌呤基、蝶呤基、苯並吡喃基、苯並咪唑基、苯並異噁唑基、苯並噁唑基、苯並噁二唑基、苯並異噻唑基、苯並噻唑基、苯並噻二唑基、苯並呋喃基、異苯並呋喃基、苯並噻吩基、苯並三唑基、咪唑基吡啶基、三唑基吡啶基、咪唑並噻唑基、吡吡並噻吡基、噻唑基、噻啉基、異噻啉基、伸蔡基、二氫吡啶基、四氫噻啉基、四氫苯並噻吩基等之二環縮合雜環基；呋唑基、吡丙啶基、咕吨基、吩噻吡基、吩噻噁基、吩噁吡基、二苯並呋喃基等之三環縮合雜環基；二噁烷基、硫化乙烯基、環氧乙烷基、噁四氫噻吩基、吡丁啶基、噻吩基、吡咯烷基、吡咯啉基、咪唑烷基、咪唑啉基、吡唑烷基、吡唑啉基、哌啶基、哌并基、嗎啉基、嗎啉代、硫嗎啉基、硫嗎啉代、二氫吡啶基、四氫呋喃基、四氫吡喃基、四氫噻唑基、四氫異噻唑基等之非芳香族雜環基。

與雜環以外之環縮合之縮合雜環基(例如苯並噻唑基等)，亦可於任何環中具有鍵結臂。

「亦可具有取代基之雜環基」之取代基為與上述「亦可具有取代基之芳基」相同。

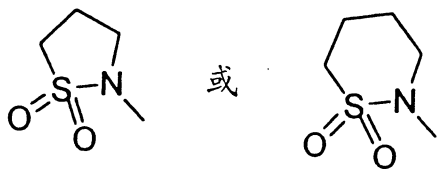
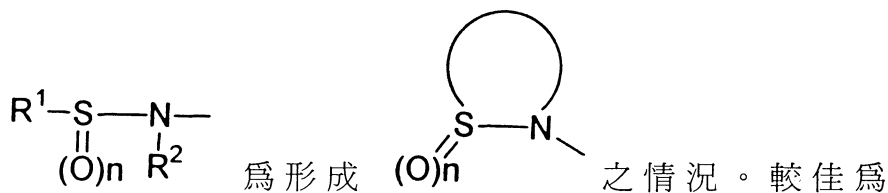
「雜環羰基」、「雜環氧基」、「雜環硫基」及「雜

五、發明說明 (15)

環取代苯基」之雜環基部分為與上述「雜環基」相同。

所謂「低伸烷基」為包含亞甲基為以 1~6 個、較佳為 2~6 個、更佳為 3~6 個連續之二價基、且具體可列舉亞甲基、伸乙基、伸丙基、伸丁基、伸戊基及伸己基等。特佳為伸丁基。

所謂 R^1 及 R^2 共同形成低伸烷基之情況為包含



「低烷二氧基」之低伸烷基部分為與上述「低伸烷基」相同，較佳為甲二氧基或乙二氧基。

所謂「低伸烯基」為包含亞甲基為以 2~6 個、較佳為 3~6 個、更佳為 4~5 個連續之二價基，且碳-碳鍵之至少一者為雙鍵。

所謂「環伸烷基」為由上述「環烷基」中除去一個氫原子之二價基。X 中之「環伸烷基」以 1,4-環己烷二基為佳。

所謂「環伸烯基」為包含上述伸環烷基之環內具有至少一個雙鍵之基。

所謂「雙環伸烷基」為包含可由上述「雙環烷基」中再除去一個氫之基。具體可列舉雙環[2.1.0]伸戊烷基

五、發明說明 (¹⁶)

、雙環[2.2.1]伸庚烷基、雙環[2.2.2]伸辛烷基、雙環[3.2.1]伸辛烷基等。

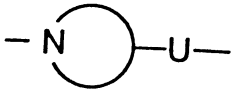
所謂「雜環二基」為包含可由上述「雜環基」中除去一個氫原子之二價基。較佳為哌啶二基、哌并二基、吡啶二基、嘧啶二基、吡咭二基、吡咯烷二基或吡咯二基，更佳為哌啶二基。

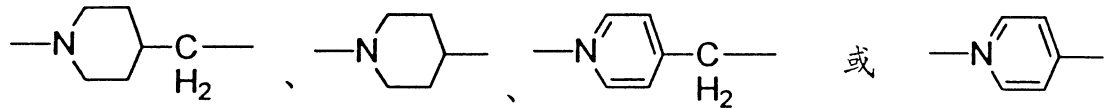
所謂「伸芳基」為包含可由上述「芳基」除去一個氫原子之二價基。較佳為伸苯基。

所謂「雜伸芳基」為包含上述「雜環二基」中，具有芳香屬性者。具體可列舉吡咯二基、咪唑二基、吡啶二基、吡啶二基、嗒咭二基、嘧啶二基、吡咭二基、三唑二基、三咭二基、異嘮唑二基、嘮唑二基、嘮二唑二基、異噻唑二基、噻唑二基、噻二唑二基、呋喃二基及噻吩二基等。

「亦可具有取代基之低伸烷基」、「亦可具有取代基之低伸烯基」、「亦可具有取代基之環伸烷基」、「亦可具有取代基之環伸己基」、「亦可具有取代基之雙環伸烷基」、「亦可具有取代基之環伸烯基」、「亦可具有取代基之伸苯基」、「亦可具有取代基之雜環二基」及「亦可具有取代基之伸哌啶基」之取代基可列舉由取代群 β 中所選出之一個以上之取代基，且較佳為鹵素、羥基、低烷基、鹵低烷基、低烷氧基、胺基、低烷胺基、醯基、羧基或低烷氧羰基等。此些基亦可在任意的任意進行取代。

五、發明說明 (17)

-NR²-X- 爲  時，較佳令 U 爲單鍵或亞甲基，更佳爲



本發明之化合物可生成各種化合物，且包含製藥上所容許鹽。「製藥上所容許鹽」可列舉例如鹽酸、硫酸、硝酸或磷酸等無機酸之鹽；對甲苯磺酸、甲烷磺酸、草酸或檸檬酸等有機酸之鹽；銨、三甲銨或三乙銨等有機鹼之鹽；鈉或鉀等鹼金屬之鹽；及鈣或鎂等鹼土金屬之鹽等。

本發明之化合物爲包含其溶劑化物，且爲相對於化合物(I)。較佳爲水合物，本發明化合物 1 分子可與任意數目之水分子配位。

又，本發明之化合物爲包含具前體藥物。所謂前體藥物爲指具有可化學性或代謝生分解基之本發明化合物的衍生物，係在加溶劑分解或在生理學條件下生體內變成藥學活性之本發明化合物的化合物。適當之前體藥物衍生物之選擇方法及製造方法例如記載於 Design of Prodrugs, Elsevier, Amsterdam 1985。

例如，本發明之化合物(I)爲具有羧基時，可例示令化合物(I)之羧基與適當之醇類反應所製造之酯類衍生物、或令化合物(I)之羧基與適當之胺類反應所製成之醯胺衍生物般之前體藥物。

例如，本發明之化合物(I)爲具有羥基時，可例示令

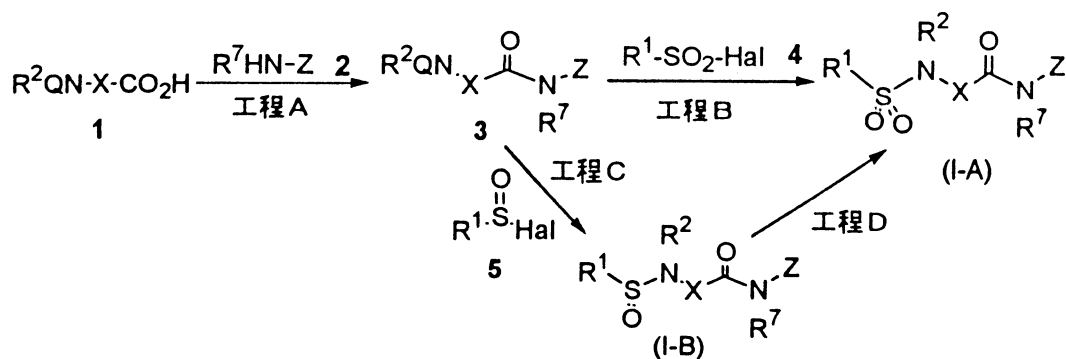
五、發明說明 (18)

化合物(I)之羥基與適當之醯基鹵或適當之酸酐反應所製造之醯氧基衍生物般之前體藥物。

於本發明化合物(I)為具有不對稱碳原子之情形中，包含消旋體、兩對掌體及全部之立體異構物(幾何異構物、差向異構物、鏡像異構物等)。又，於本發明化合物(I)為具有雙鍵之情形中，於E體及Z體可存在時，均包含其任一種情況。又，於X為伸環烷基之情形中；亦均包含順式體及反式體之任何一種。

本發明之化合物(I)例如可依下列方法合成。

[Y = CONR⁷ 之情況]



(式中，Hal 為鹵素，Q 為胺基之保護基，其他符號為與前述相同)

工程 A

令化合物 1 與具有對應目的化合物之取代基 Z 及 R⁷ 之胺基化合物 2 於適當之溶劑中，以 0℃～50℃ 反應數分鐘～數小時。溶劑可為四氫呋喃、二甲基甲醯胺、二乙醚、二氯甲烷、甲苯、苯、二甲苯、環己烷、己烷、氯仿、乙酸乙酯、乙酸丁酯、戊烷、庚烷、二噁烷、丙酮、乙

五、發明說明 (19)

腈、水及其混合溶劑等，且若需要，亦可使用亞硫醯氯、醯基鹵化物、酸酐、活性酯類等活化劑。

工程 B

令化合物 3 依常法脫保護，並與具有對應目的化合物之取代基 R^1 之磺醯鹵 4 於適當之溶劑中，以 $0^{\circ}\text{C} \sim 50^{\circ}\text{C}$ 反應數分鐘～數小時則可取得 n 為 2 之化合物 (I-A)。溶劑可使用四氫呋喃、二甲基甲醯胺、二乙醚、二氯甲烷、甲苯、苯、二甲苯、環己烷、己烷、氯仿、乙酸乙酯、乙酸丁酯、戊烷、庚烷、二噁烷、丙酮、乙腈、水及其混合溶劑等。

工程 C

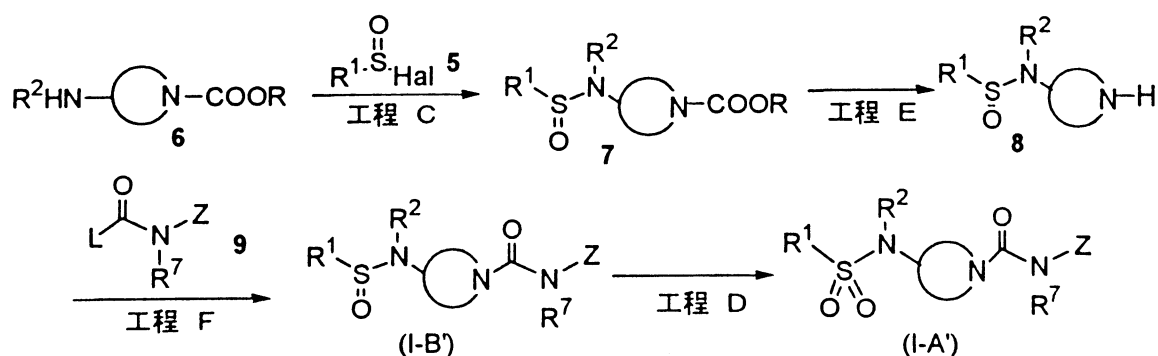
令化合物 3 與具有取代基 R^1 之亞磺醯鹵 5 反應，則亦可取得 $n=1$ 之化合物 (I-B)。反應條件為與上述工程 B 相同。

工程 D

若令工程 C 所得之化合物 (I-B) 依常法進行氧化反應，則可取得 $n=2$ 之化合物 (I-A)。氧化劑可使用間-氯過苯甲酸、過乙酸、過氧化氫、過三氟乙酸、過碘酸鈉、次氯酸鈉、過錳酸鉀等，反應可在 $0^{\circ}\text{C} \sim 50^{\circ}\text{C}$ 下進行。溶劑可使用四氫呋喃、二甲基甲醯胺、二乙醚、二氯甲烷、甲苯、二甲苯、環己烷、己烷、氯仿、乙酸乙酯、乙酸丁酯、戊烷、庚烷、二噁烷、丙酮、乙腈、水、甲醇、乙醇、異丙醇及其混合溶劑等。

於 X 為含有至少 1 個 N 原子，且該 N 原子為具有與 Z 之

鍵結臂之雜環二基之情形中，化合物(I-A')及(I-B')可依下述方法合成。尚，工程D可在工程C或工程E之後。



工程 C

首先，令化合物 5 與化合物 6 同上工程 C 反應，則可取得化合物 7。

工程 E

令上述所得之化合物 7 於適當之溶劑中，以鹼處理則可取代化合物 8。鹼可使用氫氧化鋇、氫氧化鈉、氫氧化鉀、丙烷硫醇鋰鹽等，且溶劑可使用四氫呋喃、二甲基甲醯胺、二噁烷、丙酮、乙腈、甲醇、乙醇、丙醇、水及其混合溶劑等。於 0℃～100℃反應數分鐘～數十小時即可。

工程 F

令所得之化合物 8、與具有對應於目的化合物之取代基及離去基之化合物 9 於適當之溶劑中，於鹼存在下或非存在下以 0℃～100℃反應數分鐘～數日即可取代化合物 (I-B')。離去基可列舉例如苯氧基、氨基、三氯甲基等

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(請先閱讀背面之注意事項再填寫本頁)

裝

訂

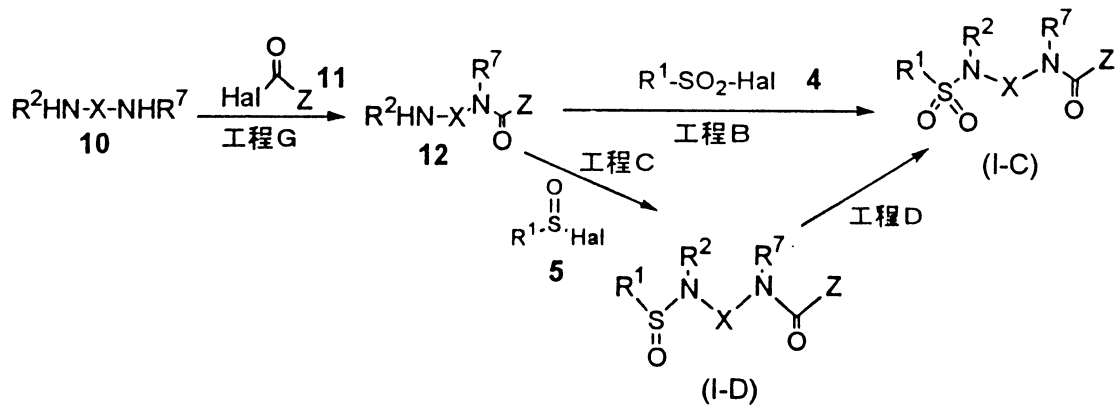
五、發明說明 (²¹)

鹼可使用例如三乙胺、吡啶、二異丙基乙胺、氫氧化鈉、碳酸鉀、碳酸氫鈉等。溶劑可使用四氫呋喃、二甲基甲醯胺、二乙醚、二氯甲烷、甲苯、苯、二甲苯、環己烷、己烷、氯仿、乙酸乙酯、乙酸丁酯、戊烷、庚烷、二噁烷、丙酮、乙腈、甲醇、乙醇及其混合溶劑等。

工程 D

令化合物 (I'-B) 同上述工程 D 反應，則取得化合物 (I-A')。

[Y = NR⁷CO 之情況]



(式中，各符號為與前述同義)

工程 G 及工程 B

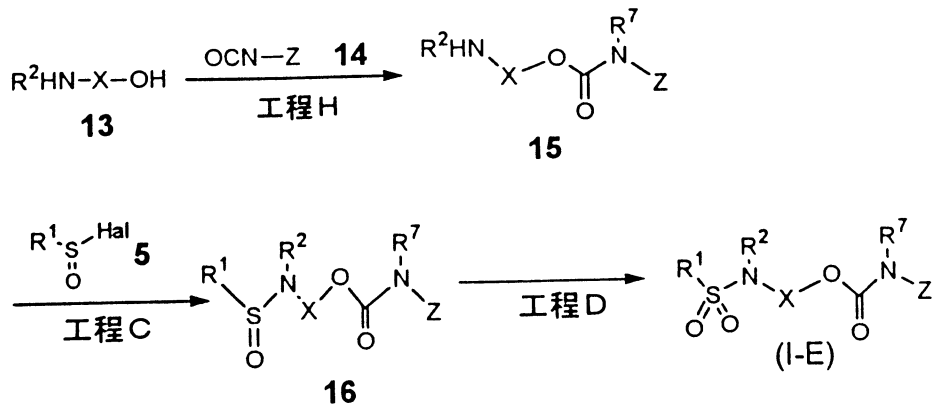
首先，令化合物 10 與化合物 11 反應。反應條件為與工程 B 相同。令所得之化合物 12 同上述工程及反應，則取得 n = 2 之化合物 (I-C)。

工程 C 及工程 D

令工程 G 所得之化合物 2，同上述工程 C 及工程 D 反應則亦可取得化合物 (I-D)。

[Y = OCNOR⁷ 之情況]

五、發明說明 (22)



(式中，各符號為與前述同義)

工程 H

令化合物 13 與具有取代基 Z 之異氰酸酯化合物 14 於適當之溶劑中，適當之觸媒存在下或非存在下，以 0℃～100℃反應數分鐘～數日，則可取得化合物 15。溶劑可使用四氫呋喃、二甲基甲醯胺、二乙醚、二氯甲烷、甲苯、苯、二甲苯、環己烷、己烷、氯仿、乙酸乙酯、乙酸丁酯、戊烷、庚烷、二噁烷、丙酮、乙腈及其混合溶劑等。

工程 C 及工程 D

若令如此處理所得之化合物 15 進行工程 C 及工程 D 同樣之方法，則可取得本發明之化合物 (I-E)。

[Y = CSNR⁷ 或 NR⁷CS 之情況]

於製造 Y 為 CSNR⁷ 或 NR⁷CS 化合物 (I) 之情形中，可令上述任一方法所製造之 Y 為 CONR⁷ 或 NR⁷CO 之化合物 (I) 與羅松試藥 (Lawesson's reagent) 或五硫化磷於適當之溶劑中，以 30℃～100℃反應數分鐘～數小時即可取得。溶劑可使用四氫呋喃、二甲基甲醯胺、二乙醚、二氯甲烷

五、發明說明 (²³)

、甲苯、苯、二甲苯、環己烷、己烷、氯仿、乙酸乙酯、乙酸丁酯、戊烷、庚烷、二噁烷、丙酮、乙腈及其混合溶劑等。

尚，若需要，亦可在適當之階段中時化合物之胺基依常法進行保護。保護基可使用酞醯亞胺、低烷氧羰基、低烯氧羰基、鹵烷氧羰基、芳低烷氧羰基、三烷基甲矽烷基、低烷磺醯基、鹵低烷磺醯基、芳磺醯基、低烷羰基、芳羰基等。

保護後，進行上述各工程之反應，並於適當階段中，於適當之溶劑中，以酸或鹼予以處理將其脫保護。溶劑可使用四氫呋喃、二甲基甲醯胺、二乙醚、二氯甲烷、甲苯、苯、二甲苯、環己烷、己烷、氯仿、乙酸乙酯、乙酸丁酯、戊烷、庚烷、二噁烷、丙酮、乙腈及其混合溶劑等，鹼可列舉胼、吡啶、氫氧化鈉、氫氧化鉀等，酸可列舉鹽酸、三氟乙酸、氫氟酸等。

本發明之化合物為全部具有 NPYY5 受體拮抗作用，而特佳之化合物可列舉以下之化合物。

於式(I)中

R^1 為亦可具有取代基之低烷基或亦可具有取代基之環烷基(以下，將 R^1 視為 R1-1)之化合物、

R^1 為分別亦可經鹵素所取代之碳數 3~10 個烷基或碳數 5~6 個之環烷基(以下，將 R^1 視為 R-2)之化合物、

R^1 為亦可經鹵素所取代之碳數 3~10 個之烷基(以下，將 R^1 視為 R1-3)之化合物、

五、發明說明 (²⁴)

R^1 為異丙基或第三丁基(以下，將 R^1 視為 $R1-4$)之化合物、

R^2 為氫或碳數 1~3 個之烷基(以下，將 R^2 視為 $R2-1$)之化合物、

R^2 為氫(以下，將 R^2 視為 $R2-2$)之化合物、

X 為亦可具有取代基之伸低烷基、亦可具有取代基之伸低烯基或



為亦可具有取代基之環伸烷基、亦可具有取代基之環伸烯基、亦可具有取代基之雙環伸烷基、亦可具有取代基之伸苯基或亦可具有取代基之雜環二基(以下，將 X 視為 $X-1$)之化合物、

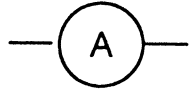
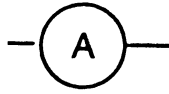
X 為碳數 2~6 個之伸烷基、碳數 3~6 個之伸烯基或



為亦可具有取代基之環伸烷基、亦可具有取代基之環伸烯基、亦可具有取代基之雙環伸烷基、亦可具有取代基之伸苯基、亦可具有取代基之伸嘧啶基、亦可具有取代基之噻吩二基或亦可具有取代基之呋喃二基(以下，將 X 視為 $X-2$)之化合物、

X 為碳數 2~6 個之伸烷基或

五、發明說明 (25)



為亦可具有取代基之環伸烷基、亦可具有取代基之伸苯基、亦可具有取代基之伸吡啶基、亦可具有取代基之噻吩二基或亦可具有取代基之呋喃二基(以下，將 X 視為 X-3)之化合物、

X 為碳數 2~6 個之伸烷基或分別亦可經鹵素、羥基、低烷基或鹵低烷基所取代之環伸烷基或伸苯基(以下，將 X 視為 X-4)之化合物、

X 為碳數 2~6 個之伸烷基或碳數 5~6 個之環伸烷基(以下，為 X 視為 X-5)之化合物、

X 為碳數 3~6 個之伸烷基或 1,4-環伸己基(以下，為 X 視為 X-6)之化合物、

Y 為 CONR^7 、 CSNR^7 或 NR^7CO 或 NR^7CS ， R^7 為氫或碳數 1~3 個之烷基(以下，將 Y 視為 Y-1)之化合物、

Y 為 CONH 、 CSNH 或 NHCO (以下，將 T 視為 T-2)之化合物、

Y 為 CONH (以下，將 T 視為 Y-3)之化合物、

Z 為亦可具有取代基之低烷基、亦可具有取代基之烴類環式基或亦可具有取代基之雜環基(以下，將 Z 視為 Z-1)之化合物、

Z 為 $-(\text{CR}^8\text{R}^9)_r-\text{W}-(\text{CR}^{10}\text{R}^{11})_s-\text{V}$

[式中， R^8 、 R^9 、 R^{10} 及 R^{11} 分別獨立為氫或低烷基，於存在二個以上之 R^8 、 R^9 、 R^{10} 和 / 或 R^{11} 時，各個 R^8 、 R^9 、 R^{10} 及 R^{11} 亦可為相異，W 為單鍵、O、S 或 NR^{12} ， R^{12} 為

五、發明說明 (²⁶)

氫、低烷基或苯基，V 為氫、亦可具有取代基之環烷基、亦可具有取代基之雙環烷基、亦可具有取代基之芳基或亦可具有取代基之雜環基，r 為 1~4 之整數，s 為 0~4 之整數〕(以下，將 Z 視為 Z-2)之化合物，

Z 為 $-(CH_2)_r-W-(CH_2)_s-V$

[式中，W 為單鍵、O、S 或 NR^{12} ， R^{12} 為氫或低烷基，V 分別亦可具有取代基之芳基或雜環基(此處所謂之取代基為鹵素、羥基、低烷基、鹵低烷基、低烷氧基、低烯基、胺基、低烷胺基、醯基、羧基、低烷氧羰基、苯基或單環之雜芳基)，r 為 1~4 之整數，s 為 0~4 之整數] (以下，將 Z 視為 Z-3)之化合物、

Z 為 $-(CH_2)_r-W-(CH_2)_s-V$

[式中，W 為單鍵、O、S、NH 或 NMe，V 分別亦可具有取代基之苯基或雜芳基(此處所謂之取代基為鹵素、低烷基、鹵低烷基、低烷氧基、胺基或低烷胺基)，r 為 1~3 之整數，s 為 0 或 1] (以下，將 Z 視為 Z-4)之化合物、

Z 為亦可具有取代基之烴類環式基〔此處所謂之取代基為鹵素；羥基；亦可具有取代基之低烷基(此處所謂之取代基為鹵素、羥基、羧基、低烷氧羰基、氰基和／或苯基)；亦可經低烷氧羰基所取代之低烯基；

亦可具有取代基之低烷氧基(此處所謂之取代基為鹵素、羥基、低烷氧基、羧基、低烷氧羰基、低烷胺基、環烷基-氰基和／或雜環基)；

環烷基；環氧羰基；低烷硫基；胺甲醯基；低烷基胺甲

五、發明說明 (27)

醯基；環烷基胺甲醯基；羥亞胺基；

亦可具有取代基之胺基(此處所謂之取代基為低烷基、亦可經保護之羥低烷基、低烷氧基低烷基、醯基、低烷磺醯基、芳磺醯基和／或苯基)；

鹵素、氰基、苯基，和／或亦可經雜環基所取代之苯基；

低烷亞磺醯基；低烷基胺磺醯基；環烷基胺磺醯基；

硝基；氰基；烷二氧基；亦可經低烷基所取代之苯偶氮基；苯氧基；氧基；

亦可具有取代基之雜環基(此處所謂之取代基為亦可經保護之羥基；氫硫基；鹵素；低烷基；環烷基；低烷氧羰基；醯基；胺基；低烷氧羰胺基；胺甲醯基；氧基；苯基；低烷氧苯基；鹵苯基；雜環基和／或氧基)；

亦可經低烷基所取代之雜環磺醯基；雜環氧基；亦可經低烷基所取代之雜環羰基〕(以下，將 Z 視為 Z-5)之化合物、Z 為亦可具有取代基之苯基

(此處所謂之取代基為鹵素；羥基；亦可經鹵素、羥基、低烷氧羰基、氰基和／或苯基所取代之低烷基；低烷氧羰基低烯基；亦可經鹵素、低烷氧基、低烷氧羰基、環烷基和／或雜環基所取代之低烷氧基；環烷基；環烷氧基；醯基；低烷硫基；胺甲醯基；低烷基胺甲醯基；亦可經低烷基、羥低烷基、醯基、低烷磺醯基和／或苯基所取代之胺基；亦可經鹵素、氰基、苯基和／或雜環基所取代之苯基；低烷基胺磺醯基；環烷基胺磺醯基；

五、發明說明 (²⁸)

硝基，烷二氧基；亦可經低烷基所取代之苯偶氮基；苯氧基；氧基；亦可經羥基、鹵素、低烷基、低烷氧羰基、胺基、胺甲醯基、苯基、鹵苯基、雜環基和／或氧基所取代之雜環基；雜環氧基；和／或亦可經低烷基所取代之雜環磺醯基)(以下，將 Z 視為 Z-6)之化合物、

Z 為亦可具有取代基之苯基

(此處所謂之取代基為鹵素；亦可經鹵素、羥基、低烷氧羰基和／或苯基所取代之低烷基；亦可經鹵素和／或環烷基所取代之低烷氧基；環烷基；環烷氧基；醯基；低烷硫基；低烷基胺甲醯基；亦可經低烷基、羥低烷基、醯基和／或苯基所取代之胺基；亦可經哌啶基所取代之苯基；環烷基胺磺醯基；烷二氧基；苯氧基；

亦可經低烷基所取代之嗎啉基或嗎啉代；亦可經羥基、低烷基、低烷氧羰基、苯基、鹵苯基和／或氧基所取代之哌啶基；亦可經羥基、胺甲醯基和／或氧基所取代之吡咯烷基，亦可經苯基或嘧啶基所取代之嘧啶基；二氫吡啶基；吡咯基；吡咯啉基；亦可經鹵素和／或低烷基所取代之咪唑基；吡唑基；噻吩基；噻二唑基；呋喃基；噁唑基；異噁唑基；亦可經低烷基和／或苯基所取代之四唑基；吡啶基；吡啶基；四氫嘧啶基；亦可經低烷基所取代之苯并噻唑基；亦可經氧基所取代之四氫異噻唑基；亦可經氧基所取代之苯並吡喃基；四氫吡喃氧基；四氫呋喃氧基；亦可經低烷基所取代之嗎啉代磺醯基；和／或亦可經低烷基所取代之哌啶磺醯基)(以下，

五、發明說明 (²⁹)

將 Z 視為 Z-7) 之化合物、

Z 為亦可具有取代基之苯基 (此處所謂之取代基為鹵素、低烷基、鹵低烷基、低烷氧基、環烷氧基、低烷基胺甲醯基、苯基、低烷基嗎啉代和 / 或四氫吡喃氧基) (以下，將 Z 視為 Z-8) 之化合物、

Z 亦可具有取代基之雜環基 (此處所謂之取代基為鹵素、羥基、低烷基、鹵低烷基、低烷氧基、氫硫基、低烷硫基、醯基、羧基、低烷氧羰基、胺基、低烷基胺基、苯基、萘基、亦可經鹵素所取代之苯硫基、亦可經鹵素所取代之苯氧基、氧基和 / 或亦可經低烷基所取代之雜環基) (以下，將 Z 視為 Z-9) 之化合物、

Z 為分別亦可具有取代基之噻吩基、吡啶基、噻啞基、噻二啞基、吡啶基、嘧啶基、吡嗪基、三嗪基、吡啶基、異吡啶基、吡啶基、異吡啶基、吡啶基、苯並吡啶基、苯並噻啞基、苯並噻吩基、苯並噻啞基、苯並噻啞基、苯並噻二啞基、噻啞基、異噻啞基、二氫苯並呋喃基、呋喃基、呋喃基或二苯並呋喃基 (此處所謂之取代基為低烷基；鹵低烷基；低烷氧基；低烷氧羰基；醯基；低烷氧羰基低烷基；氫硫基；分別亦可經鹵素所取代之苯基、萘基、苯硫基或苯氧基；呋喃基；氧基；和 / 或亦可經低烷基所取代之嗎啉代) (以下，將 Z 視為 Z-10) 之化合物、

Z 為分別亦可具有取代基之噻吩基、噻啞基、噻二啞基、吡啶基、吡嗪基、吡啶基、異吡啶基、苯並吡啶基、噻

五、發明說明 (30)

啉基、呋啉基、二苯並呋喃基、苯並吡喃基、苯並噻吩基或苯並噻唑基(此處所謂之取代基為低烷基、鹵低烷基、低烷氧基、低烷氧羰基、醯基、苯基、萘基、苯硫基、低烷嗎啉代及氧基所組成群中選出一個以上之基)(以下，將 Z 視為 Z-11)之化合物。

R^1 為 R1-2、 R^2 為 R²-2、n 為 2、Y 及 Z 之組合(X, Y, Z)為以下組合之化合物、

(X, Y, Z) = (X-3, Y-2, Z-1), (X-3, Y-2, Z-2), (X-3, Y-2, Z-3), (X-3, Y-2, Z-4), (X-3, Y-2, Z-5), (X-3, Y-2, Z-6), (X-3, Y-2, Z-7), (X-3, Y-2, Z-8), (X-3, Y-2, Z-9), (X-3, Y-2, Z-10), (X-3, Y-2, Z-11), (X-3, Y-3, Z-1), (X-3, Y-3, Z-2), (X-3, Y-3, Z-3), (X-3, Y-3, Z-4), (X-3, Y-3, Z-5), (X-3, Y-3, Z-6), (X-3, Y-3, Z-7), (X-3, Y-3, Z-8), (X-3, Y-3, Z-9), (X-3, Y-3, Z-10), (X-3, Y-3, Z-11), (X-4, Y-2, Z-1), (X-4, Y-2, Z-2), (X-4, Y-2, Z-3), (X-4, Y-2, Z-4), (X-4, Y-2, Z-5), (X-4, Y-2, Z-6), (X-4, Y-2, Z-7), (X-4, Y-2, Z-8), (X-4, Y-2, Z-9), (X-4, Y-2, Z-10), (X-4, Y-2, Z-11), (X-4, Y-3, Z-1), (X-4, Y-3, Z-2), (X-4, Y-3, Z-3), (X-4, Y-3, Z-4), (X-4, Y-3, Z-5), (X-4, Y-3, Z-6), (X-4, Y-3, Z-7), (X-4, Y-3, Z-8), (X-4, Y-3, Z-9), (X-4, Y-3, Z-10), (X-4, Y-3, Z-11), (X-5, Y-2, Z-1), (X-5, Y-2, Z-2), (X-5, Y-2, Z-3), (X-5, Y-2, Z-4), (X-5, Y-2, Z-5), (X-5, Y-2, Z-6), (X-5, Y-2, Z-7), (X-5, Y-2, Z-8), (X-5, Y-2, Z-9), (X-5, Y-2, Z-10), (X-5, Y-2, Z-11), (X-5, Y-3, Z-1), (X-5, Y-3, Z-2), (X-5, Y-3, Z-3), (X-5, Y-3, Z-4), (X-5, Y-3, Z-5), (X-5, Y-3, Z-6), (X-5, Y-3, Z-7), (X-5, Y-3, Z-8), (X-5, Y-3, Z-9), (X-5, Y-3, Z-10) 或 (X-5, Y-3, Z-11)

(請先閱讀背面之注意事項再填寫本頁)

裝

訂

後

五、發明說明 (³¹)

或其製藥上所容許鹽、其溶劑化物或其前體藥物。

本發明之 NPY5 受體拮抗劑為對於 NPY5 所參與之所有疾病可有效作用，且特別可用於預防和／或治療肥胖及抑制攝食。又，對於肥胖為其危險因素之疾病，例如糖尿病、高血壓、高脂血症、動脈硬化、急性冠狀症候群等之預防和／或治療亦為有效。

更且，本發明之 NPY5 受體拮抗劑為對於 NPY1 及 Y2 受體之親和性低，且具有 Y5 受體高選擇性。NPY 為在末梢惹起持續性的缺陷收縮作用，但其作用主要為透過 Y1 受體。由於 Y5 受體為完全不參與此類作用，故對於末梢血管收縮所誘發之副作用的可能性低，可適合使用做為安全的醫藥。

NPY5 受體拮抗劑為抑制攝食並顯示出抗肥胖效果。因此，並無阻礙消化吸收而顯示抗肥胖效果之藥劑所察見般之消化不良等之副作用，且無顯示抗肥胖效果之 5-羥色胺轉運蛋白抑制劑所表現之抗鬱作用等中樞性副作用乃為本藥劑特長之一。

將本發明化合物投與做為抗肥胖藥或攝食抑制劑時，可將其以經口性、非經口性任一種方法進行投與。經口投與為依常法調製成錠劑、顆粒劑、膠囊劑、丸劑、液劑、糖漿劑、含片劑或舌下劑等通常所用之劑型進行投與。非經口投與例如為肌肉投與、靜脈內投與等之注射劑、栓劑、經皮吸收劑、吸入劑等通常所用之任一劑型均適於投與。本發明化合物因為經口吸收性高，故適於

五、發明說明 (³²)

使用做為經口劑。

於本發明化合物之有效量中，將適於其劑型之賦形劑、粘合劑、濕潤劑、崩散劑、潤滑劑、稀釋劑等各種醫藥用添加劑視需要混合則可作成醫藥製劑。於注射劑之情形中，與適當之載體共同進行滅菌處理作成製劑即可。

具體而言，賦形劑為乳糖、白糖、葡萄糖、澱粉、碳酸鈣或結晶纖維素等，粘合劑為甲基纖維素、羧甲基纖維素、經丙基纖維素、明膠或聚乙烯吡咯烷酮等，崩散劑為羧甲基纖維素、羧甲基纖維素鈉、澱粉、藻酸鈉、洋菜粉末或月桂基硫酸鈉等，潤滑劑為滑石、硬脂酸鎂或聚乙二醇等。栓劑之基劑為可可脂、聚乙二醇或甲基纖維素等。又，於調製成液劑或乳濁性、懸浮性之注射劑之情形中，可適當添加助溶劑、懸浮化劑、乳化劑、安定劑、保存劑、等張劑等，且於經口投與之情形中亦可加入矯味劑、芳香劑等。

本發明化合物做為抗肥胖藥或攝食抑制劑之投與量為考慮患者年齡、體重、疾病種類和程度、投與途徑等設定為佳，於經口投與成人時，通常為 0.05~100 毫克／公斤／日，較佳為 0.1~10 毫克／公斤／日之範圍內。於非經口投與之情形中，雖根據投與途徑而大為不同，但通常為 0.005~10 毫克／公斤／日，較佳為 0.01~1 毫克／公斤／日之範圍內。其可為 1 日 1 回~分成數回投與。

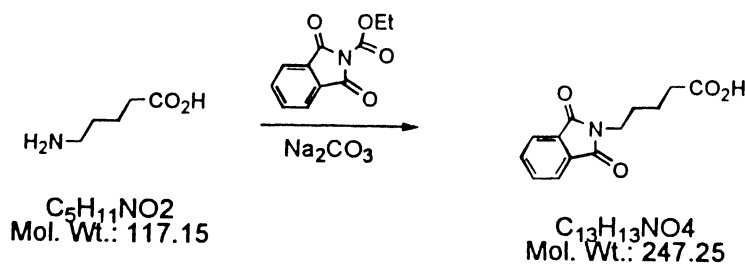
五、發明說明 (33)

以下，示出實施例更詳細說明本發明，但其並非限定本發明。

實施例

實施例 1 化合物(I-7)之合成

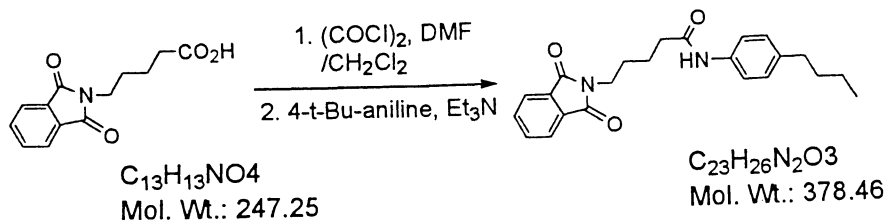
第 1 工程



將碳酸鈉(995 毫克，9.38 毫莫耳)溶於水 30 毫升，加入原料胺基酸(1.0 克，8.53 毫莫耳)與 N-乙醯基酞醯亞胺(2.49 克，11.4 毫莫耳)並於室溫下攪拌一夜。其次於反應液中加入濃鹽酸使呈 pH1。將析出之結晶水洗並乾燥則可取得目的物 1.72 克產率 82%。

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 1.59-1.77 (m, 4H), 2.34 (t, 2H, $J = 6.3$ Hz), 3.69 (t, 2H, $J = 6.6$ Hz), 7.78-7.87 (m, 4H).

第 2 工程



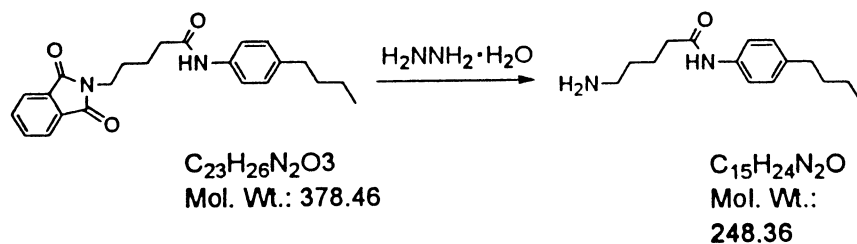
令第 1 工程所得之化合物(1.0 克，4.0 毫莫耳)，於室溫下溶於二氯甲烷 5 毫升中，並於冰冷下加入草醯氯(0.459 毫升，5.2 毫莫耳)、DMF 微量且於冰冷下反應 30 分鐘，室溫下反應 30 分鐘。減壓下蒸除溶劑並加入新的

五、發明說明 (34)

二氯甲烷 5 毫升，冰冷下加入 4-丁基苯胺(664 毫克，4.4 毫莫耳)、三乙胺(0.564 毫升，4.4 毫莫耳)。於室溫反應 30 分鐘後，將反應液加入水中且以氯仿萃取。將有機層水洗且以無水硫酸鎂乾燥。減壓下蒸除溶劑並將殘渣以矽膠柱層析精製，則取得目的物 1.49 克產率 97%。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.27-1.39 (m, 2H), 1.51-1.62 (m, 2H), 1.72-1.84 (m, 4H), 2.40-2.46 (m, 2H), 2.56 (t, 2H, $J = 7.5$ Hz), 3.76 (t, 1H, $J = 5.7$ Hz), 7.12 (d, 2H, $J = 7.8$ Hz), 7.33 (s, 1H), 7.42 (d, 2H, $J = 8.1$ Hz), 7.71-7.73 (m, 2H), 7.83-7.86 (m, 2H).

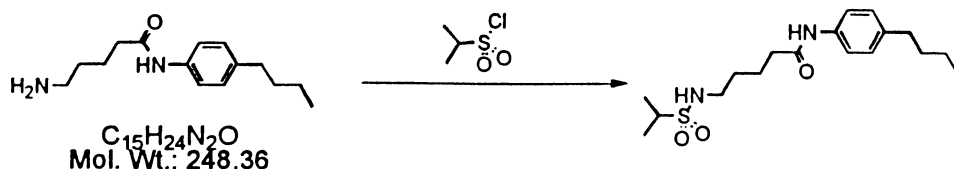
第 3 工程



令第 2 工程所得之化合物(1.49 克，3.9 毫莫耳)溶於乙醇 30 毫升，加入胼 1 水合物(0.591 毫克，11.8 毫莫耳)並於 50°C 反應 3 小時。蒸除溶劑並加入 1 毫耳／升 NaOH 水且以乙酸乙酯萃取。將有機層水洗且以無水硫酸鎂乾燥。減壓下蒸除溶劑則可取得目的物 808 毫克產率 83%。

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 0.93 (t, 3H, $J = 7.2$ Hz), 1.28-1.40 (m, 2H), 1.50-1.62 (m, 4H), 1.67-1.77 (m, 2H), 2.37 (t, 2H, $J = 7.5$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 2.68 (t, 2H, $J = 7.2$ Hz), 7.11 (d, 2H, $J = 8.1$ Hz), 7.42 (d, 2H, $J = 8.4$ Hz).

第 4 工程



(請先閱讀背面之注意事項再填寫本頁)

裝

訂

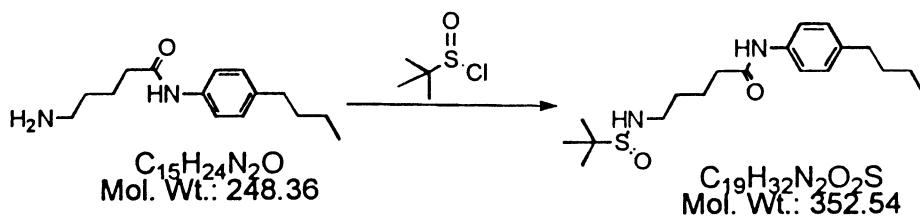
線

五、發明說明 (³⁵)

令第 3 工程所得之化合物 (808 毫克, 3.25 毫莫耳), 於冰冷下懸浮於二氯甲烷 5 毫升, 並加入異丙基磺醯氯 (696 毫克, 4.9 毫莫耳)、三乙胺 (494 毫克, 4.9 毫莫耳)。令反應液於冰冷下反應 1 小時, 將反應液倒入水中且以氯仿萃取。將有機層水洗且以無水硫酸鎂乾燥。減壓下蒸除溶劑並令殘渣以矽膠柱層析精製, 則可定量取得目的物。

¹H-NMR (CDCl₃) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.27-1.40 (m, 2H), 1.36 (d, 6H, J = 6.6 Hz), 1.51-1.69 (m, 4H), 1.77-1.86 (m, 2H), 2.38 (t, 2H, J = 7.2 Hz), 2.56 (t, 2H, J = 7.5 Hz), 3.12-3.21 (m, 3H), 4.38 (t, 1H, J = 5.7 Hz), 7.11 (d, 2H, J = 8.4 Hz), 7.36-7.41 (m, 3H).

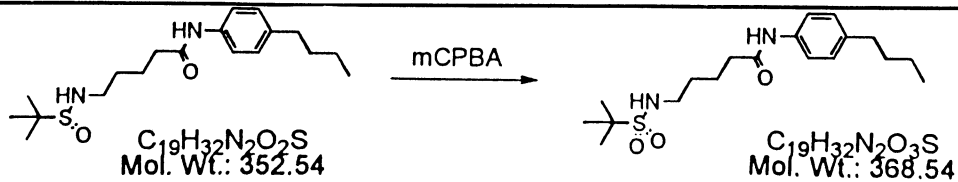
實施例 2 化合物 (I-10) 之合成



除了於實施例第 3 工程所得之化合物中加入第三丁基亞磺醯氯 (689 毫克, 4.9 毫莫耳) 及三乙胺 (494 毫克, 4.9 毫莫耳) 以外, 同實施例 1 第 4 工程處理合成目的化合物。

¹H-NMR (CDCl₃) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.22 (s, 9H), 1.30-1.37 (m, 2H), 1.51-1.68 (m, 4H), 1.76-1.86 (m, 2H), 2.31-2.40 (m, 2H), 2.56 (t, 2H, J = 7.5 Hz), 3.15-3.26 (m, 3H), 7.11 (t, 2H, J = 8.7 Hz), 7.42 (d, 2H, J = 8.1 Hz), 7.54 (s, 1H).

實施例 3 化合物 (I-11) 之合成

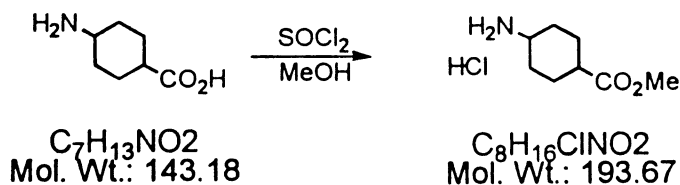


令實施例 2 所得之化合物 (352 毫克, 1.0 毫莫耳) 於冰冷下溶於二氯甲烷 5 毫升, 並加入 mCPBA (259 毫克, 1.5 毫莫耳)。於室溫反應 1 小時且濾除不溶物, 濾液以 1 莫耳 / 升 NaOH、 $\text{Na}_2\text{S}_2\text{O}_5$ 、水洗淨並以無水硫酸鎂乾燥。減壓下蒸除溶劑並令殘渣以矽膠柱層析精製, 則可取得目的物 338 毫克產率 92%。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.29-1.39 (m, 2H), 1.39 (s, 9H), 1.51-1.68 (m, 4H), 1.76-1.84 (m, 2H), 2.37 (t, 2H, $J = 7.5$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 3.19-3.26 (m, 2H), 4.20 (t, 1H, $J = 5.7$ Hz), 7.11 (t, 2H, $J = 8.1$ Hz), 7.42 (d, 2H, $J = 8.7$ Hz), 7.46 (s, 1H).

實施例 4 化合物 (I-72) 之合成

第 1 工程

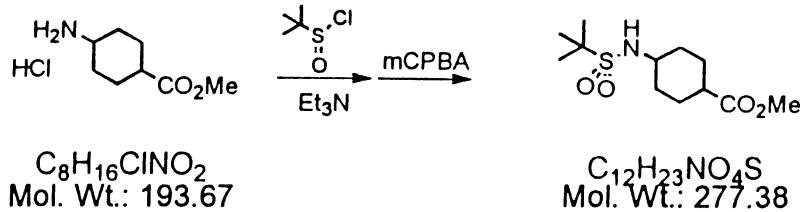


將原料胺基酸 (順式體、反式體之混合物) (1.0 克, 8.53 毫莫耳) 溶於甲醇 7.5 毫克、並於冰冷下加入亞硫醯氯 (1.0 毫升, 13.7 毫莫耳), 於室溫下攪拌一夜。減壓下濃縮反應液後, 加入二乙醚, 並濾取析出之結晶。將其以二乙醚洗淨後乾燥, 取得目的物 1.25 克產率 93%。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.50-2.60 (m, 9H), 3.08-3.36 (m, 1H), 3.67 (s, 3H, CO_2Me 之順式異構物), 3.71 (s, 3H, CO_2Me 之反式異構物), 8.15-8.55 (m, 3H).

五、發明說明 (37)

第 2 工程

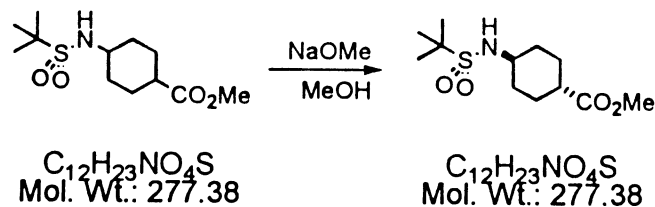


由原料甲酯依實施例 1 第 3 工程及實施例 2 記載之方法同樣處理，則可取得目的磺醯胺(順式體、反式體之混合物)。

順式異構物

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.39 (s, 9H), 1.52-1.99 (m, 8H), 2.43-2.53 (m, 1H), 3.42-3.55 (m, 1H), 3.69 (s, 3H), 3.85 (d, 1H, $J = 9.0$ Hz).

第 3 工程



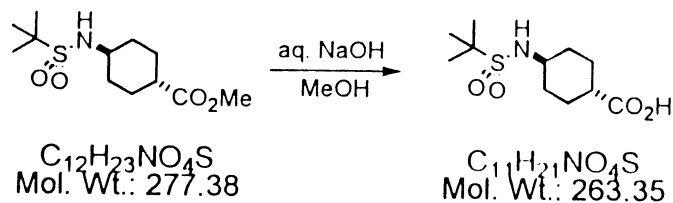
令原料磺醯胺(19.4 克，70.0 毫莫耳，順式體、反式體之混合物)溶於甲醇 30 毫升，且加入 28% 甲醇鈉(28.4 毫升，140.0 毫莫耳)並迴流攪拌 22 小時。蒸除溶劑後以氯仿稀釋，並將 1 莫耳／升 HCl 於冰冷下攪拌加至令水層為 pH=3 為止，水層以氯仿萃取。將有機層水洗且以無水硫酸鎂乾燥。將所得之粗結晶予以再結晶(己烷-乙酸乙酯)，則取得目的物之磺醯胺(反式體)7.75 克產率 40%。

五、發明說明 (38)

反式異構物

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 1.16-1.32 (m, 2H), 1.39 (s, 9H), 1.44-1.52 (m, 2H), 1.98-2.09 (m, 2H), 2.14-2.29 (m, 3H), 3.18-3.37 (m, 1H), 3.63 (d, 1H, $J = 9.0$ Hz), 3.67 (s, 3H).

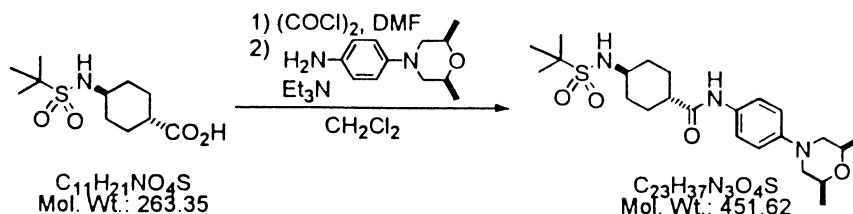
第 4 工程



令原料甲酯 (4.77 克, 17.2 毫莫耳) 溶於甲醇 95 毫升, 並將 1 莫耳 / 升 NaOH (43 毫升, 43.0 毫莫耳) 於冰冷下攪拌加入且攪拌一夜。將反應液於減壓下濃縮後, 將 1 莫耳 / 升 NaOH 於冰冷下攪拌加至 pH = 3 為止, 並濾取析出之結晶, 水洗後乾燥。將所得之粗結晶予以再結晶 (己烷 - 乙酸乙酯), 則取得目的物之羧酸為 4.20 克產率 93%。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.18-1.35 (m, 2H), 1.39 (s, 9H), 1.46-1.63 (m, 2H), 2.01-2.14 (m, 2H), 2.14-2.32 (m, 3H), 3.18-3.35 (m, 1H), 3.80 (d, 1H, $J = 9.6$ Hz).

第 5 工程



令原料羧酸 (5.86 克, 22.3 毫莫耳) 於室溫下溶於二氯甲烷 88 毫升中, 冰冷下加入草酰氯 (2.34 毫升, 26.7 毫

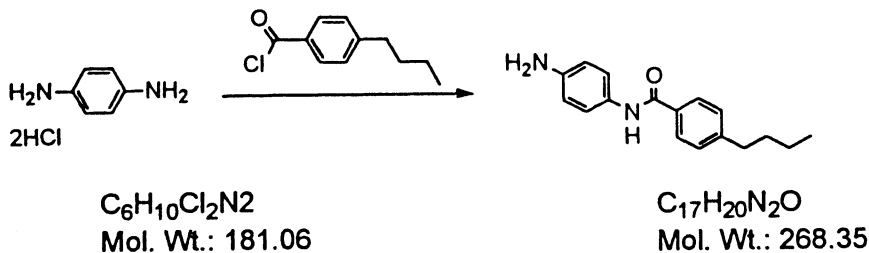
五、發明說明 ()

莫耳)、觸媒量之 DMF，並於室溫下攪拌 1 小時。減壓下蒸除溶劑，加入二氯甲烷 115 毫升，並加入經取代苯胺 (5.05 克，24.5 毫莫耳)、三乙胺 (4.65 毫升，33.4 毫莫耳)。於室溫下攪拌 2.5 小時後，於反應液中加入冰水，且以氯仿萃取。將有機層水洗且以無水硫酸鎂乾燥。減壓下蒸除溶劑並於殘渣中加入乙酸乙酯及己烷，且濾取析出之結晶。取得目的物之醯胺體 7.00 克產率 70%。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25 (d, 6H, $J = 6.3$ Hz), 1.17-1.42 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.98-2.43 (m, 7H), 3.20-3.43 (m, 3H), 3.67 (d, 1H, $J = 9.6$ Hz), 3.74-3.86 (m, 2H), 6.86 (d, 2H, $J = 9.0$ Hz), 7.04 (s, 1H), 7.38 (d, 2H, $J = 9.0$ Hz).

實施例 5 化合物 (I-2) 之合成

第 1 工程

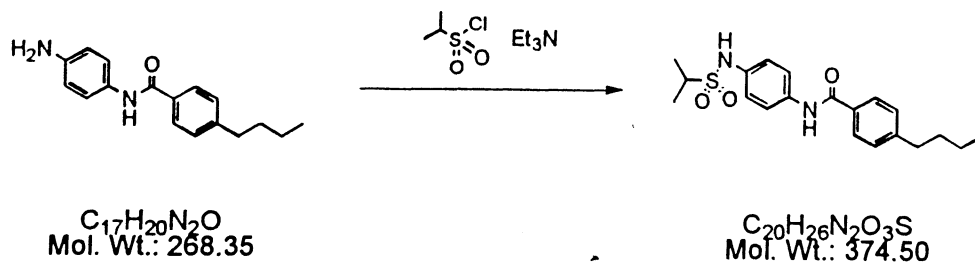


令原料二胺 (461 毫克，2.5 毫莫耳) 於冰冷下懸浮於二氯甲烷，加入醯基氯化物 (500 毫克，2.5 毫莫耳)、三乙胺 (773 毫克，7.5 毫莫耳) 且反應 30 分鐘，於反應液中加入水、二氯甲烷並濾除不溶物，將有機層水洗且以無水硫酸鎂乾燥。減壓下蒸除溶劑則可取得殘渣型式之目的物 100 毫克產率 15%。

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五、發明說明 ()

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93 (t, 3H, $J = 7.2$ Hz), 1.30-1.42 (m, 2H), 1.57-1.67 (m, 2H), 2.66 (t, 2H, $J = 7.8$ Hz), 3.50 (brs, 1H), 6.57 (s, 1H), 6.68 (d, 2H, $J = 8.7$ Hz), 7.26 (d, 2H, $J = 8.4$ Hz), 7.39 (d, 2H, $J = 8.7$ Hz), 7.68 (s, 1H), 7.75 (d, 2H, $J = 8.1$ Hz).

第 2 工程

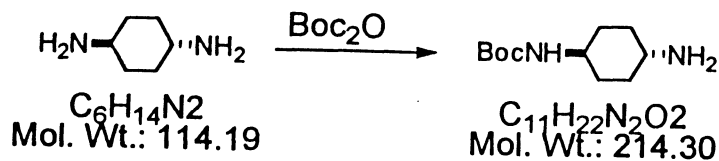


同實施例 1 第 4 工程處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.94 (t, 3H, $J = 7.5$ Hz), 1.34-1.44 (m, 2H), 1.40 (d, 6H, $J = 6.6$ Hz), 1.59-1.68 (m, 2H), 2.69 (t, 2H, $J = 7.8$ Hz), 3.24-3.35 (m, 1H), 6.49 (s, 1H), 7.23-7.32 (m, 4H), 7.6 (d, 2H, $J = 8.7$ Hz), 7.79 (d, 2H, $J = 8.1$ Hz), 7.85 (s, 1H).

實施例 6 化合物 (I-31) 之合成

第 1 工程



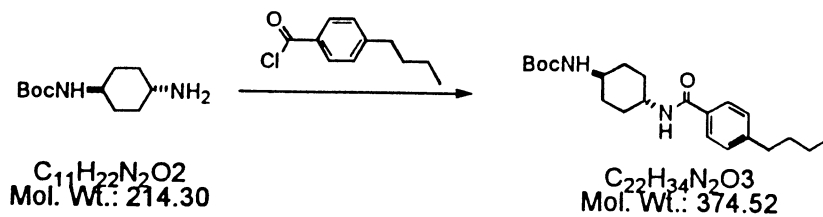
令原料二胺 (8.37 克, 73.3 毫莫耳) 於室溫下溶於二噁烷 30 毫升, 並加入 Boc_2O (2 克, 9.2 毫莫耳) 之二噁烷 (30 毫升) 溶液。於室溫下反應 3 日, 蒸除溶劑。於殘渣中加水並以氯仿萃取。將有機層水洗且以無水硫酸鎂乾燥。減壓下蒸除溶劑則可取得殘渣型式之目的物 1.8 克產率

五、發明說明 (41)

92% (Boc₂O 基準)。

¹H-NMR (CDCl₃) δ ppm: 1.07-1.26 (m, 6H), 1.44 (s, 9H), 1.84-2.00 (m, 4H), 2.58-2.67 (m, 1H), 3.37 (brs, 1H), 4.43 (brs, 1H).

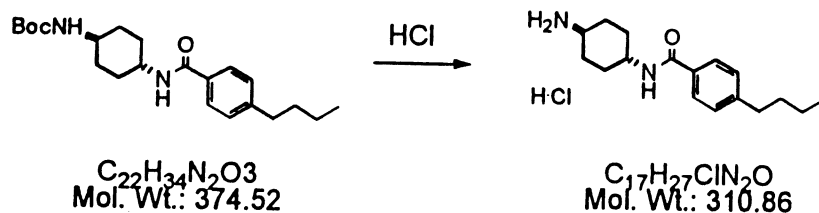
第 2 工程



同實施例 5 第 1 工程處理取得目的物。

¹H-NMR (CDCl₃) δ ppm: 0.92 (t, 3H, J = 7.2 Hz), 1.26-1.42 (m, 6H), 1.45 (s, 9H), 1.54-1.68 (m, 2H), 1.99-2.12 (m, 4H), 2.64 (t, 2H, J = 7.8 Hz), 3.43 (brs, 1H), 3.90-4.00 (m, 1H), 4.48 (d, 1H, J = 5.7 Hz), 5.95 (d, 1H, J = 8.4 Hz), 7.21 (d, 2H, J = 8.4 Hz), 7.65 (d, 2H, J = 8.4 Hz).

第 3 工程



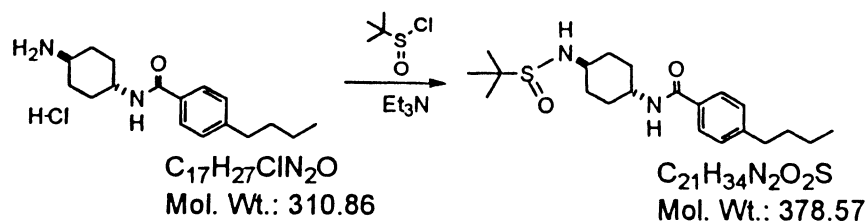
令原料 Boc 體 (2.08 克, 5.55 毫莫耳) 於冰冷下溶於乙酸乙酯 20 毫升中, 加入 4 莫耳 / 升 HCl/AcOEt 20 毫升。於室溫下反應 1 小時, 減壓蒸除溶劑。取得殘渣型式之目的物 1.7 克產率 98%。

¹H-NMR (CD₃OD) δ ppm: 0.93 (t, 3H, J = 7.2 Hz), 1.29-1.41 (m, 2H), 1.50-1.66 (m, 6H), 2.02-2.18 (m, 4H), 2.66 (t, 2H, J = 7.8 Hz), 3.13 (brs, 1H), 3.82-3.94

五、發明說明 (42)

(m, 1H), 7.26 (d, 2H, J = 8.7 Hz), 7.72 (d, 2H, J = 8.4 Hz).

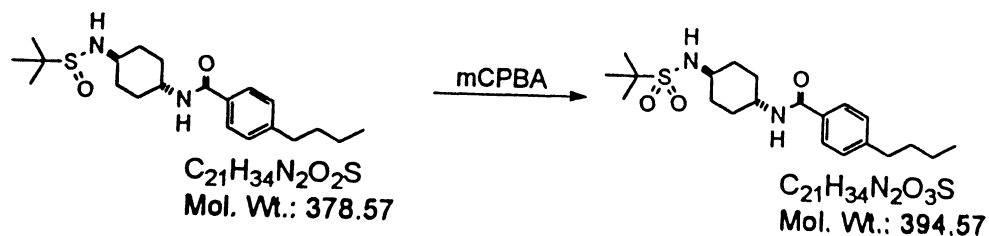
第 4 工程



同實施例 1 第 4 工程處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, J = 7.5 Hz), 1.21 (s, 9H), 1.28-1.62 (m, 8H), 2.07-2.14 (m, 4H), 2.64 (t, 2H, J = 7.8 Hz), 3.11 (d, 1H, J = 5.1 Hz), 3.20 (brs, 1H), 3.90-4.04 (m, 1H), 6.06-6.14 (m, 1H), 7.21 (t, 2H, J = 8.1 Hz), 7.67 (t, 2H, J = 8.4 Hz).

實施例 7 化合物 (I-32) 之合成



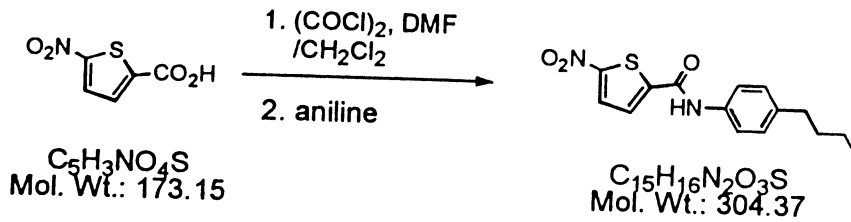
使用實施例 6 所得之化合物，同實施例 3 處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, J = 7.2 Hz), 1.27-1.65 (m, 8H), 1.40 (s, 9H), 2.10-2.23 (m, 4H), 2.65 (t, 2H, J = 7.5 Hz), 3.23-3.35 (m, 1H), 3.49 (s, 1H), 3.88-4.02 (m, 1H), 5.84-5.92 (m, 1H), 7.13 (t, 2H, J = 8.4 Hz), 7.65 (d, 2H, J = 8.1 Hz).

實施例 8 化合物 (I-5) 之合成

第 1 工程

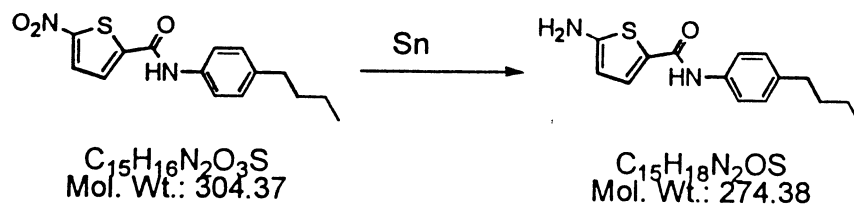
五、發明說明 (43)



同實施例 1 第 2 工程處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.94 (t, 3H, $J = 7.5$ Hz), 1.30-1.42 (m, 2H), 1.50-1.65 (m, 2H), 2.61 (t, 2H, $J = 7.8$ Hz), 7.20 (d, 2H, $J = 7.2$ Hz), 7.48-7.51 (m, 3H), 7.72 (s, 1H), 7.88-7.90 (m, 1H).

第 2 工程

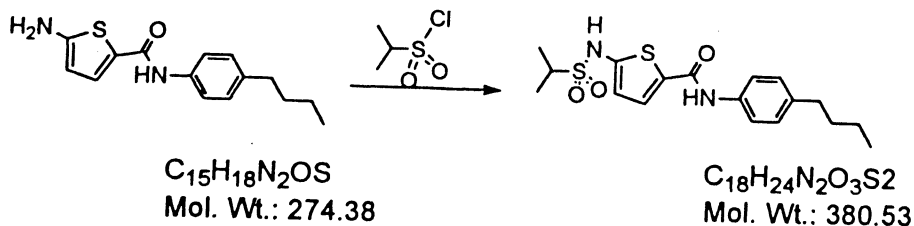


於原料硝基體 (593 毫克, 1.95 毫莫耳)、錫 (358 毫克, 3.0 毫莫耳) 中加入 6 毫莫耳 / 升 HCl 30 毫升、THF 6 毫升並於 50°C 反應 3 小時。冷卻後蒸除溶劑並以 10% NaOH 中和後, 以氯仿萃取。將有機層水洗且以無水硫酸鎂乾燥。減壓下蒸除溶劑並令殘渣以矽膠柱層析精製, 取得目的物 110 毫克產率 21%。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.26-1.39 (m, 2H), 1.49-1.59 (m, 2H), 2.50 (t, 2H, $J = 7.8$ Hz), 4.37 (s, 1H), 6.65 (d, 2H, $J = 8.4$ Hz), 6.97 (d, 2H, $J = 8.4$ Hz), 7.14 (d, 1H, $J = 8.4$ Hz), 7.43 (d, 1H, $J = 8.7$ Hz).

第 3 工程

44
五、發明說明 ()

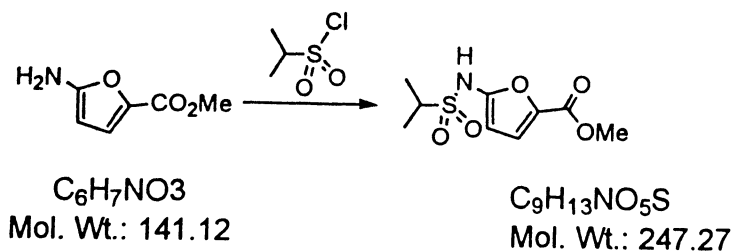


同實施例 1 第 4 工程處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.2$ Hz), 1.28-1.41 (m, 2H), 1.46 (d, 6H, $J = 6.9$ Hz), 1.53-1.63 (m, 2H), 2.59 (t, 2H, $J = 7.8$ Hz), 3.35-3.44 (m, 1H), 7.15 (d, 2H, $J = 8.7$ Hz), 7.38 (s, 1H), 7.45 (d, 2H, $J = 8.7$ Hz), 7.57 (s, 1H).

實施例 9 化合物 (I-4) 之合成

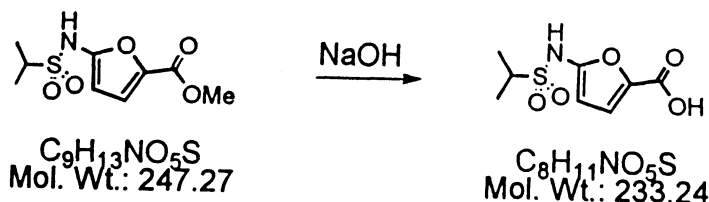
第 1 工程



同實施例 1 第 4 工程處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.44 (d, 6H, $J = 6.9$ Hz), 3.33-3.43 (m, 1H), 3.88 (s, 9H), 6.24-6.26 (m, 1H), 7.11-7.14 (m, 2H).

第 2 工程

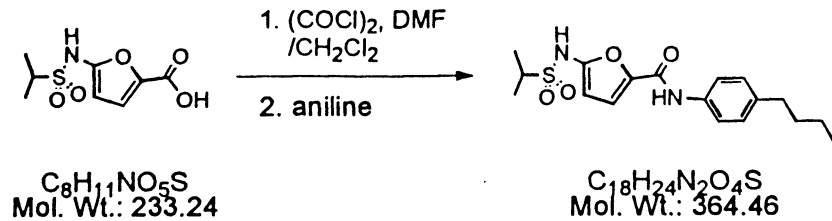


同實施例 4 第 4 工程處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.44 (d, 6H, $J = 6.3$ Hz), 3.33-3.45 (m, 1H), 6.25-6.28 (m, 1H), 7.27-7.28 (m, 1H), 7.51 (s, 1H).

五、發明說明 ()

第 3 工程

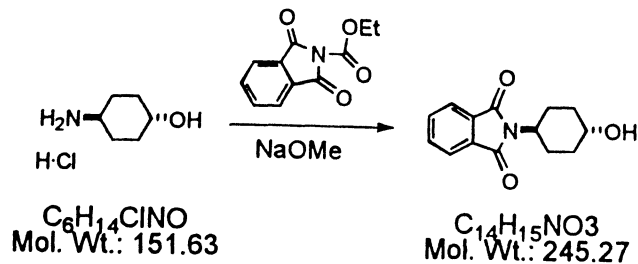


同實施例 1 第 2 工程處理取得目的物。

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 0.92 (t, 3H, $J = 6.9$ Hz), 1.28-1.41 (m, 2H), 1.46 (d, 6H, $J = 6.3$ Hz), 1.53-1.63 (m, 2H), 2.58 (t, 2H, $J = 7.8$ Hz), 3.33-3.43 (m, 1H), 6.27-6.29 (m, 1H), 7.14-7.16 (m, 3H), 7.50 (d, 2H, $J = 8.4$ Hz), 7.90 (s, 1H).

實施例 10 化合物 (I-28) 之合成

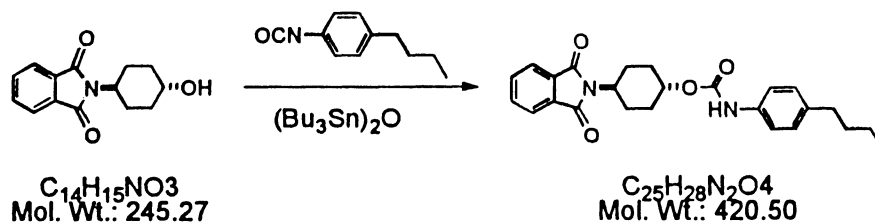
第 1 工程



同實施例 1 第 1 工程處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.37-1.52 (m, 3H), 1.74-1.79 (m, 2H), 2.07-2.13 (m, 2H), 2.28-2.42 (m, 2H), 3.72-3.81 (m, 1H), 4.09-4.20 (m, 1H), 7.68-7.73 (m, 2H), 7.81-7.85 (m, 2H).

第 2 工程

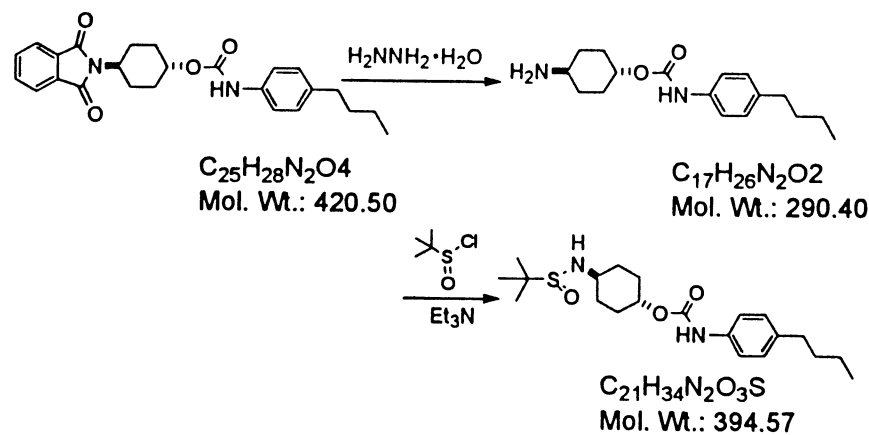


五、發明說明 (⁴⁶)

令異氰酸 4-丁基苯酯 (2.85 克, 16.3 毫莫耳) 溶於 THF 30 毫升, 且加入原料醇體 (1.0 克, 4.08 毫莫耳)、氧化雙 (三丁錫) (972 毫克, 1.63 毫莫耳) 並攪拌一夜。蒸除溶劑且加水, 以氯仿萃取。將有機層水洗且以無水硫酸鎂乾燥。減壓下蒸除溶劑並令殘渣以矽膠柱層析精製, 則取得目的物 332 毫克產率 19%。

¹H-NMR (CDCl₃) δ ppm: 0.92 (t, 3H, J = 6.9 Hz), 1.30-1.40 (m, 2H), 1.48-1.62 (m, 4H), 1.79-1.83 (m, 2H), 2.21-2.25 (m, 2H), 2.37-2.50 (m, 2H), 2.57 (t, 2H, J = 7.8 Hz), 4.11-4.22 (m, 1H), 4.77-4.87 (m, 1H), 6.49 (s, 1H), 7.11 (d, 2H, J = 8.7 Hz), 7.28 (d, 2H, J = 8.7 Hz), 7.69-7.73 (m, 2H), 7.80-7.84 (m, 2H).

第 3 工程

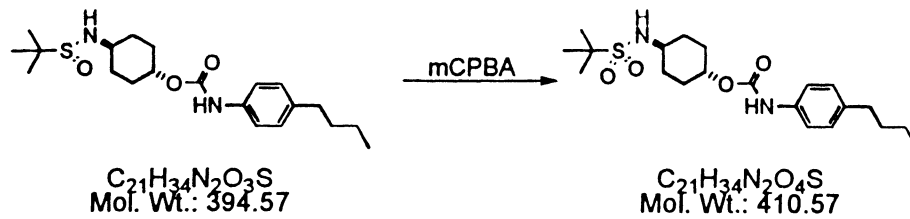


同實施例 1 第 3 工程及實施例 2 處理取得目的物。

¹H-NMR (CDCl₃) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.21 (s, 9H), 1.30-1.62 (m, 8H), 2.08 (d, 4H, J = 11.1 Hz), 2.56 (t, 2H, J = 7.8 Hz), 3.04 (d, 1H, J = 4.8 Hz), 3.20-3.30 (m, 1H), 4.65-4.76 (m, 1H), 6.57 (s, 1H), 7.10 (d, 2H, J = 8.7 Hz), 7.26 (d, 2H, J = 8.1 Hz).

實施例 11 化合物 (I-29) 之合成

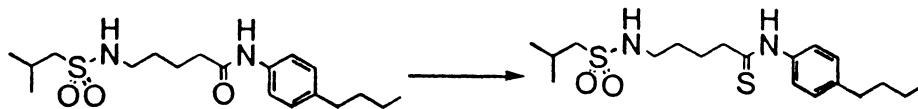
五、發明說明 ()



同實施例 3 處理取得目的物。

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.23-1.62 (m, 8H), 1.40 (s, 9H), 2.12 (d, 4H, $J = 14.4$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 3.28-3.40 (m, 1H), 3.90 (s, 1H), 4.60-4.73 (m, 1H), 6.57 (s, 1H), 7.10 (d, 2H, $J = 8.4$ Hz), 7.25 (d, 2H, $J = 8.4$ Hz).

實施例 12 化合物 (I-114) 之合成



於實施例 1 同樣處理合成之化合物 (I-110) (100 毫克) 之甲苯 (2.7 毫升) 溶液中加入羅松試藥 [2,4-雙 (4-甲氧苯基)-1,3-二噁-2,4-二磷酸乙烷-2,4-二硫化物] (132 毫克)，並於 80°C 攪拌 3 小時。將反應液就其原樣減壓濃縮，並將殘渣令以矽膠層析 (乙酸乙酯：正己烷 = 1：1) 精製，取得淡黃色結晶 (82.3 毫克，79%)。繼續以二氯甲烷-二異丙醚再結晶，取得無色針狀晶型式之目的化合物 (50.5 毫克，48%)。

實施例 13 化合物 (I-120) 之合成

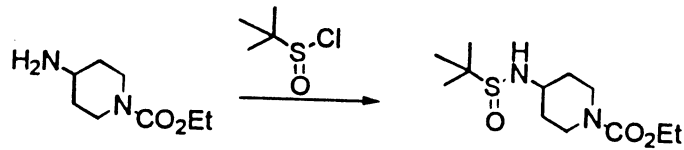
第 1 工程

(請先閱讀背面之注意事項再填寫本頁)

裝

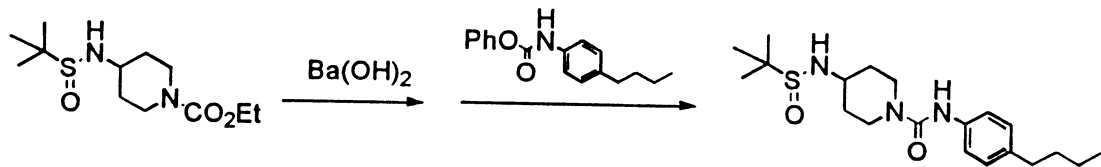
訂

五、發明說明 ()



令 4-胺基-1-哌啶羧酸乙酯(300 毫克)與三乙胺(258 毫克)溶於二氯甲烷 5 毫升，並加入第三丁基亞磺醯氯(222 毫克)之二氯甲烷溶液 2 毫升，且於室溫攪拌 4 小時。以硫酸氫鉀與乙酸乙酯予以分配並將有機層以食鹽水洗淨，並以無水硫酸鎂乾燥。減壓蒸除溶劑後將殘渣以矽膠柱層析精製，則取 378 毫克之 4-第三丁基亞磺醯胺基-1-乙氧羰基哌啶。

第 2 工程



令 378 毫克之 4-第三丁基亞磺醯胺基-1-乙氧羰基哌啶懸浮於 2-丙醇 5 毫升與水 15 毫升中，加入氫氧化鋇水合物 1.77 克並加於加熱迴流下攪拌 14 小時。以甲醇稀釋並除去不溶物且於減壓下蒸除溶劑，取得 4-第三丁基亞磺醯胺基哌啶。未精製而溶於 THF 5 毫升，並加入 N-苯氧羰基-4-丁基苯胺 984 毫克及二異丙基乙胺 236 毫克且於室溫下攪拌一夜。加入硫酸氫鉀水溶液，並以乙酸乙酯萃取且將有機層以食鹽水洗淨，並以無水硫酸鎂乾燥。減壓蒸除溶劑後，將所得之殘渣令以矽膠柱層析精製，取得 291 毫克之 4-第三丁基亞磺醯胺基哌啶-1-羧酸(4-丁基苯基)醯胺。

五、發明說明 (⁴⁹)

¹H-NMR (CDCl₃) δ ppm: 0.89(t, 3H, J = 7.3Hz), 1.19(s, 9H), 1.25-1.38(m, 4H), 1.40-1.60(m, 4H), 1.89-2.03(m, 3H), 2.52(t, 2H, J = 7.7Hz), 2.89-3.04(m, 2H), 3.14(d, 1H, J = 5.2 Hz), 3.37(m, 1H), 3.96(m, 2H), 6.67(s, 1H), 7.05(d, 2H, J = 8.5Hz), 7.22(d, 2H, J = 8.5Hz).

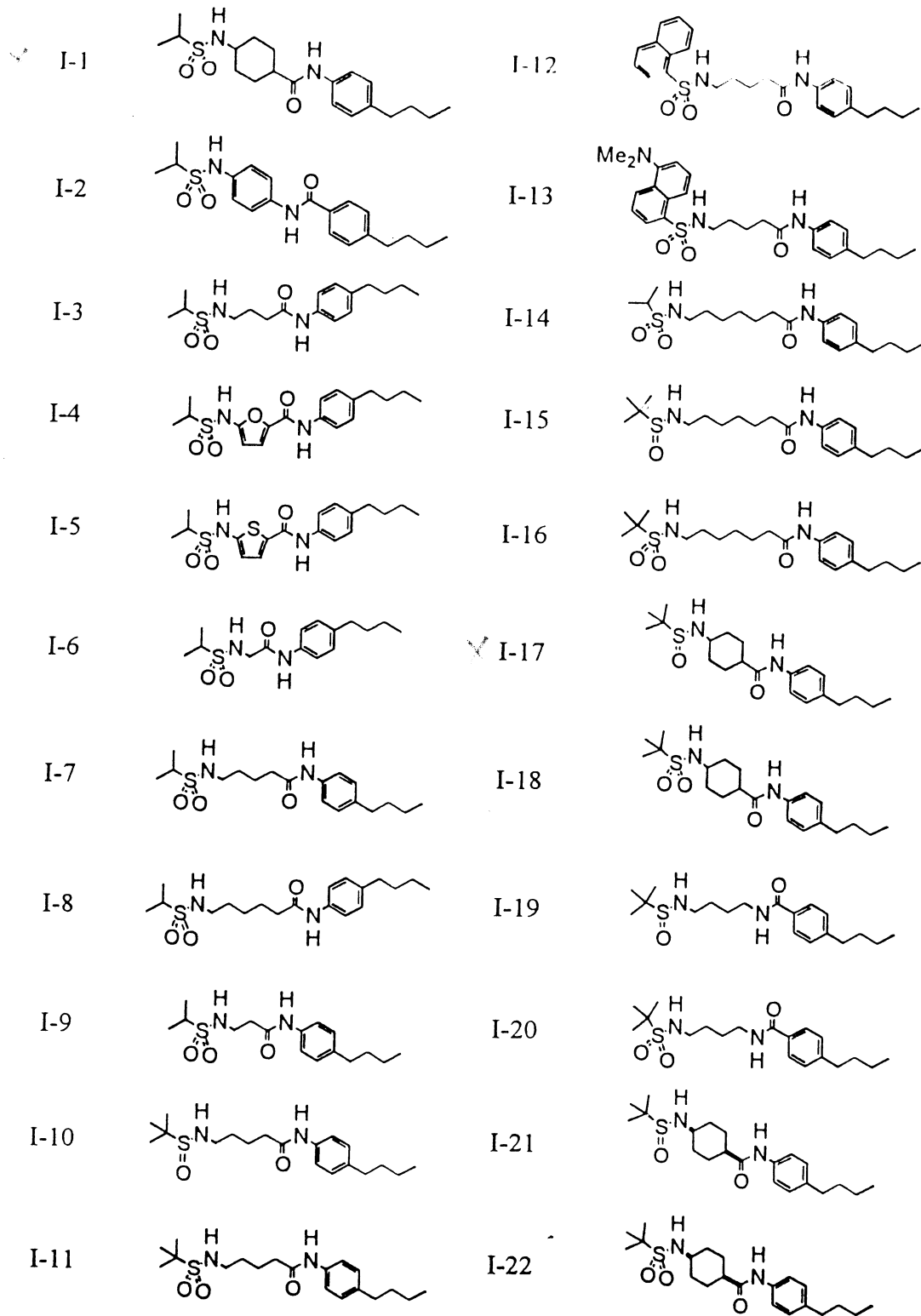
第 3 工程



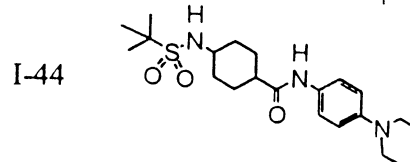
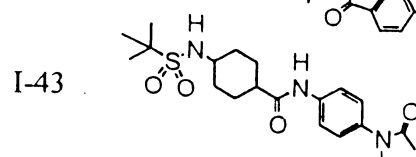
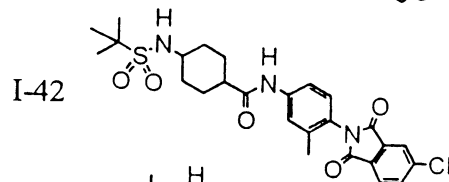
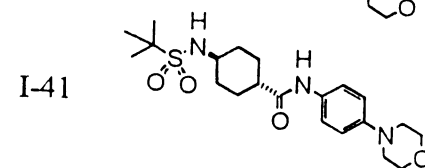
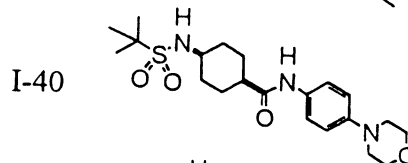
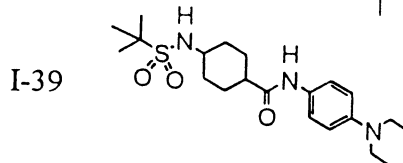
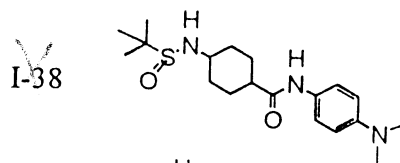
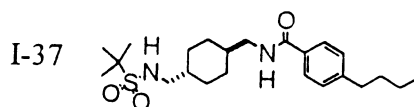
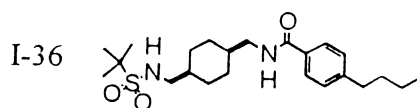
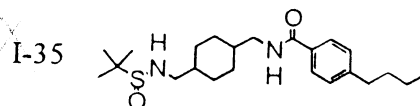
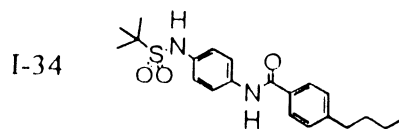
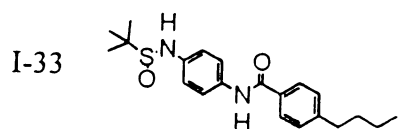
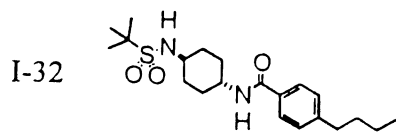
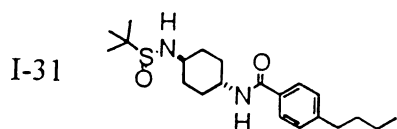
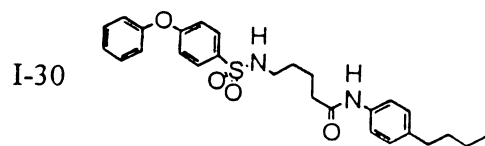
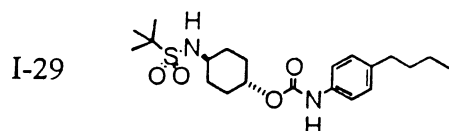
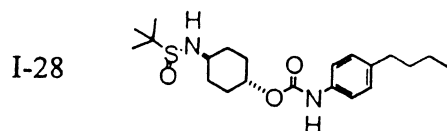
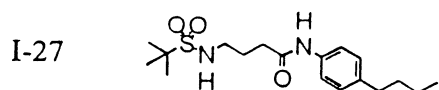
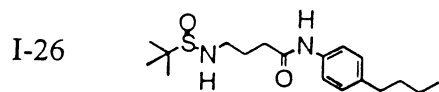
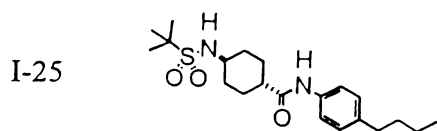
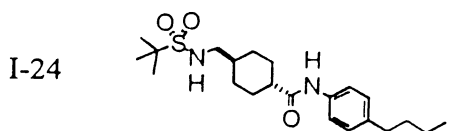
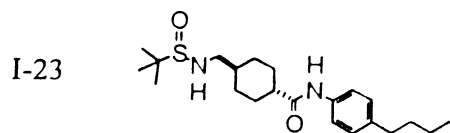
令 291 毫克之 4-第三丁基亞磺醯胺基吡啶-1-羧酸(4-丁基苯基)醯胺溶於甲醇 2 毫升及二氯甲烷 2 毫升中，並加入 80% -MMPP(單過氧基酞酸鎂六水合物)570 毫克且於室溫下攪拌 2 小時。以水稀釋並以乙酸乙酯萃取，將有機層以食鹽水洗淨並以無水硫酸鎂乾燥。減壓蒸除溶劑後，所得之殘渣令以矽膠柱層析精製，取得 130 毫克之 4-第三丁基磺醯胺基吡啶-1-羧酸(4-丁基苯基)醯胺(I-120)。

以下同樣處理合成化合物(I)。以下示出構造式及物理常數。

五、發明說明(50)



五、發明說明(51)

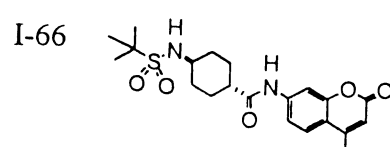
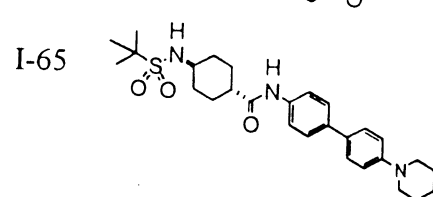
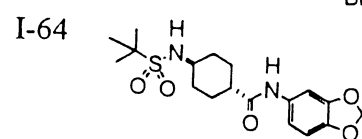
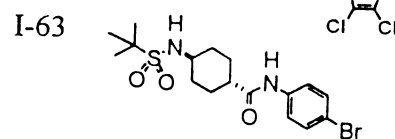
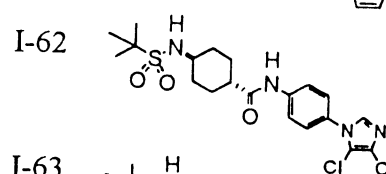
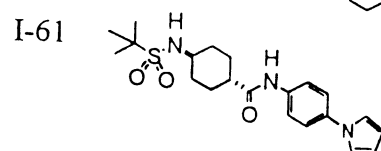
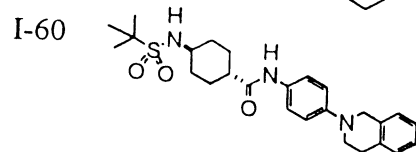
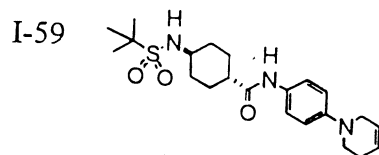
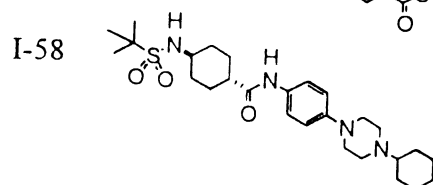
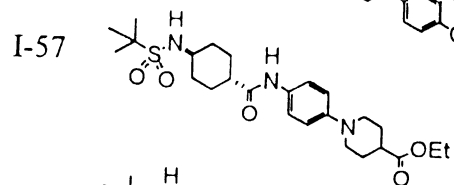
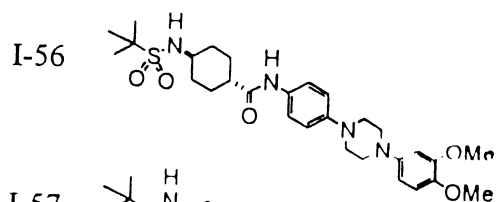
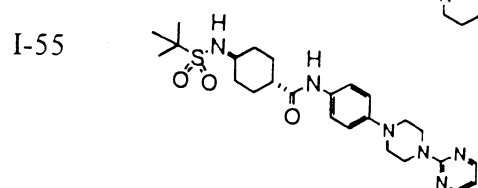
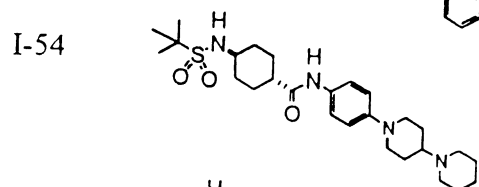
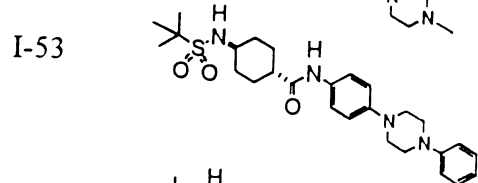
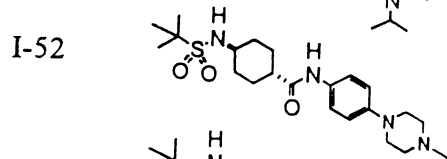
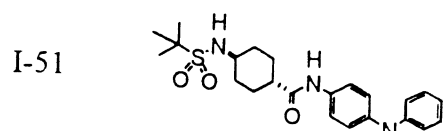
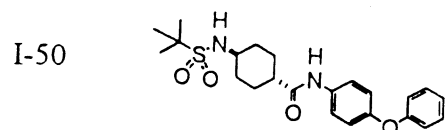
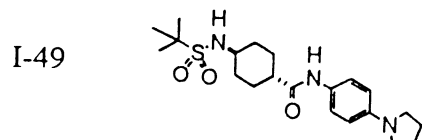
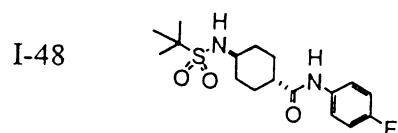
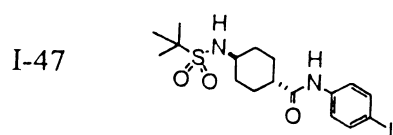
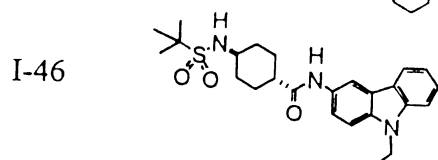
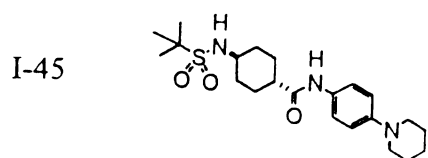


(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(52)



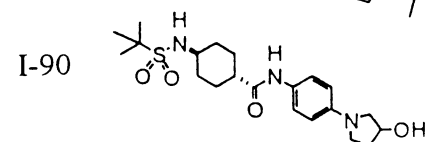
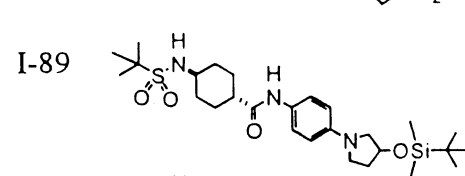
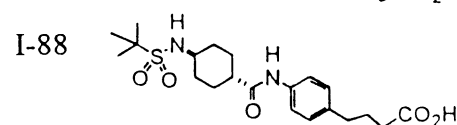
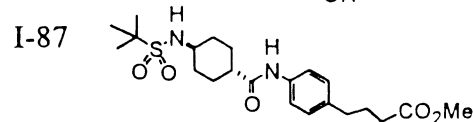
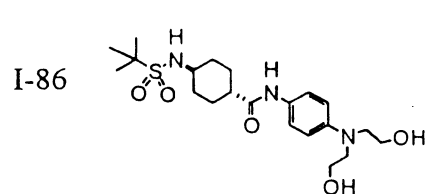
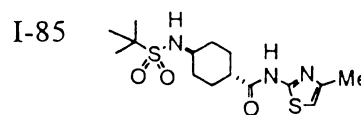
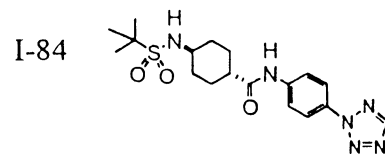
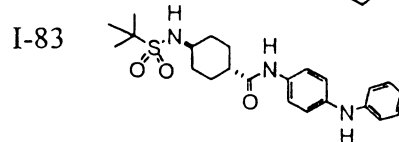
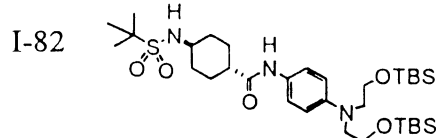
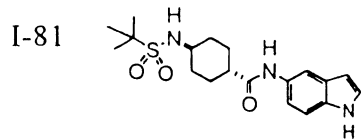
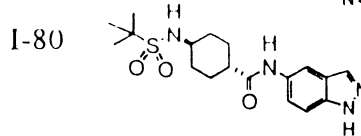
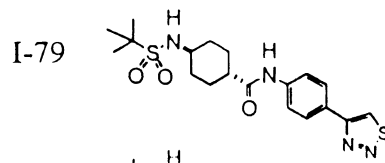
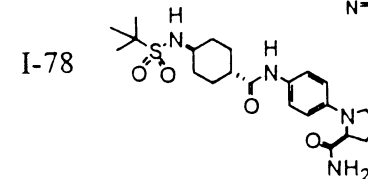
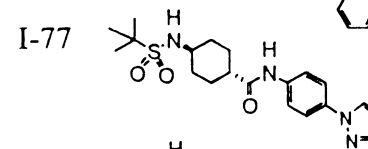
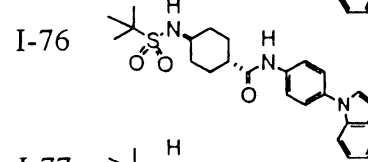
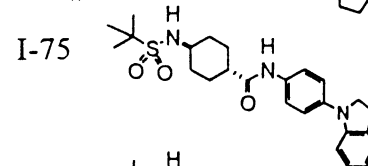
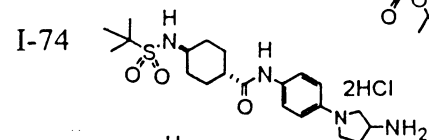
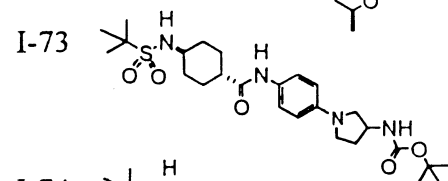
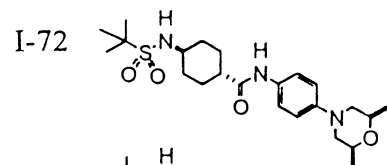
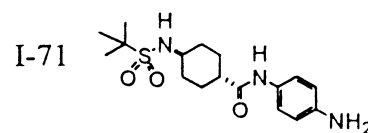
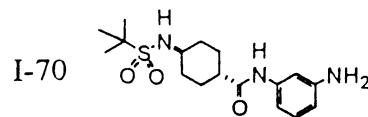
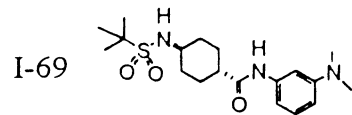
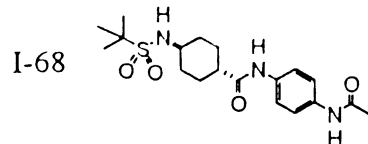
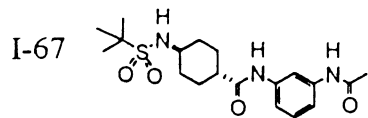
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(53)



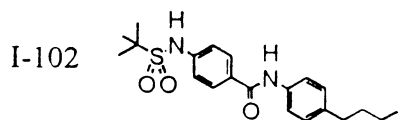
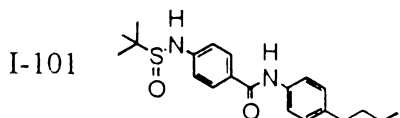
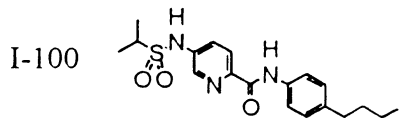
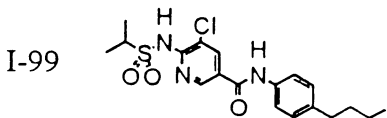
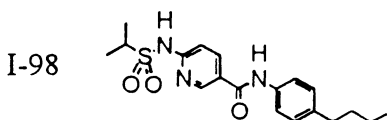
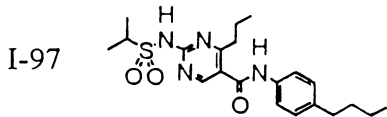
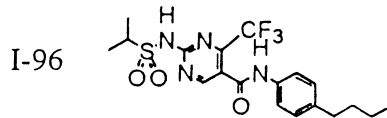
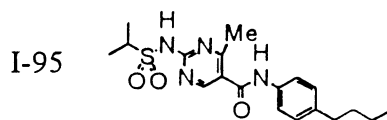
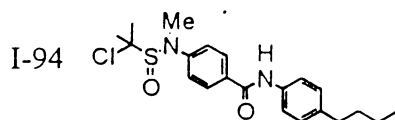
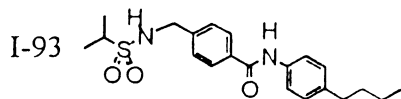
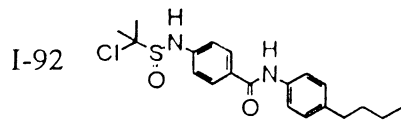
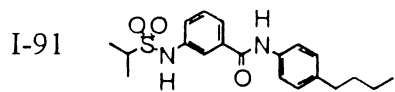
(請先閱讀背面之注意事項再填寫本頁)

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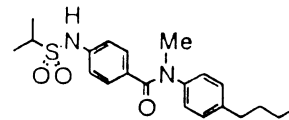
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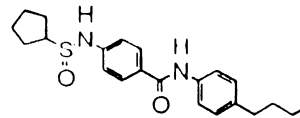
五、發明說明 (54)



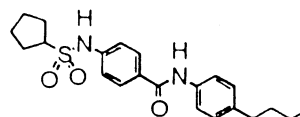
I-103



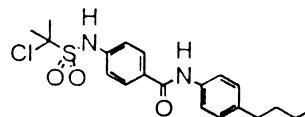
I-104



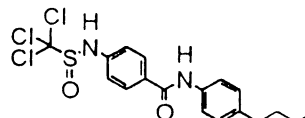
I-105



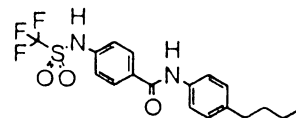
I-106



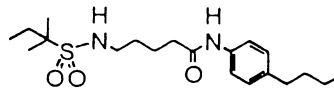
I-107



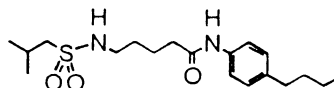
I-108



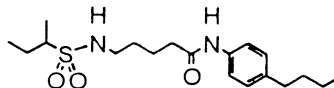
I-109



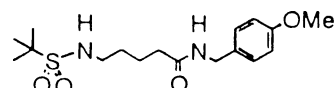
I-110



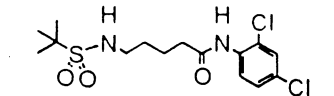
I-111



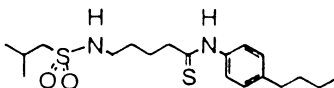
I-112



I-113



I-114

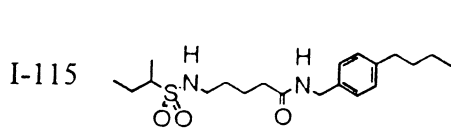


(請先閱讀背面之注意事項再填寫本頁)

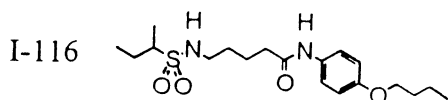
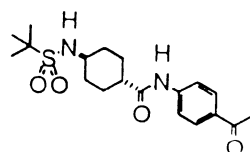
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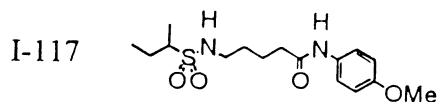
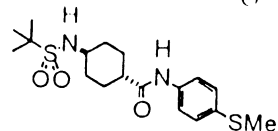
五、發明說明(55)



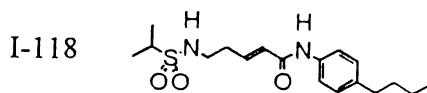
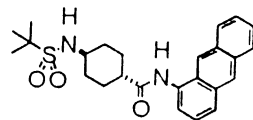
I-127



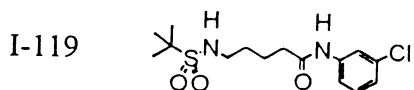
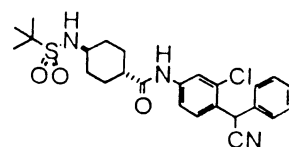
I-128



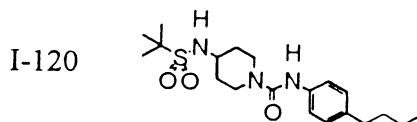
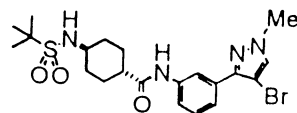
I-129



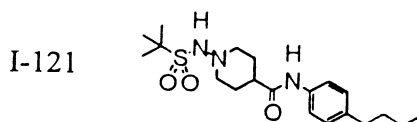
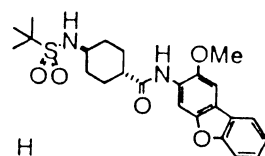
I-130



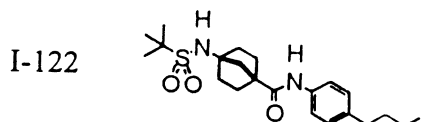
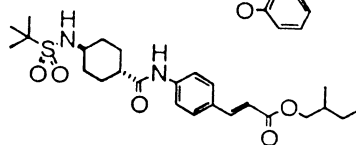
I-131



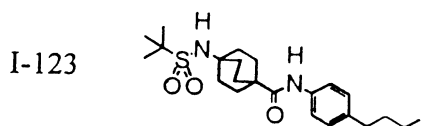
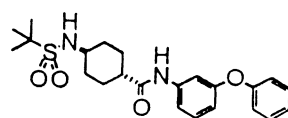
I-132



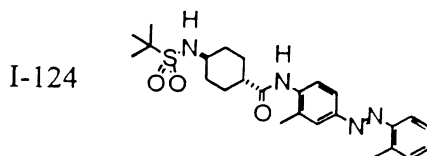
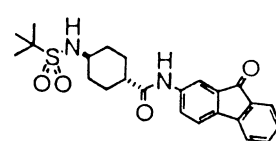
I-133



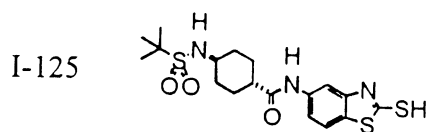
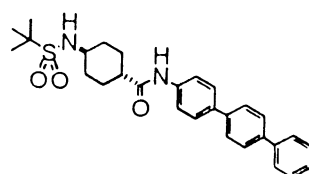
I-134



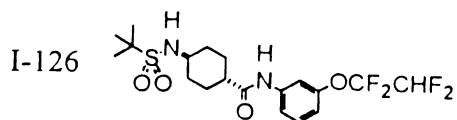
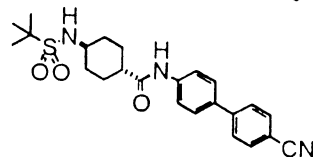
I-135



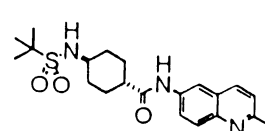
I-136



I-137



I-138

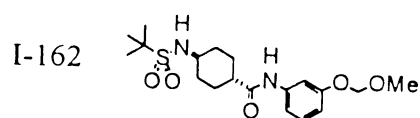
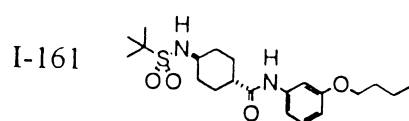
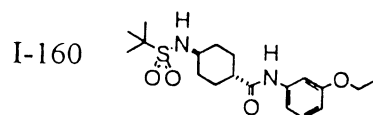
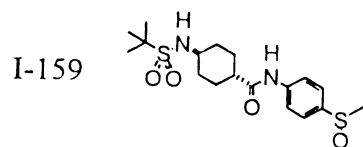
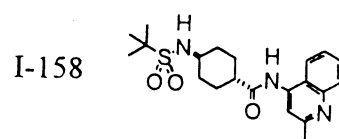
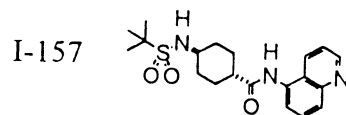
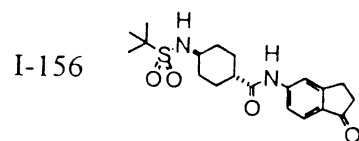
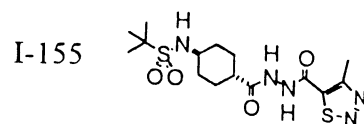
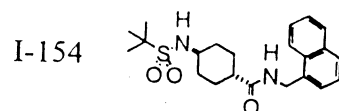
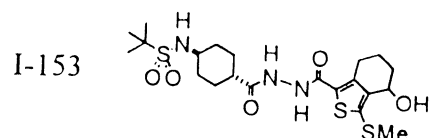
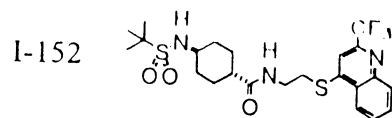
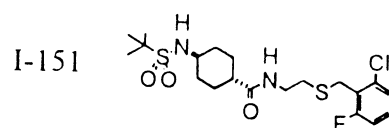
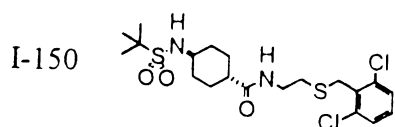
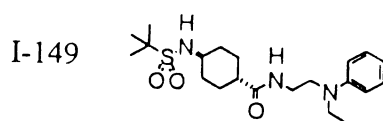
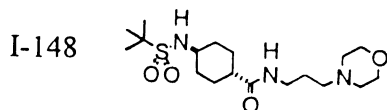
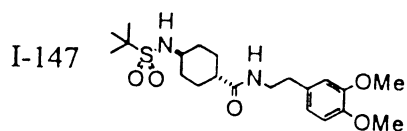
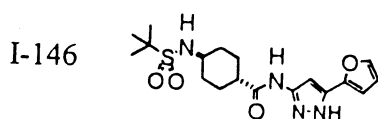
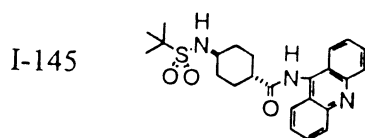
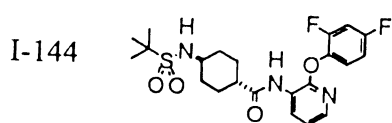
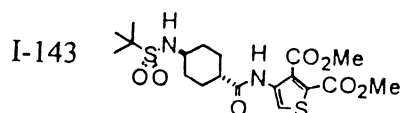
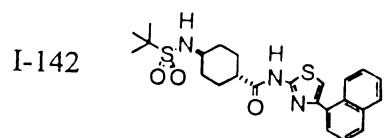
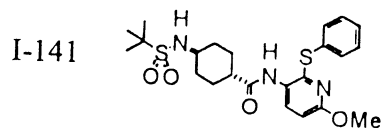
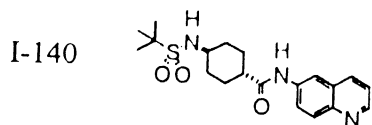
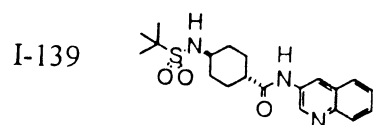


(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (56)



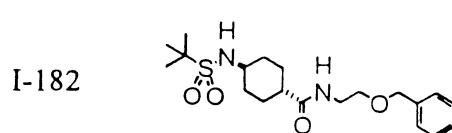
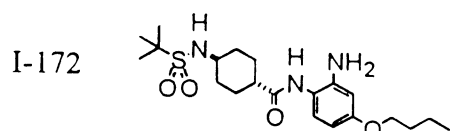
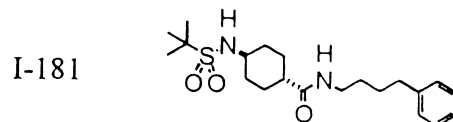
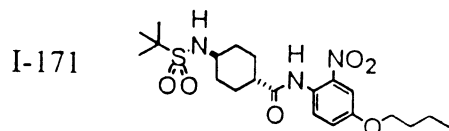
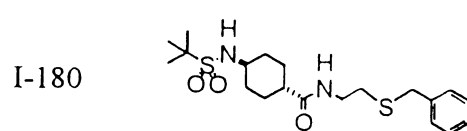
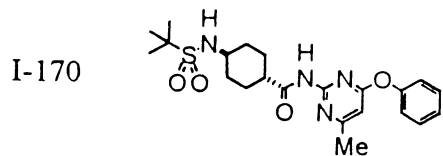
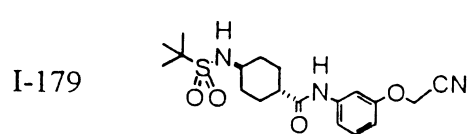
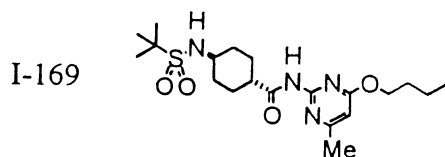
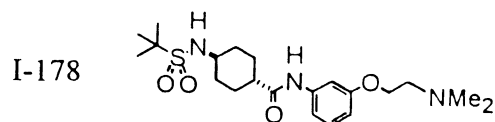
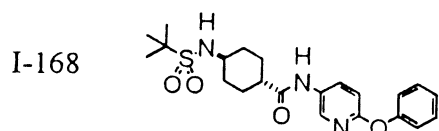
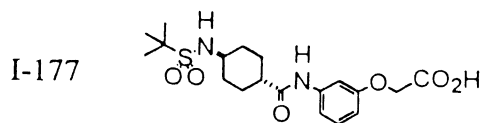
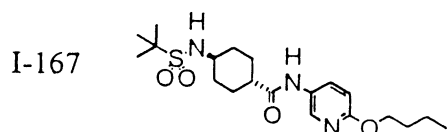
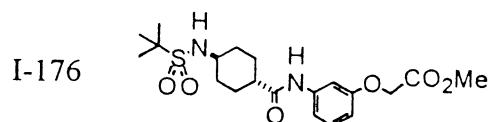
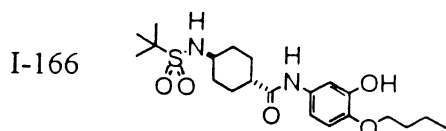
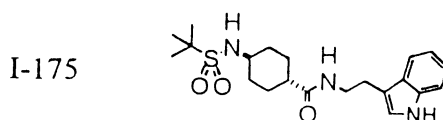
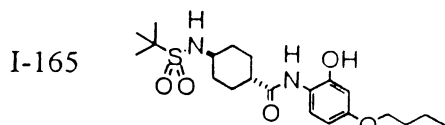
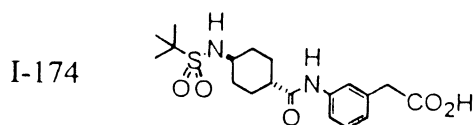
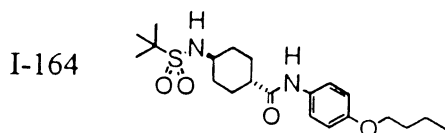
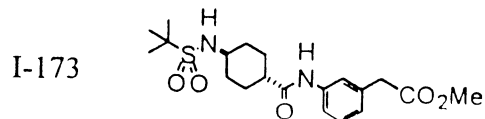
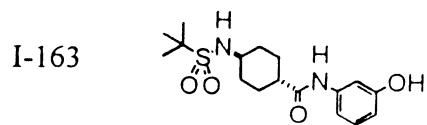
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(57)



(請先閱讀背面之注意事項再填寫本頁)

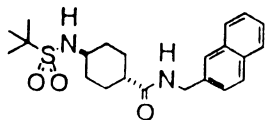
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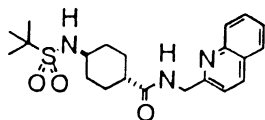
線

五、發明說明 (58)

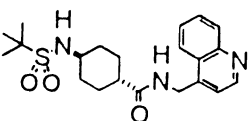
I-183



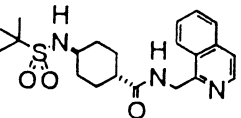
I-184



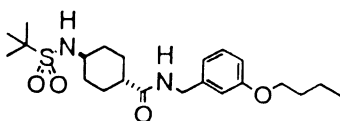
I-185



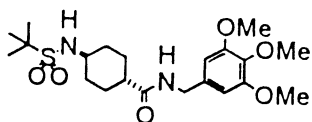
I-186



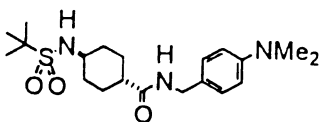
I-187



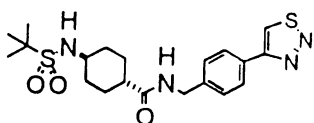
I-188



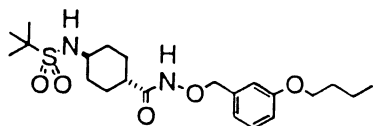
I-189



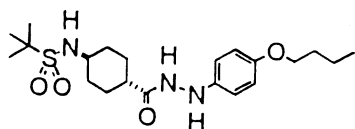
I-190



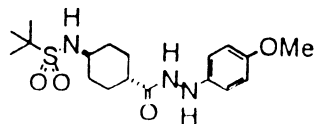
I-191



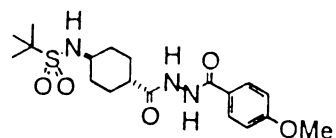
I-192



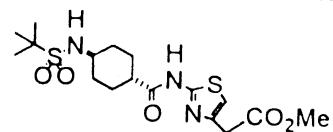
I-193



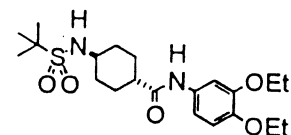
I-194



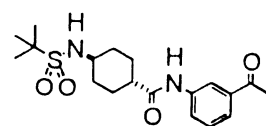
I-195



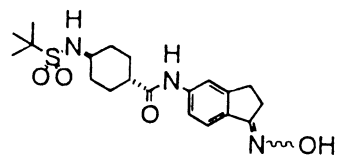
I-196



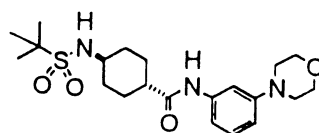
I-197



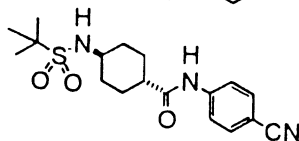
I-198



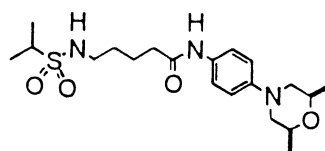
I-199



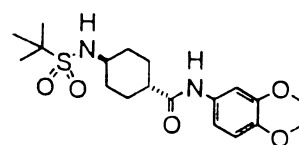
I-200



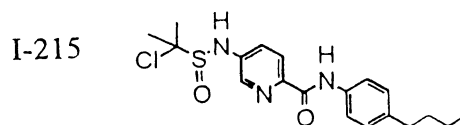
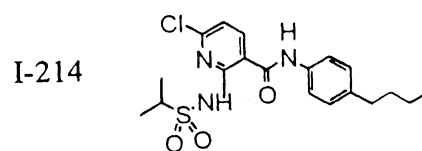
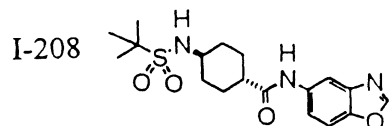
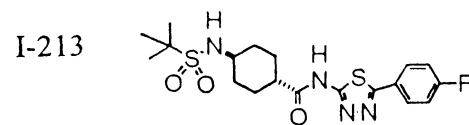
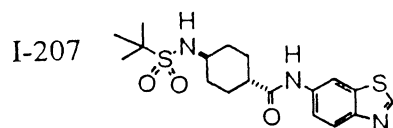
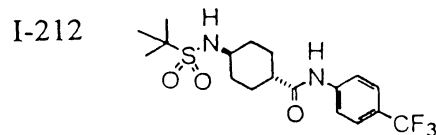
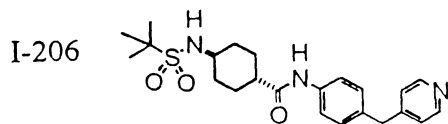
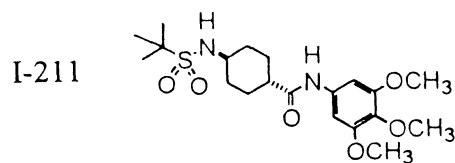
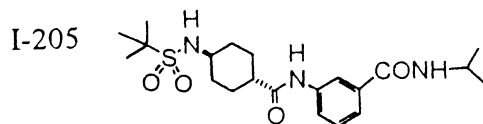
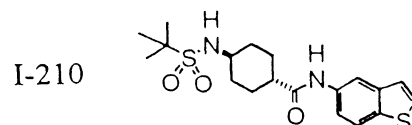
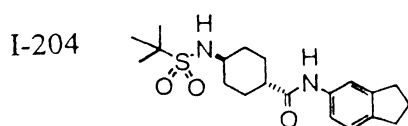
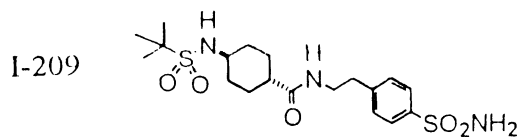
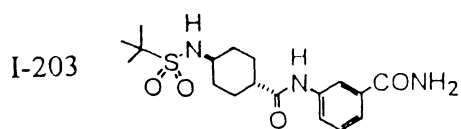
I-201



I-202



五、發明說明(59)



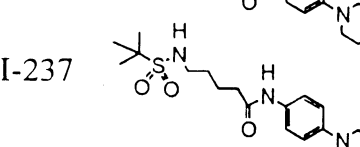
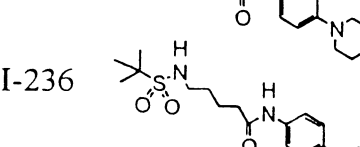
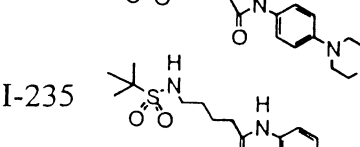
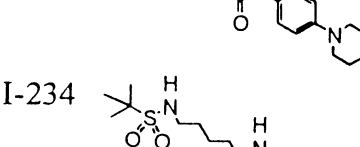
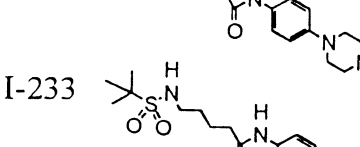
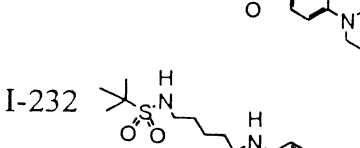
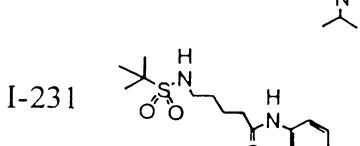
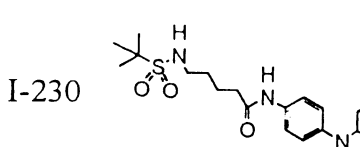
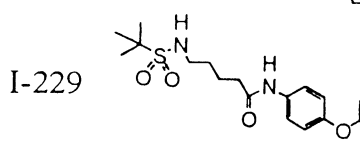
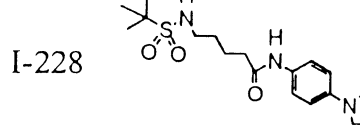
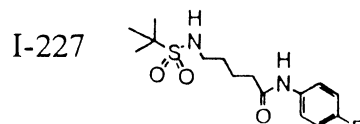
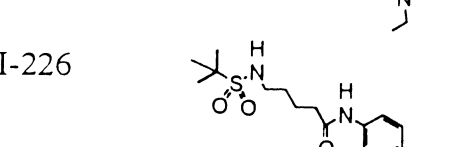
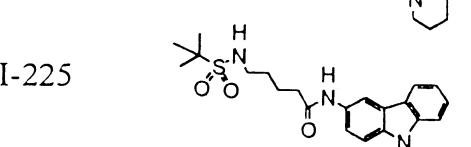
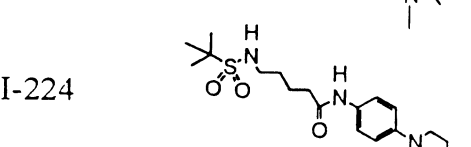
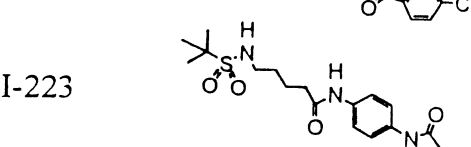
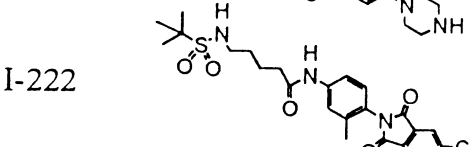
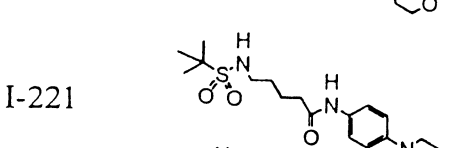
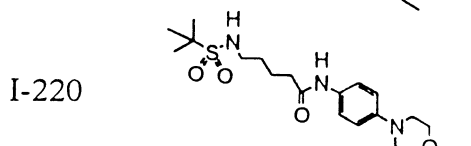
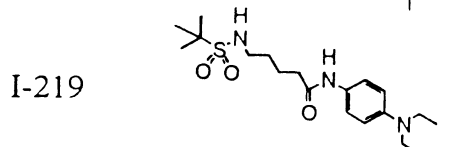
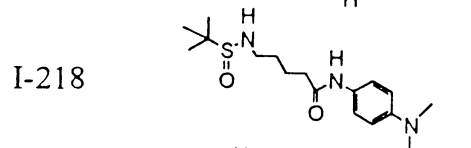
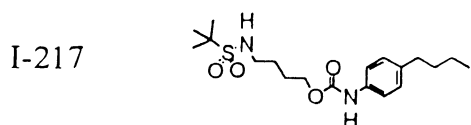
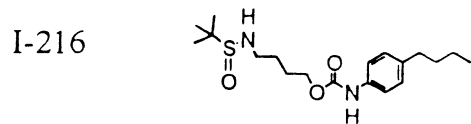
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (60)



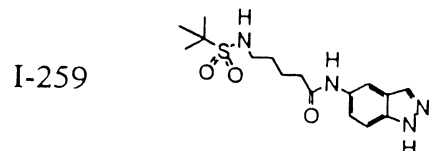
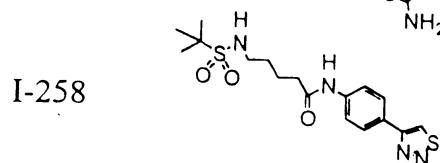
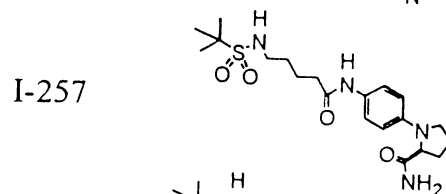
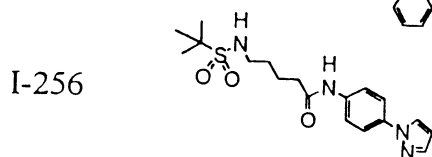
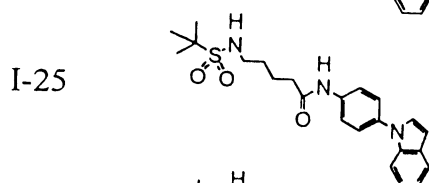
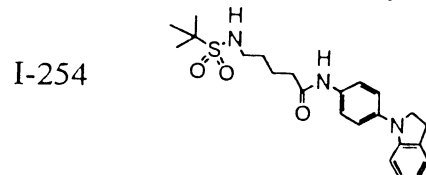
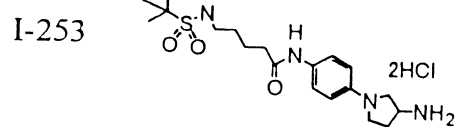
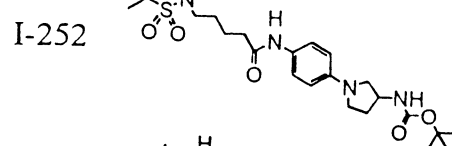
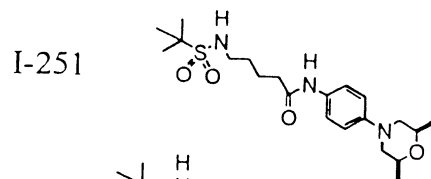
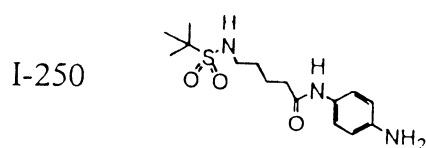
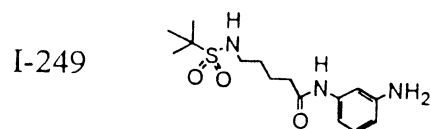
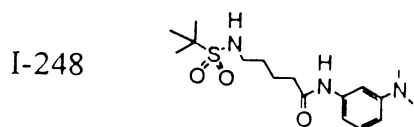
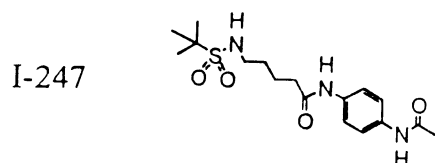
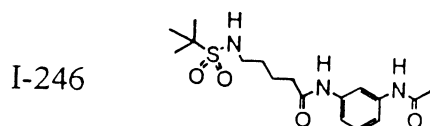
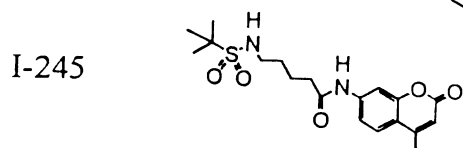
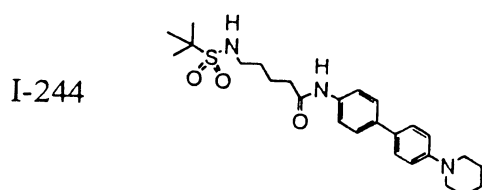
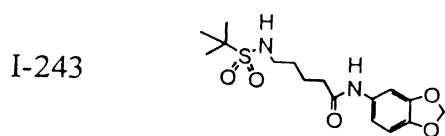
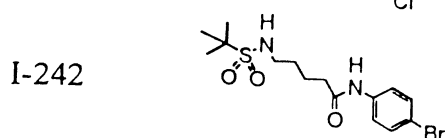
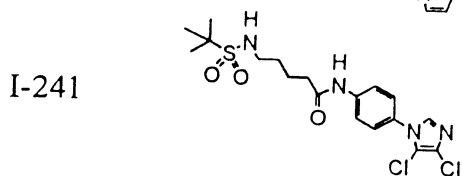
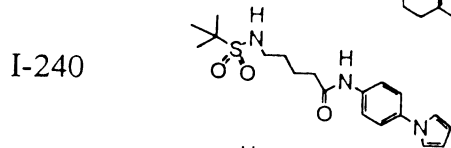
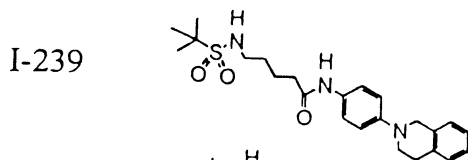
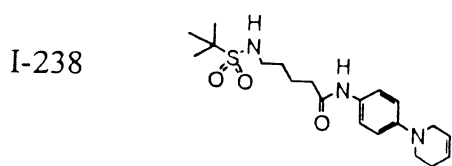
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (b1)



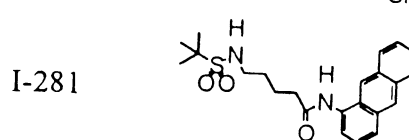
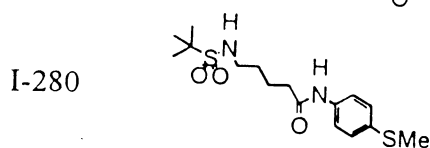
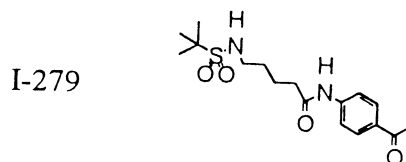
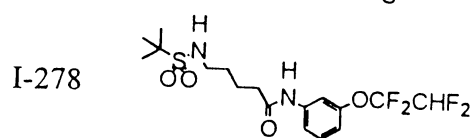
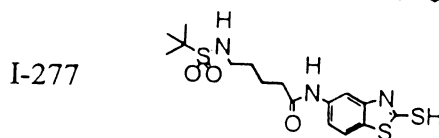
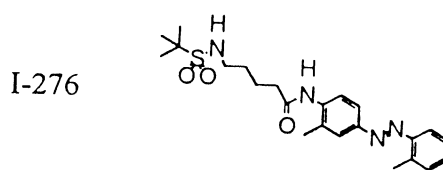
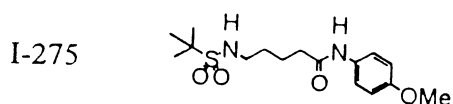
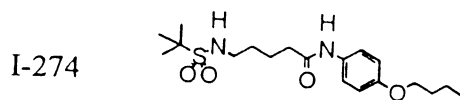
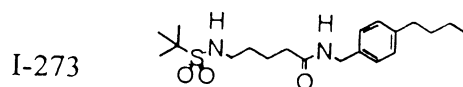
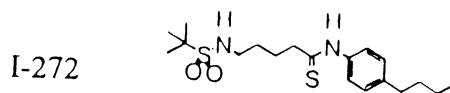
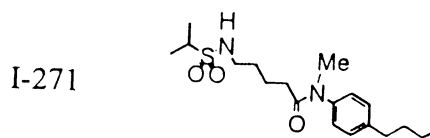
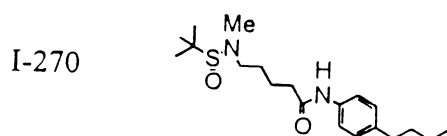
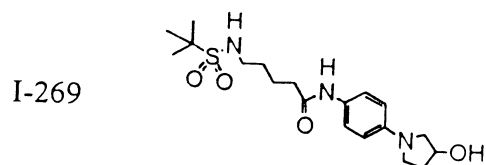
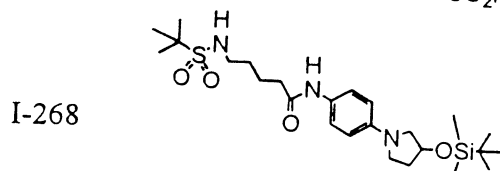
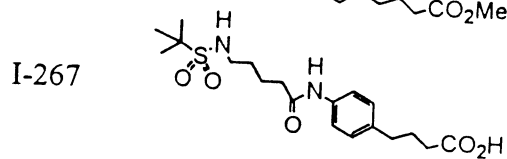
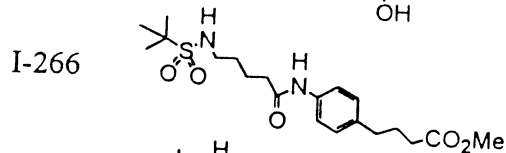
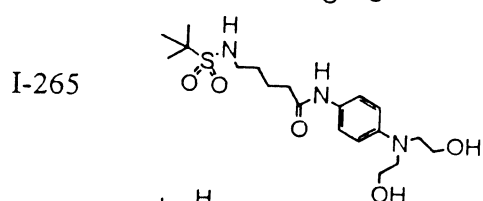
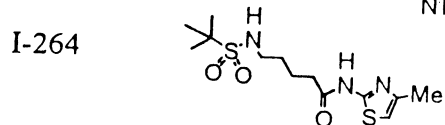
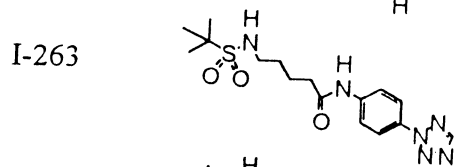
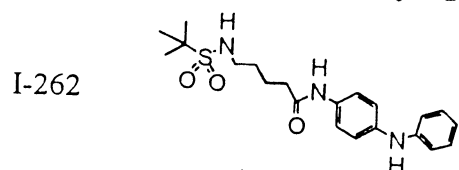
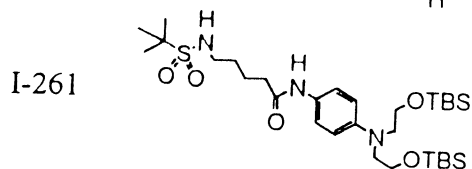
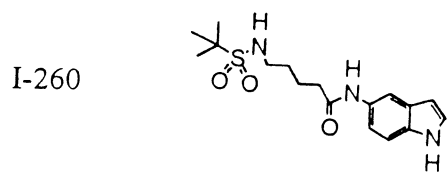
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (62)



(請先閱讀背面之注意事項再填寫本頁)

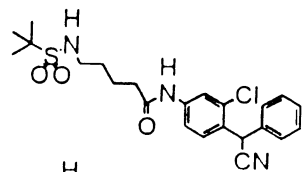
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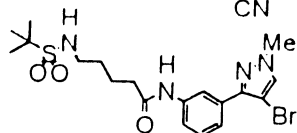
線

五、發明說明(63)

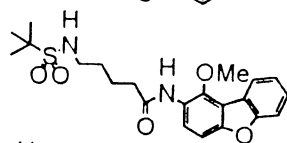
I-282



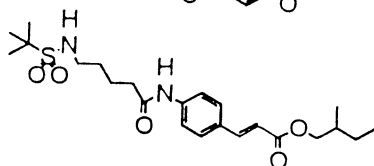
I-283



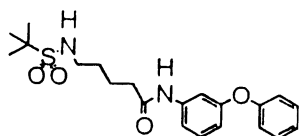
I-284



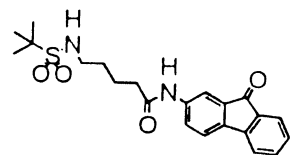
I-285



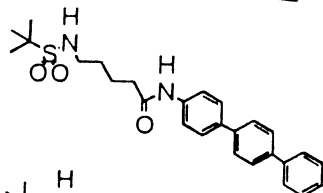
I-286



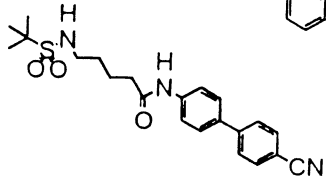
I-287



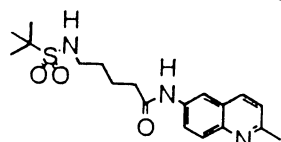
I-288



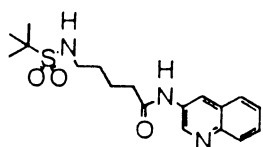
I-289



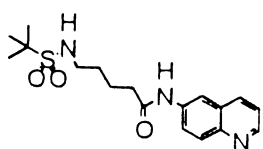
I-290



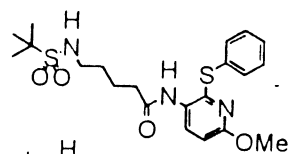
I-291



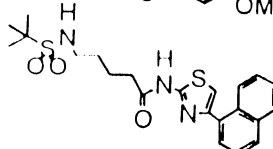
I-292



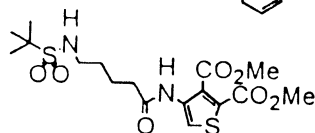
I-293



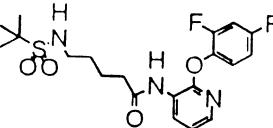
I-294



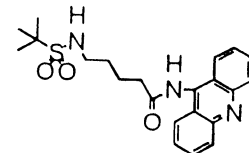
I-295



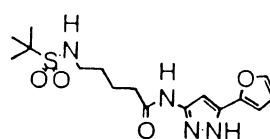
I-296



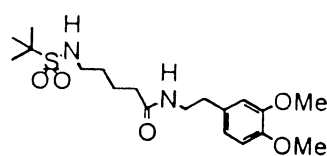
I-297



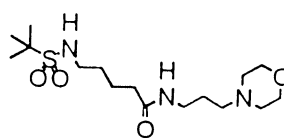
I-298



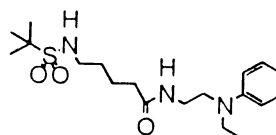
I-299



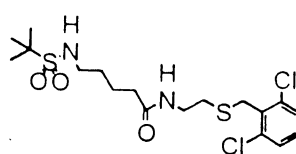
I-300



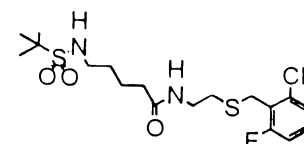
I-301



I-302



I-303



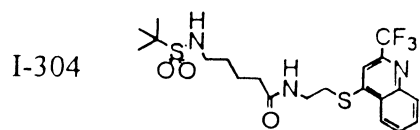
(請先閱讀背面之注意事項再填寫本頁)

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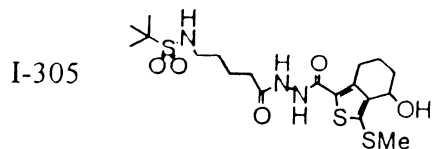
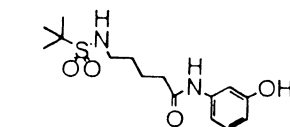
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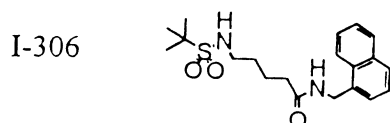
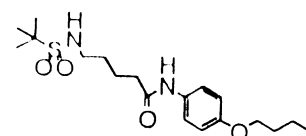
五、發明說明 (64)



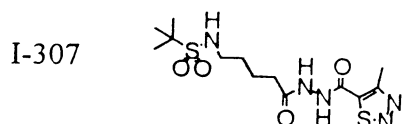
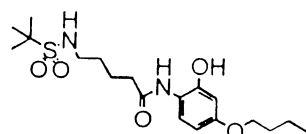
I-315



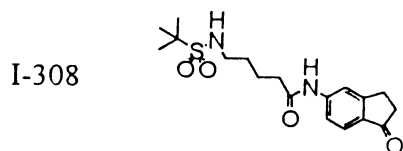
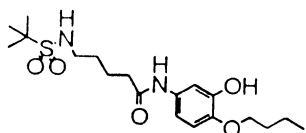
I-316



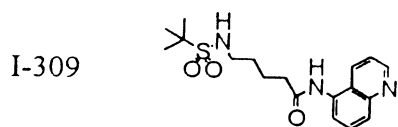
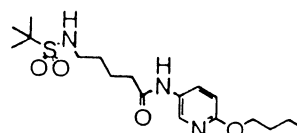
I-317



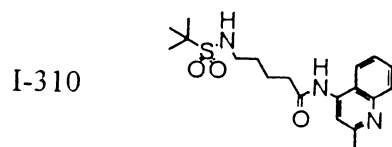
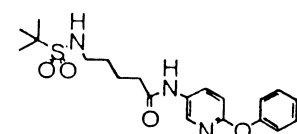
I-318



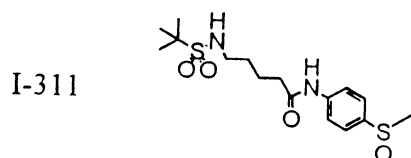
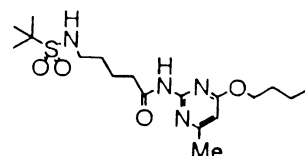
I-319



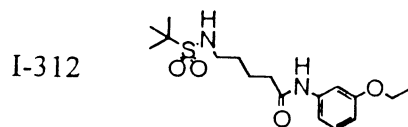
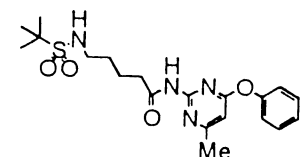
I-320



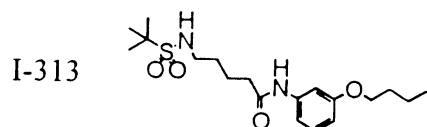
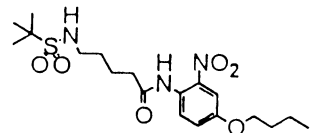
I-321



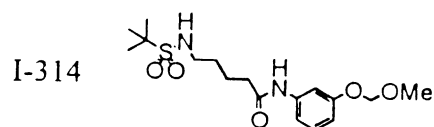
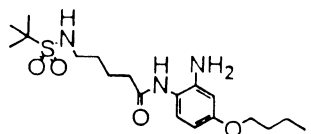
I-322



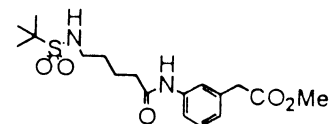
I-323



I-324



I-325



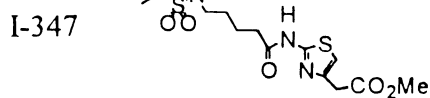
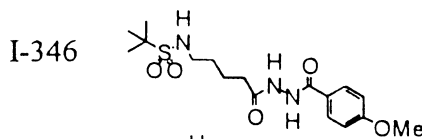
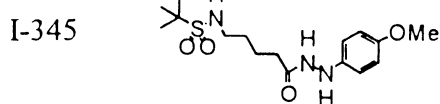
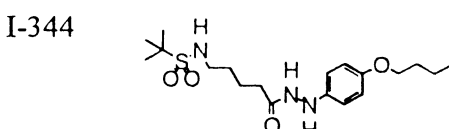
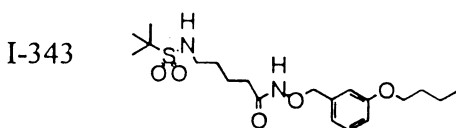
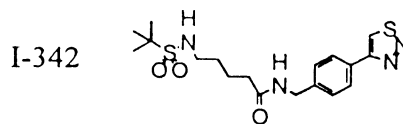
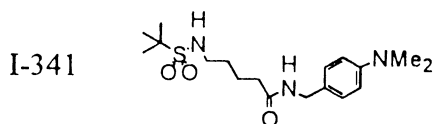
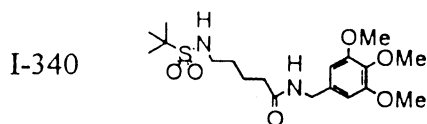
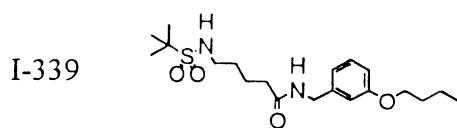
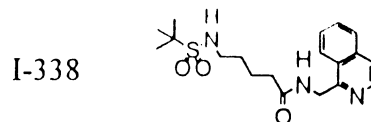
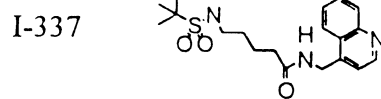
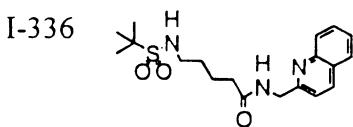
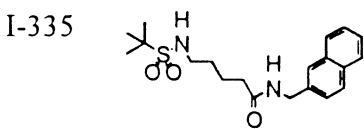
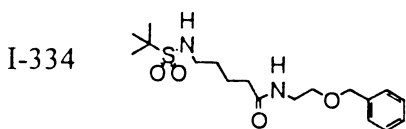
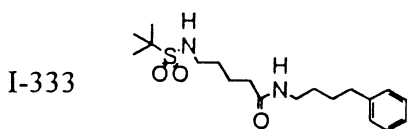
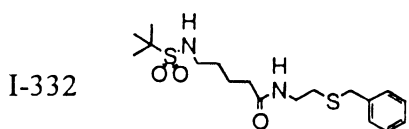
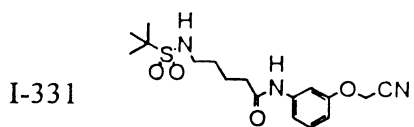
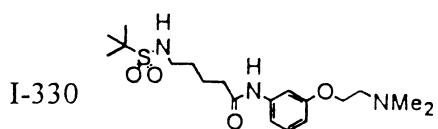
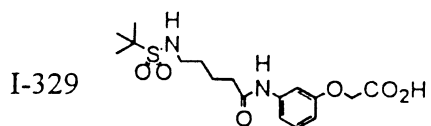
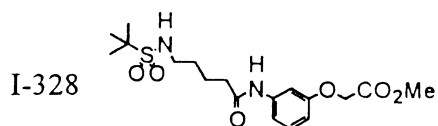
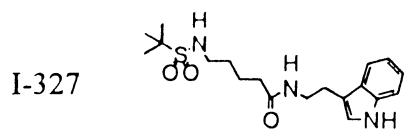
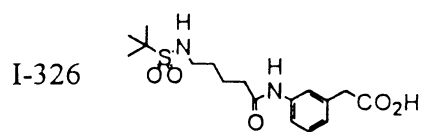
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (65)



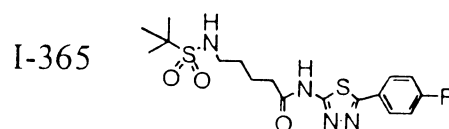
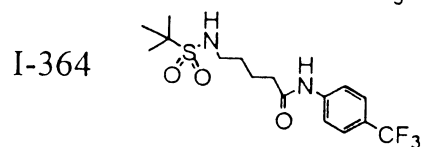
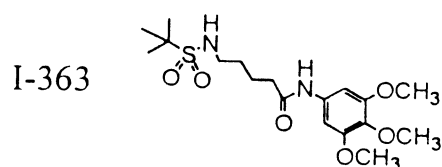
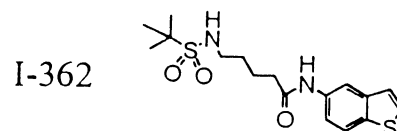
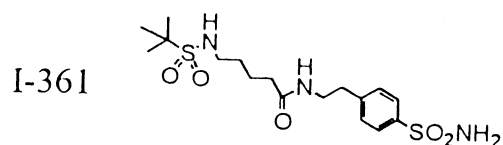
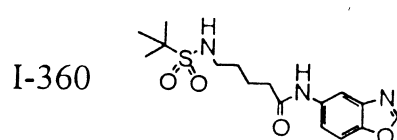
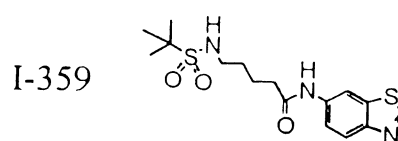
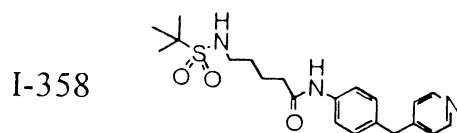
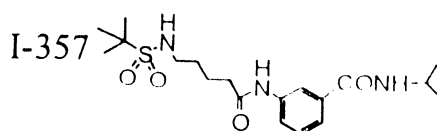
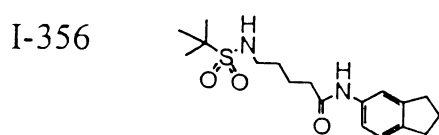
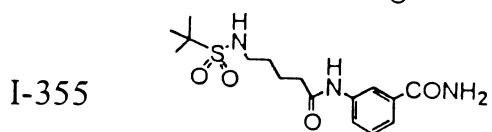
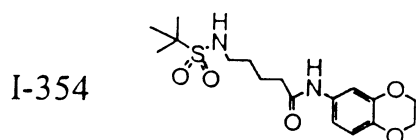
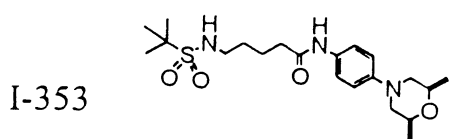
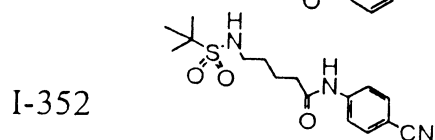
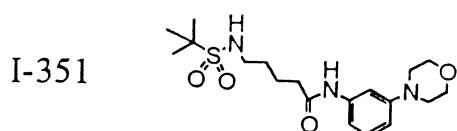
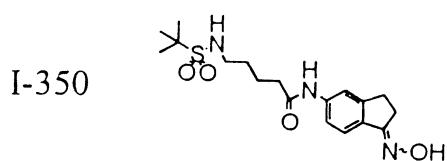
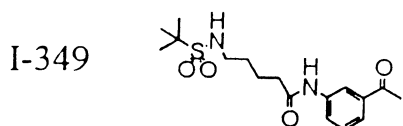
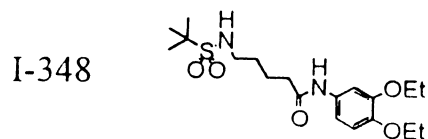
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (bb)



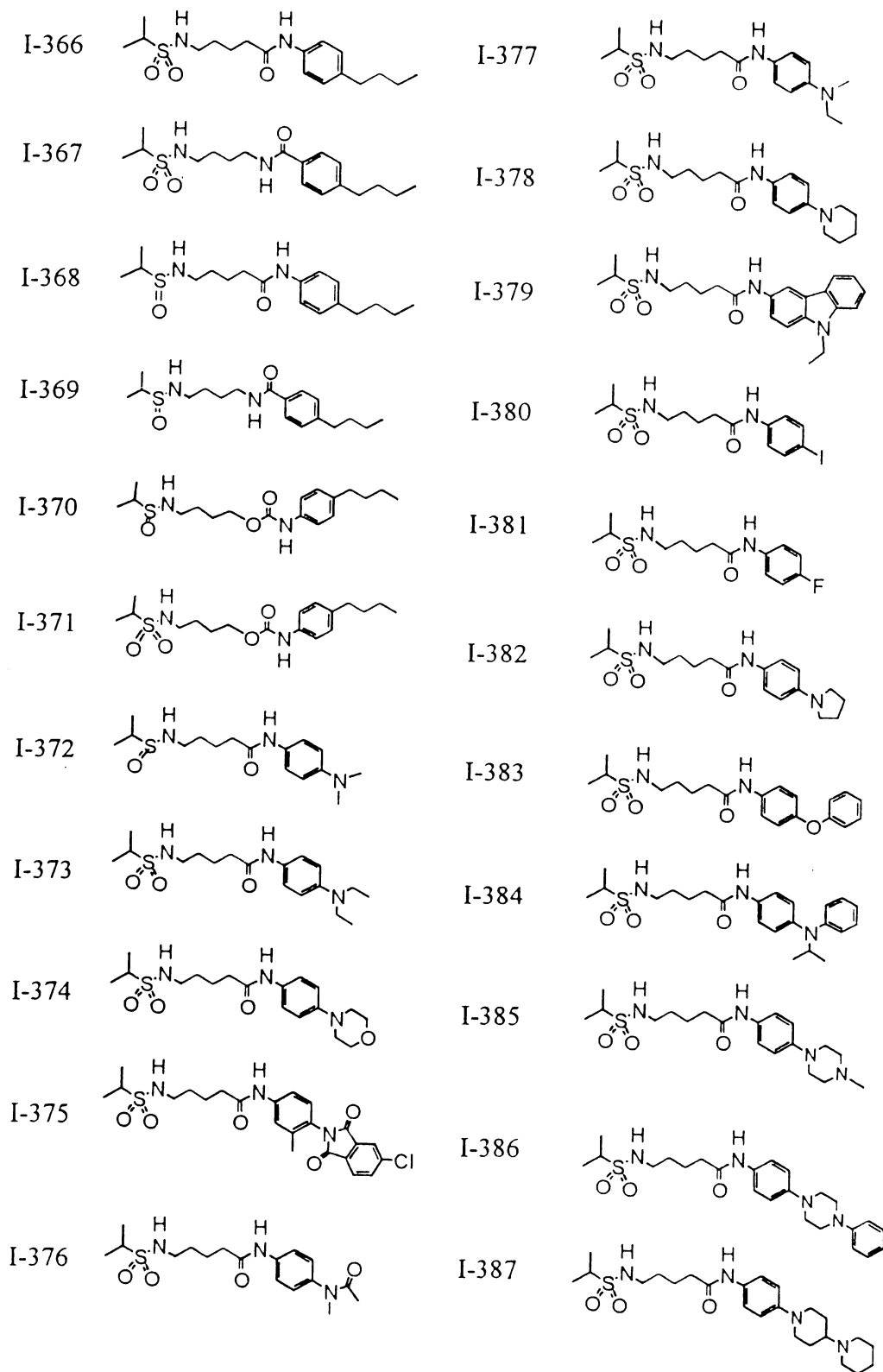
(請先閱讀背面之注意事項再填寫本頁)

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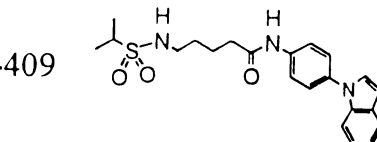
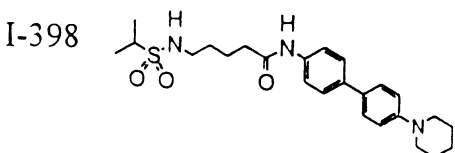
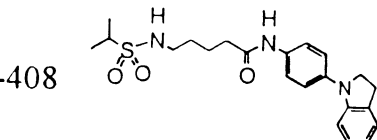
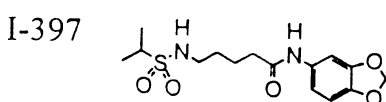
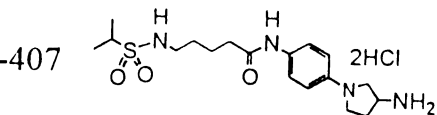
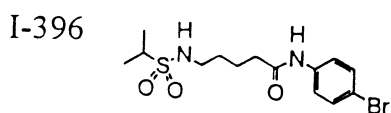
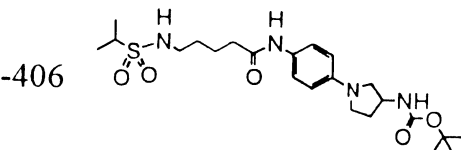
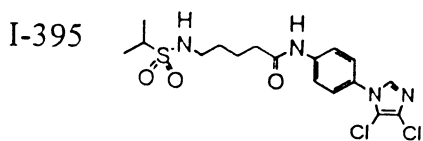
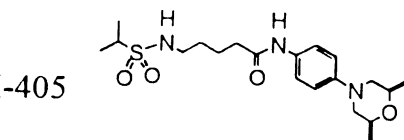
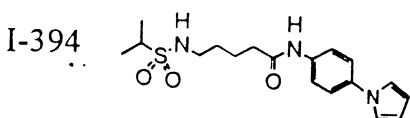
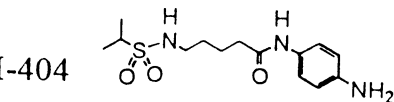
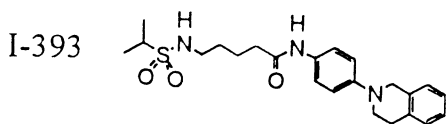
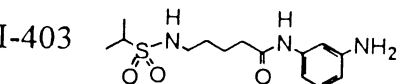
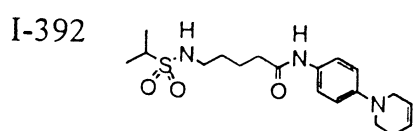
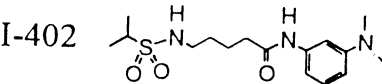
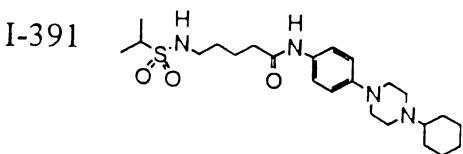
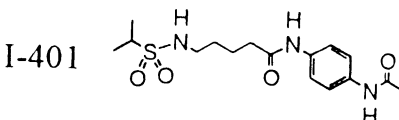
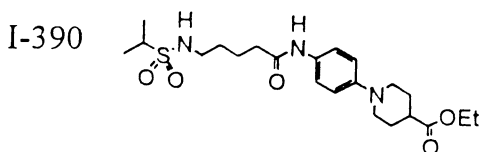
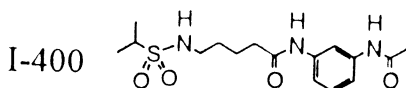
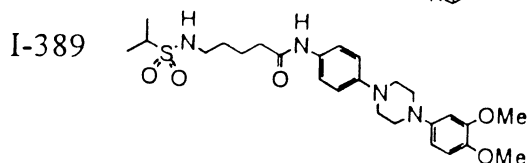
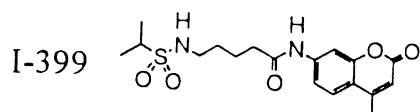
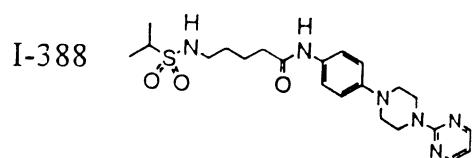
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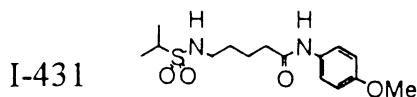
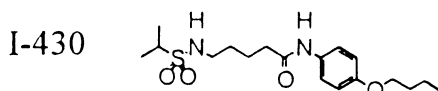
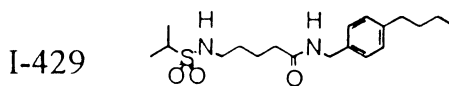
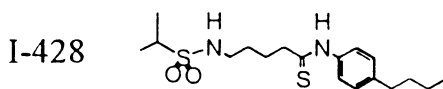
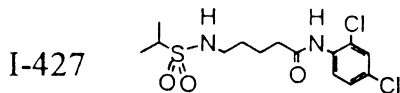
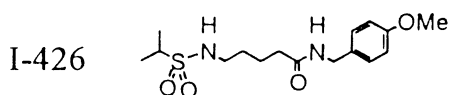
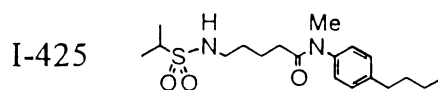
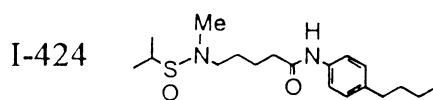
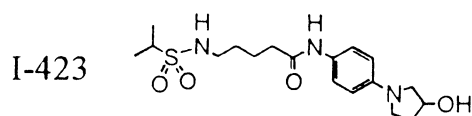
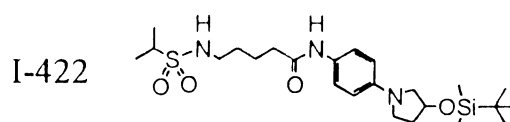
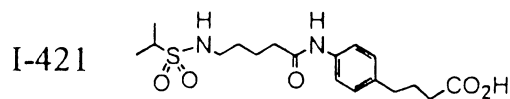
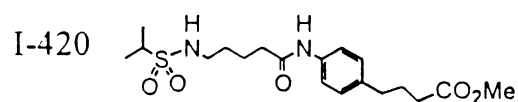
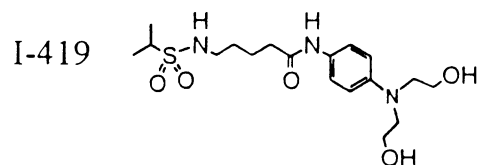
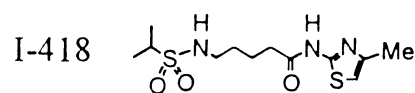
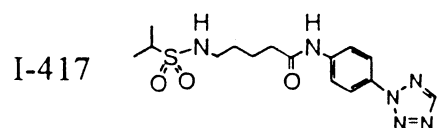
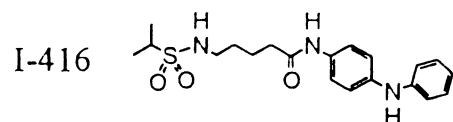
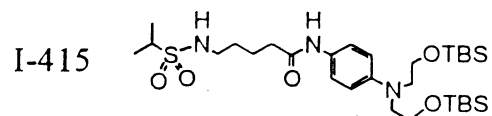
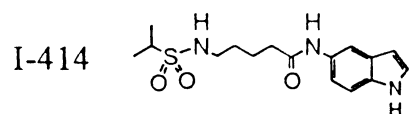
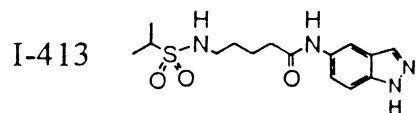
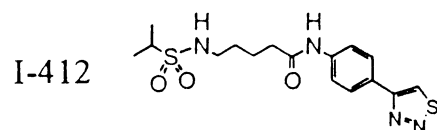
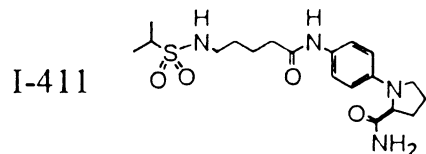
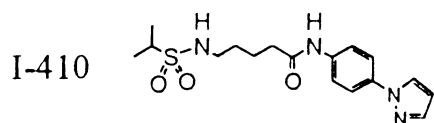
五、發明說明 (67)



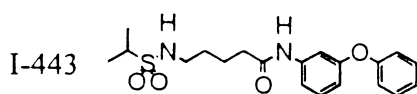
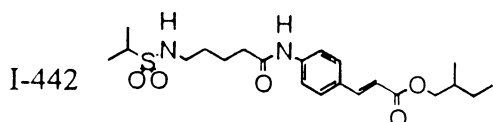
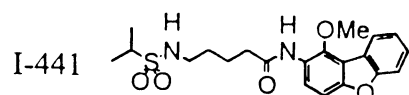
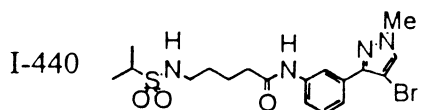
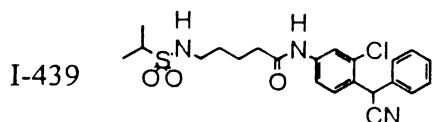
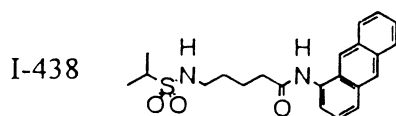
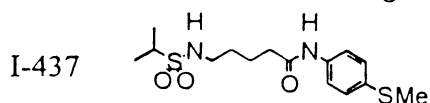
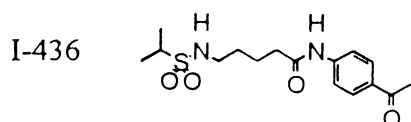
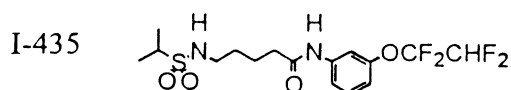
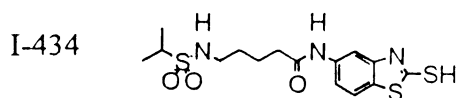
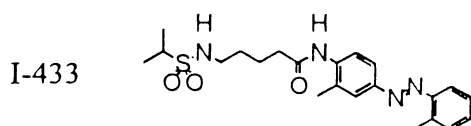
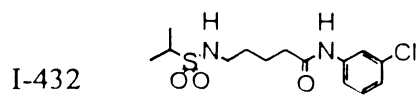
五、發明說明(68)



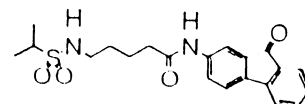
五、發明說明 (69)



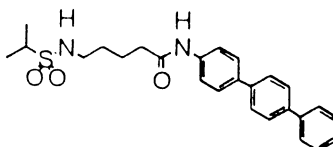
五、發明說明(70)



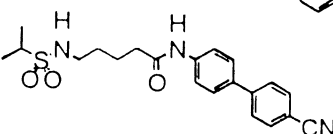
I-444



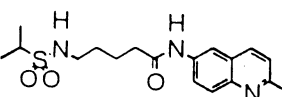
I-445



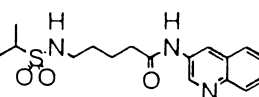
I-446



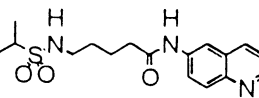
I-447



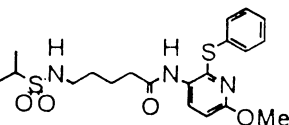
I-448



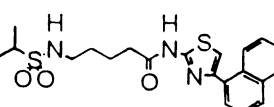
I-449



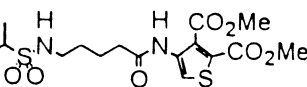
I-450



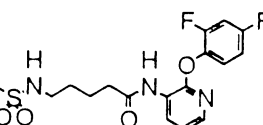
I-451



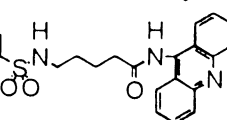
I-452



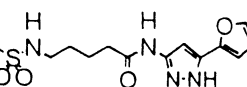
I-453



I-454



I-455



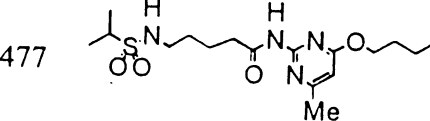
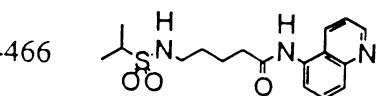
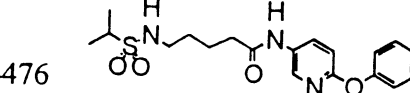
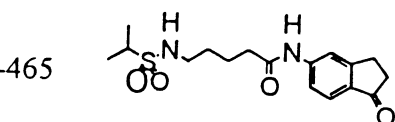
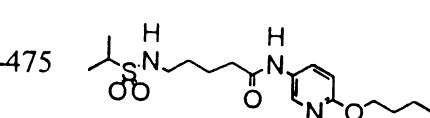
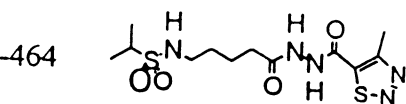
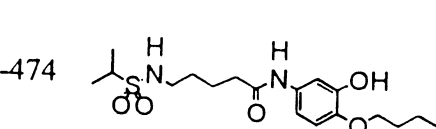
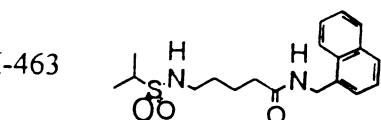
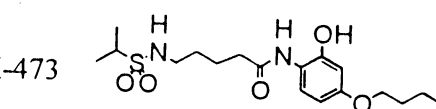
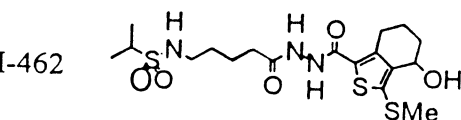
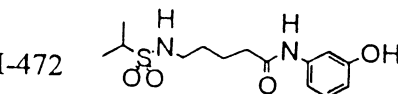
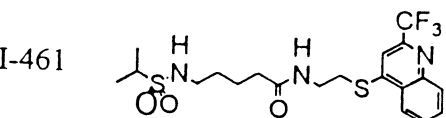
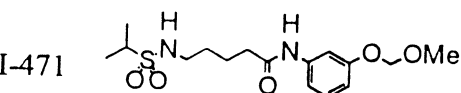
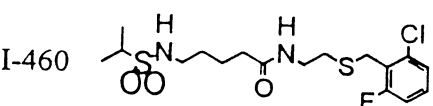
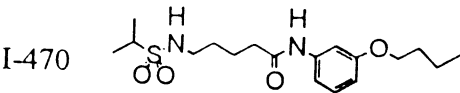
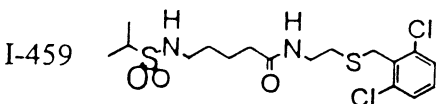
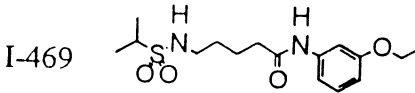
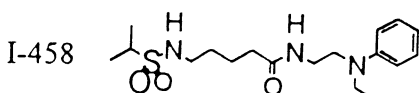
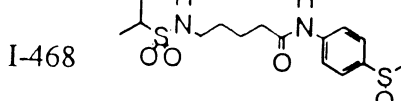
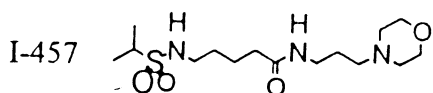
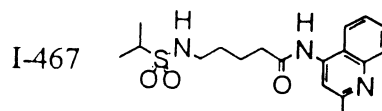
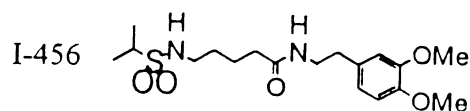
(請先閱讀背面之注意事項再填寫本頁)

裝

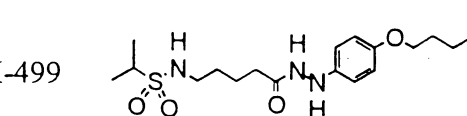
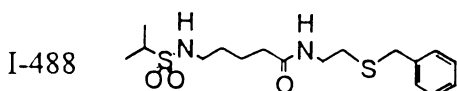
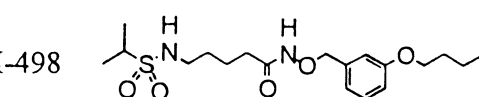
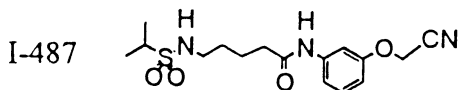
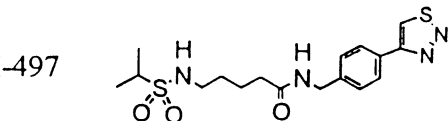
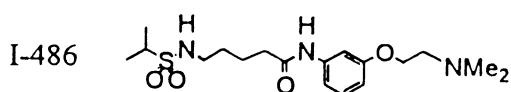
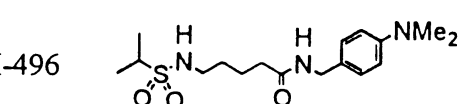
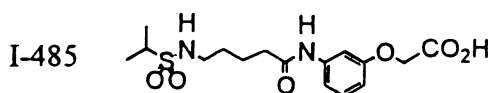
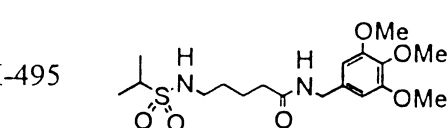
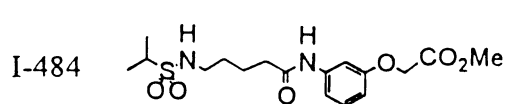
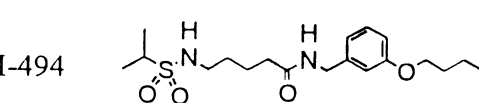
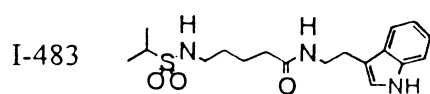
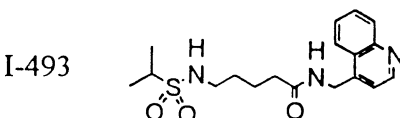
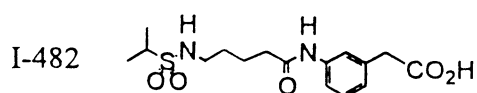
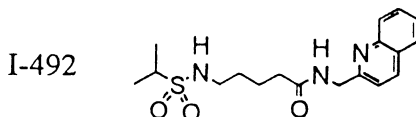
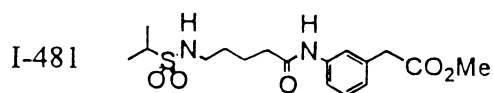
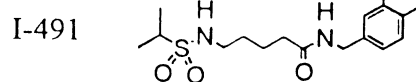
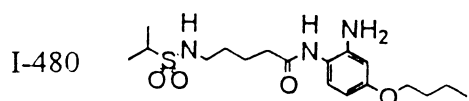
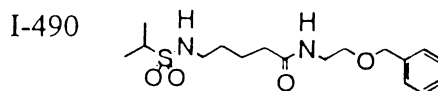
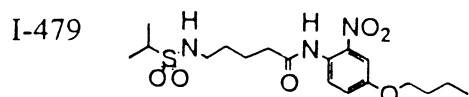
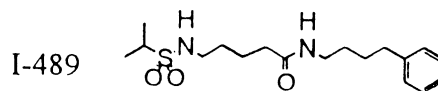
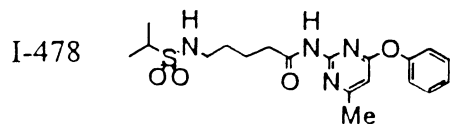
訂

線

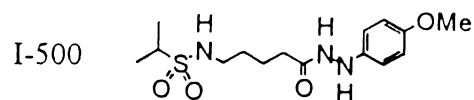
五、發明說明(71)



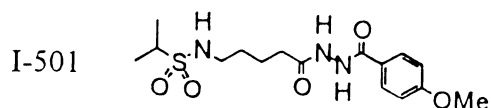
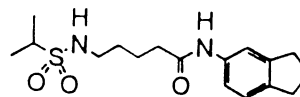
五、發明說明(7)



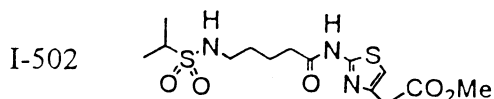
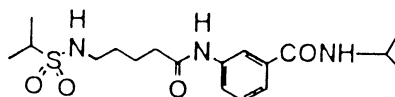
五、發明說明(ㄉ)



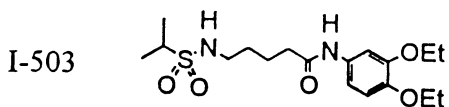
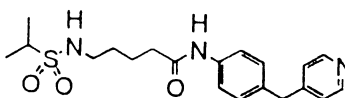
I-510



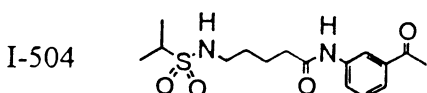
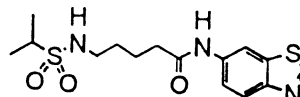
I-511



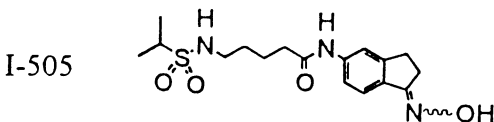
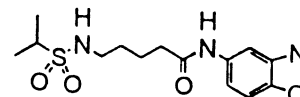
I-512



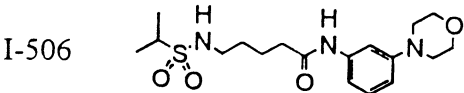
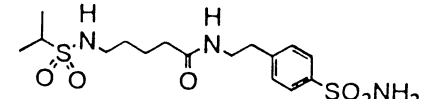
I-513



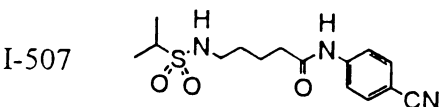
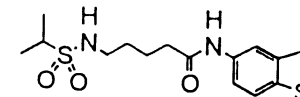
I-514



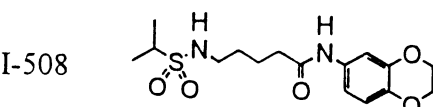
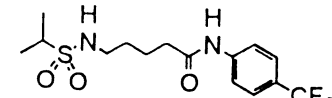
I-515



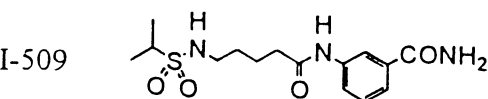
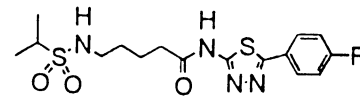
I-516



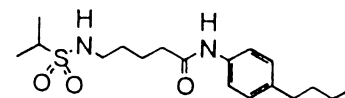
I-518



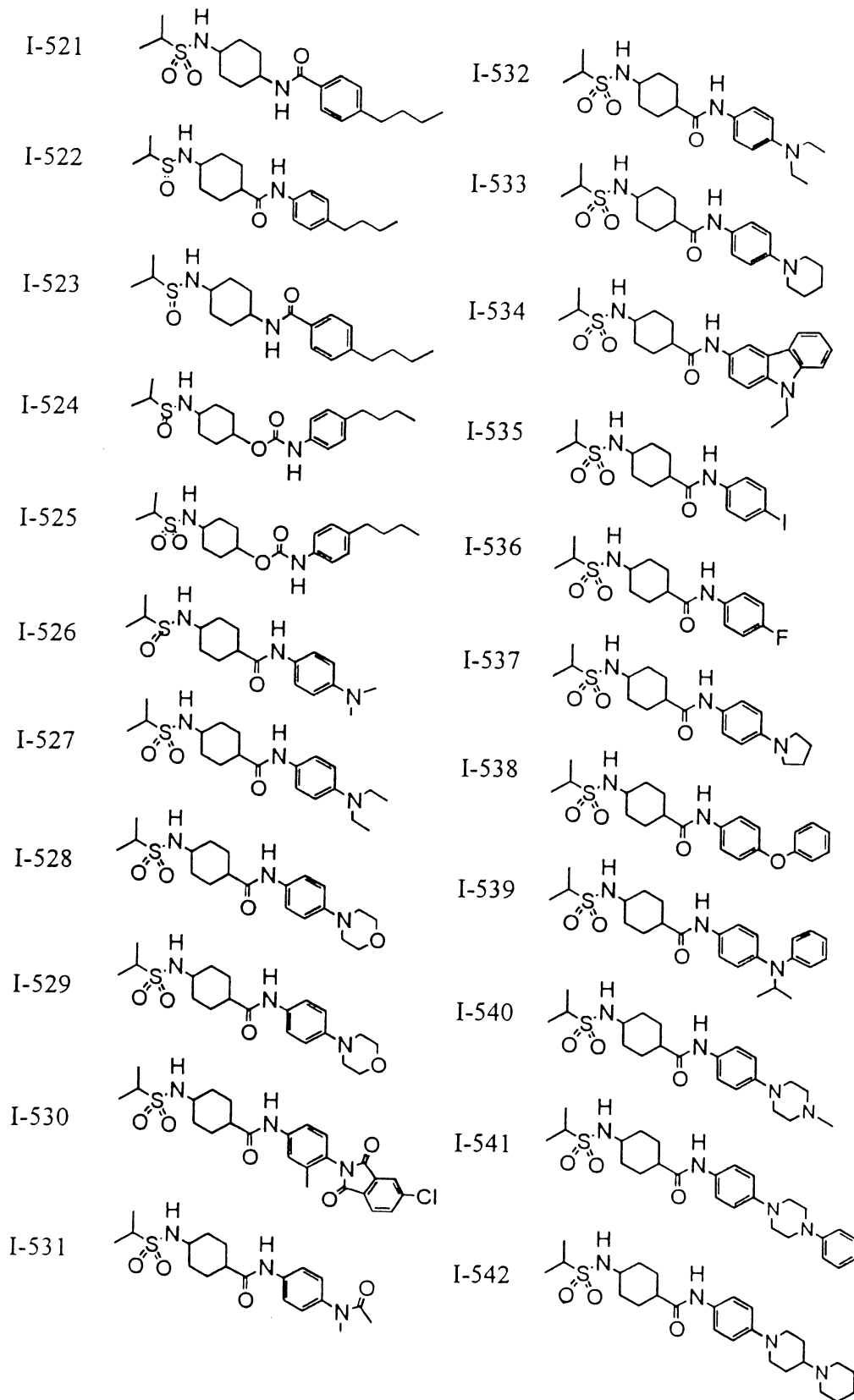
I-519



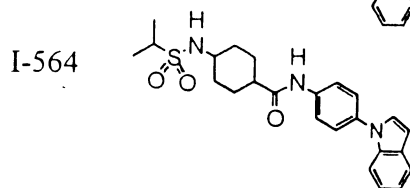
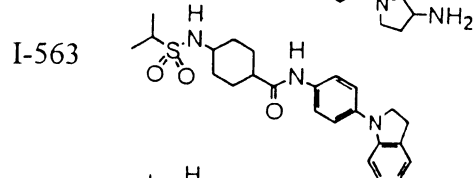
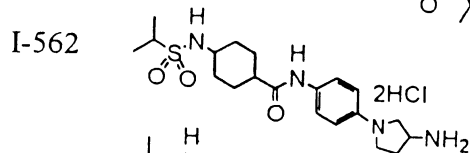
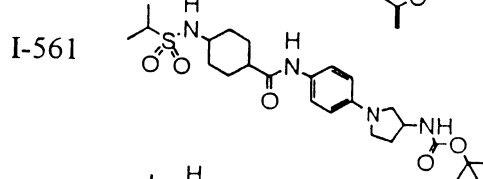
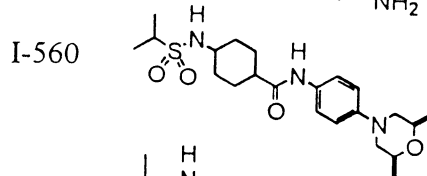
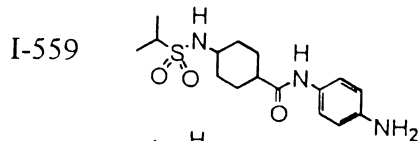
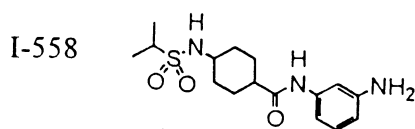
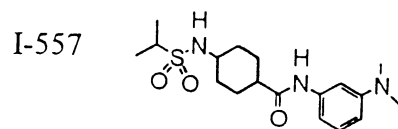
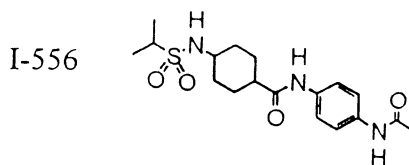
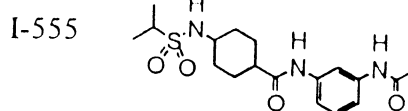
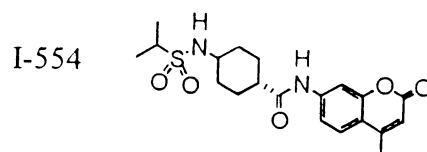
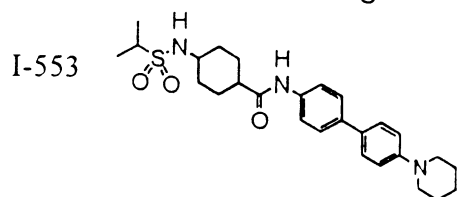
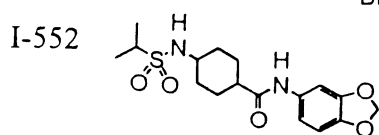
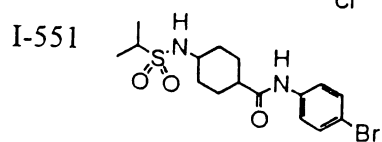
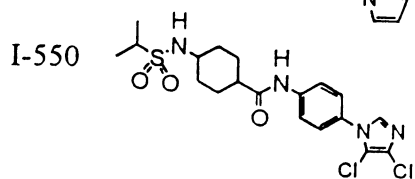
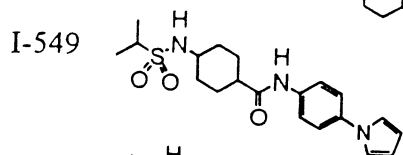
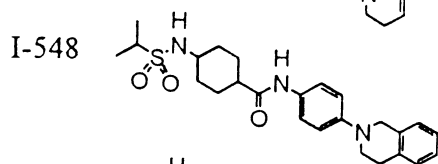
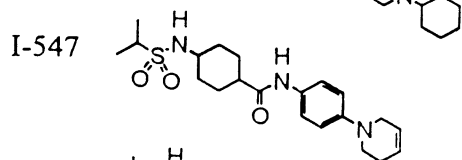
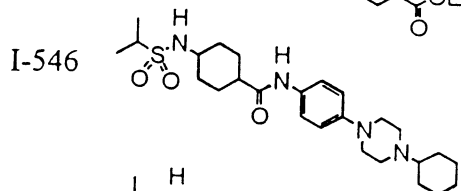
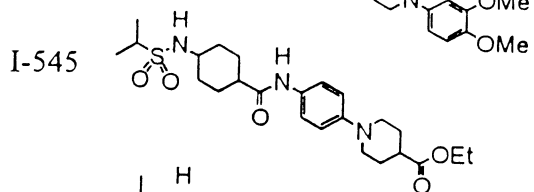
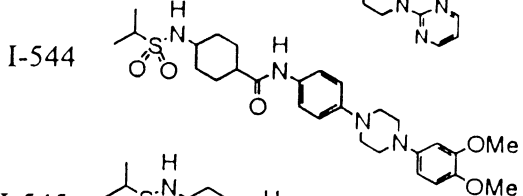
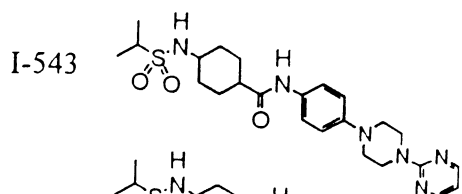
I-520



五、發明說明(74)



五、發明說明(25)



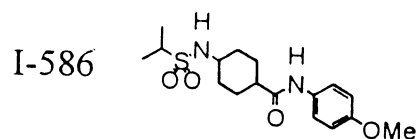
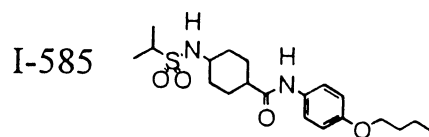
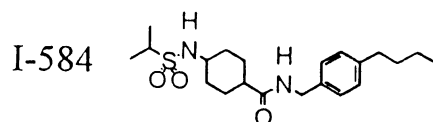
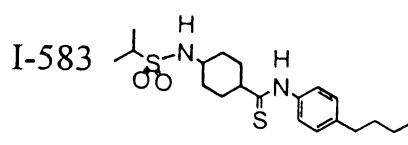
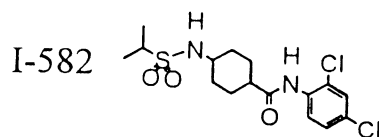
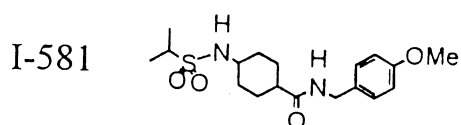
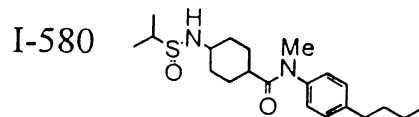
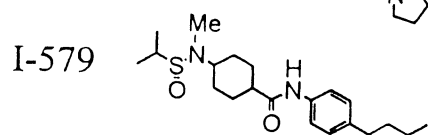
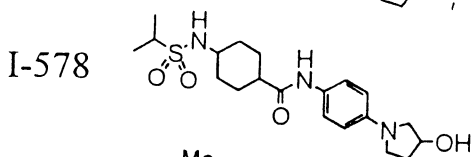
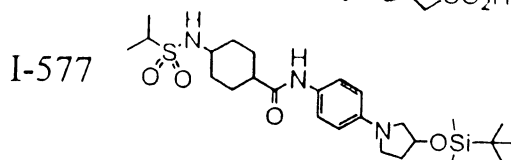
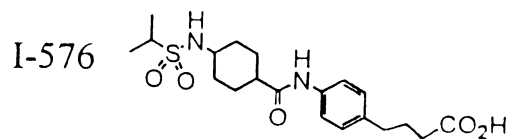
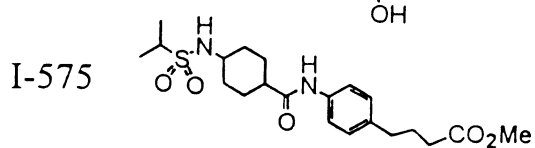
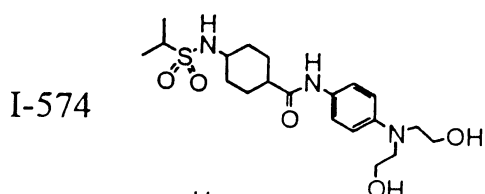
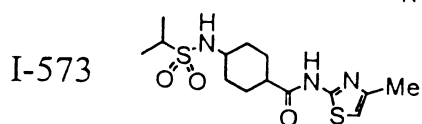
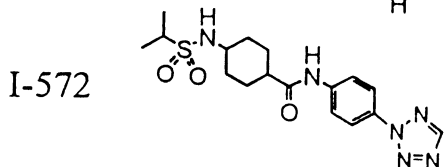
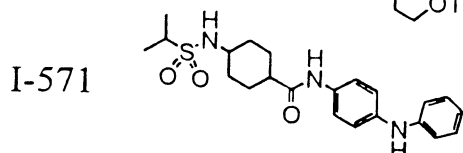
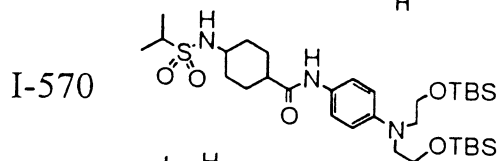
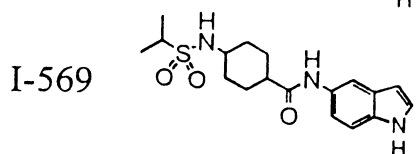
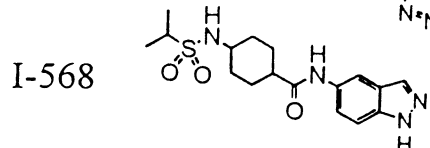
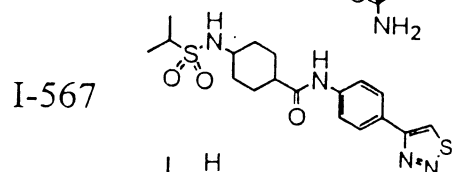
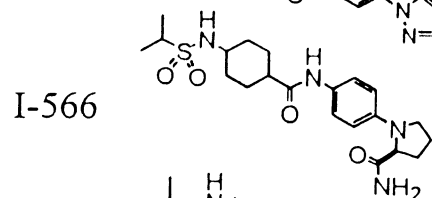
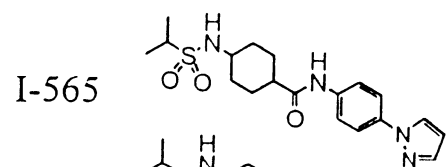
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(76)



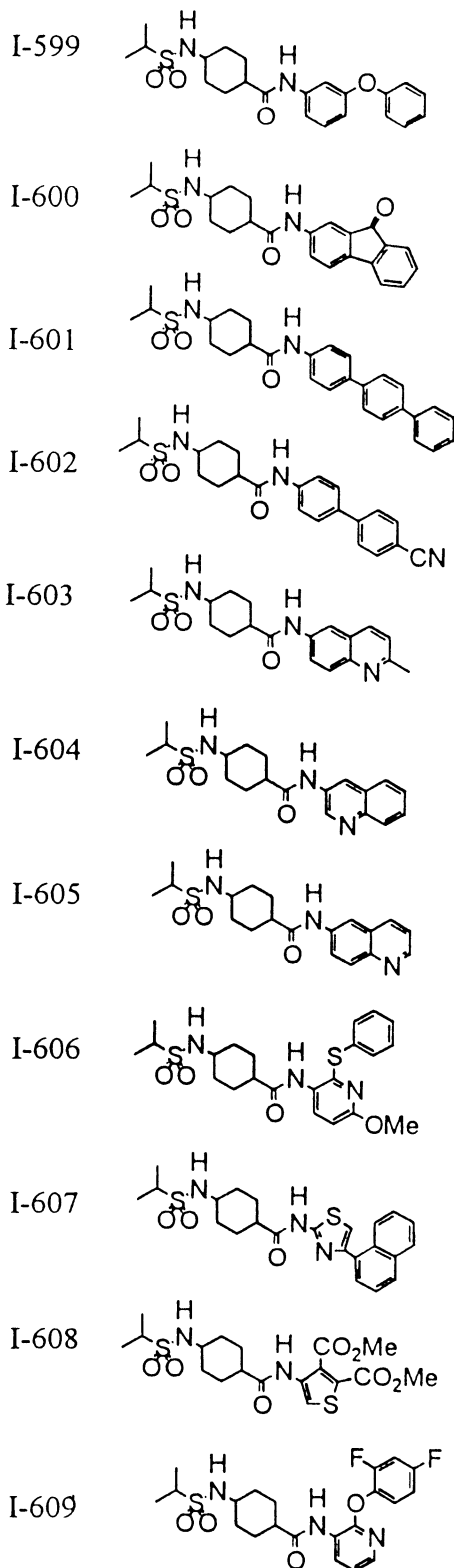
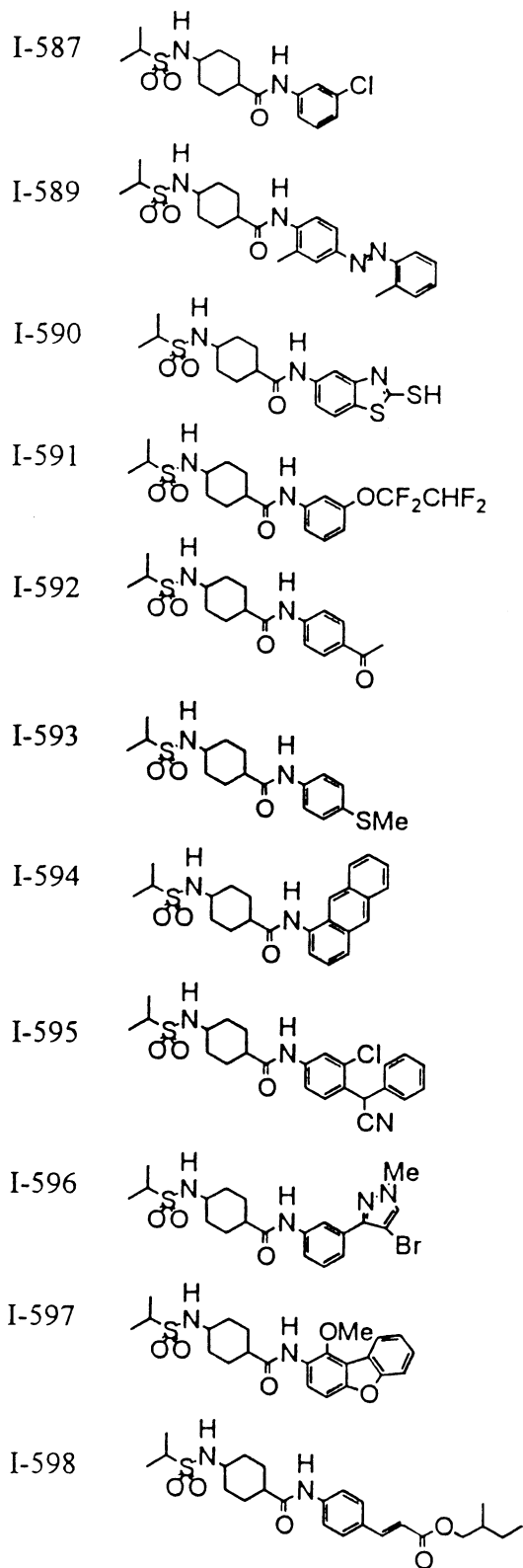
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(??)

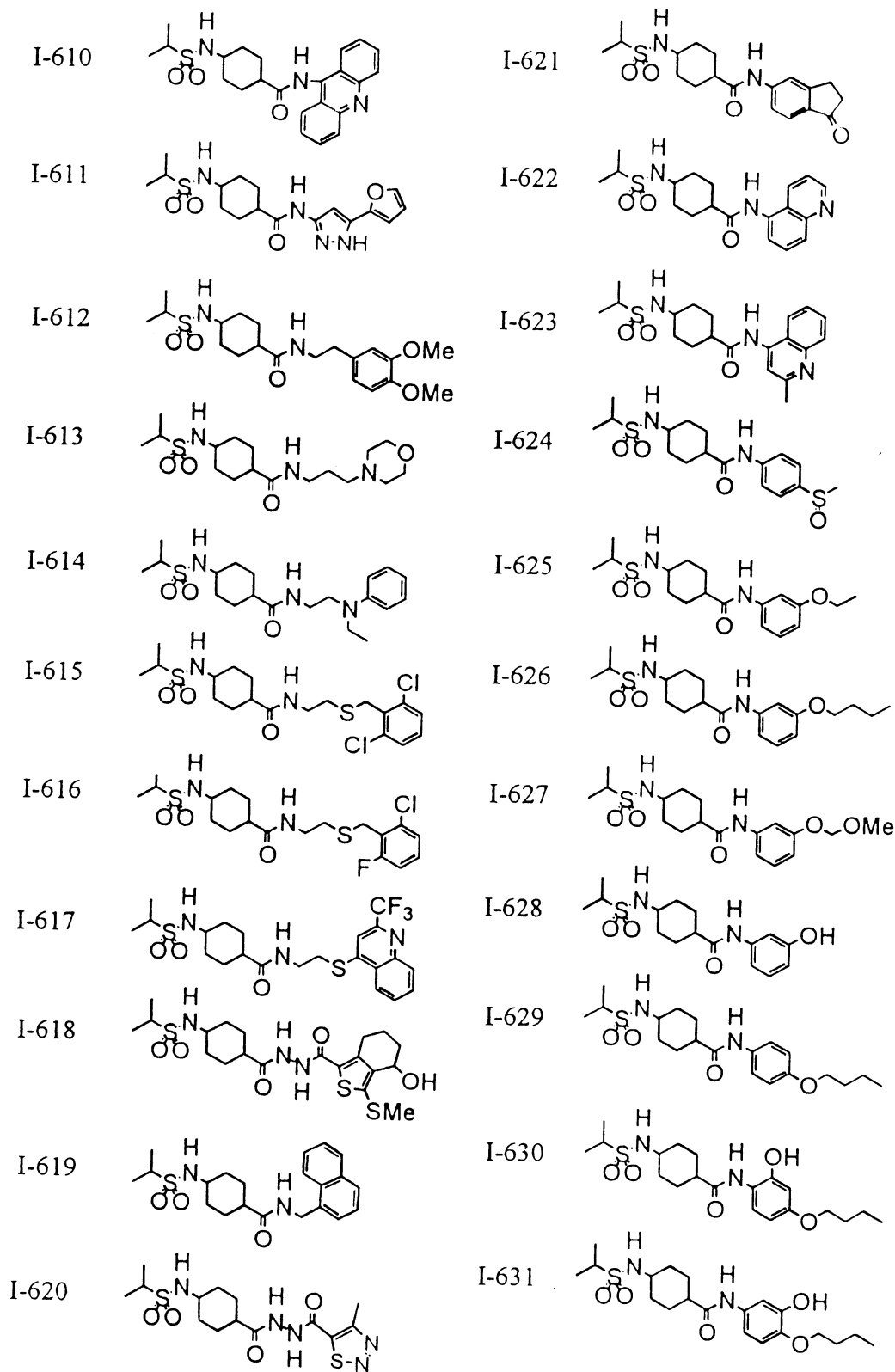


(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(28)

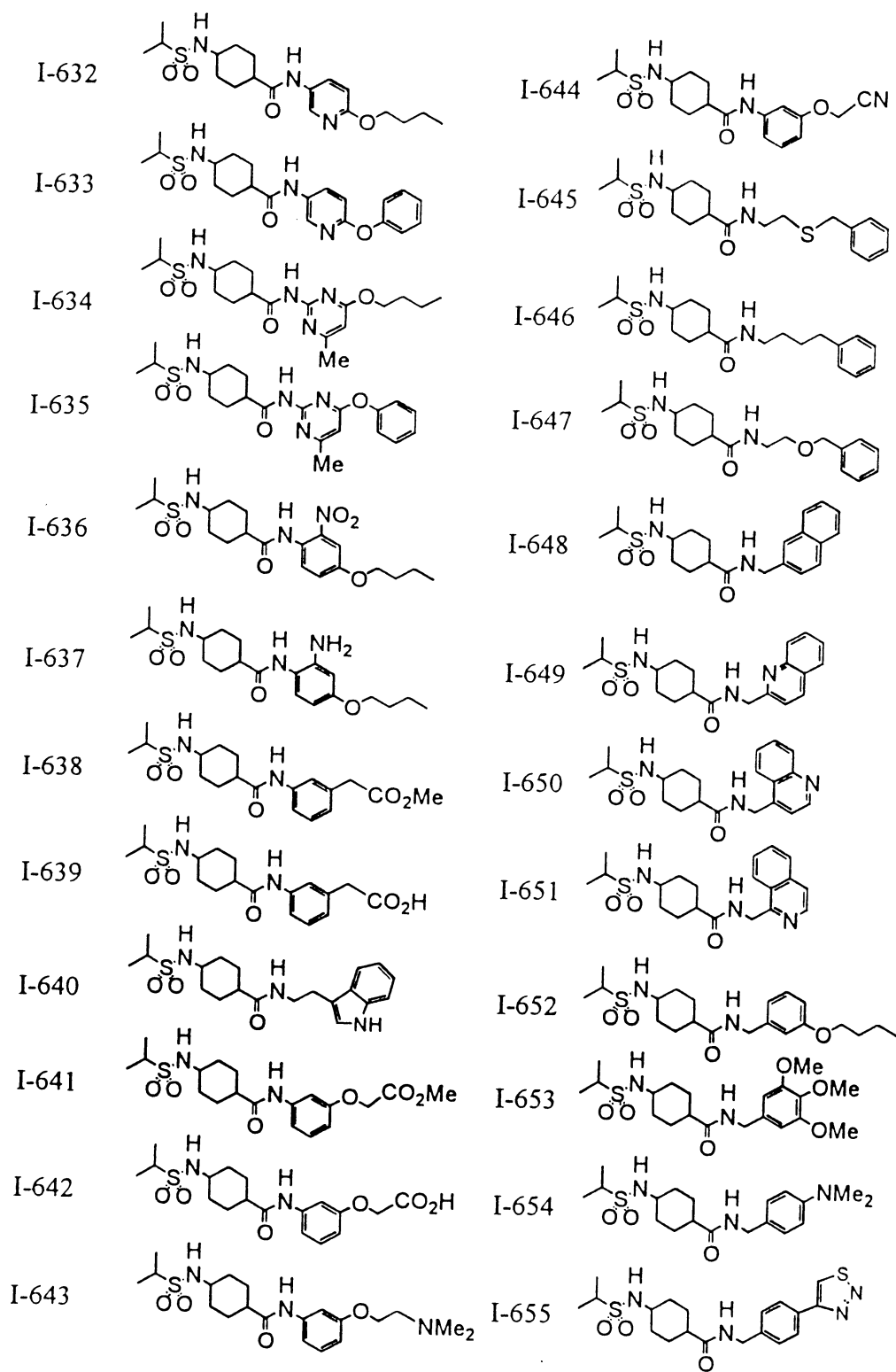


(請先閱讀背面之注意事項再填寫本頁)

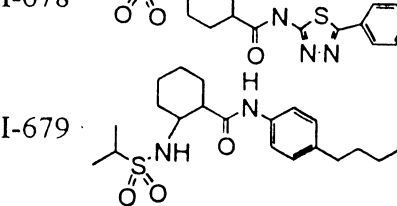
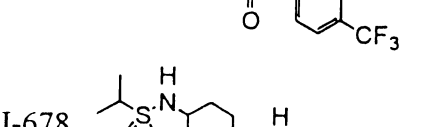
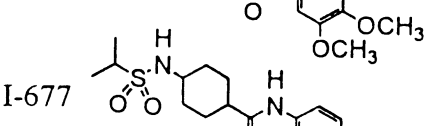
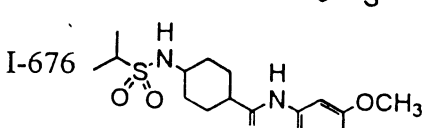
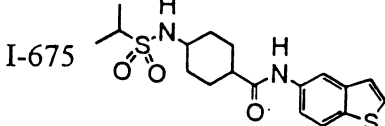
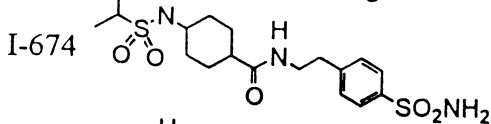
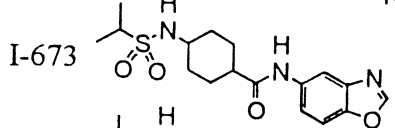
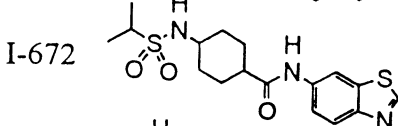
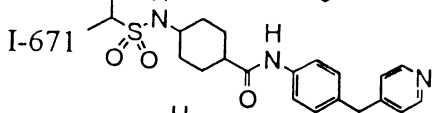
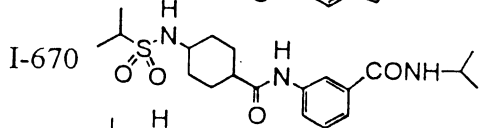
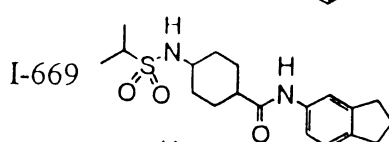
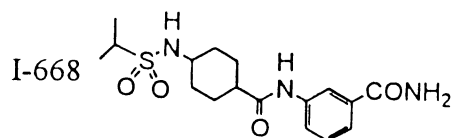
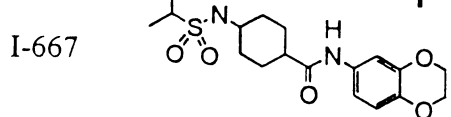
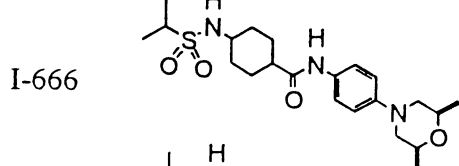
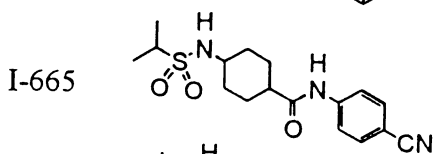
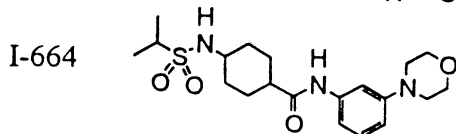
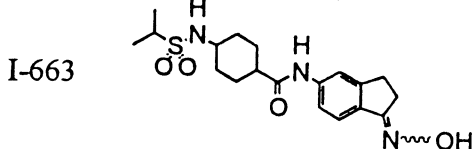
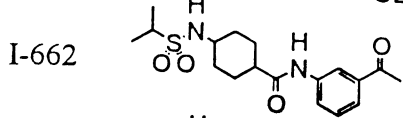
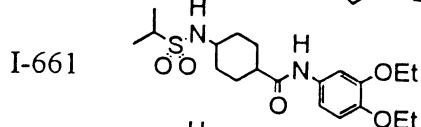
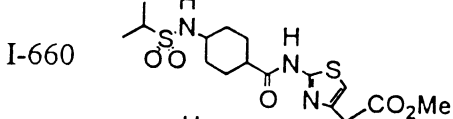
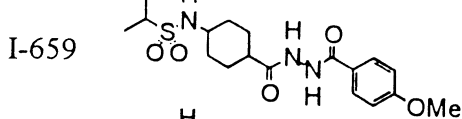
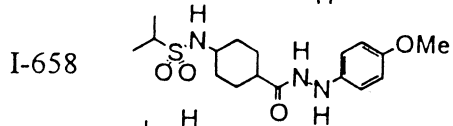
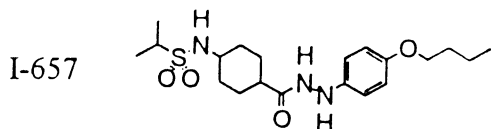
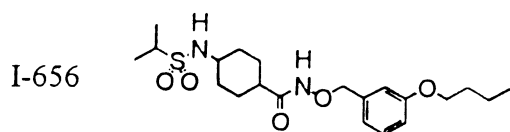
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五、發明說明(79)



五、發明說明 (80)



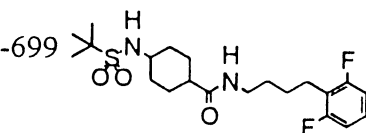
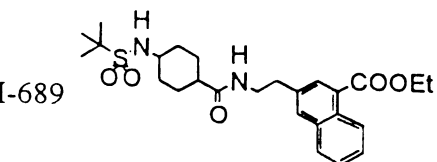
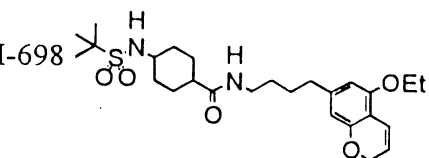
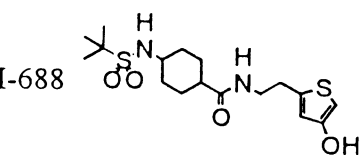
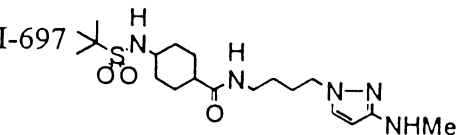
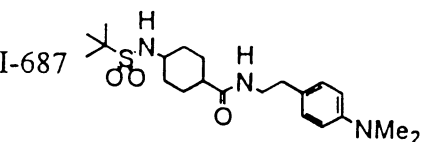
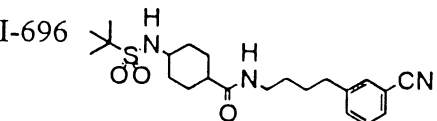
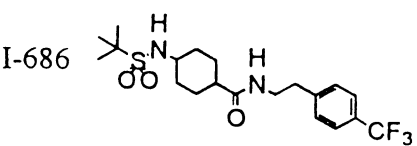
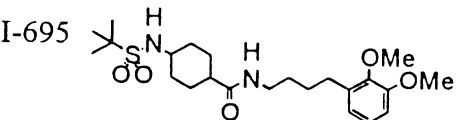
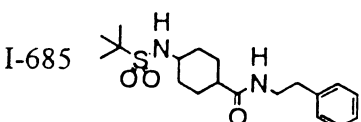
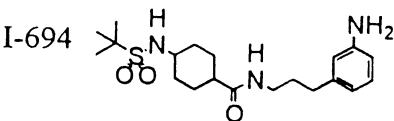
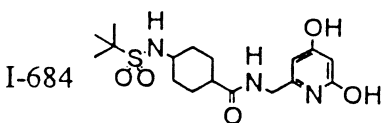
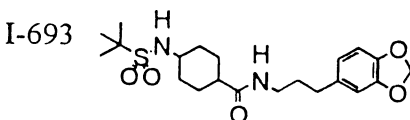
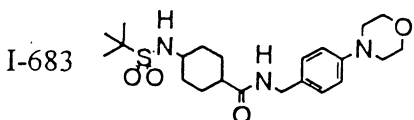
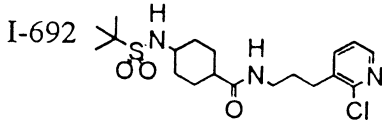
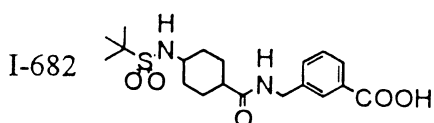
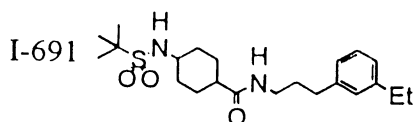
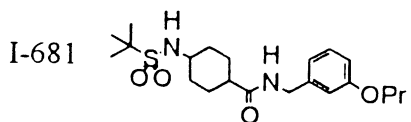
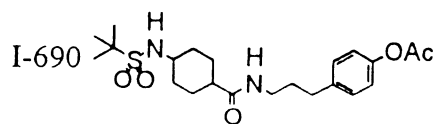
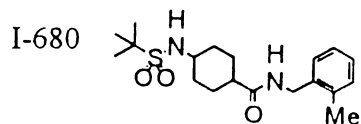
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五、發明說明(81)

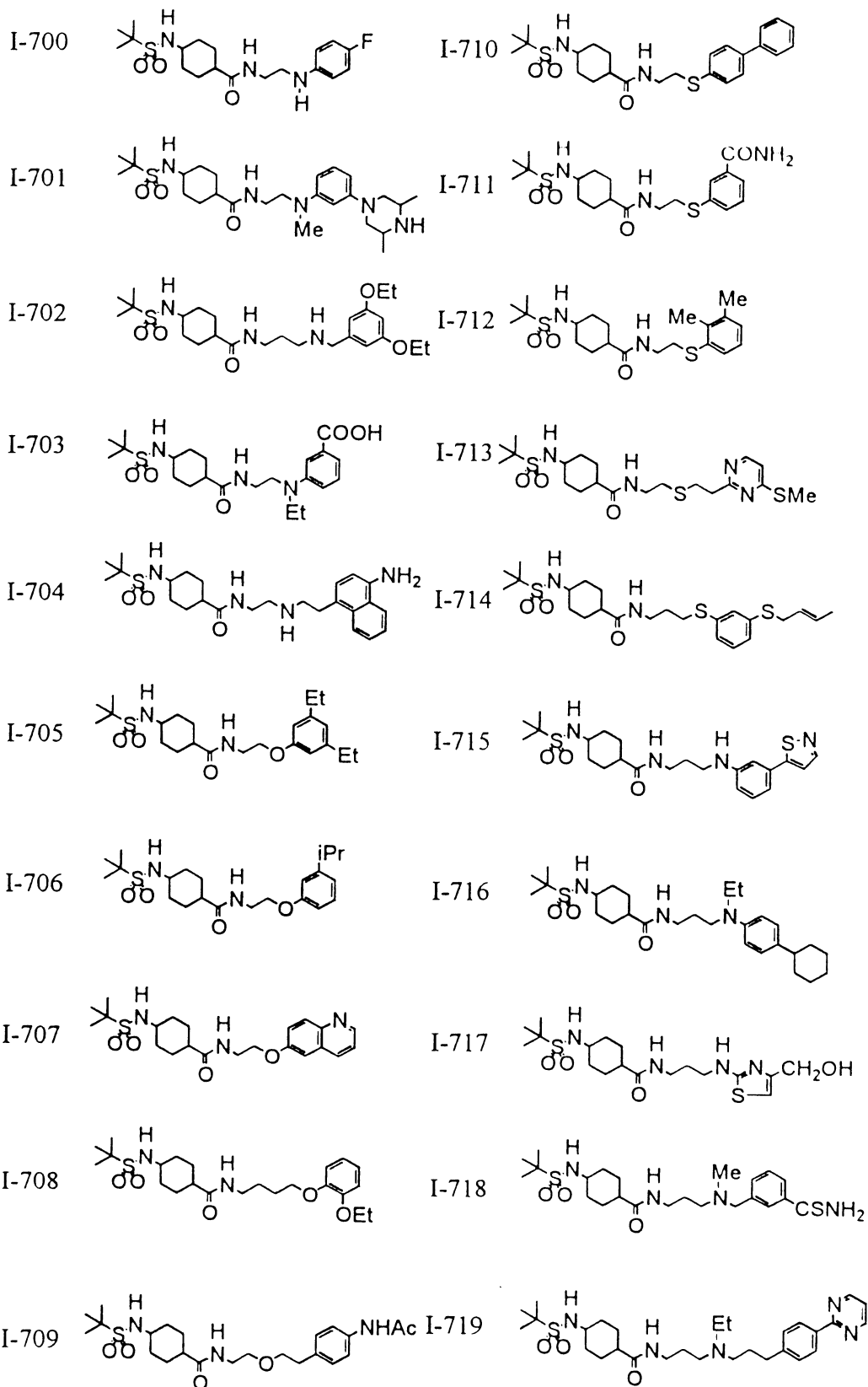


(請先閱讀背面之注意事項再填寫本頁)

訂

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五、發明說明(8)

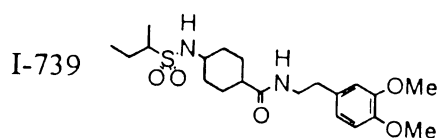
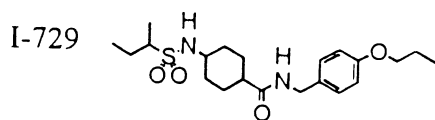
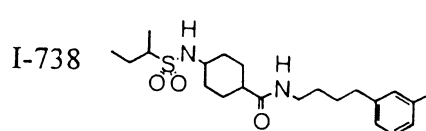
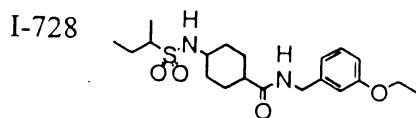
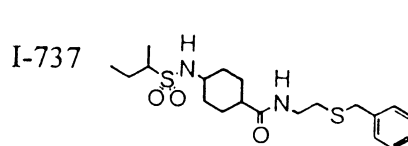
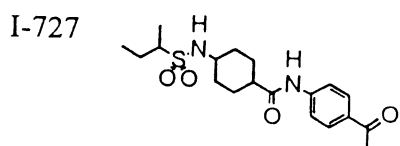
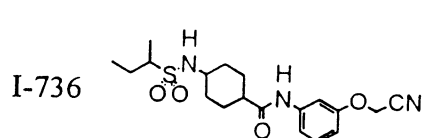
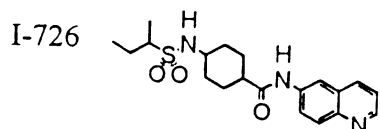
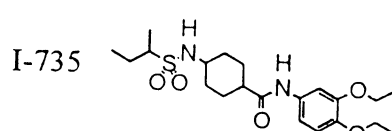
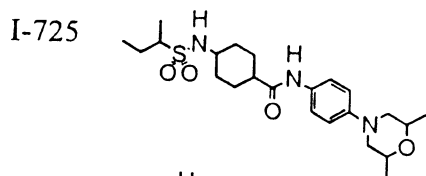
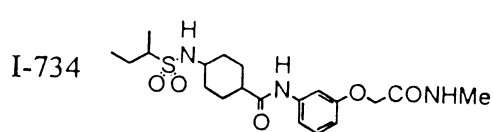
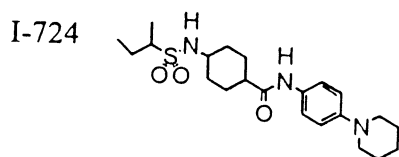
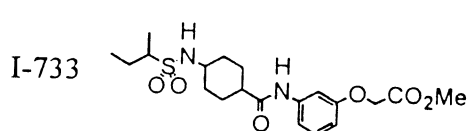
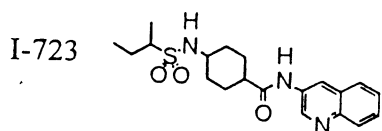
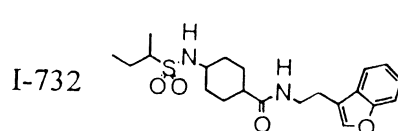
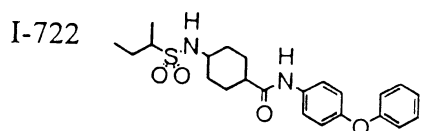
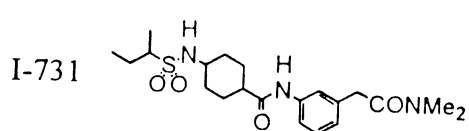
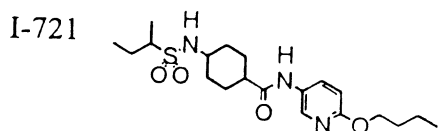
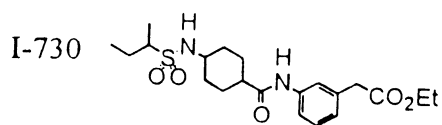
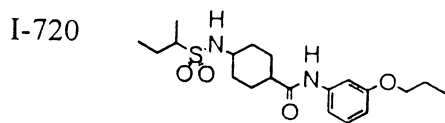


(請先閱讀背面之注意事項再填寫本頁)

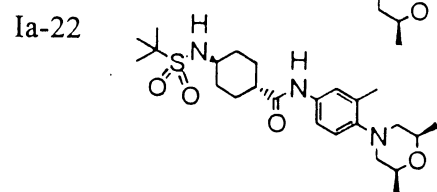
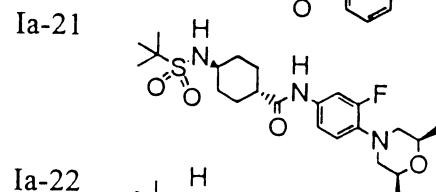
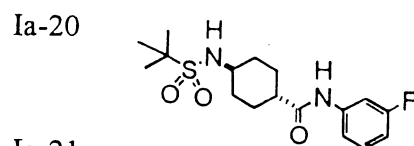
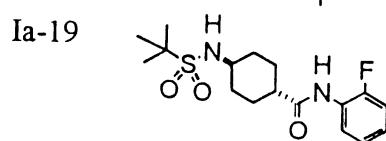
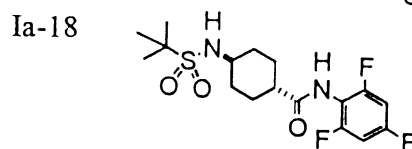
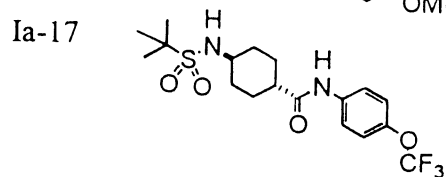
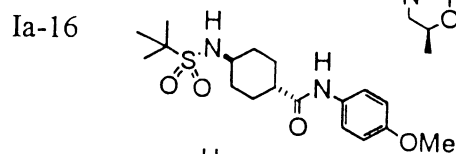
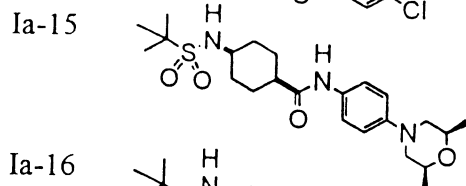
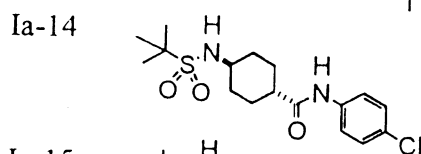
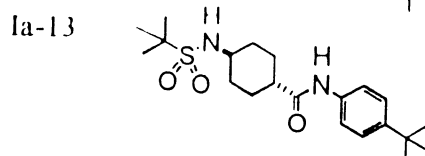
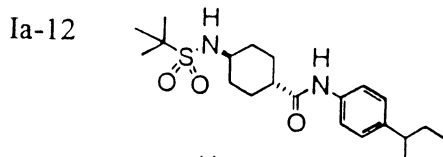
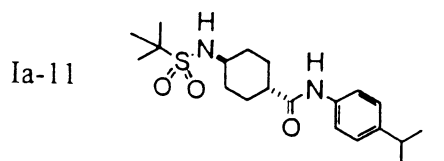
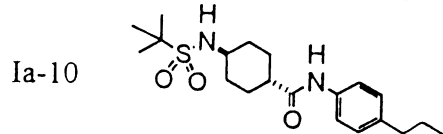
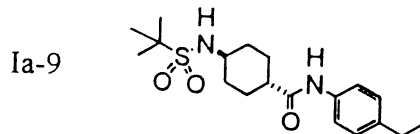
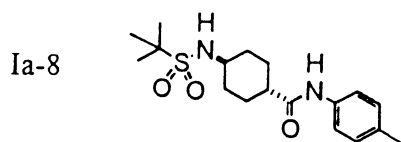
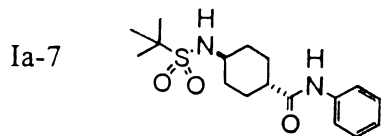
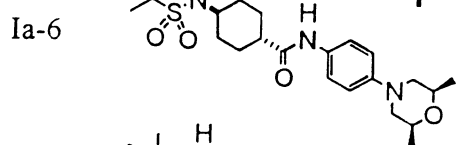
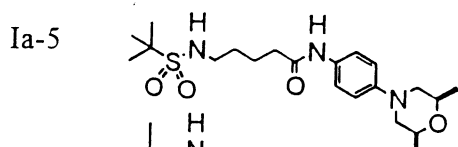
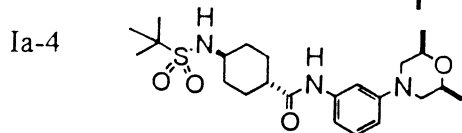
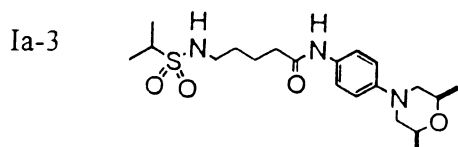
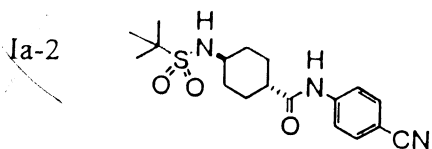
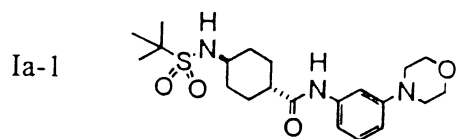
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五、發明說明(83)



五、發明說明 (85)

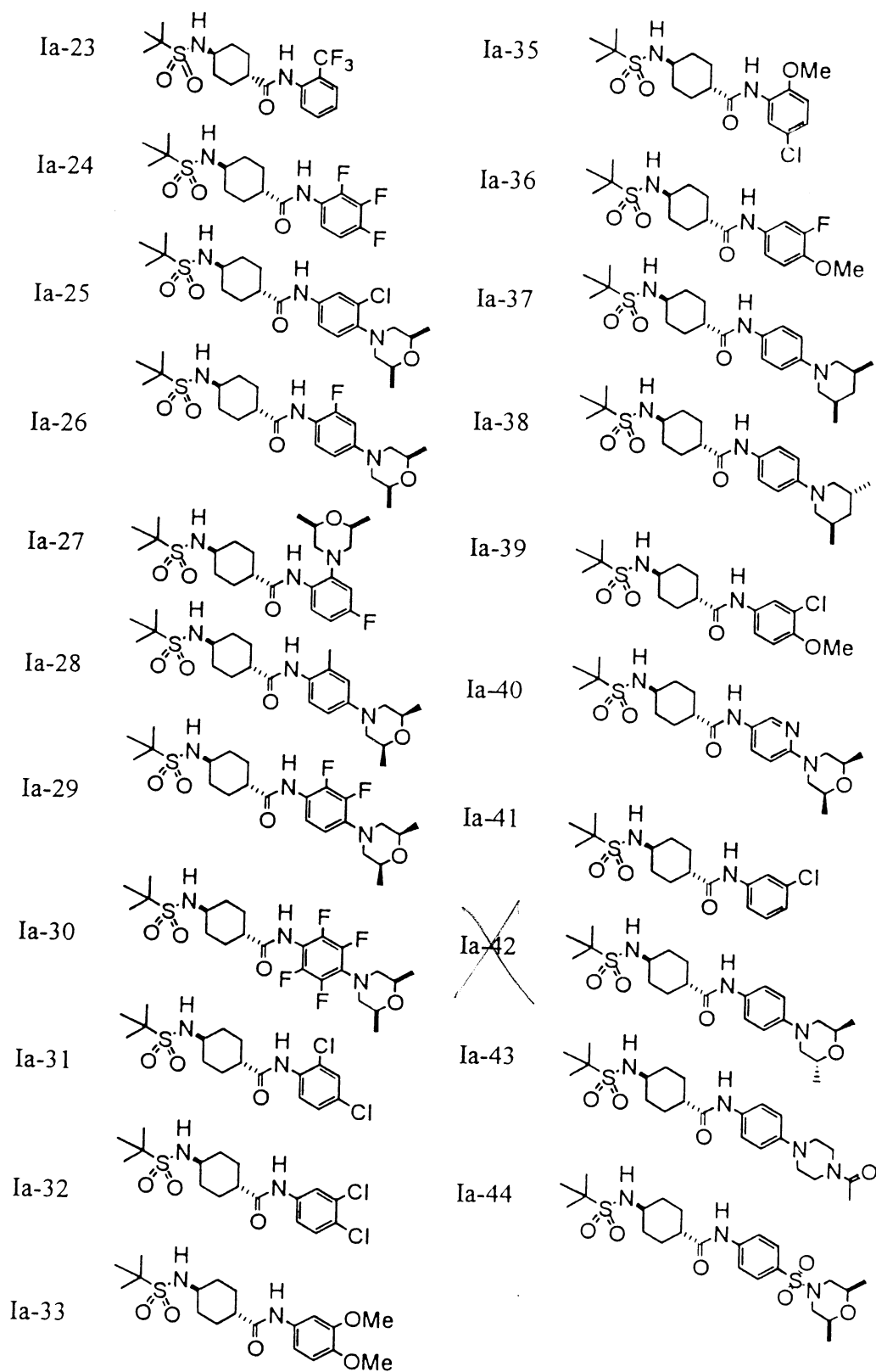


(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (86)

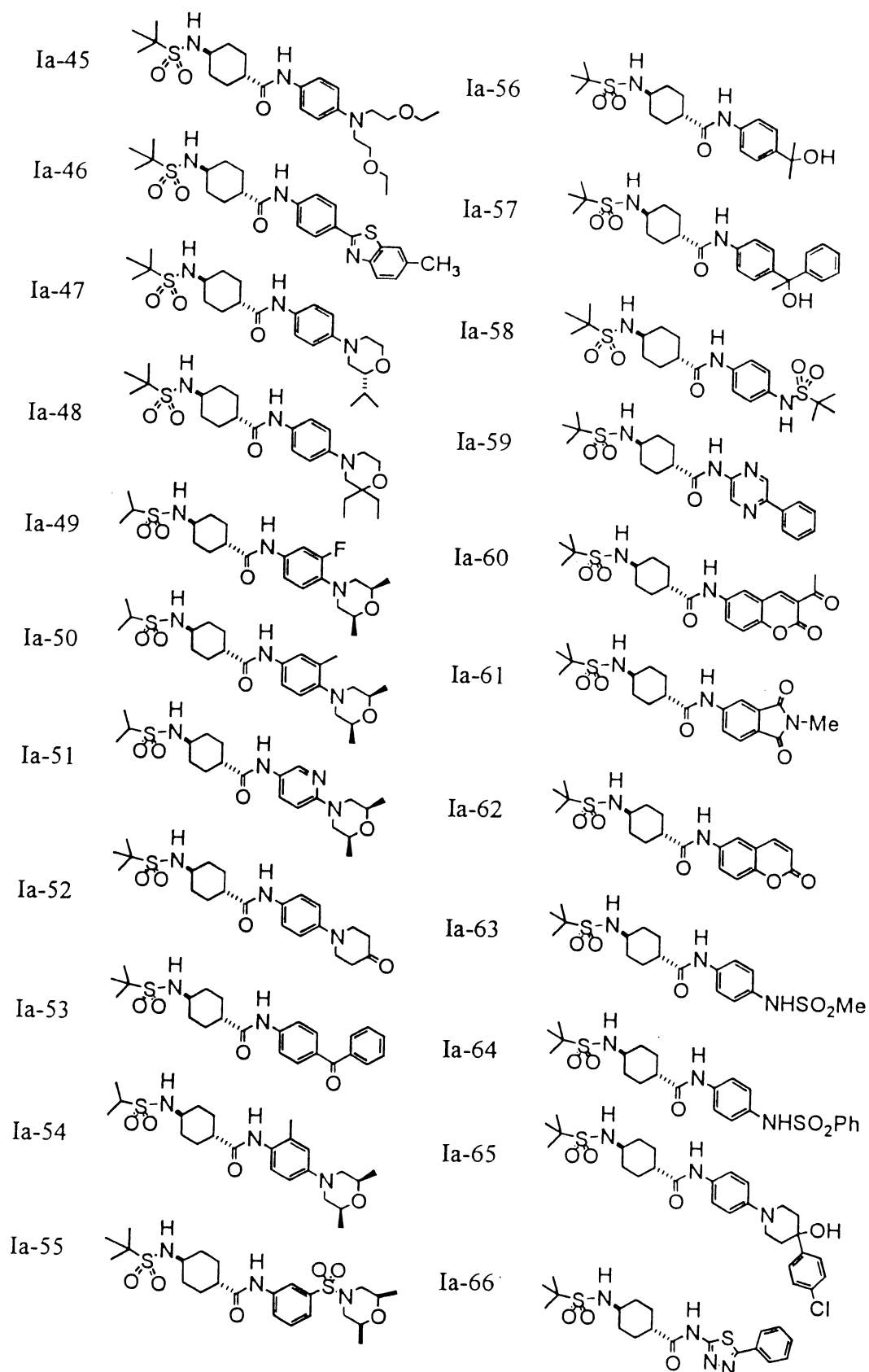


(請先閱讀背面之注意事項再填寫本頁)

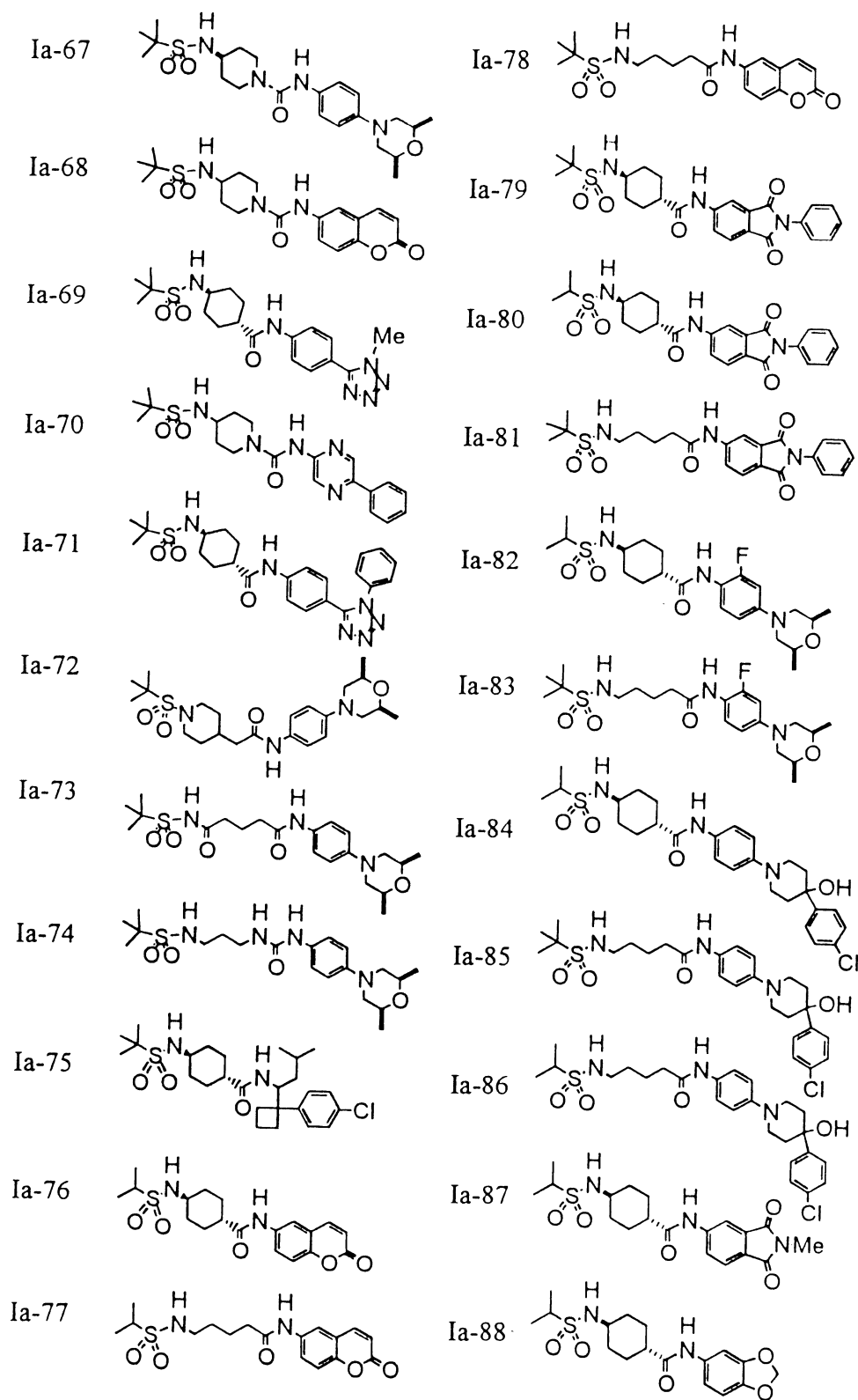
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五、發明說明(87)

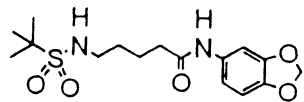


五、發明說明 (88)

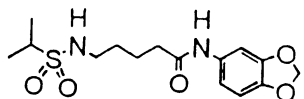


五、發明說明 (89)

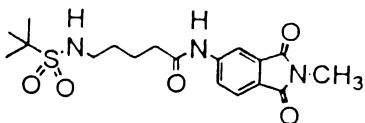
Ia-89



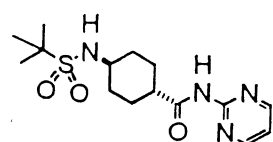
Ia-90



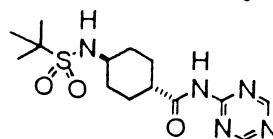
Ia-91



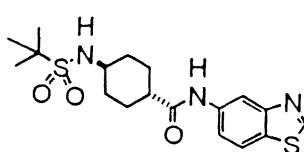
Ia-104



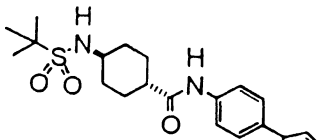
Ia-105



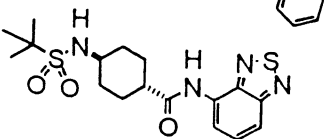
Ia-106



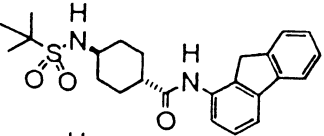
Ia-107



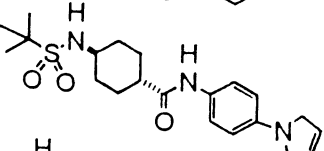
Ia-108



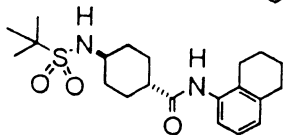
Ia-109



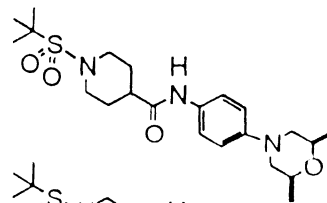
Ia-110



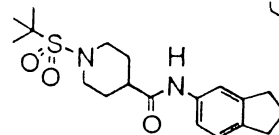
Ia-111



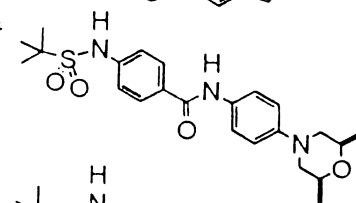
Ia-122



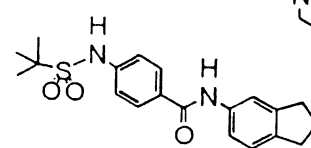
Ia-123



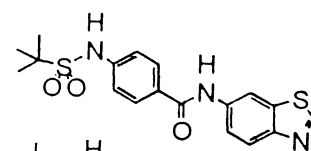
Ia-124



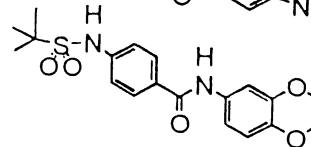
Ia-125



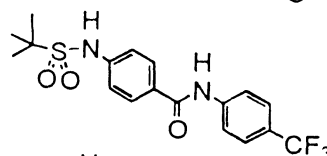
Ia-126



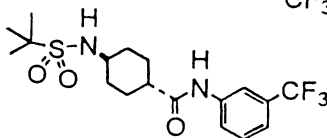
Ia-127



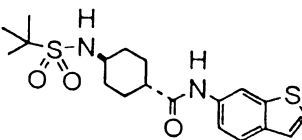
Ia-128



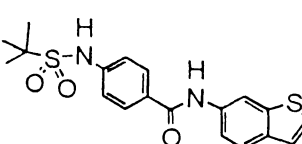
Ia-129



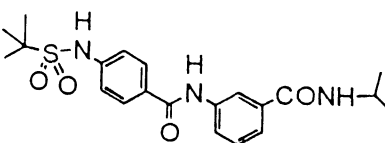
Ia-130



Ia-131



Ia-132



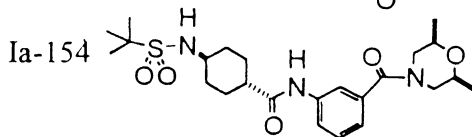
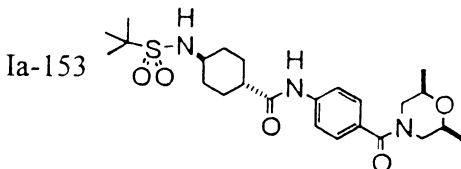
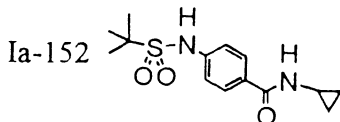
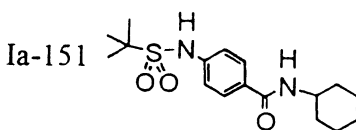
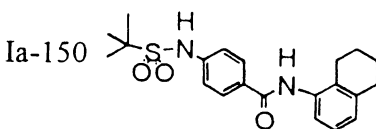
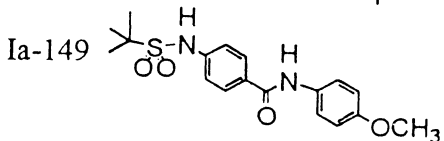
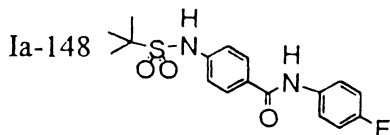
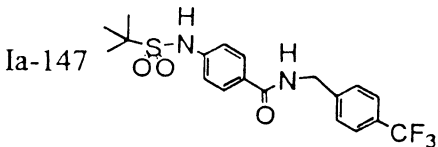
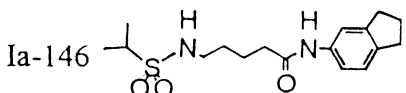
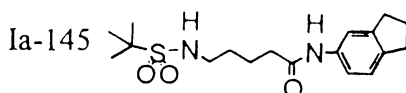
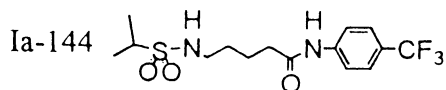
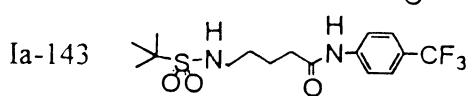
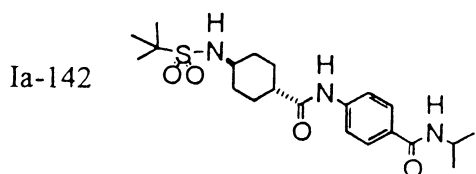
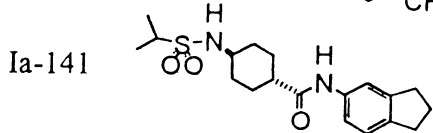
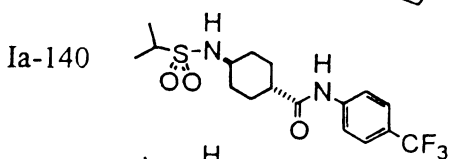
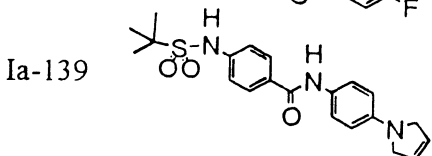
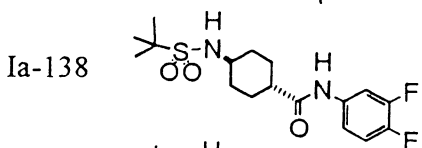
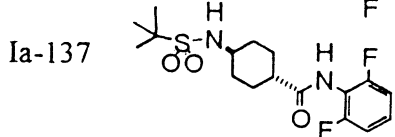
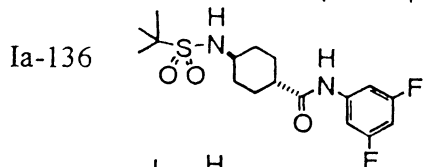
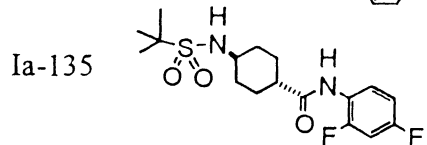
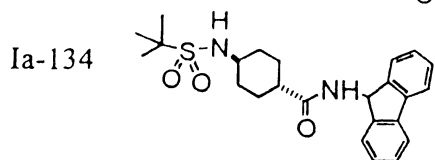
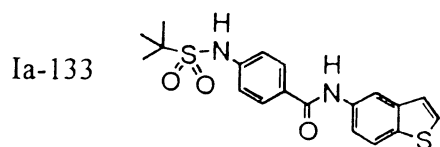
(請先閱讀背面之注意事項再填寫本頁)

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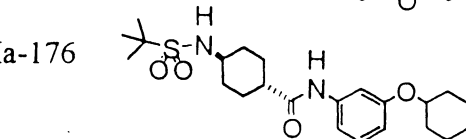
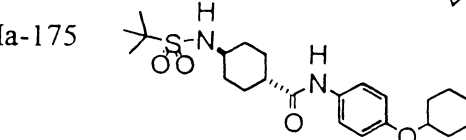
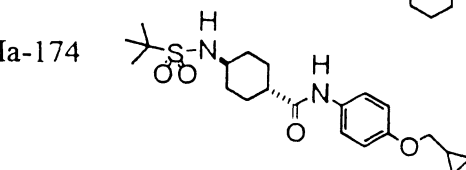
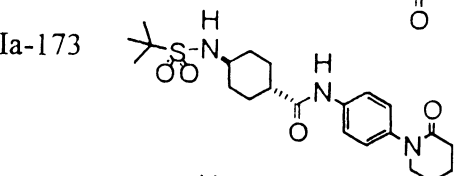
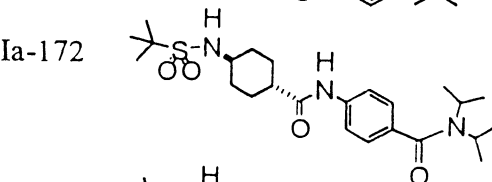
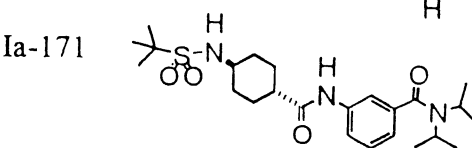
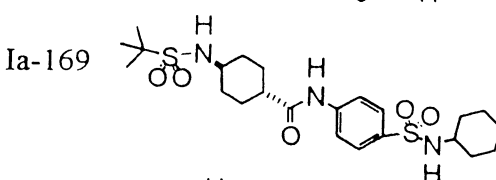
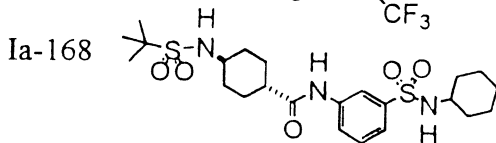
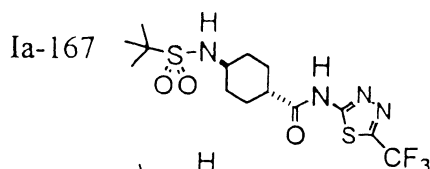
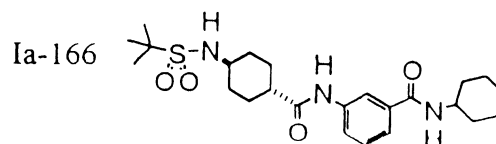
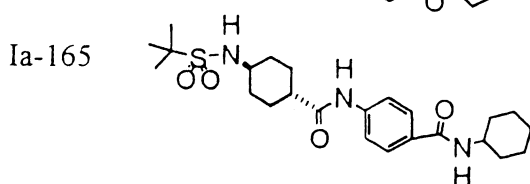
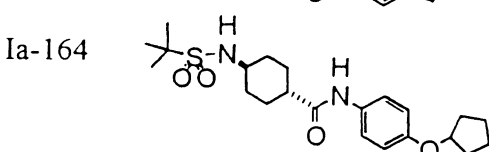
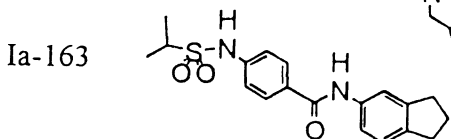
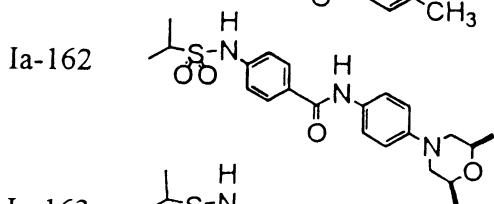
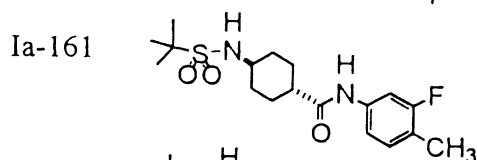
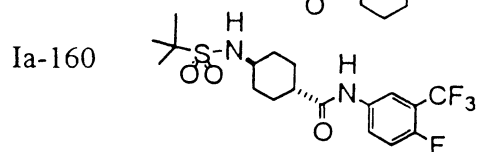
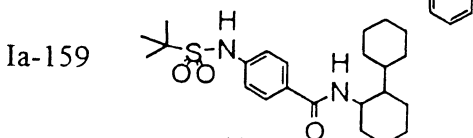
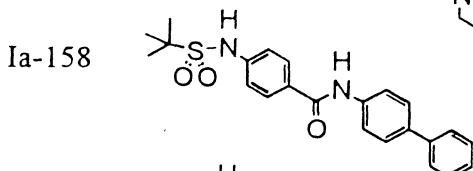
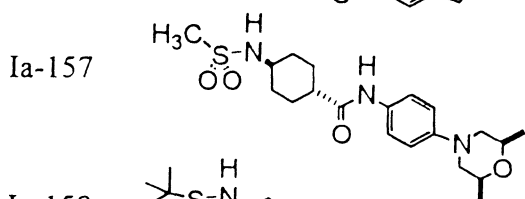
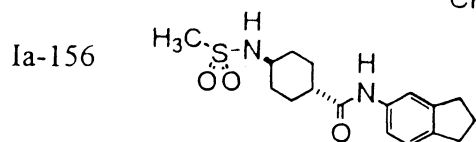
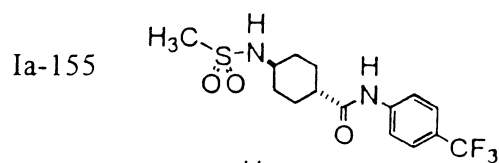
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五、發明說明(90)



五、發明說明(91)



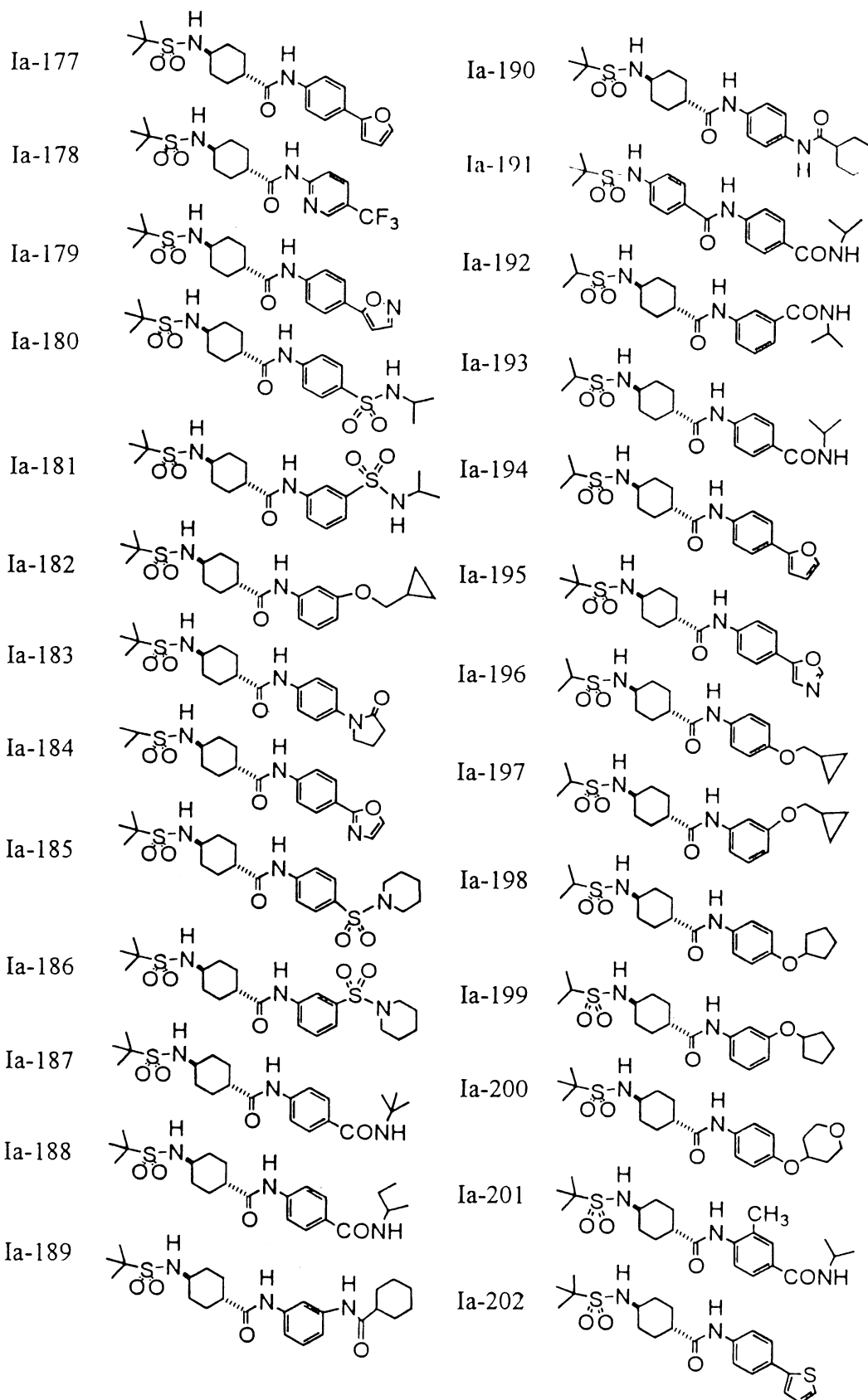
(請先閱讀背面之注意事項再填寫本頁)

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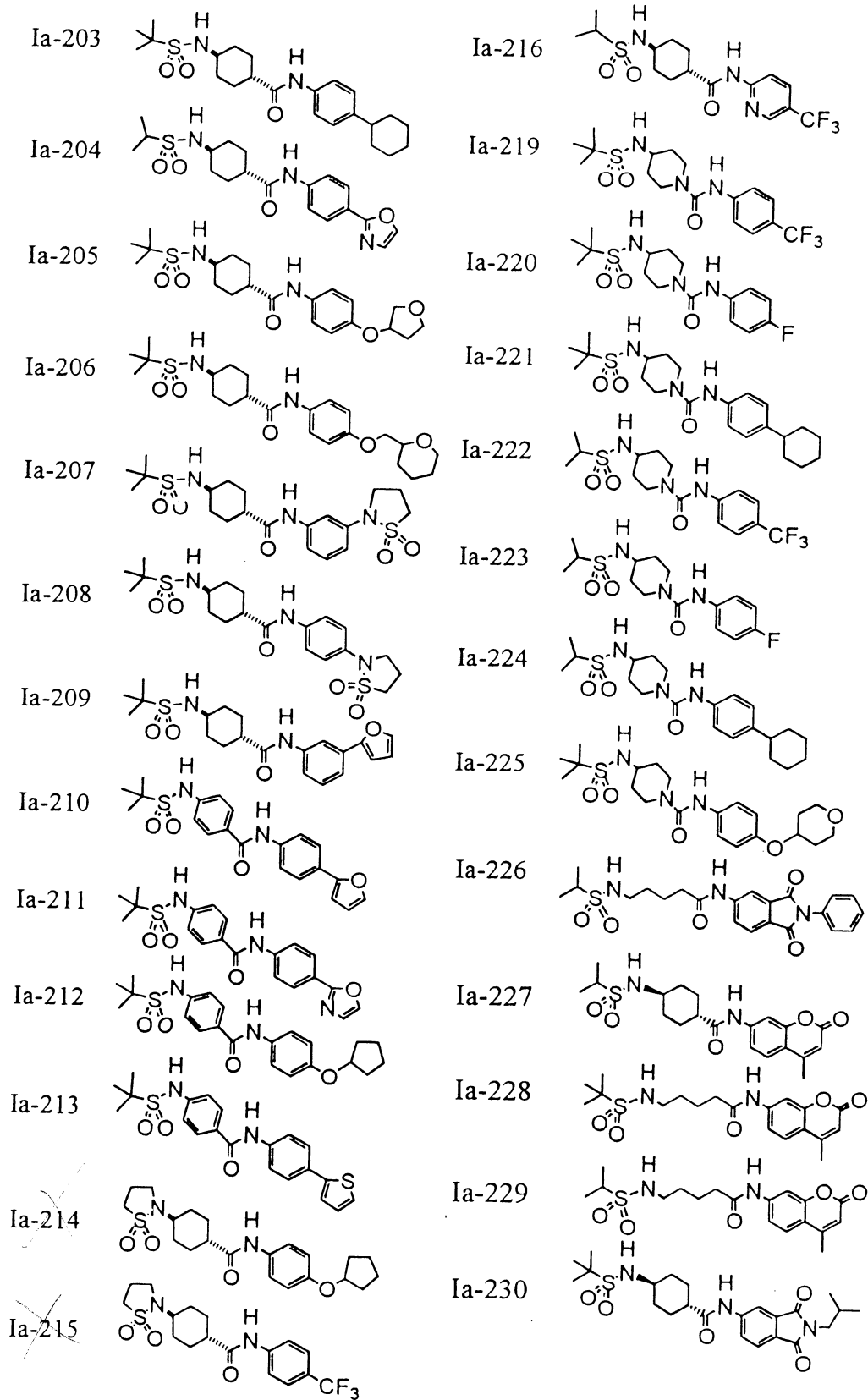
訂

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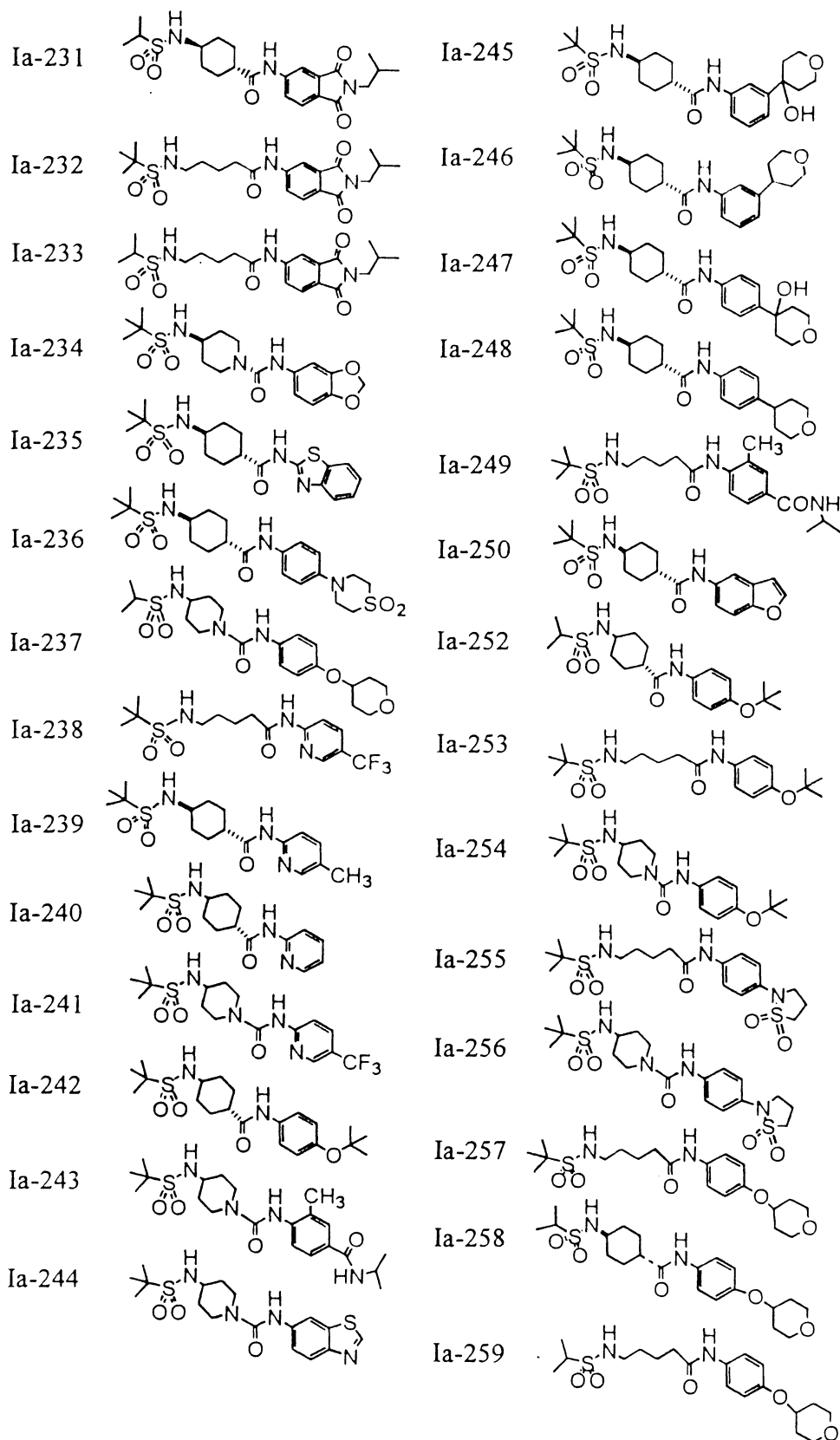
五、發明說明(92)



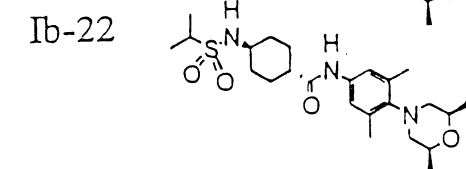
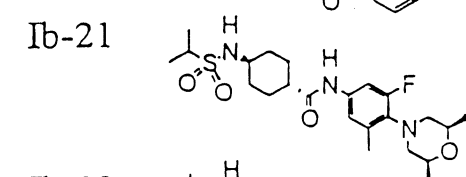
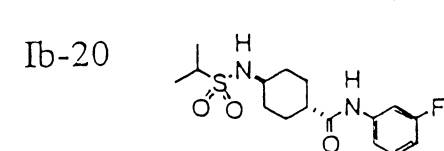
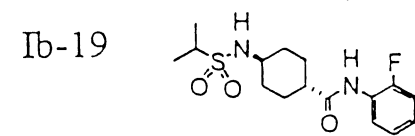
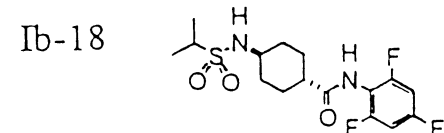
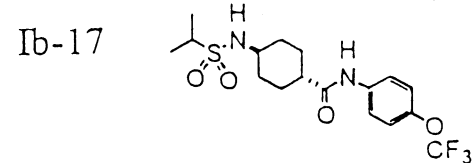
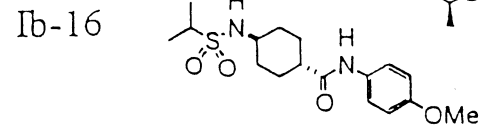
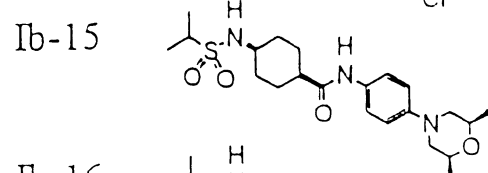
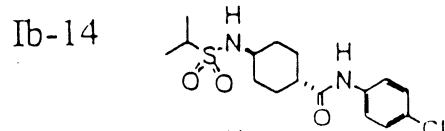
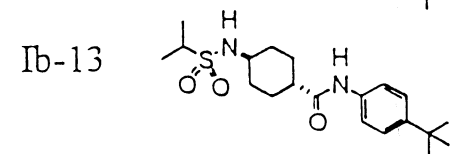
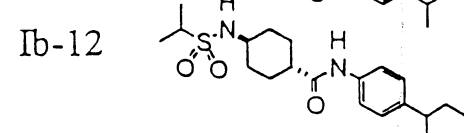
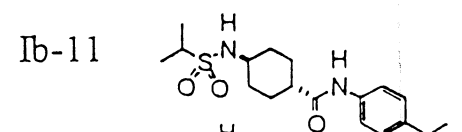
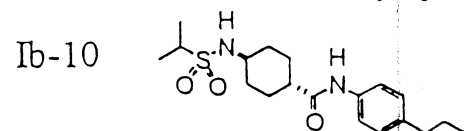
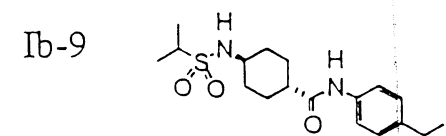
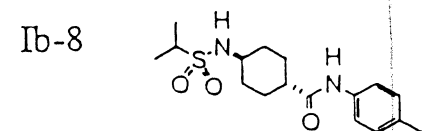
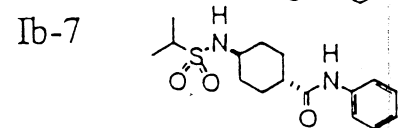
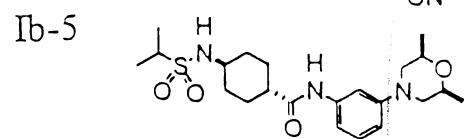
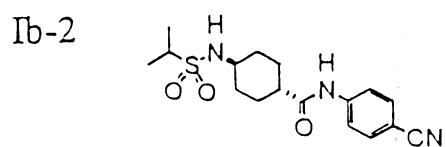
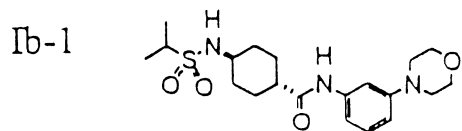
五、發明說明(9)



五、發明說明(94)



五、發明說明 (95)



(請先閱讀背面之注意事項再填寫本頁)

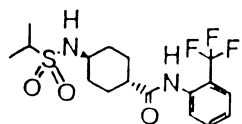
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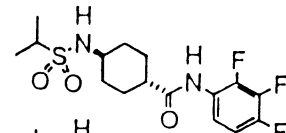
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五、發明說明(96)

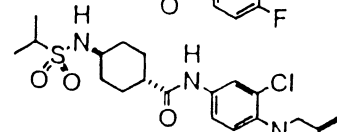
Ib-23



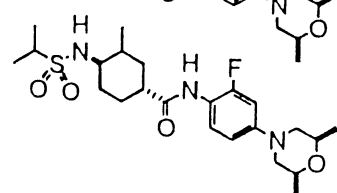
Ib-24



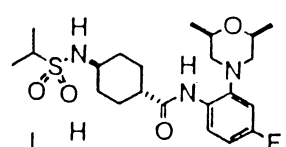
Ib-25



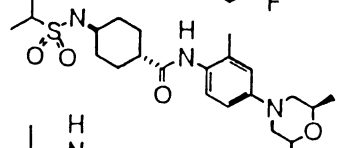
Ib-26



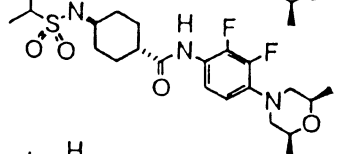
Ib-27



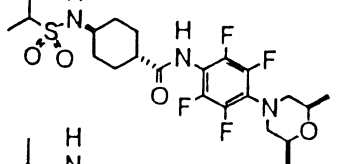
Ib-28



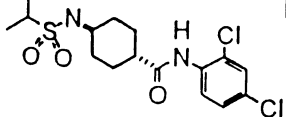
Ib-29



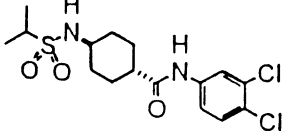
Ib-30



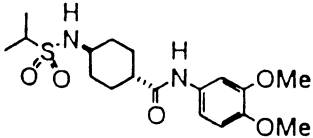
Ib-31



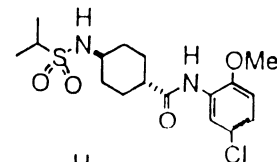
Ib-32



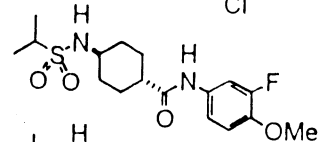
Ib-33



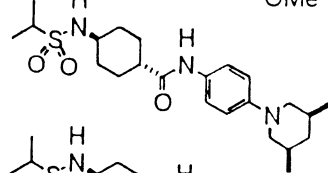
Ib-35



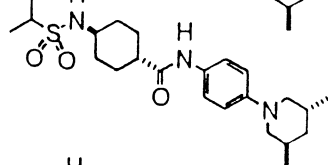
Ib-36



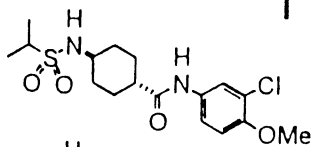
Ib-37



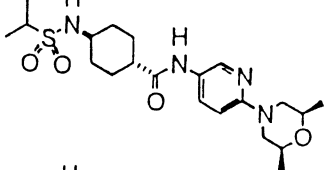
Ib-38



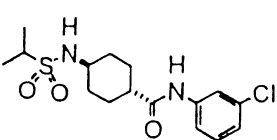
Ib-39



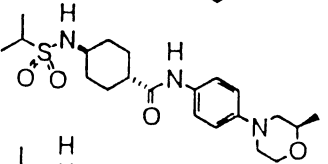
Ib-40



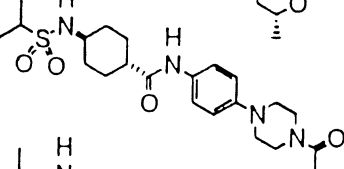
Ib-41



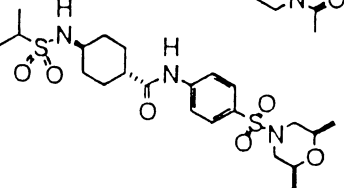
Ib-42



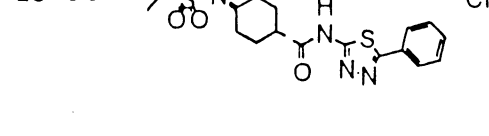
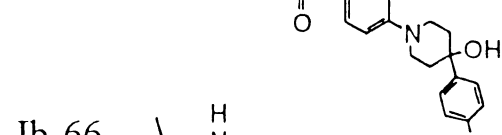
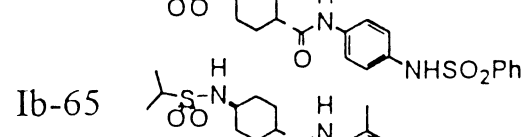
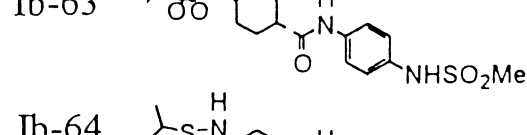
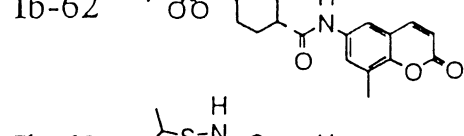
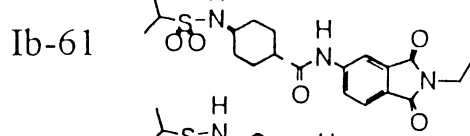
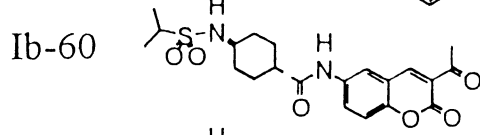
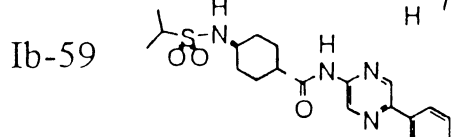
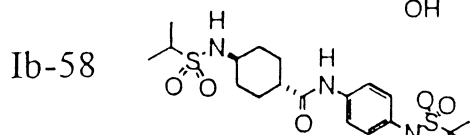
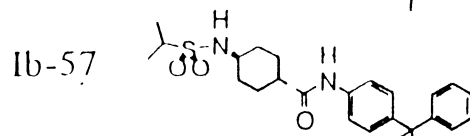
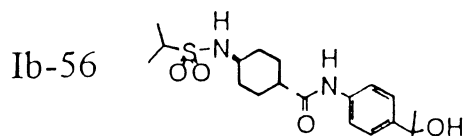
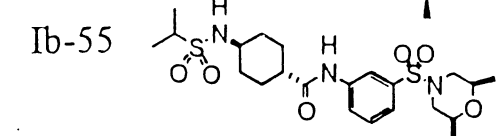
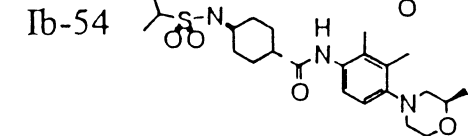
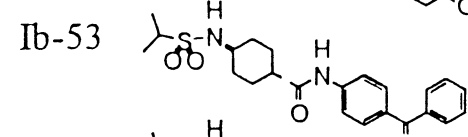
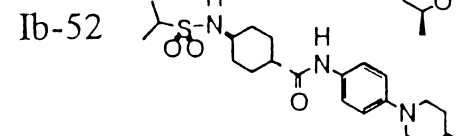
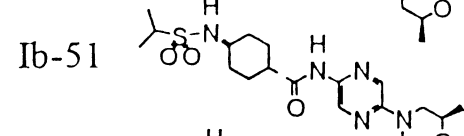
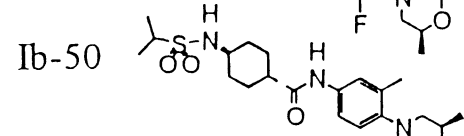
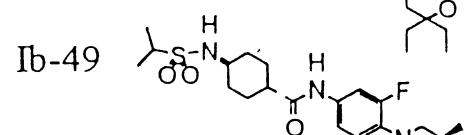
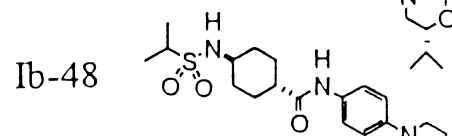
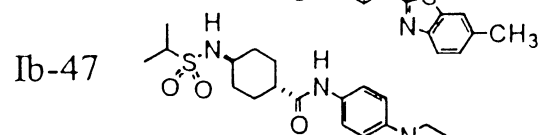
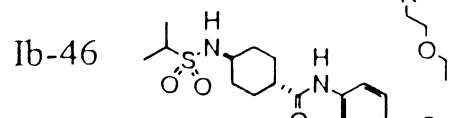
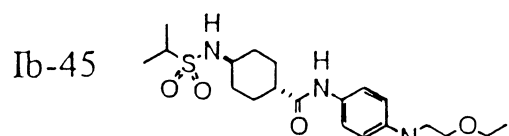
Ib-43



Ib-44



五、發明說明(99)



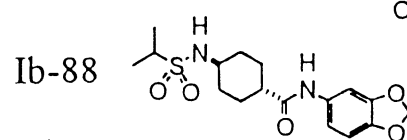
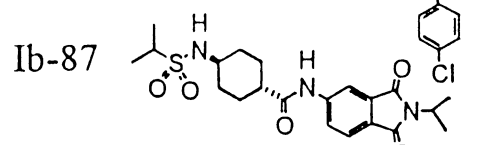
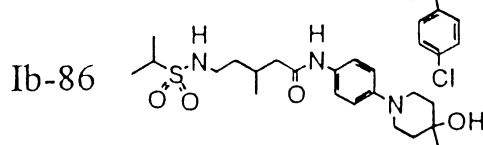
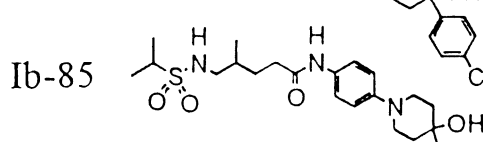
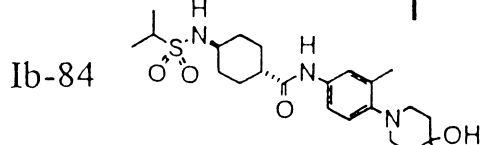
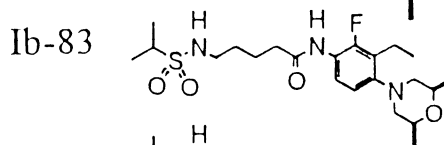
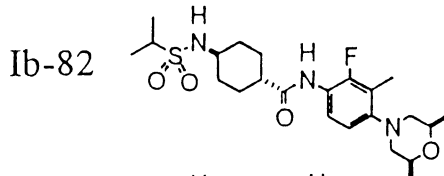
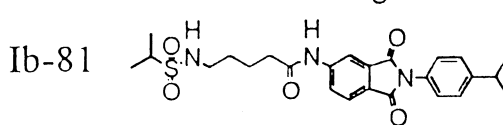
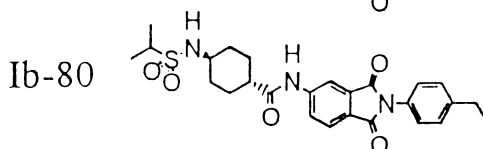
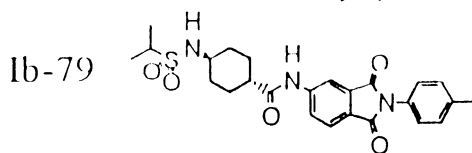
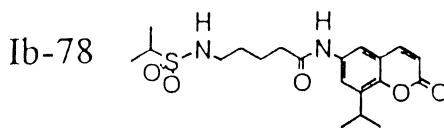
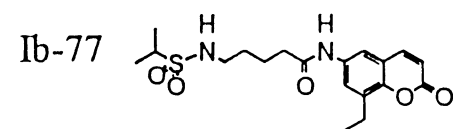
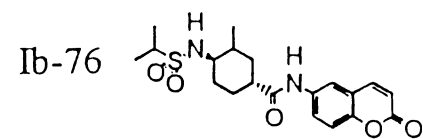
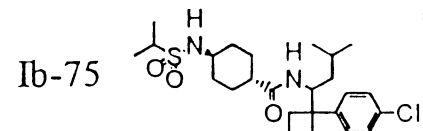
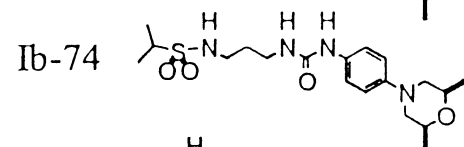
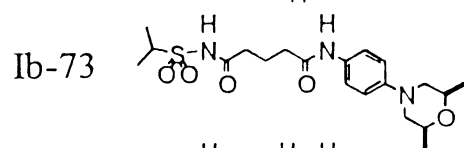
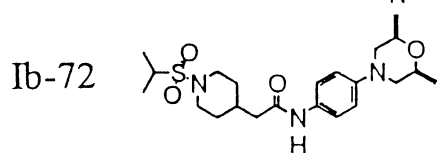
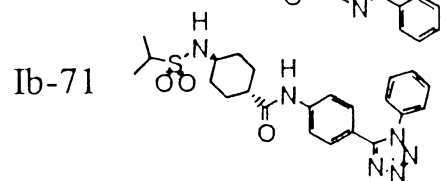
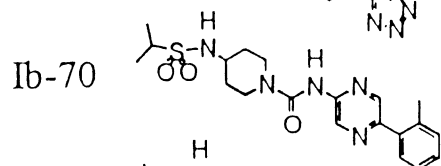
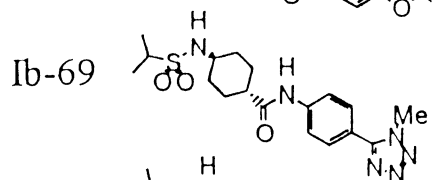
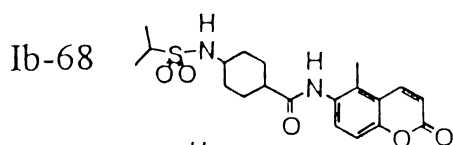
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(98)

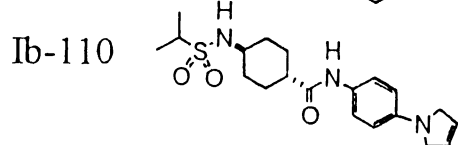
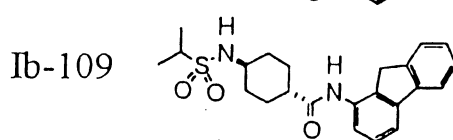
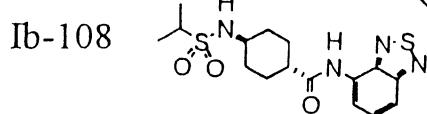
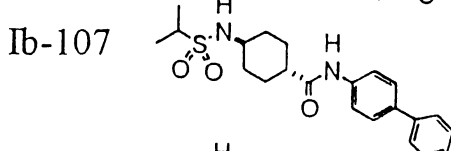
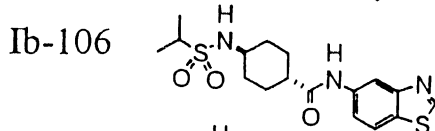
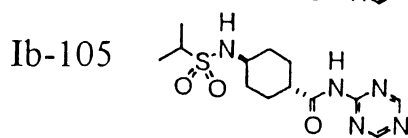
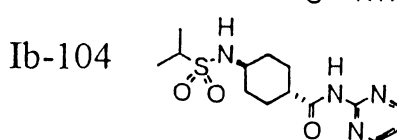
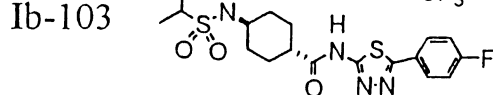
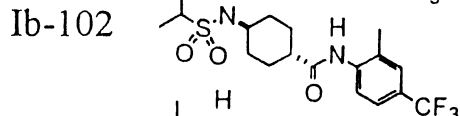
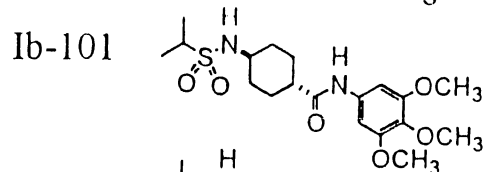
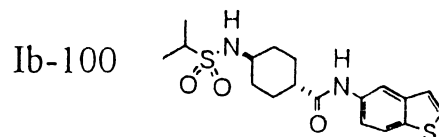
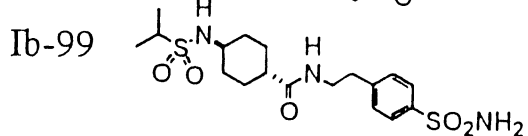
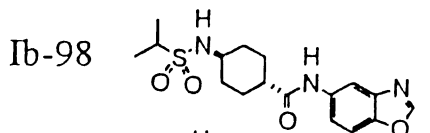
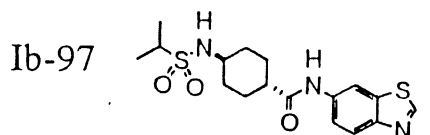
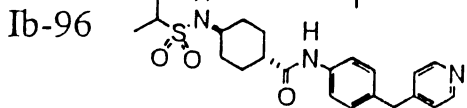
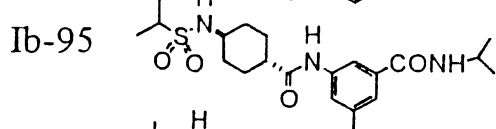
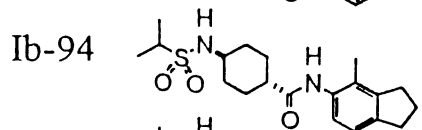
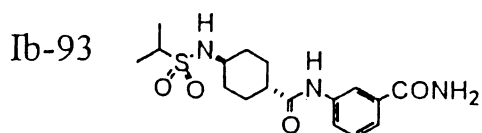
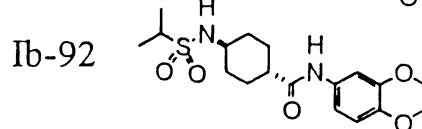
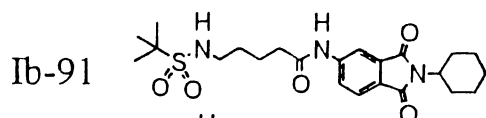
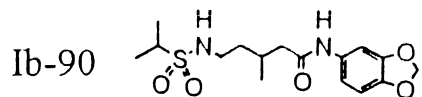
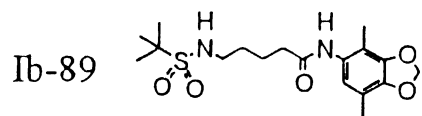


(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (99)

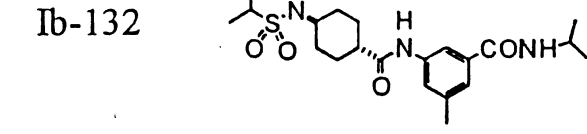
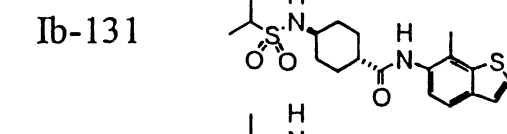
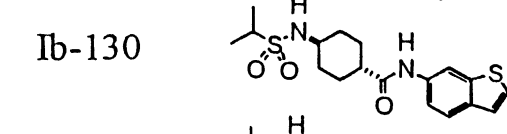
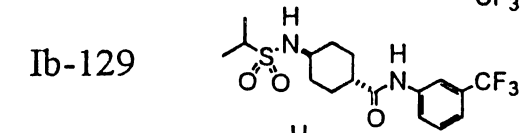
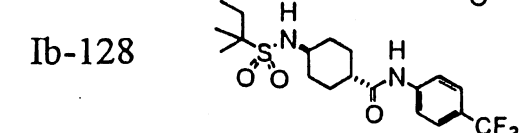
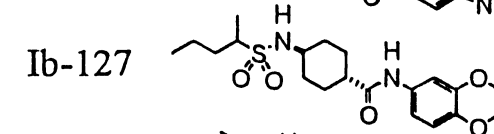
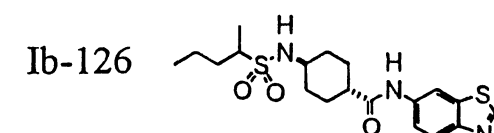
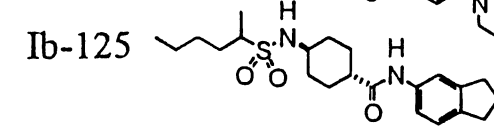
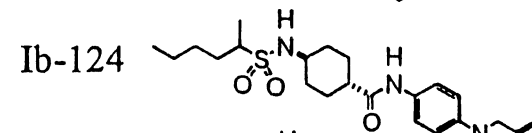
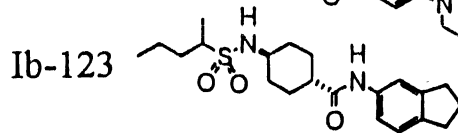
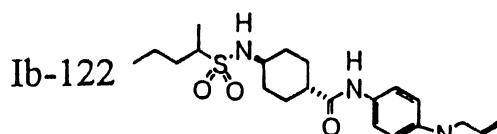
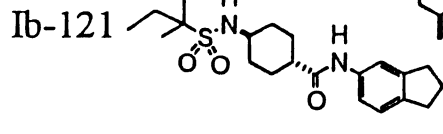
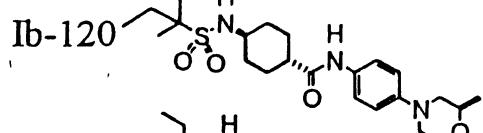
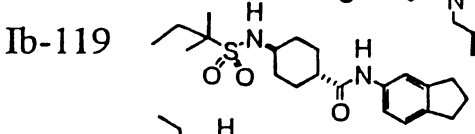
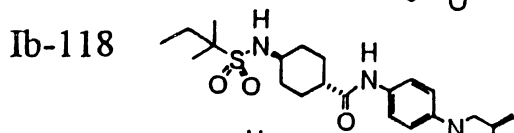
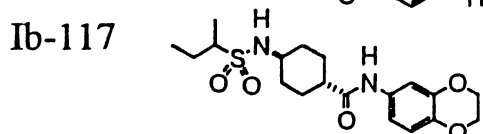
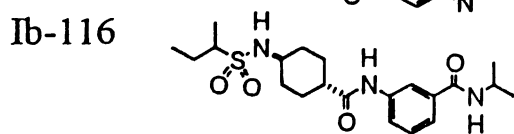
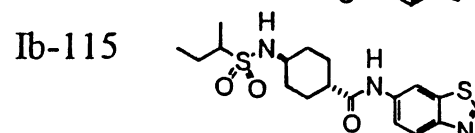
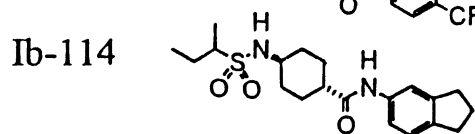
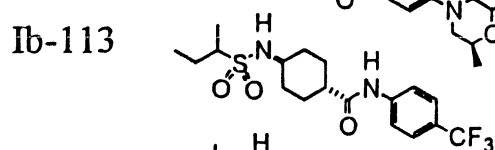
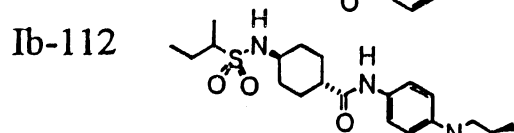
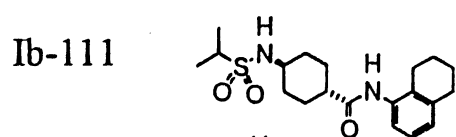


(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(100)



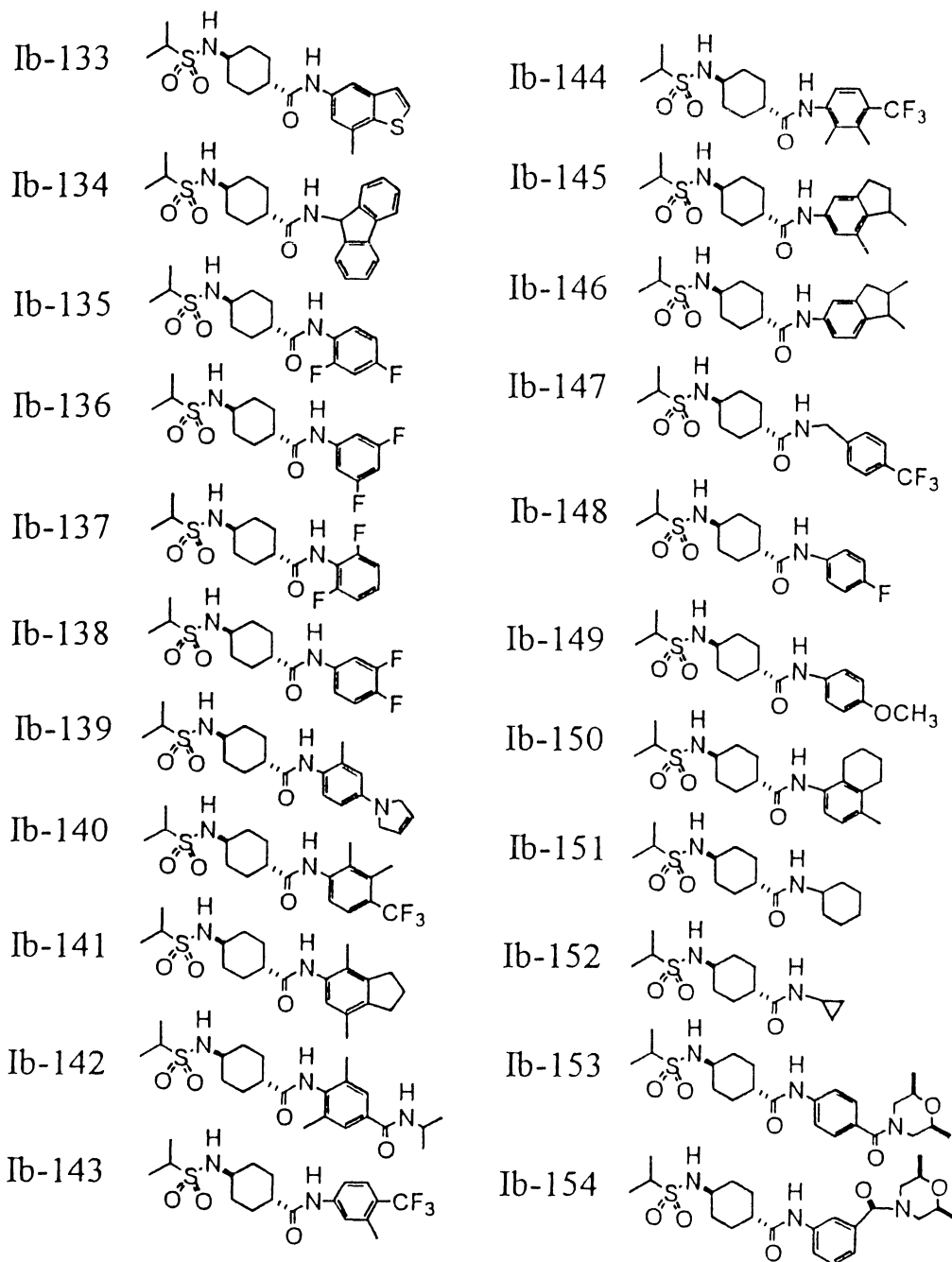
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (101)



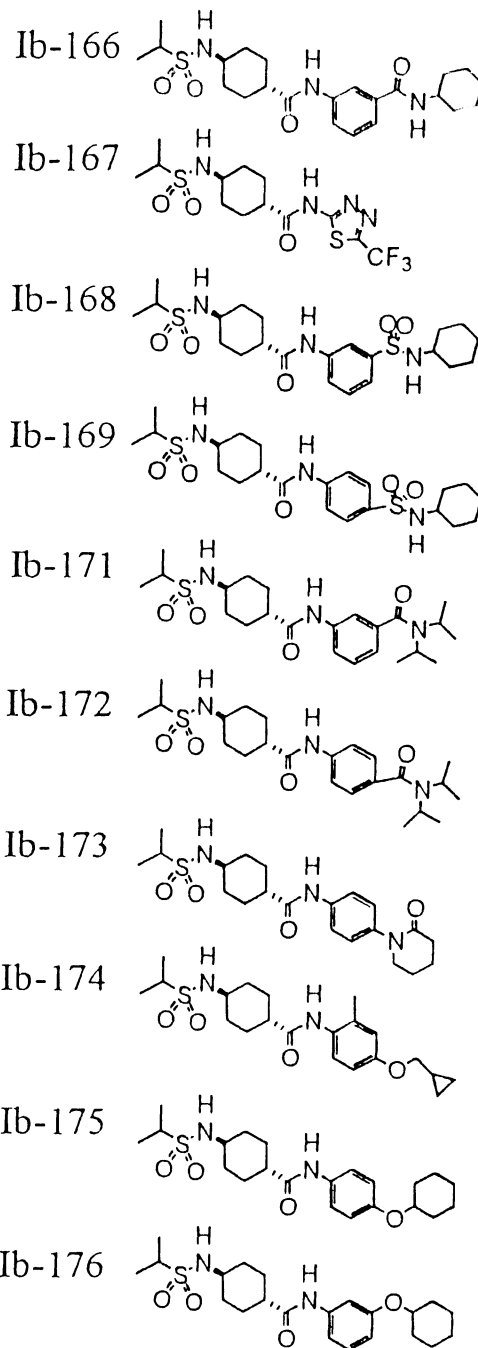
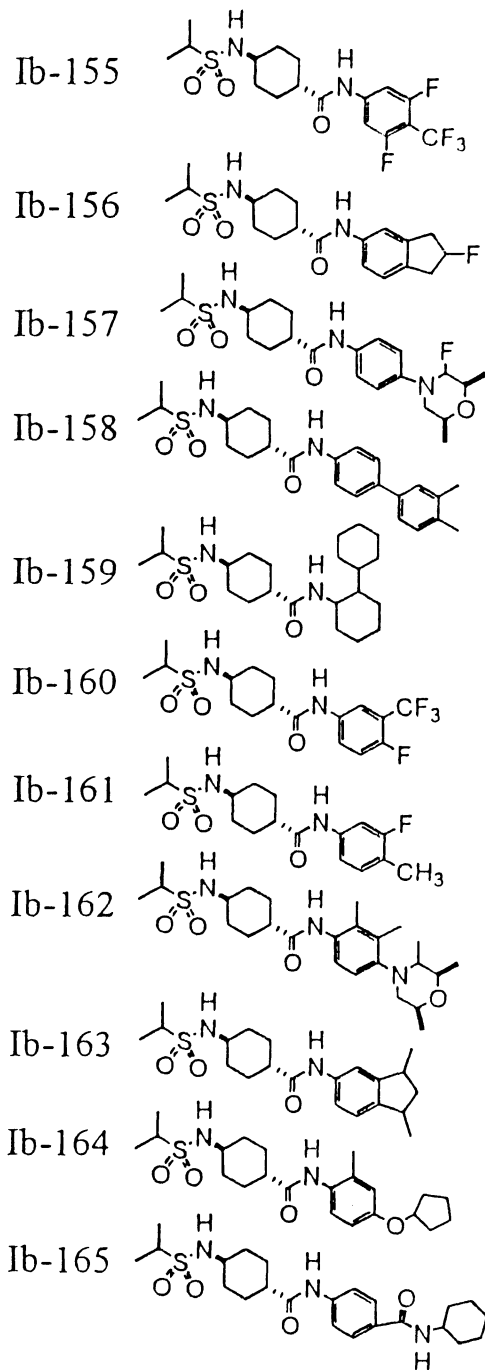
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (102)



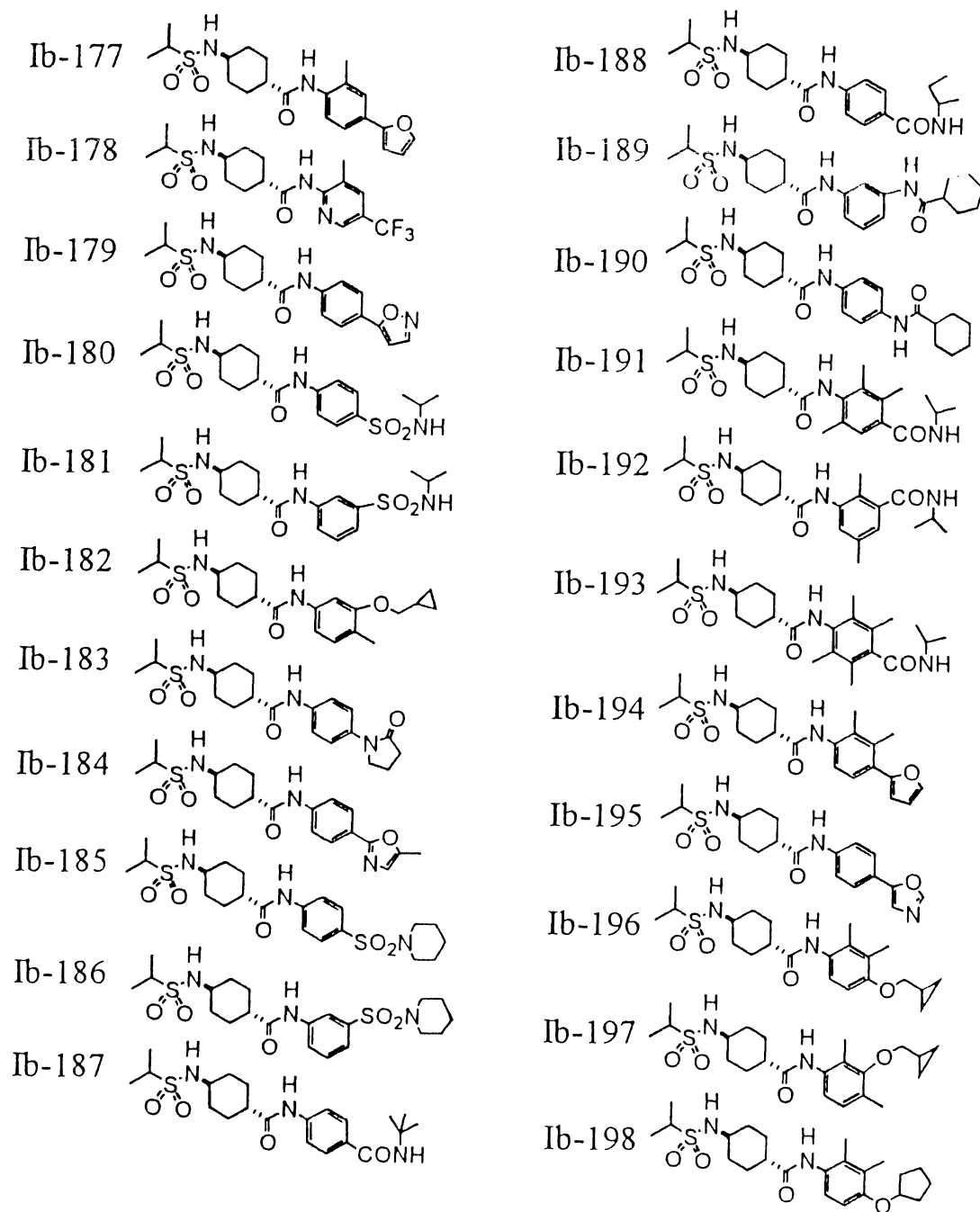
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(10)



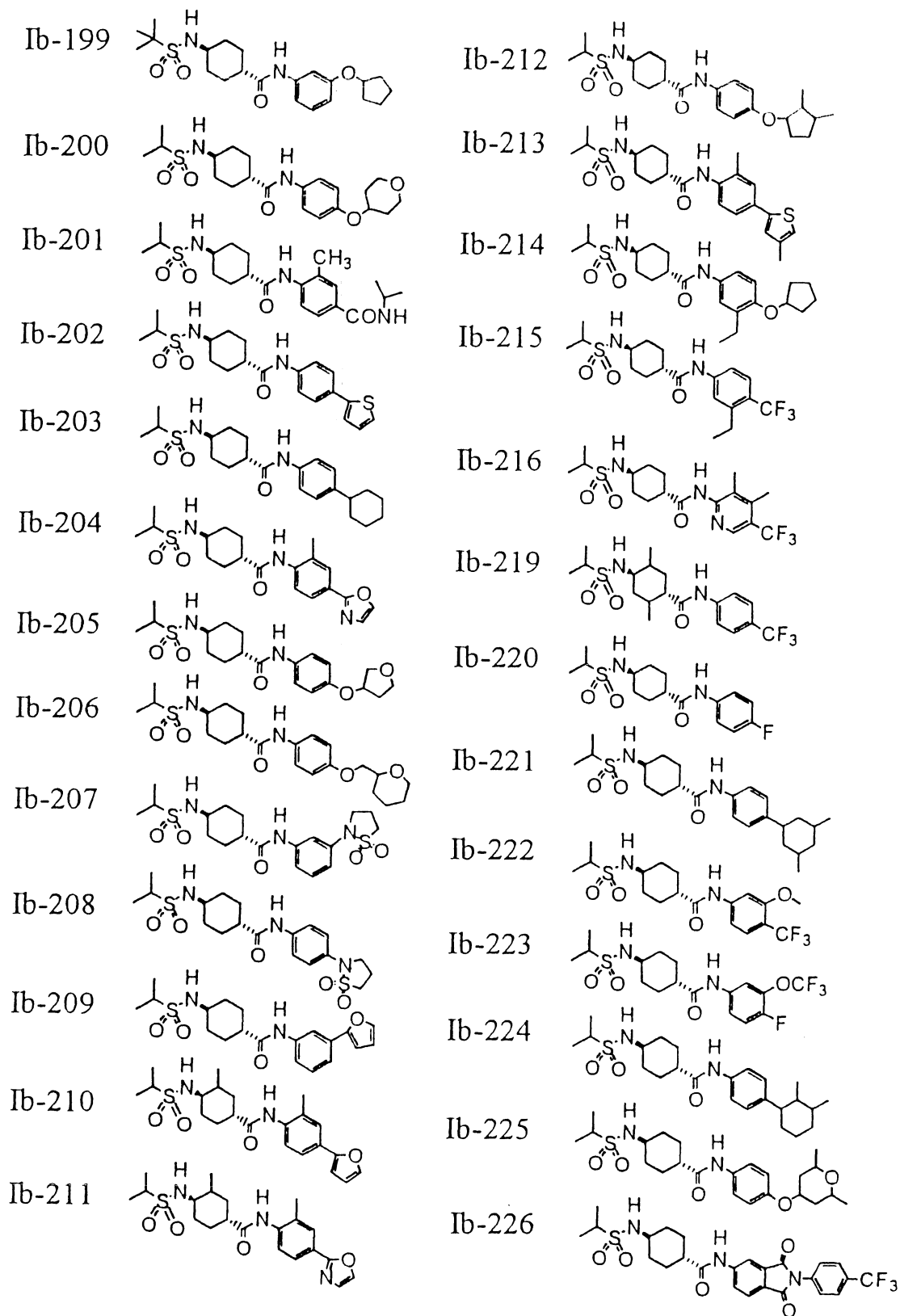
(請先閱讀背面之注意事項再填寫本頁)

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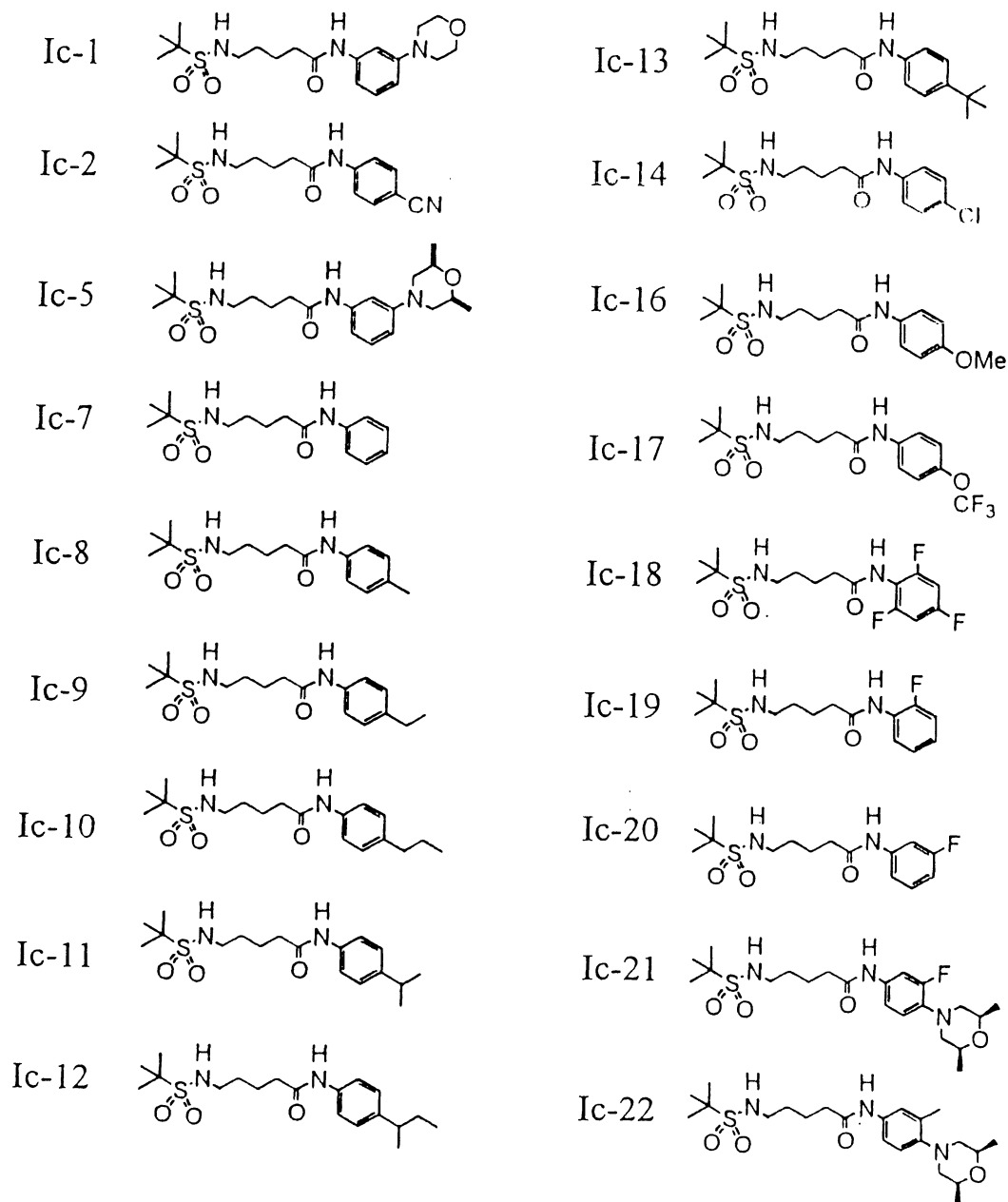
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五、發明說明(104)



五、發明說明 (105)



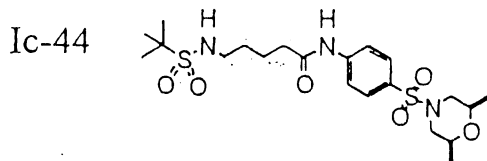
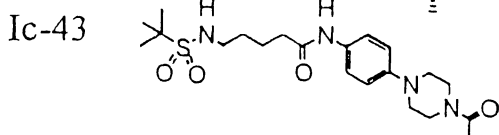
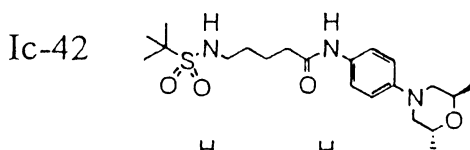
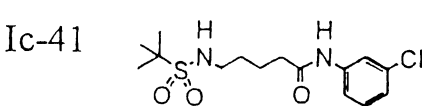
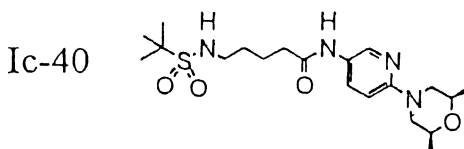
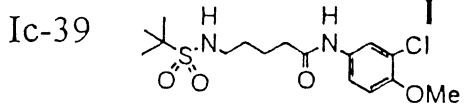
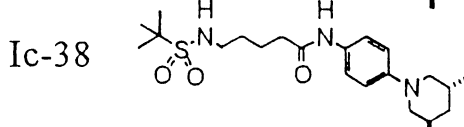
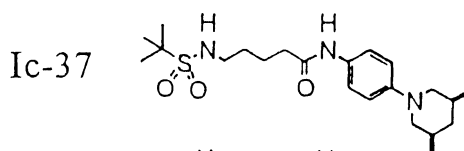
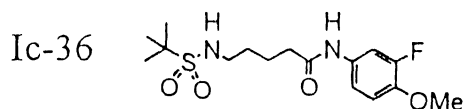
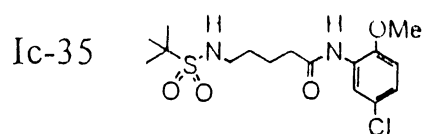
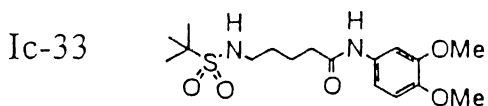
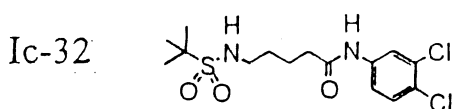
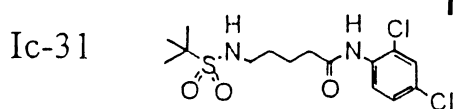
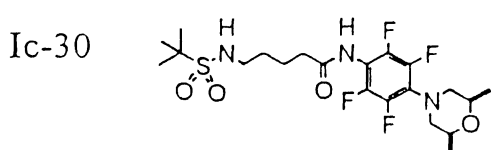
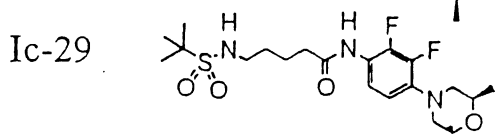
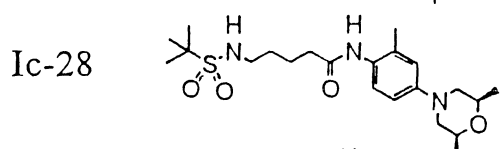
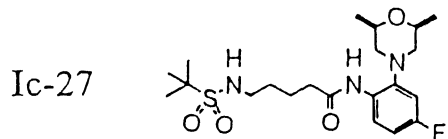
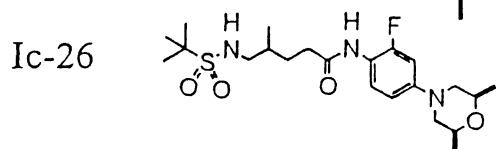
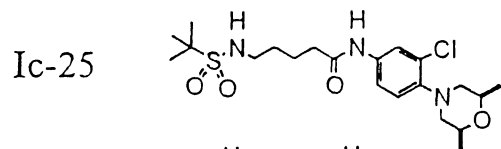
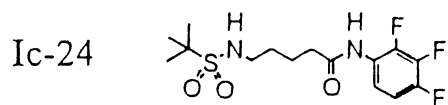
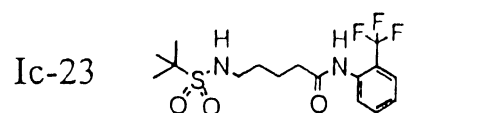
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (106)



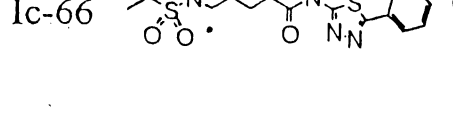
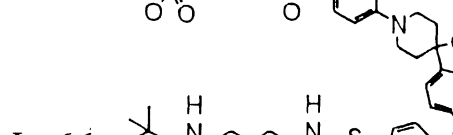
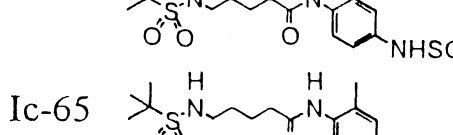
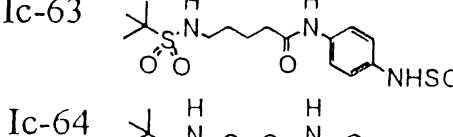
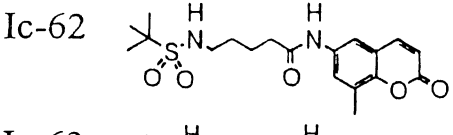
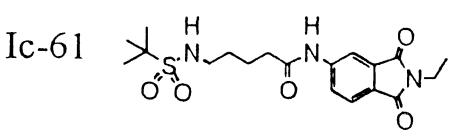
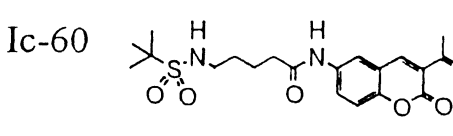
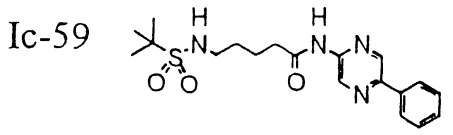
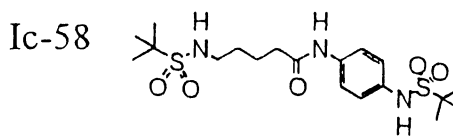
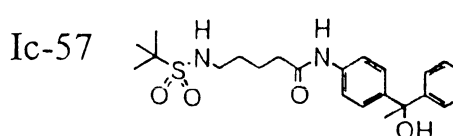
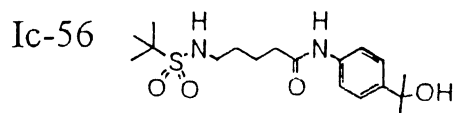
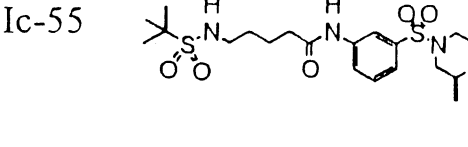
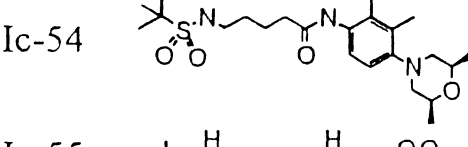
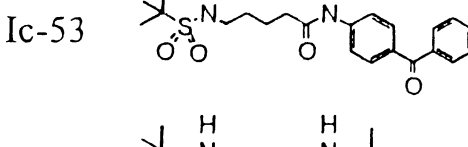
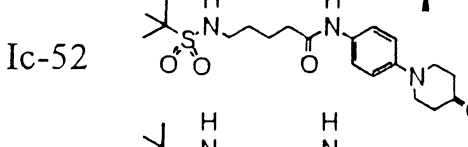
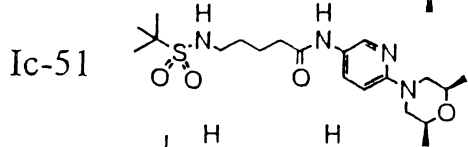
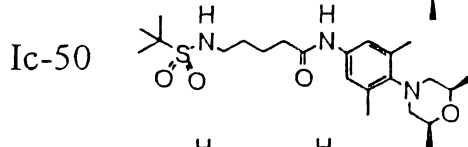
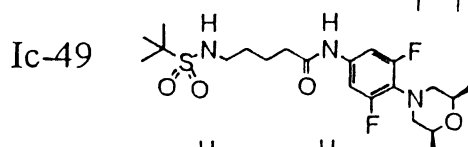
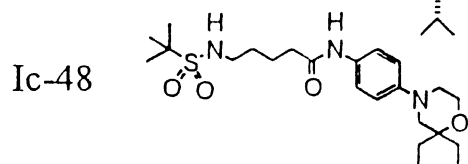
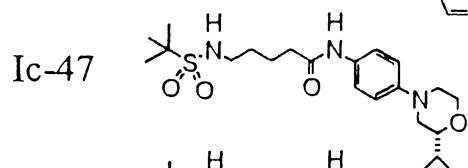
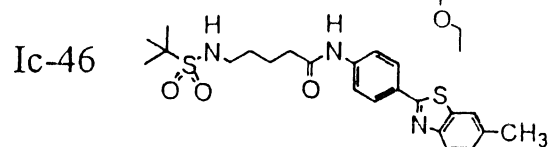
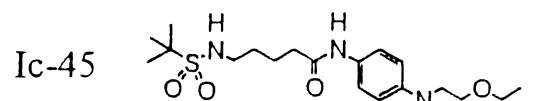
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (107)



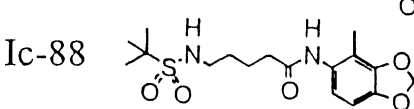
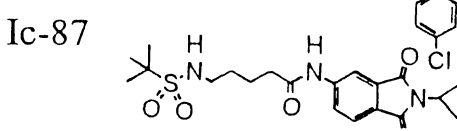
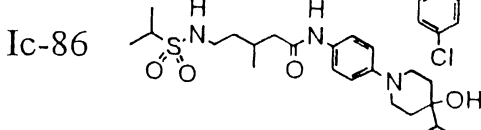
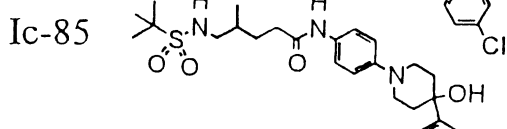
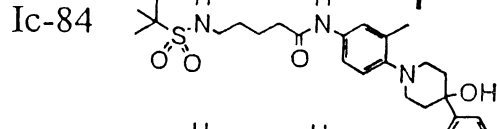
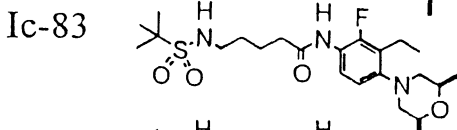
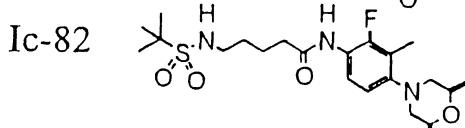
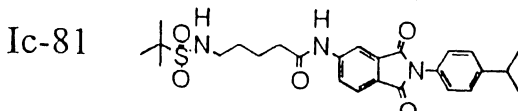
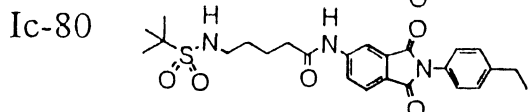
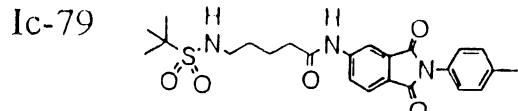
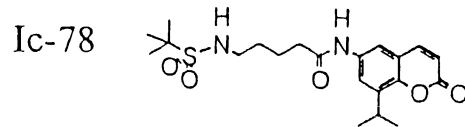
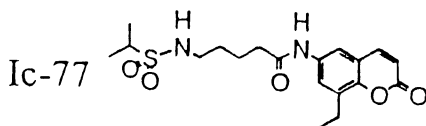
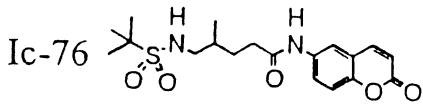
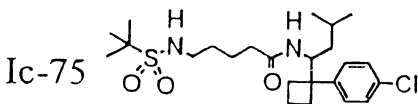
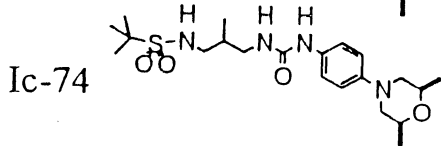
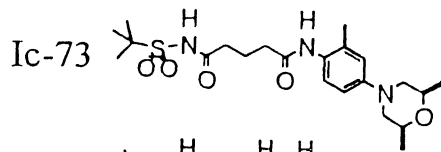
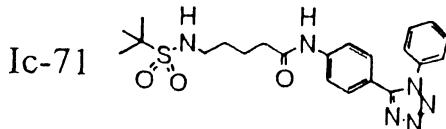
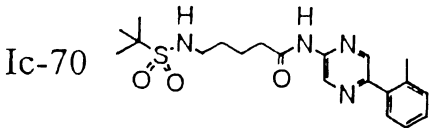
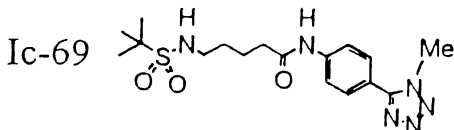
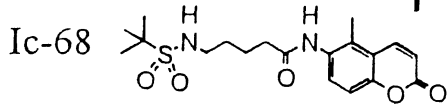
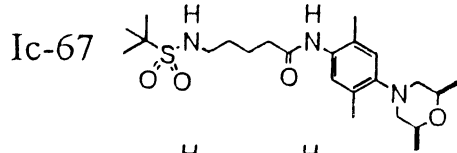
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(108)



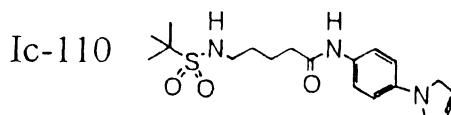
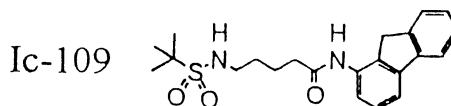
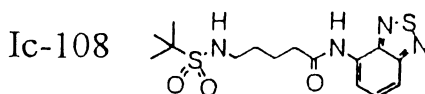
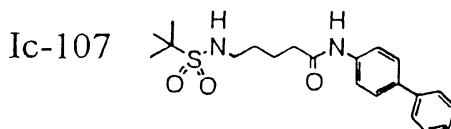
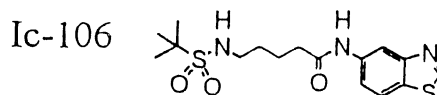
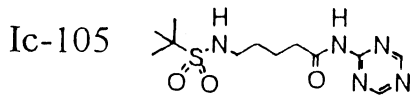
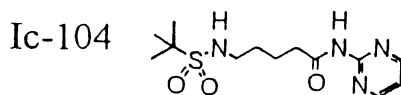
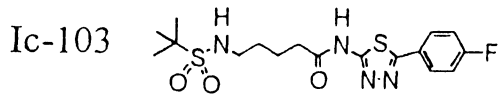
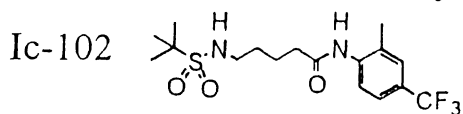
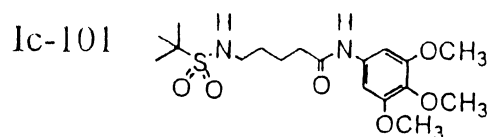
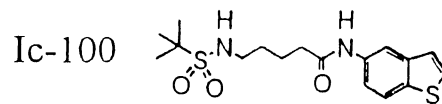
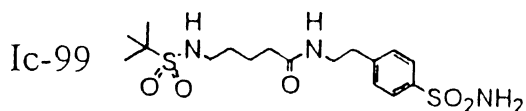
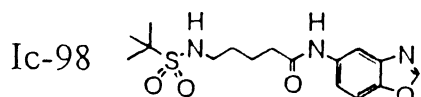
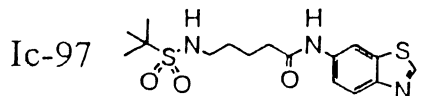
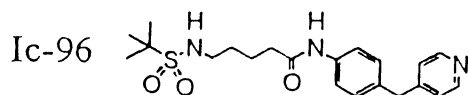
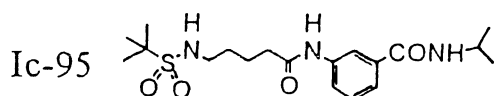
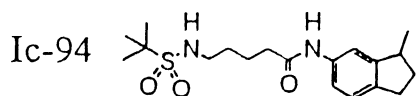
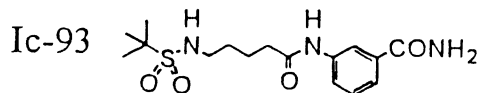
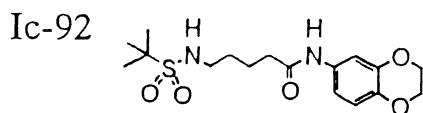
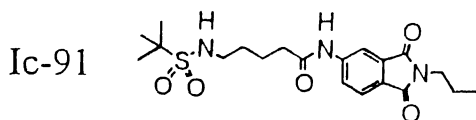
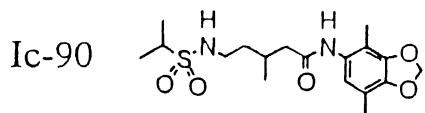
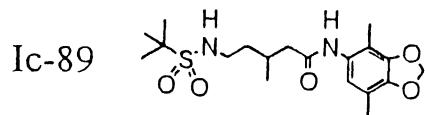
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(109)



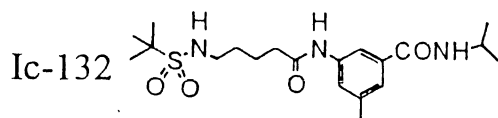
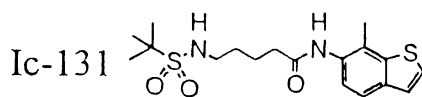
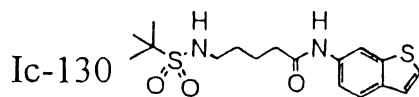
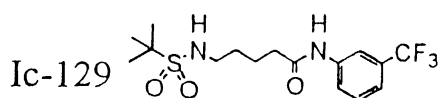
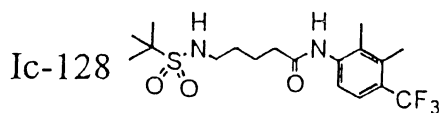
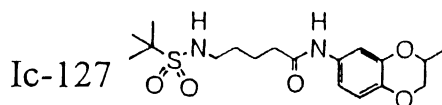
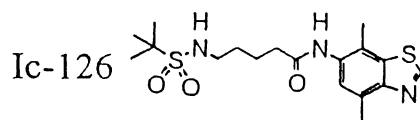
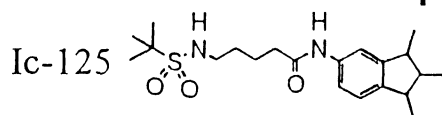
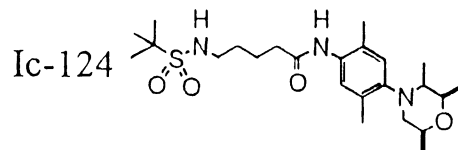
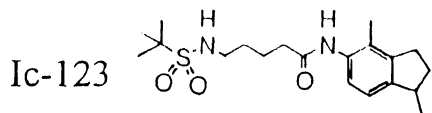
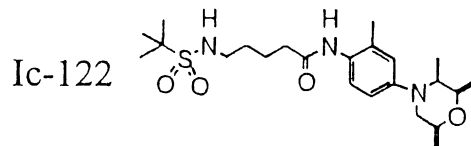
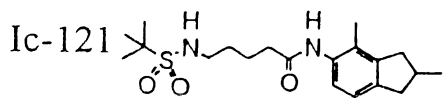
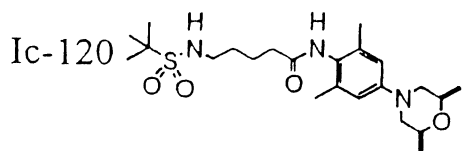
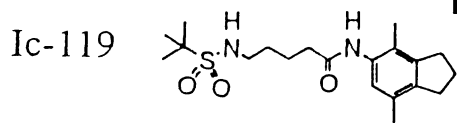
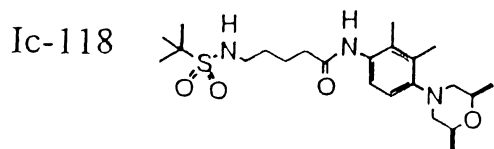
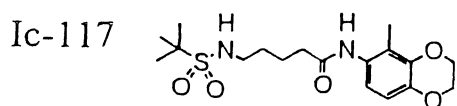
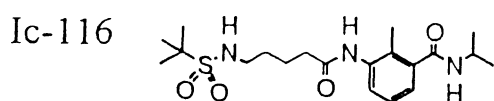
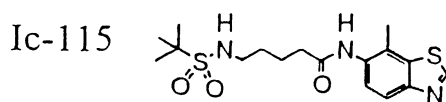
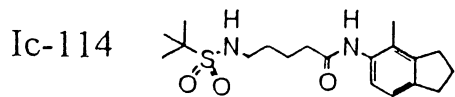
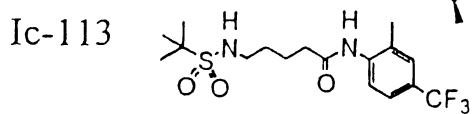
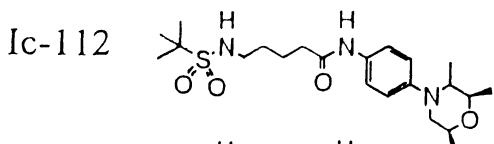
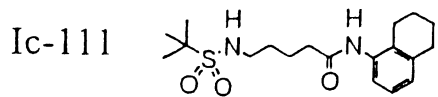
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(110)



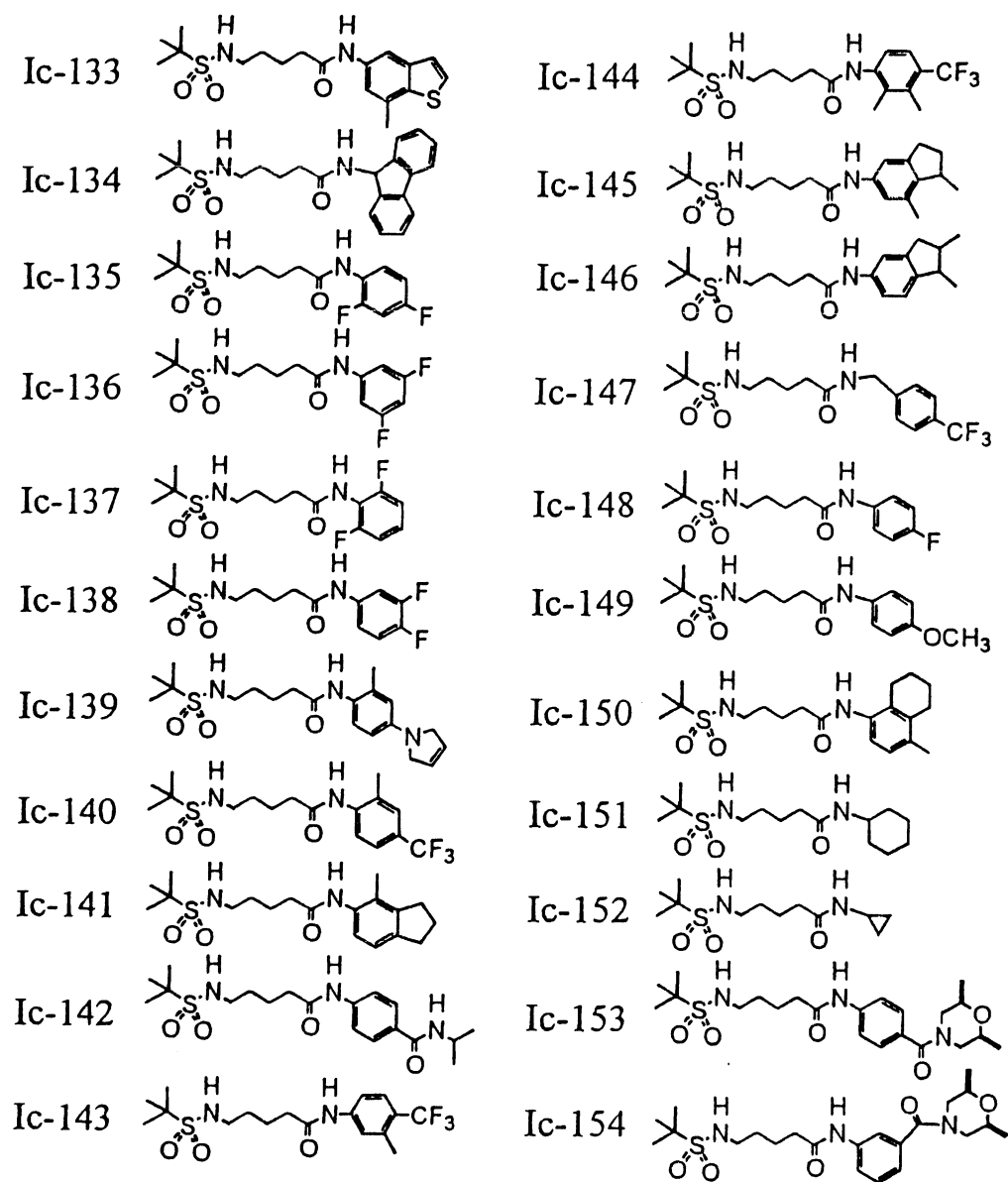
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (' ' ')



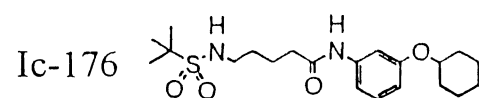
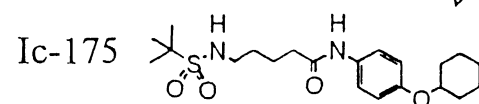
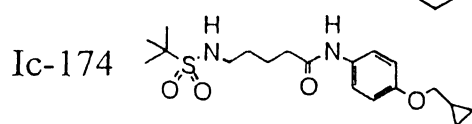
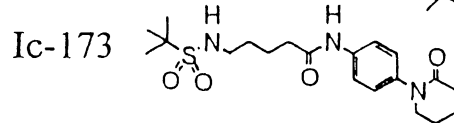
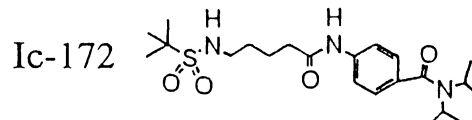
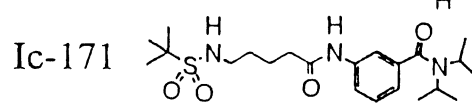
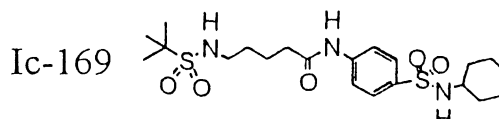
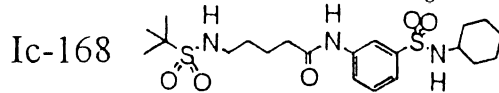
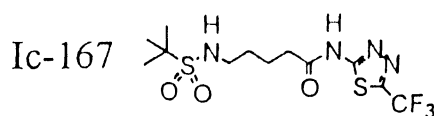
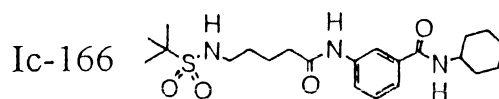
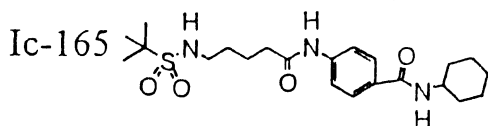
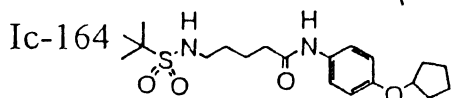
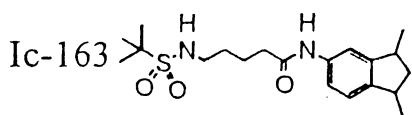
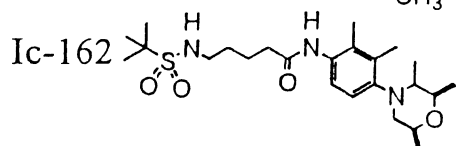
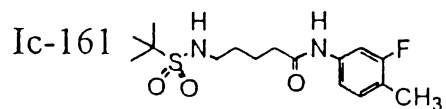
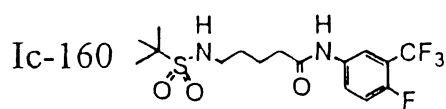
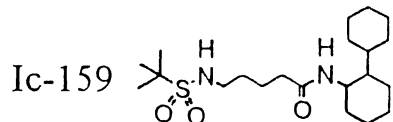
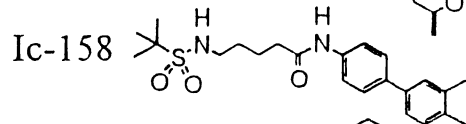
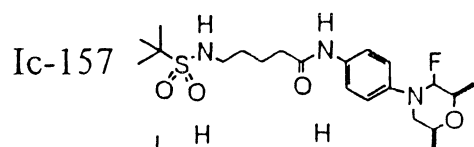
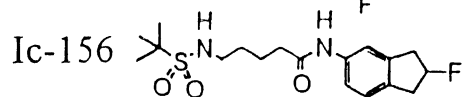
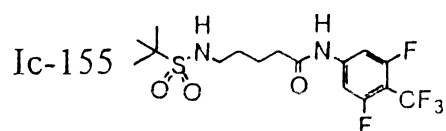
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (112)



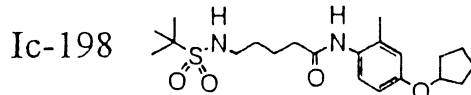
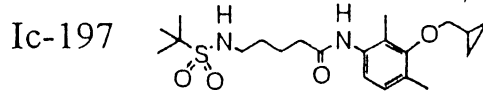
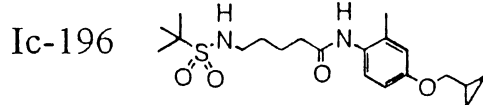
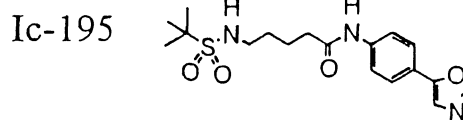
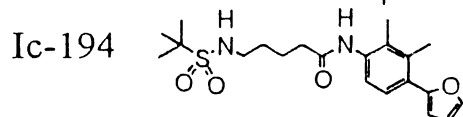
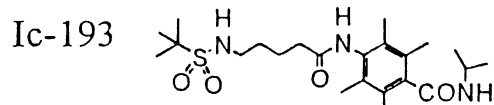
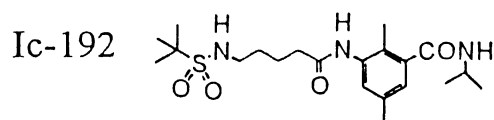
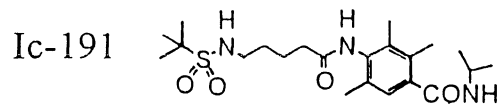
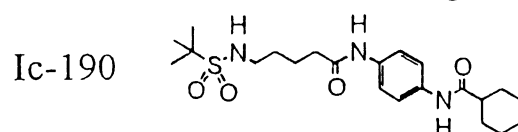
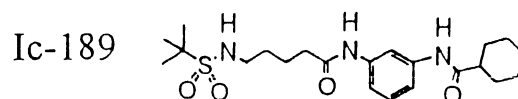
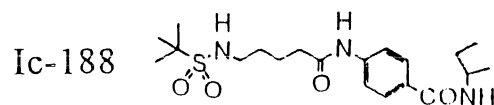
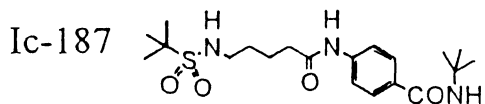
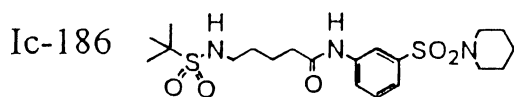
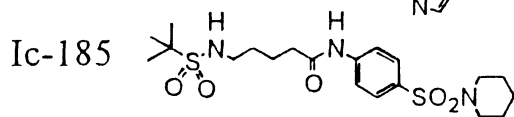
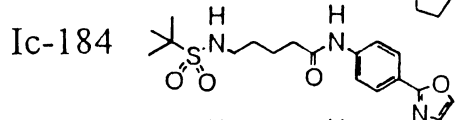
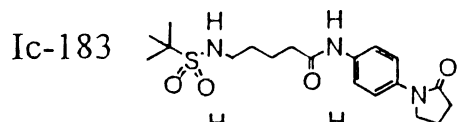
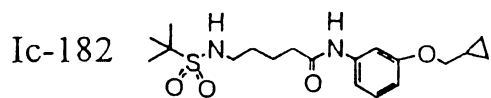
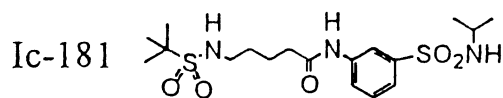
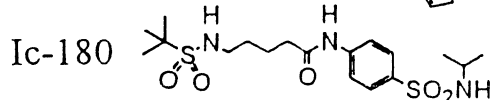
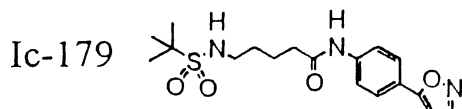
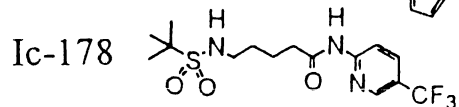
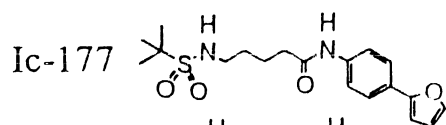
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(113)



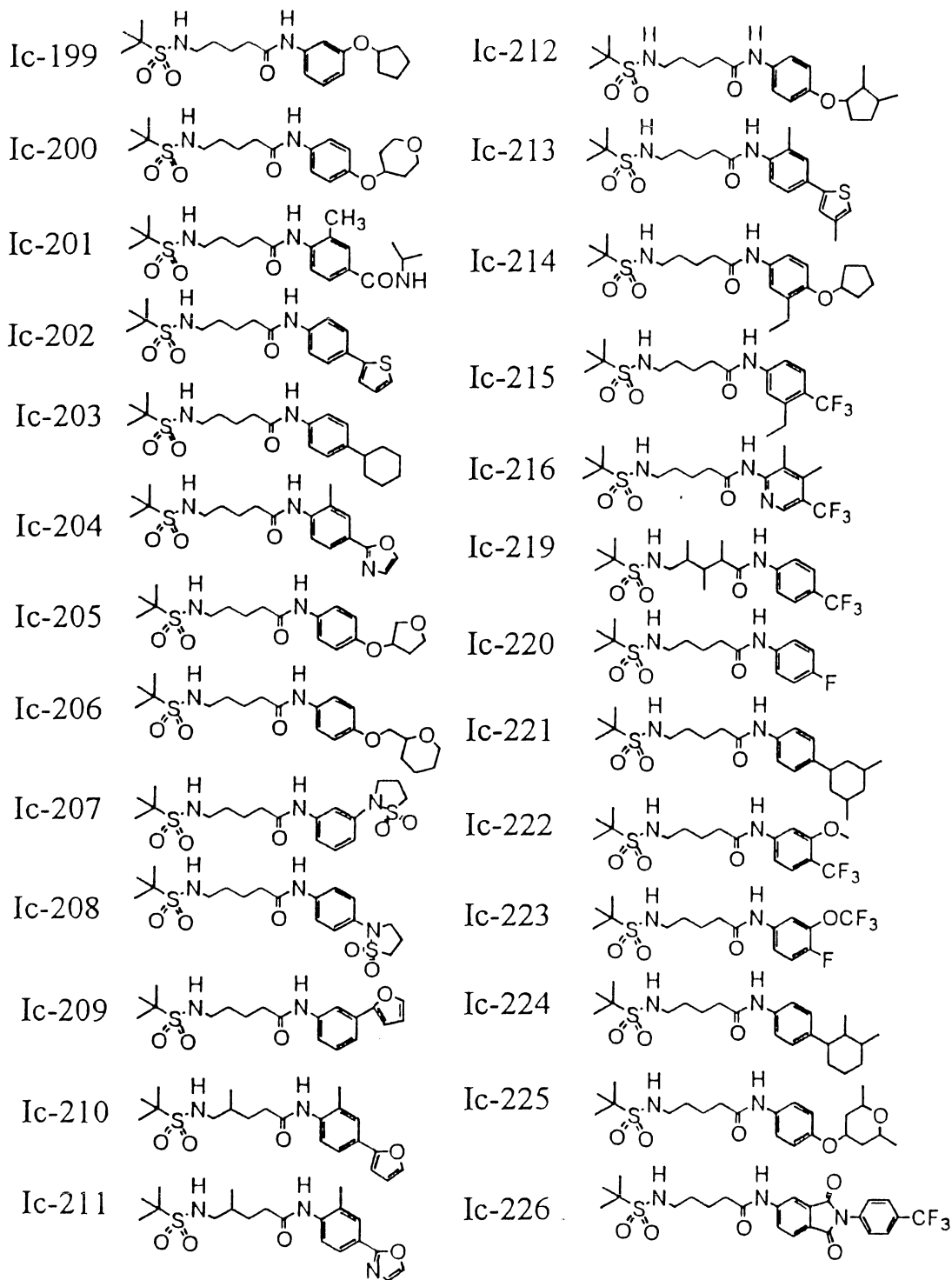
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(114)



(請先閱讀背面之注意事項再填寫本頁)

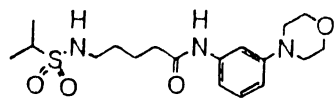
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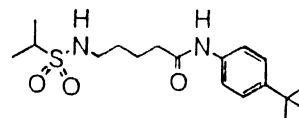
編

五、發明說明 (115)

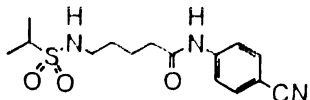
Id-1



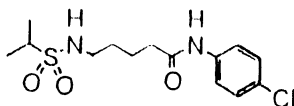
Id-13



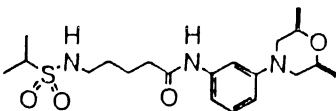
Id-2



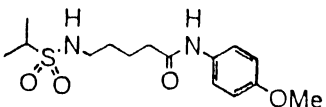
Id-14



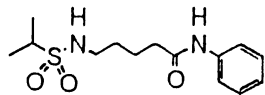
Id-4



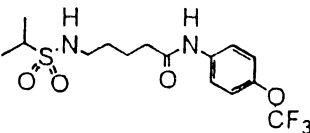
Id-16



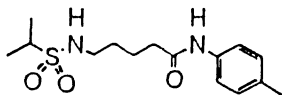
Id-7



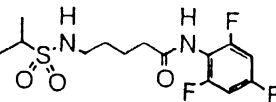
Id-17



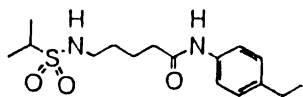
Id-8



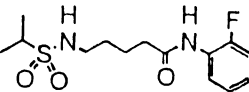
Id-18



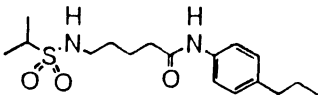
Id-9



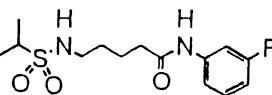
Id-19



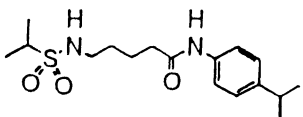
Id-10



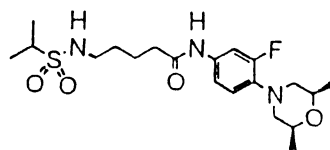
Id-20



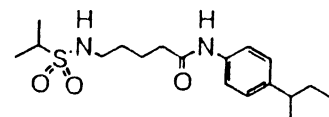
Id-11



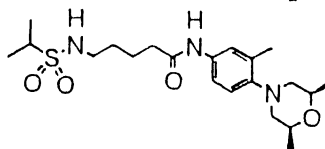
Id-21



Id-12



Id-22



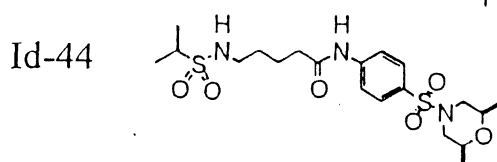
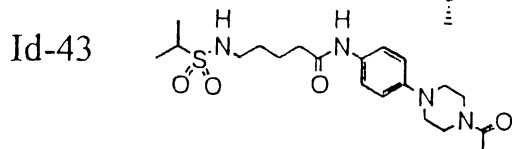
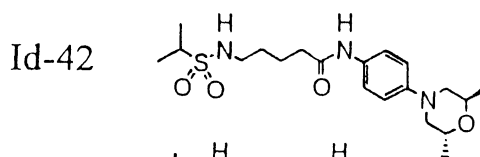
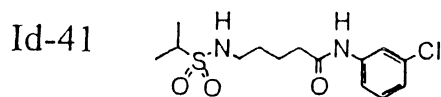
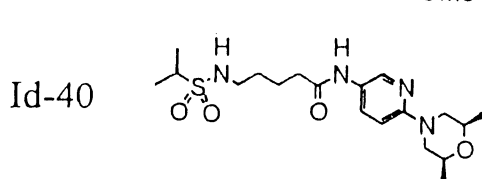
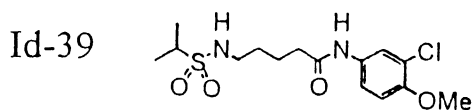
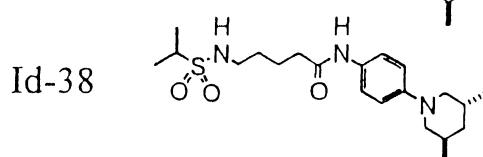
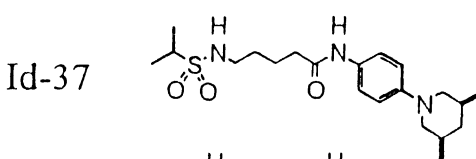
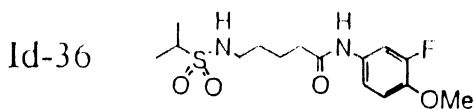
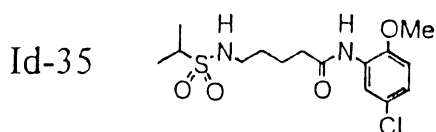
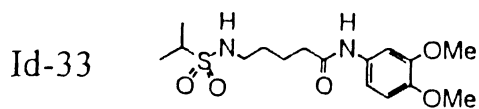
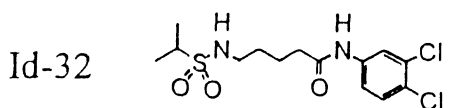
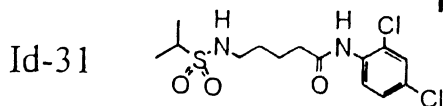
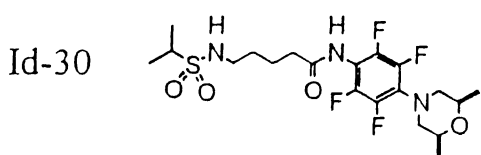
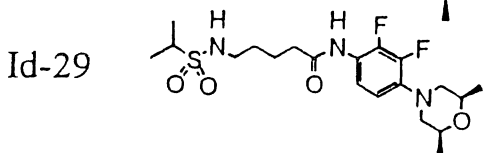
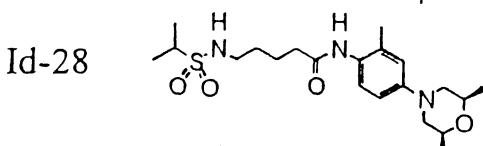
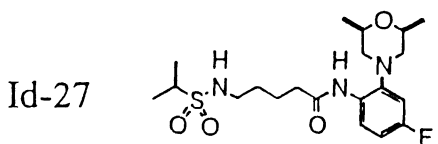
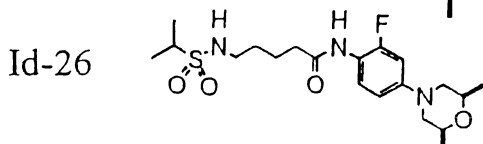
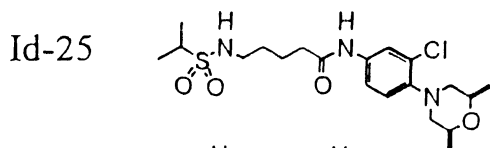
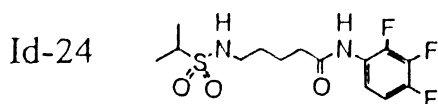
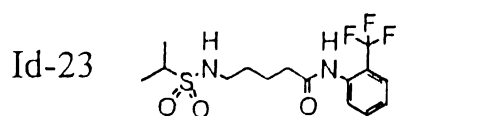
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (11b)



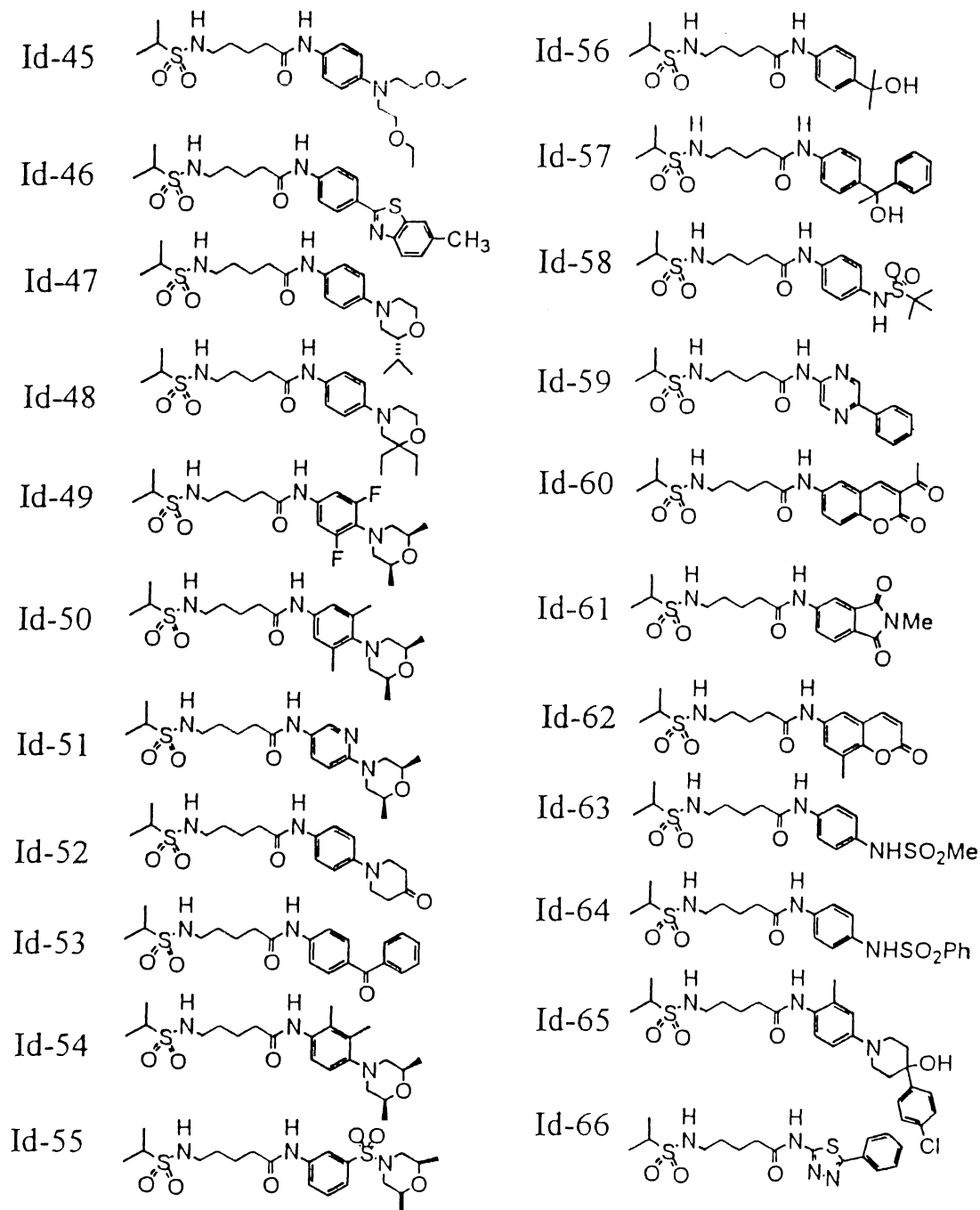
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(117)



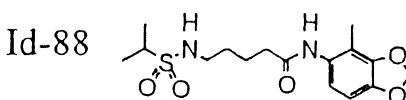
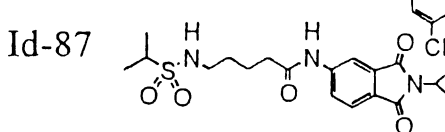
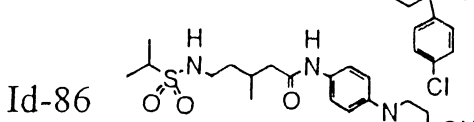
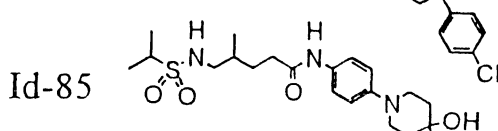
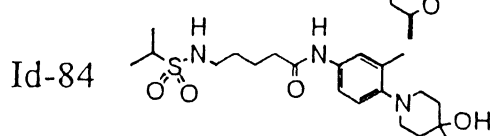
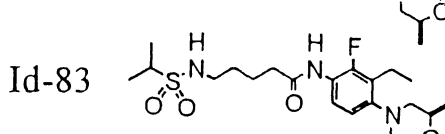
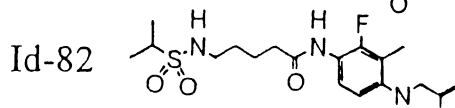
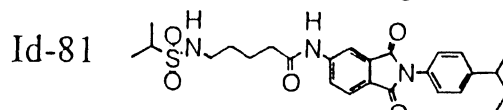
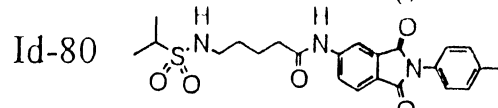
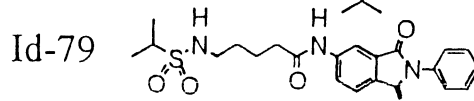
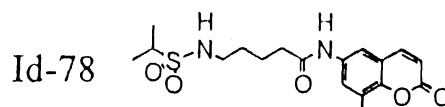
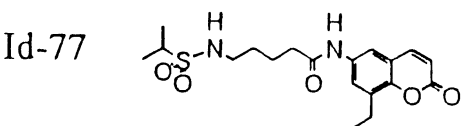
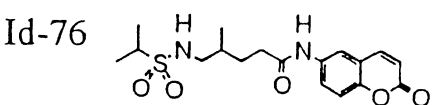
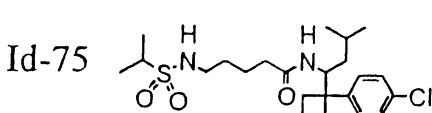
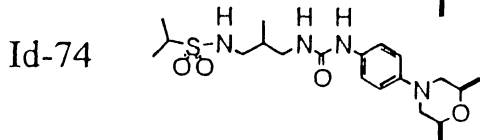
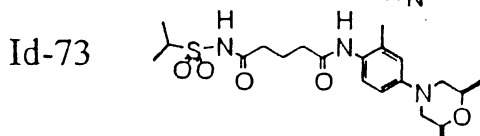
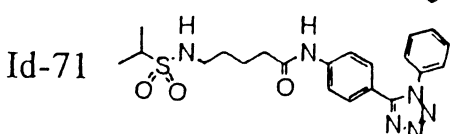
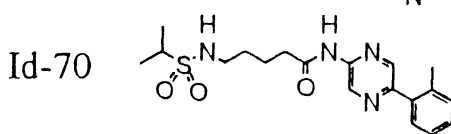
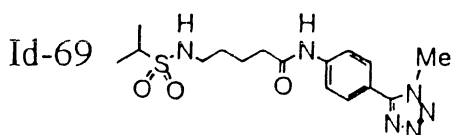
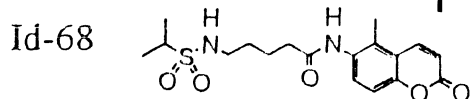
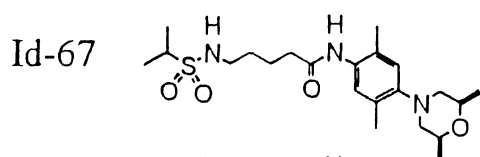
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (118)



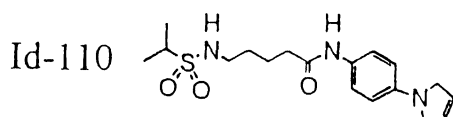
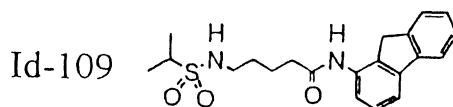
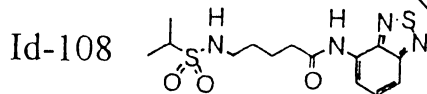
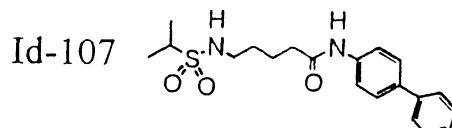
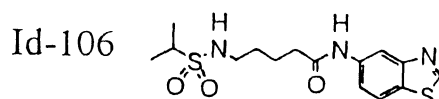
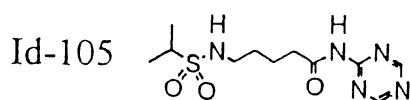
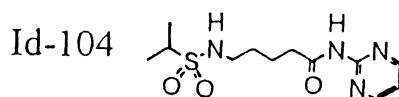
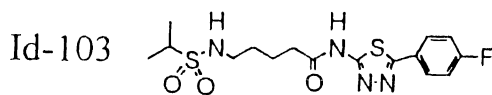
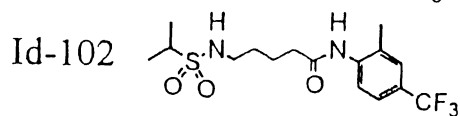
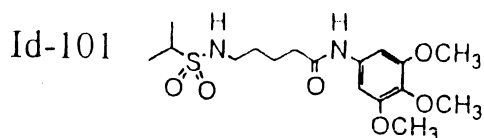
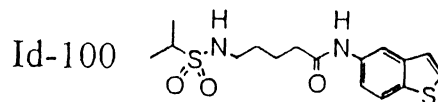
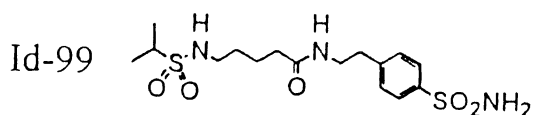
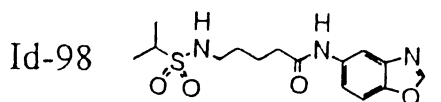
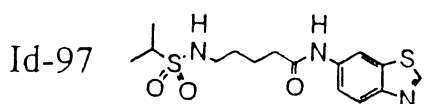
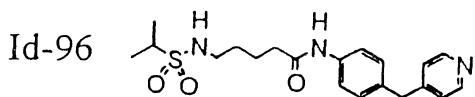
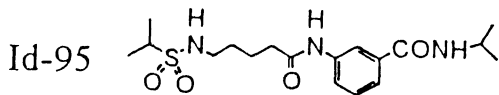
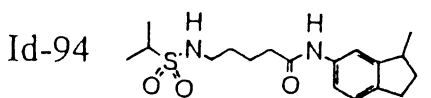
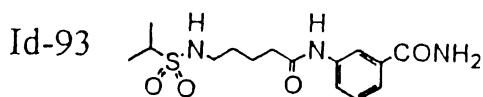
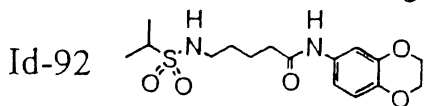
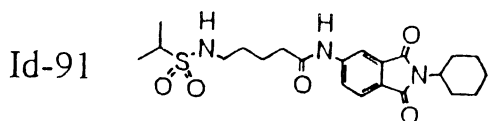
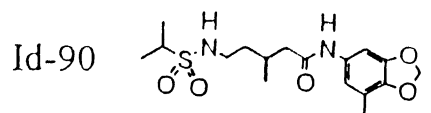
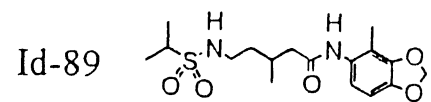
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (119)



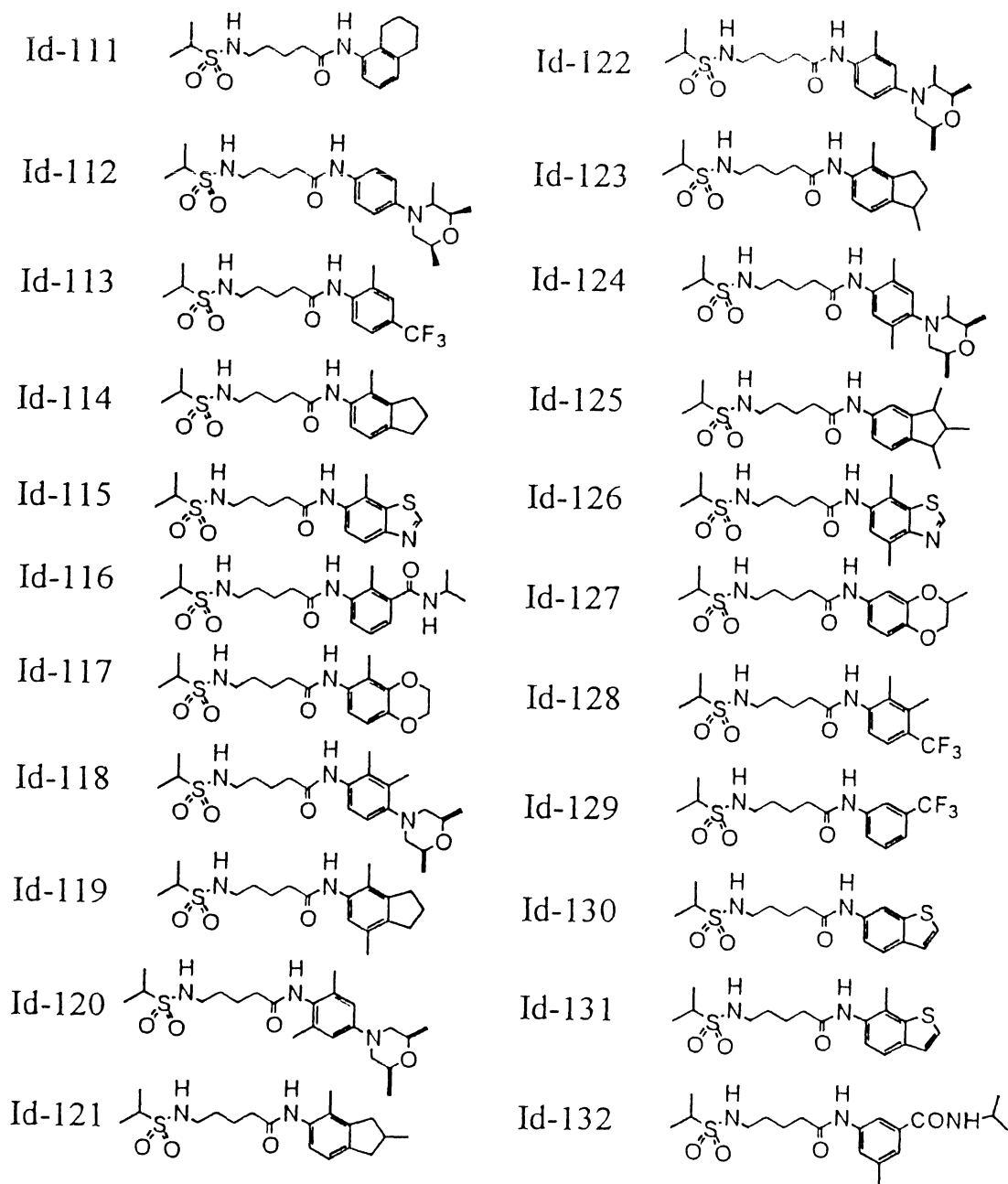
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (120)



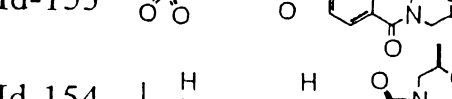
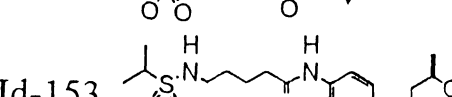
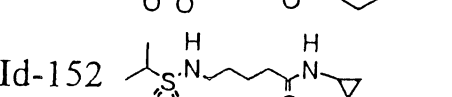
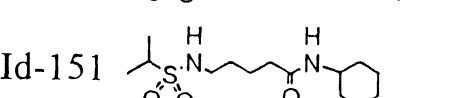
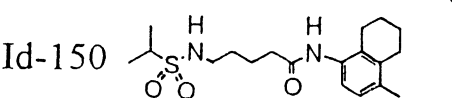
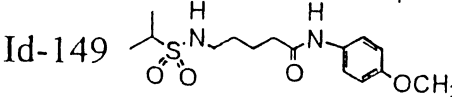
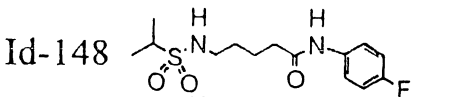
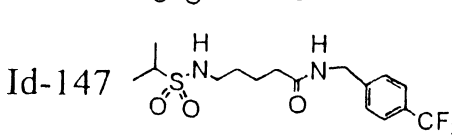
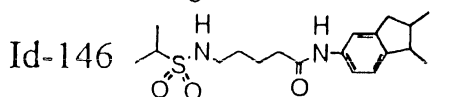
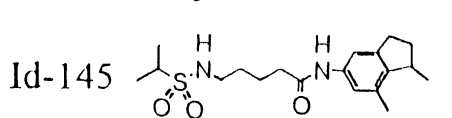
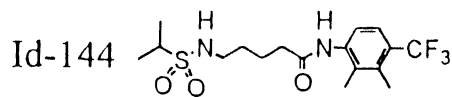
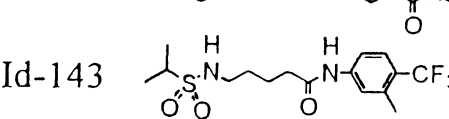
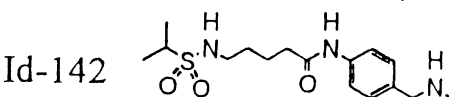
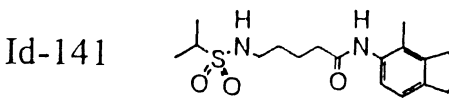
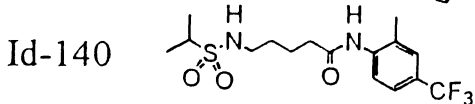
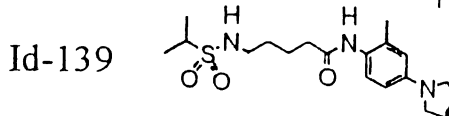
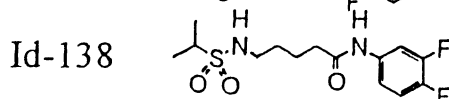
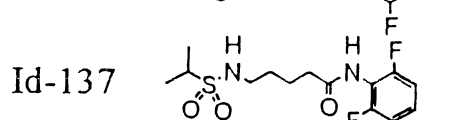
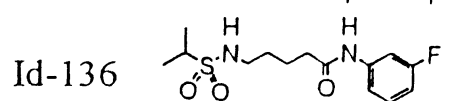
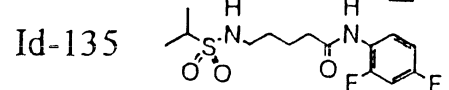
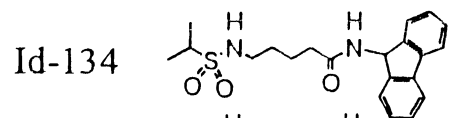
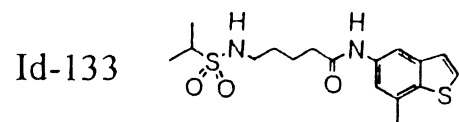
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (121)



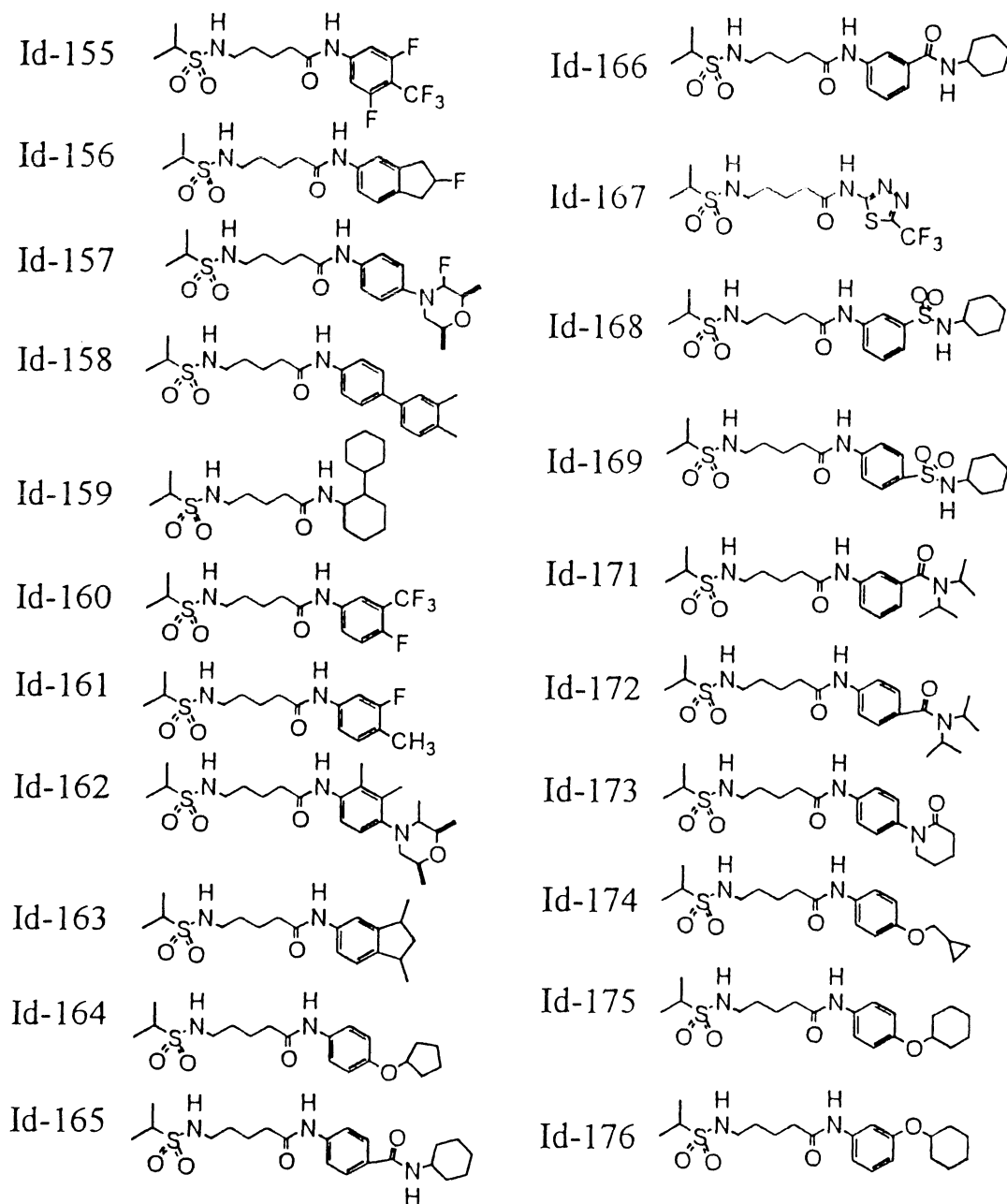
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (122)



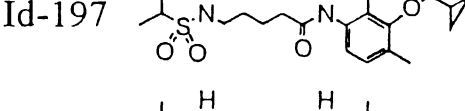
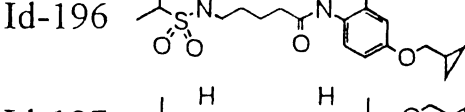
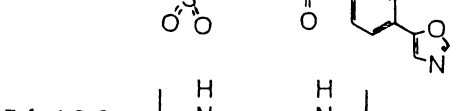
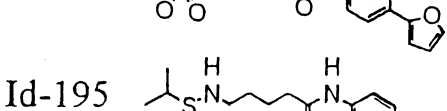
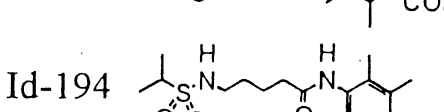
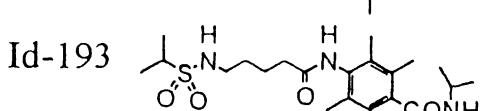
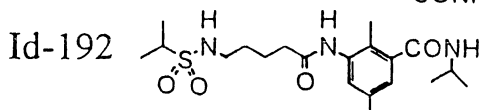
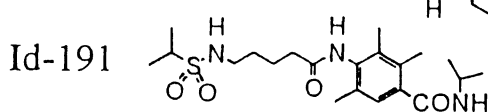
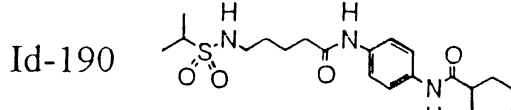
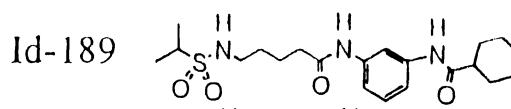
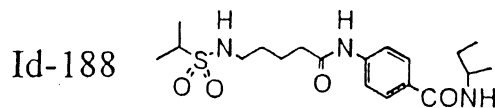
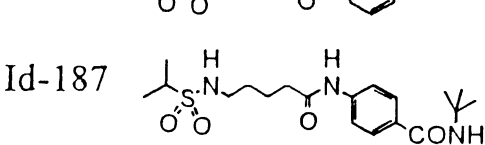
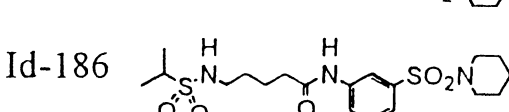
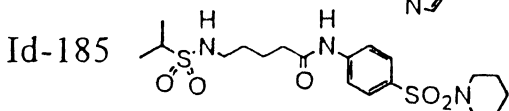
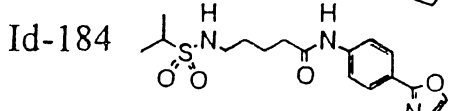
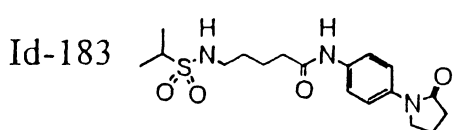
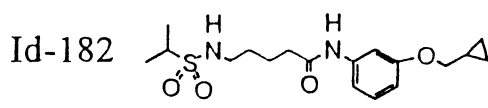
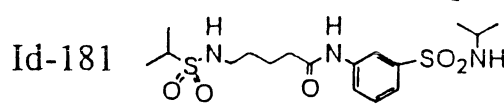
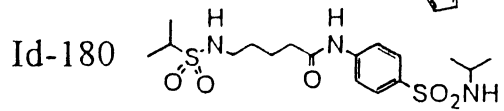
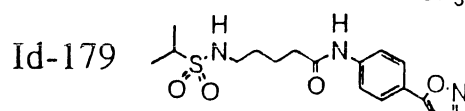
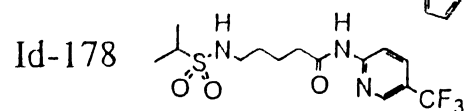
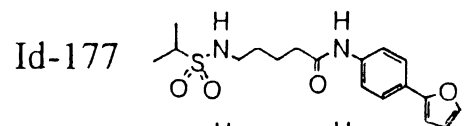
(請先閱讀背面之注意事項再填寫本頁)

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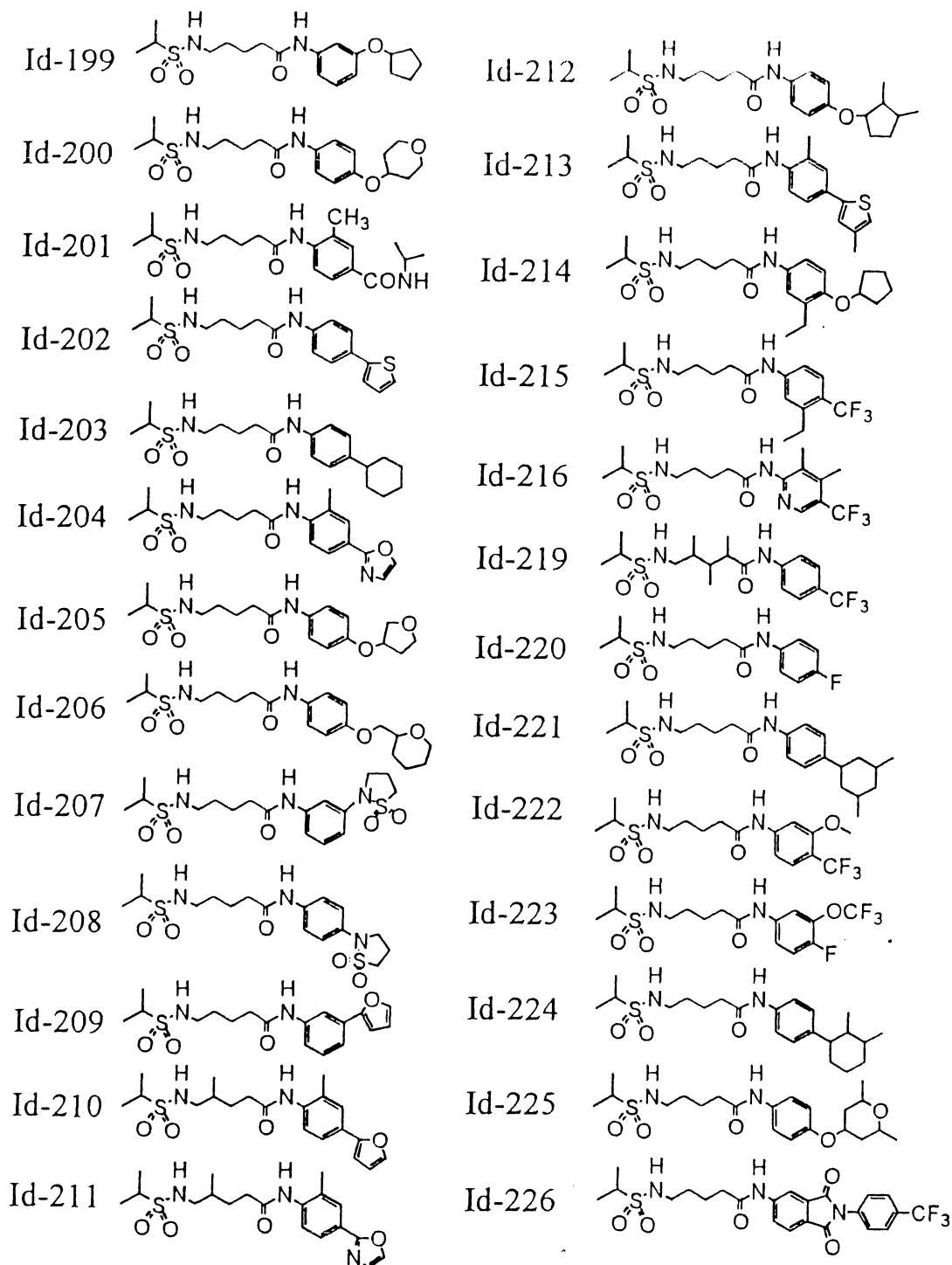
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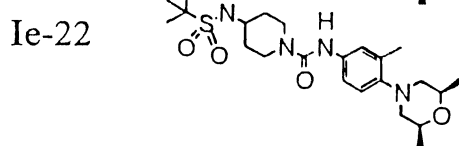
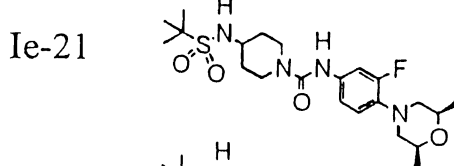
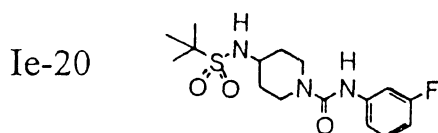
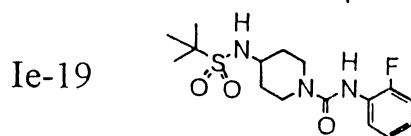
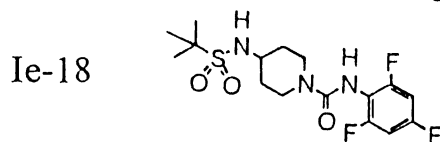
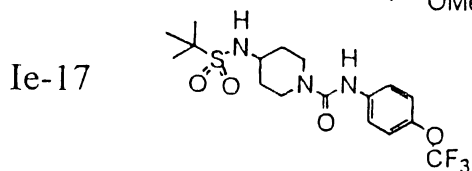
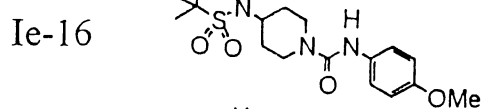
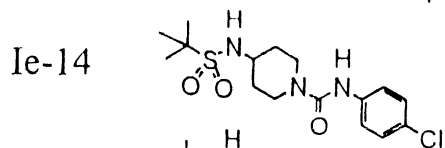
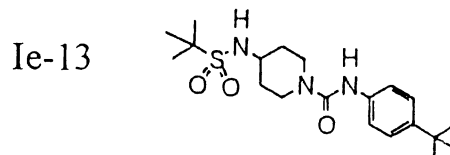
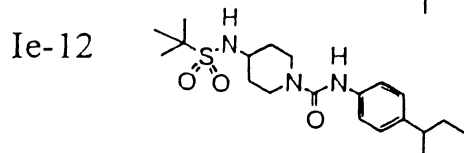
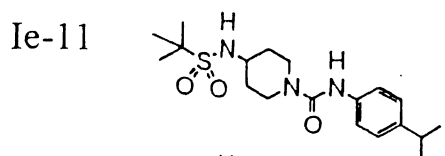
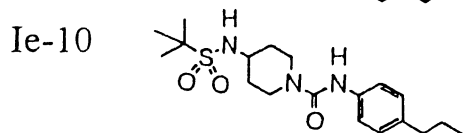
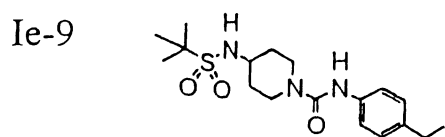
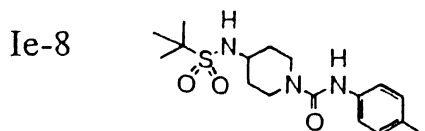
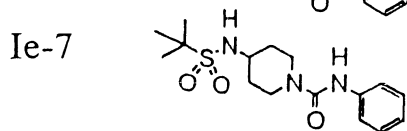
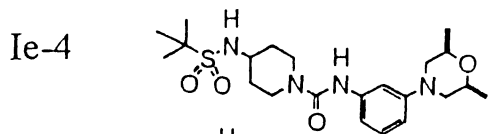
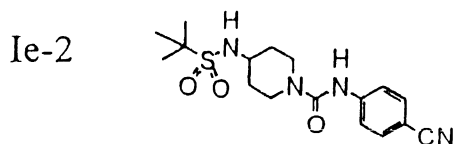
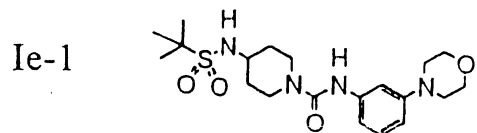
五、發明說明 (12)



五、發明說明 (124)



五、發明說明(125)



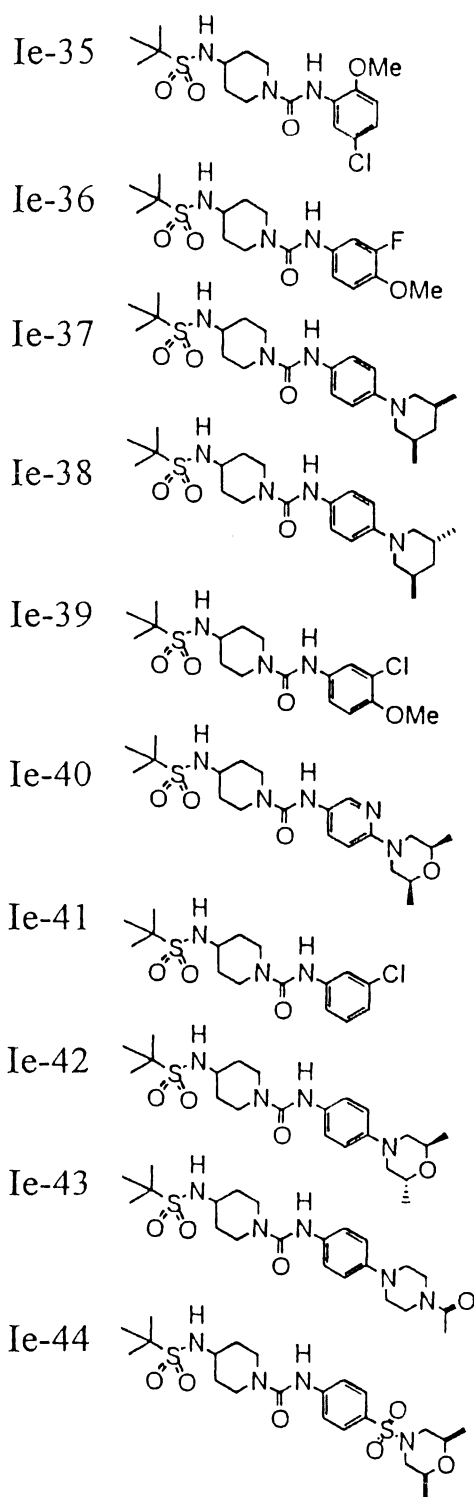
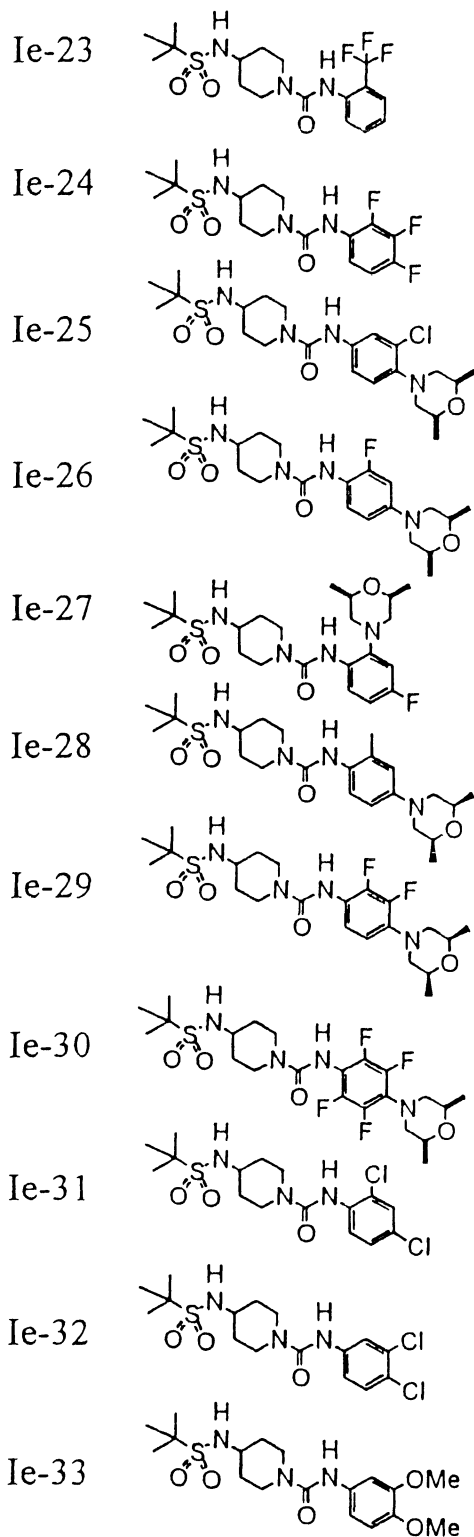
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (1>b)



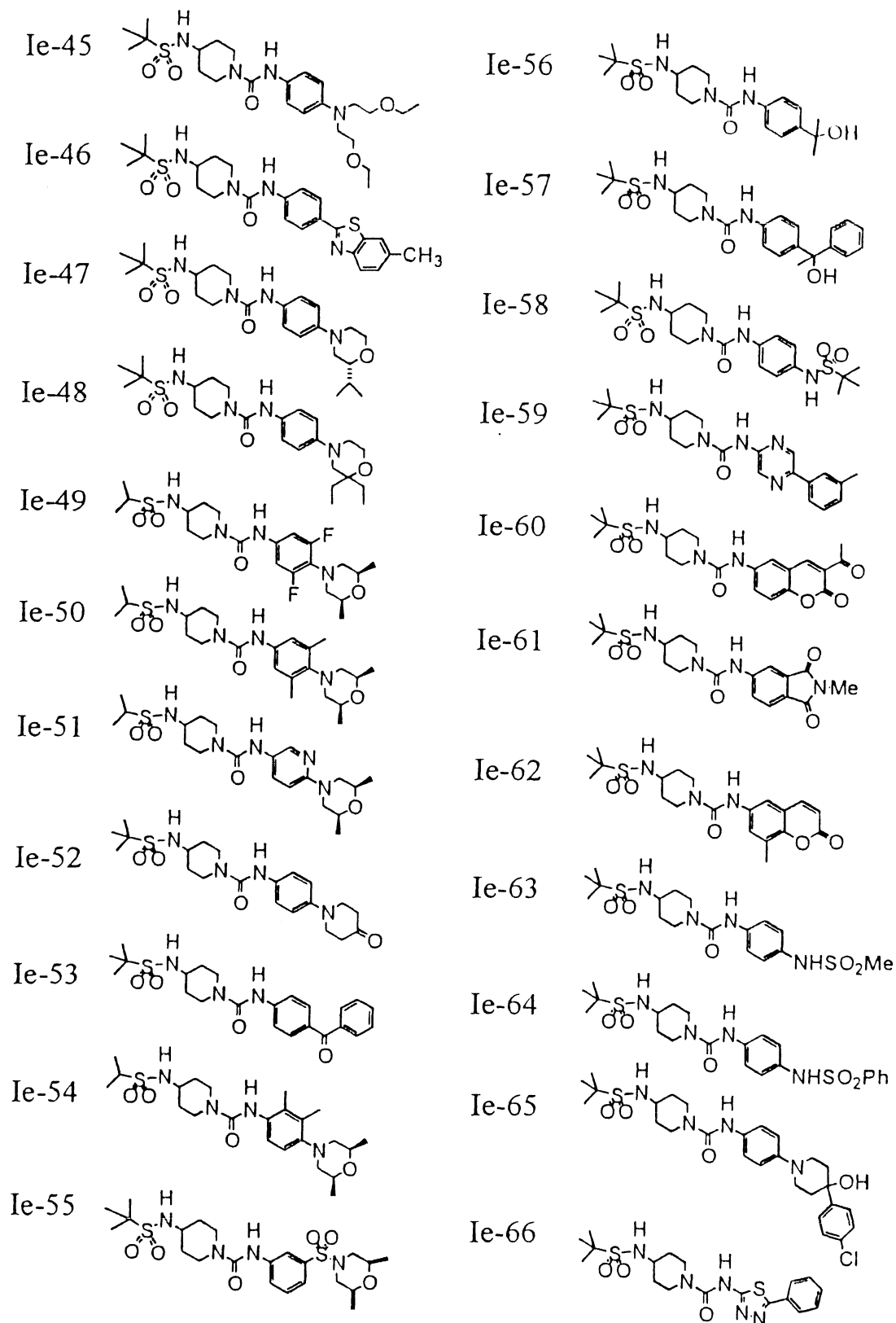
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (127)



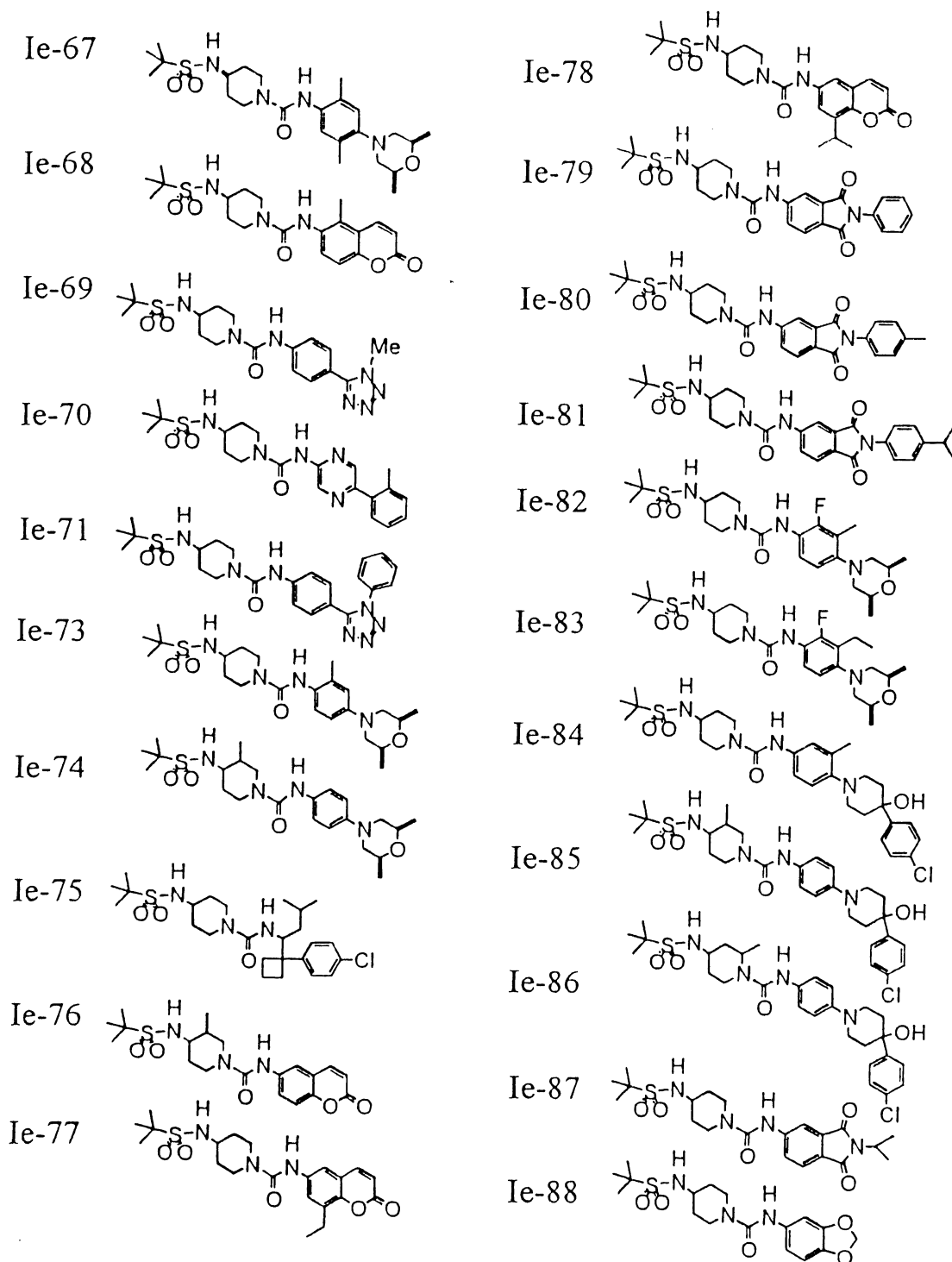
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (128)



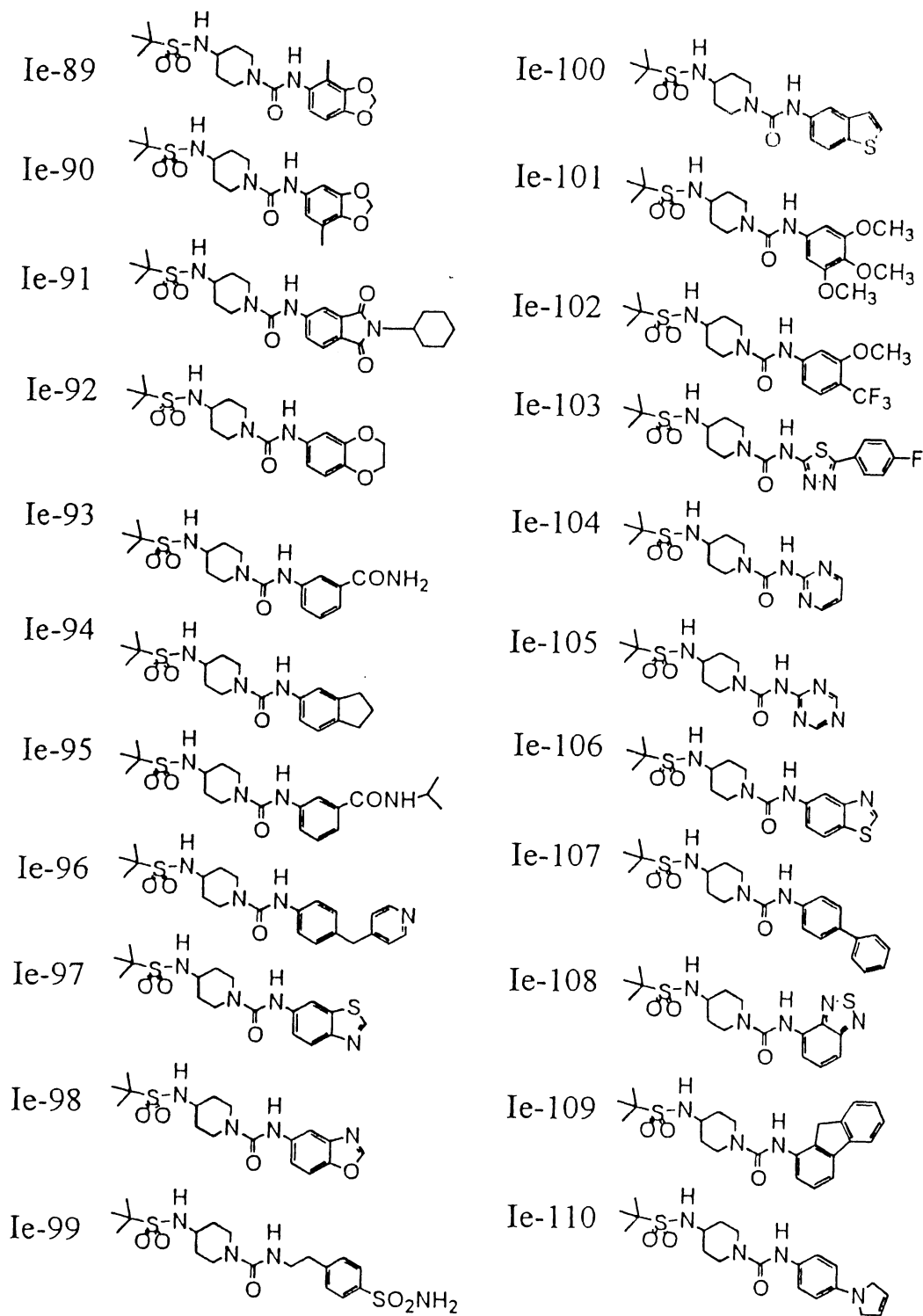
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(129)



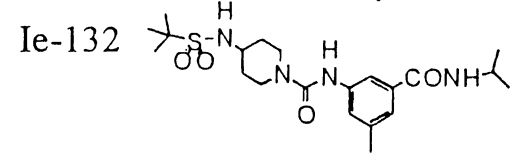
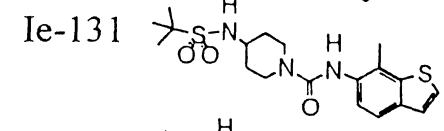
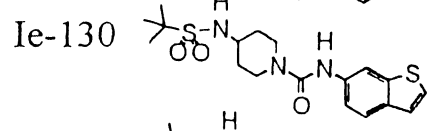
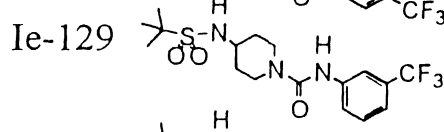
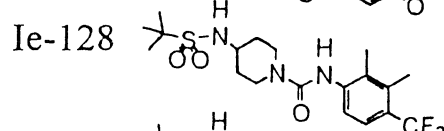
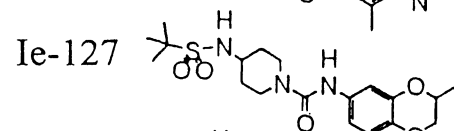
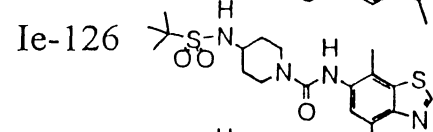
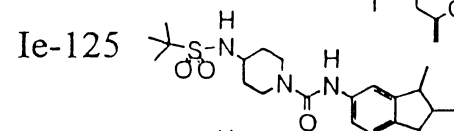
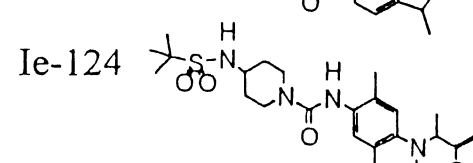
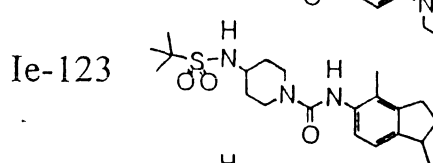
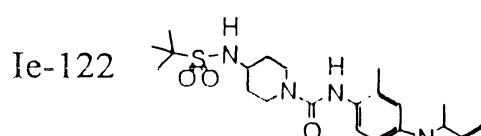
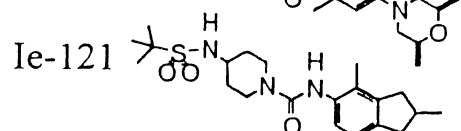
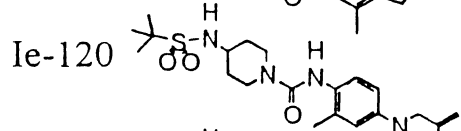
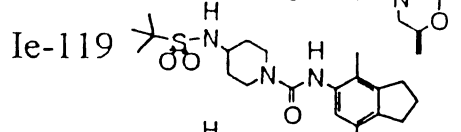
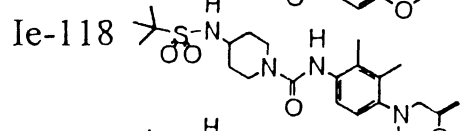
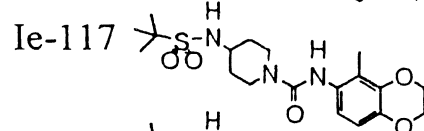
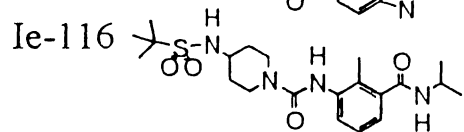
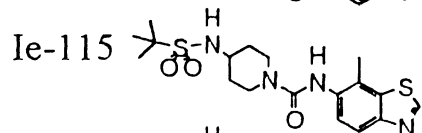
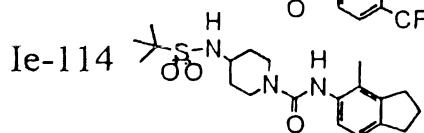
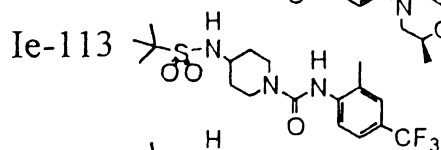
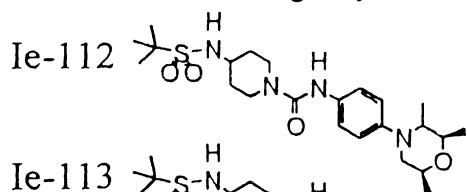
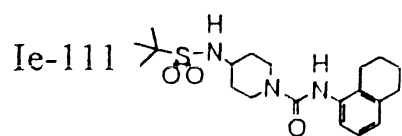
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (130)



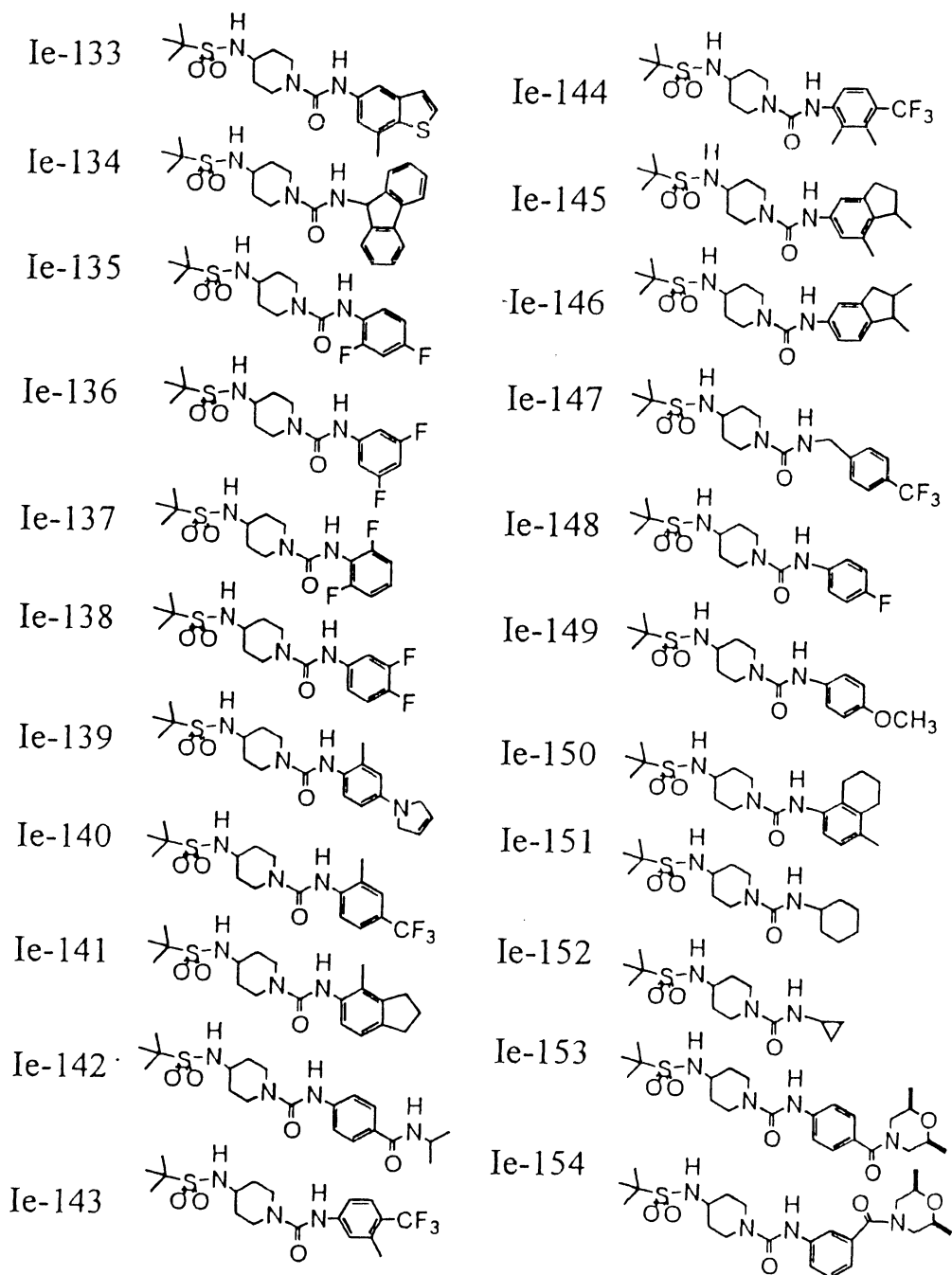
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (121)



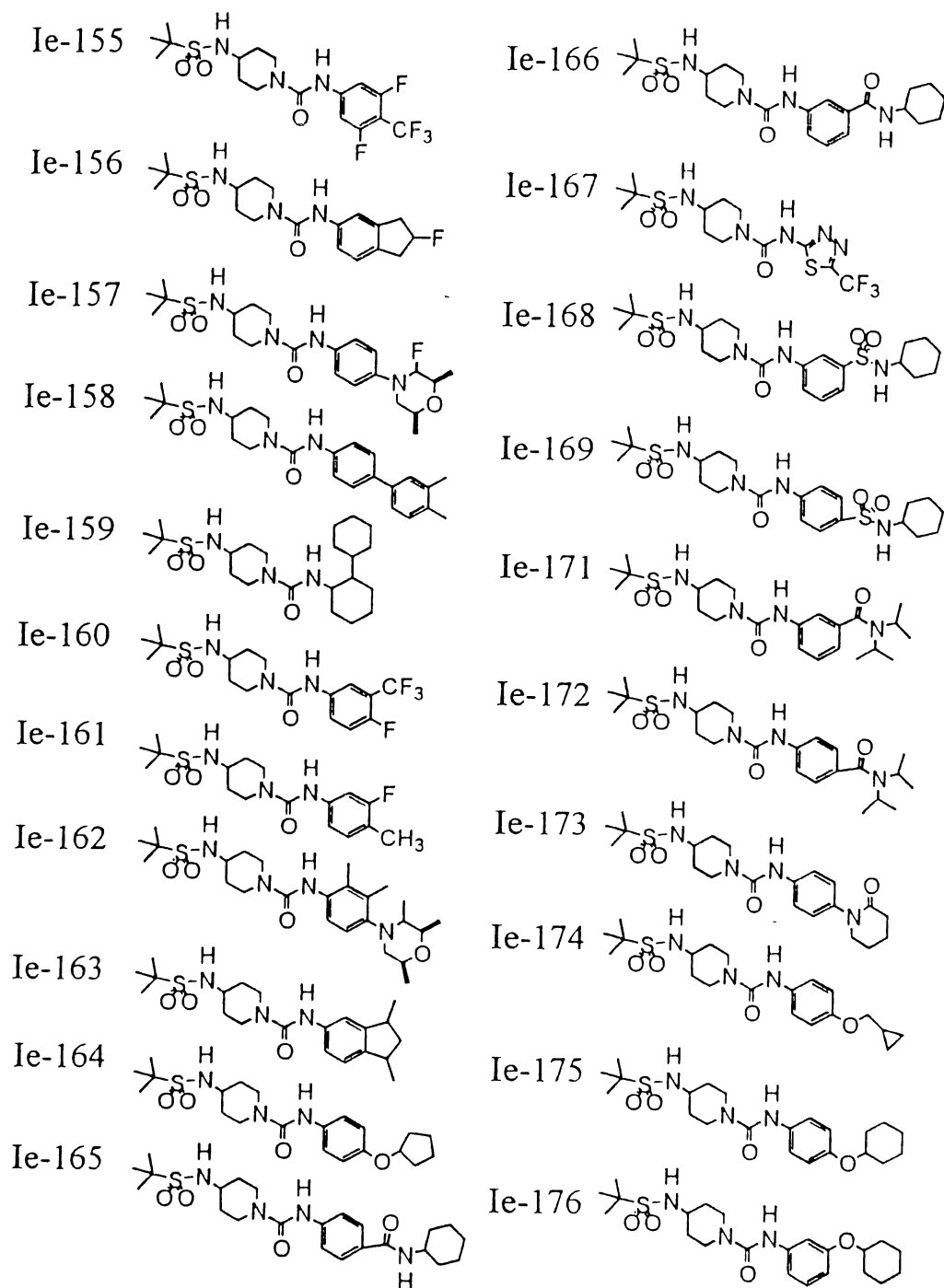
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (13)



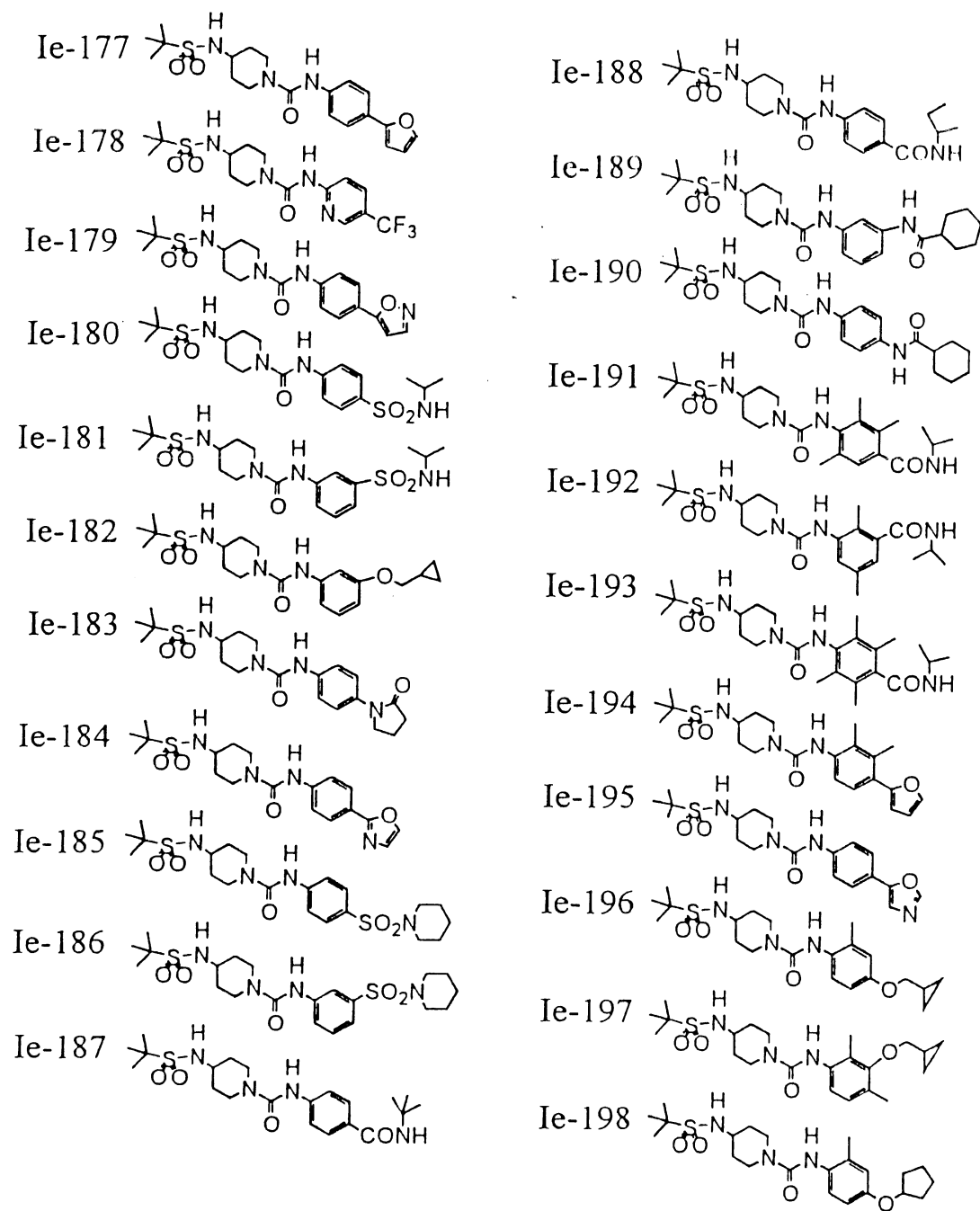
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(133)



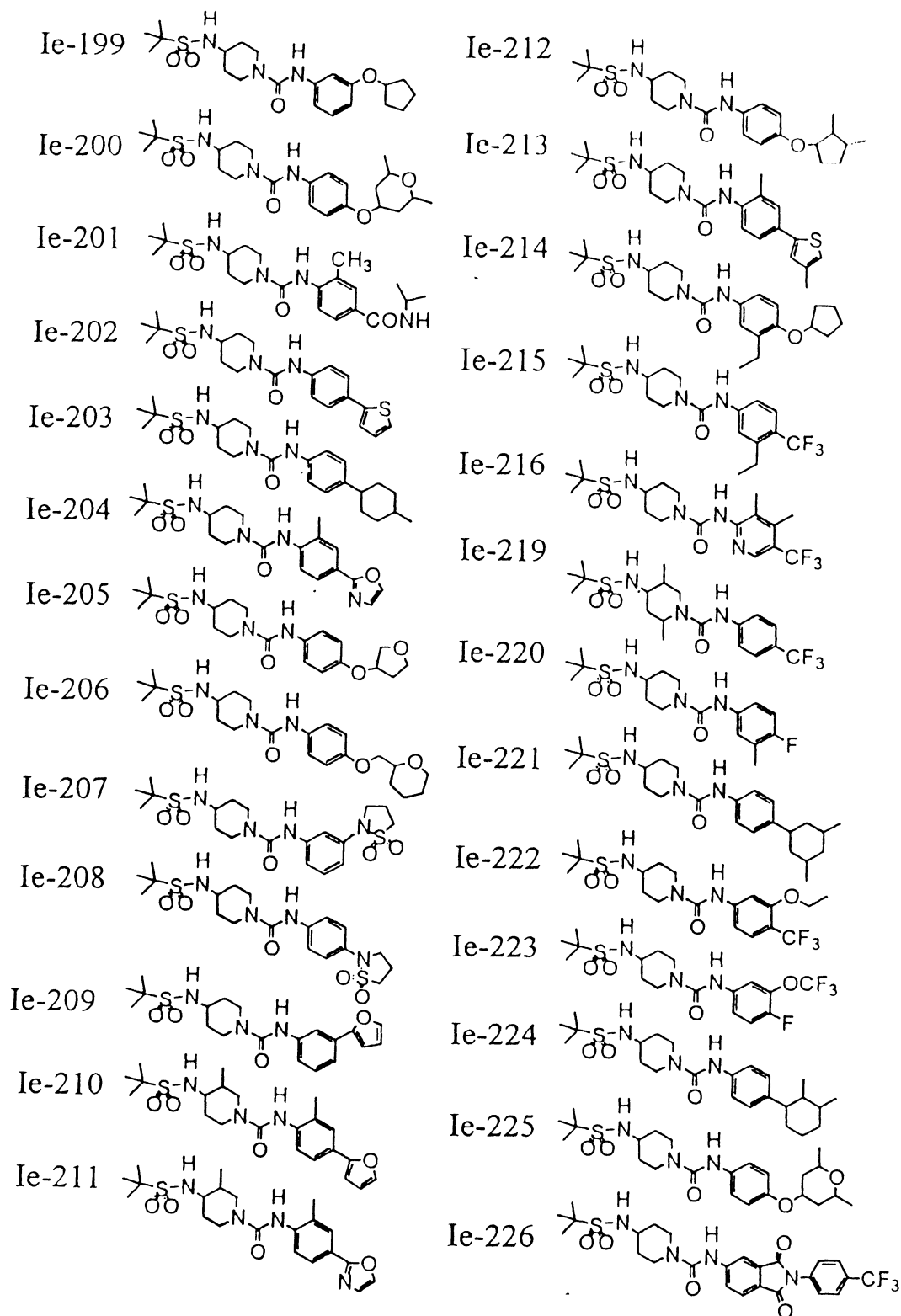
(請先閱讀背面之注意事項再填寫本頁)

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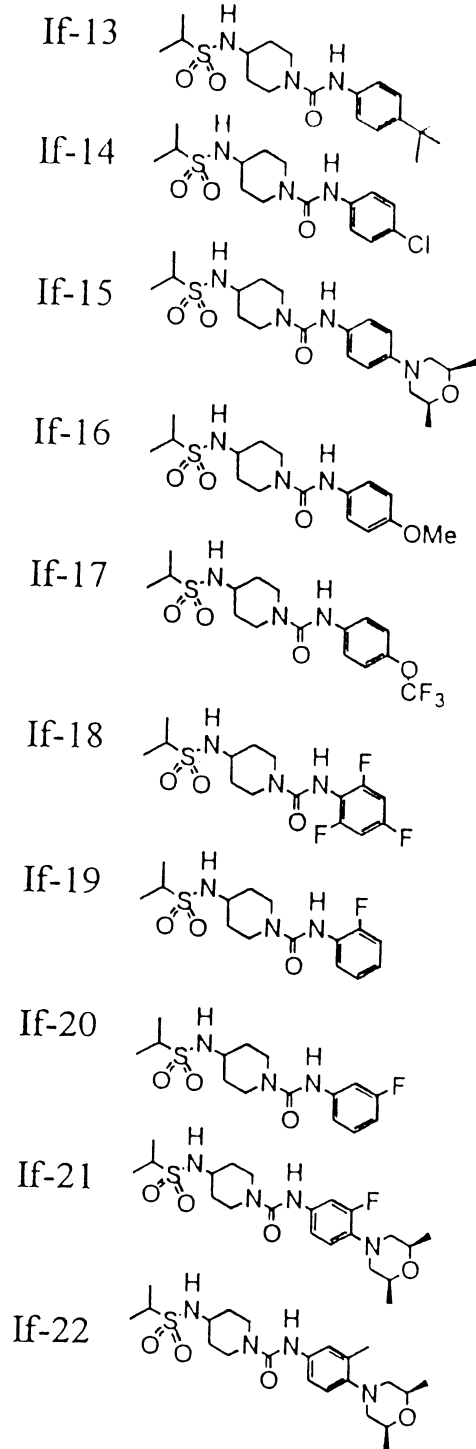
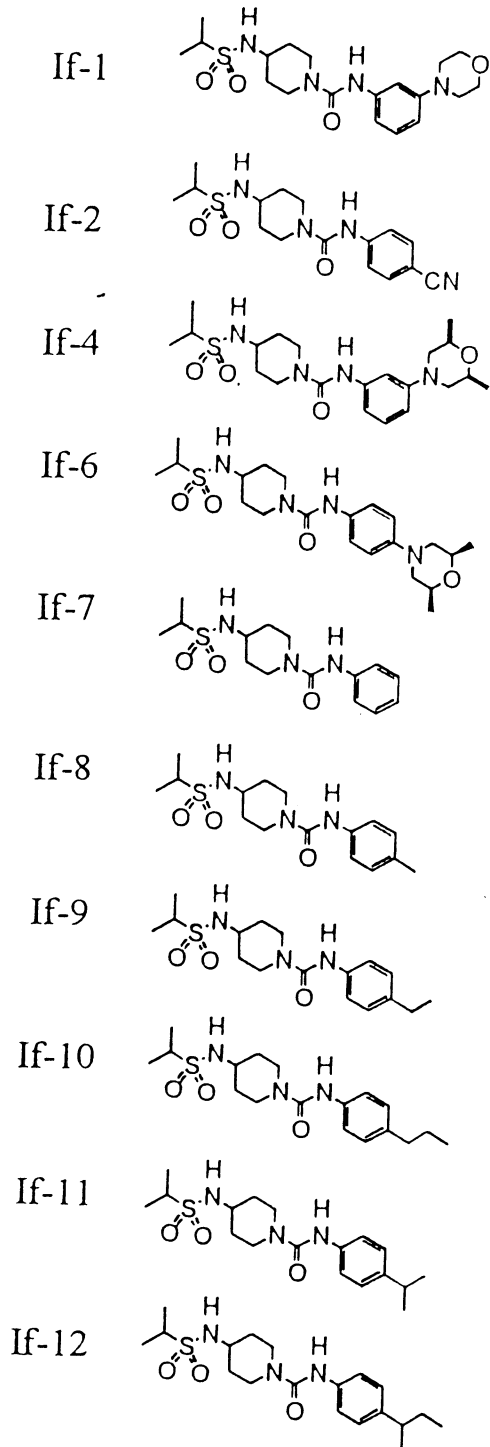
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五、發明說明 (134)



五、發明說明 (125)



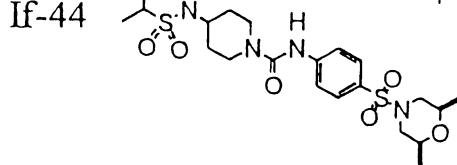
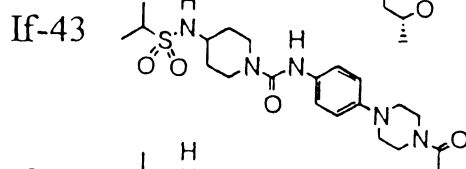
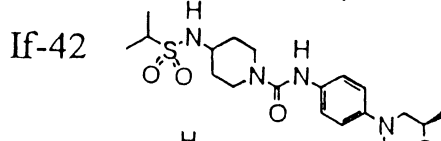
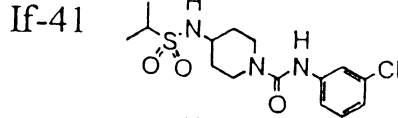
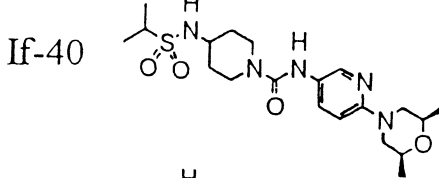
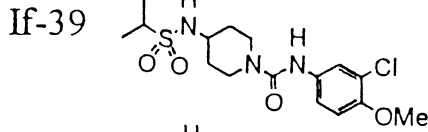
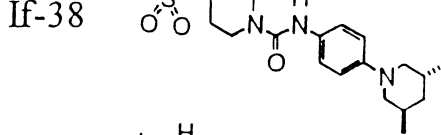
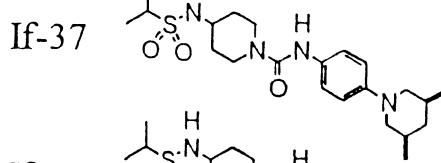
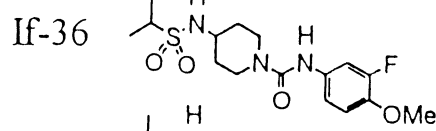
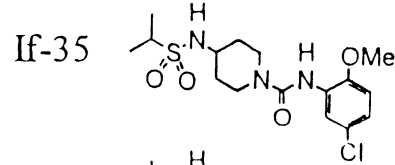
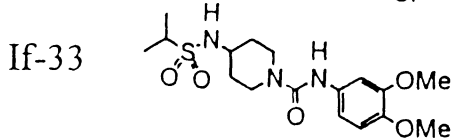
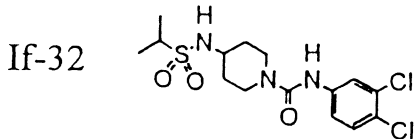
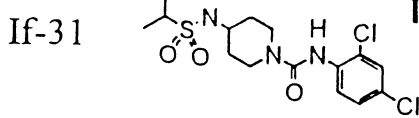
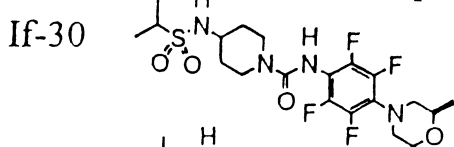
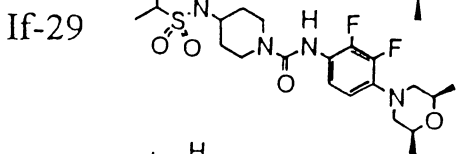
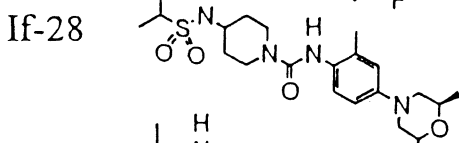
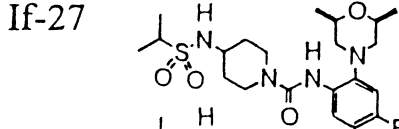
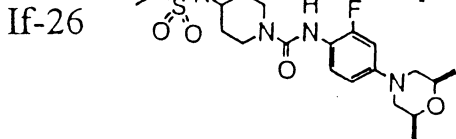
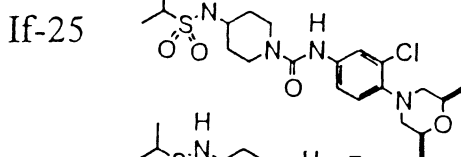
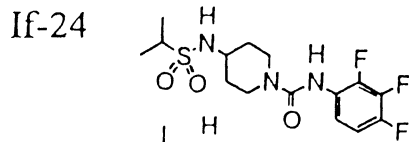
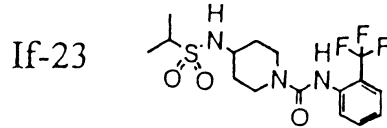
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (12b)



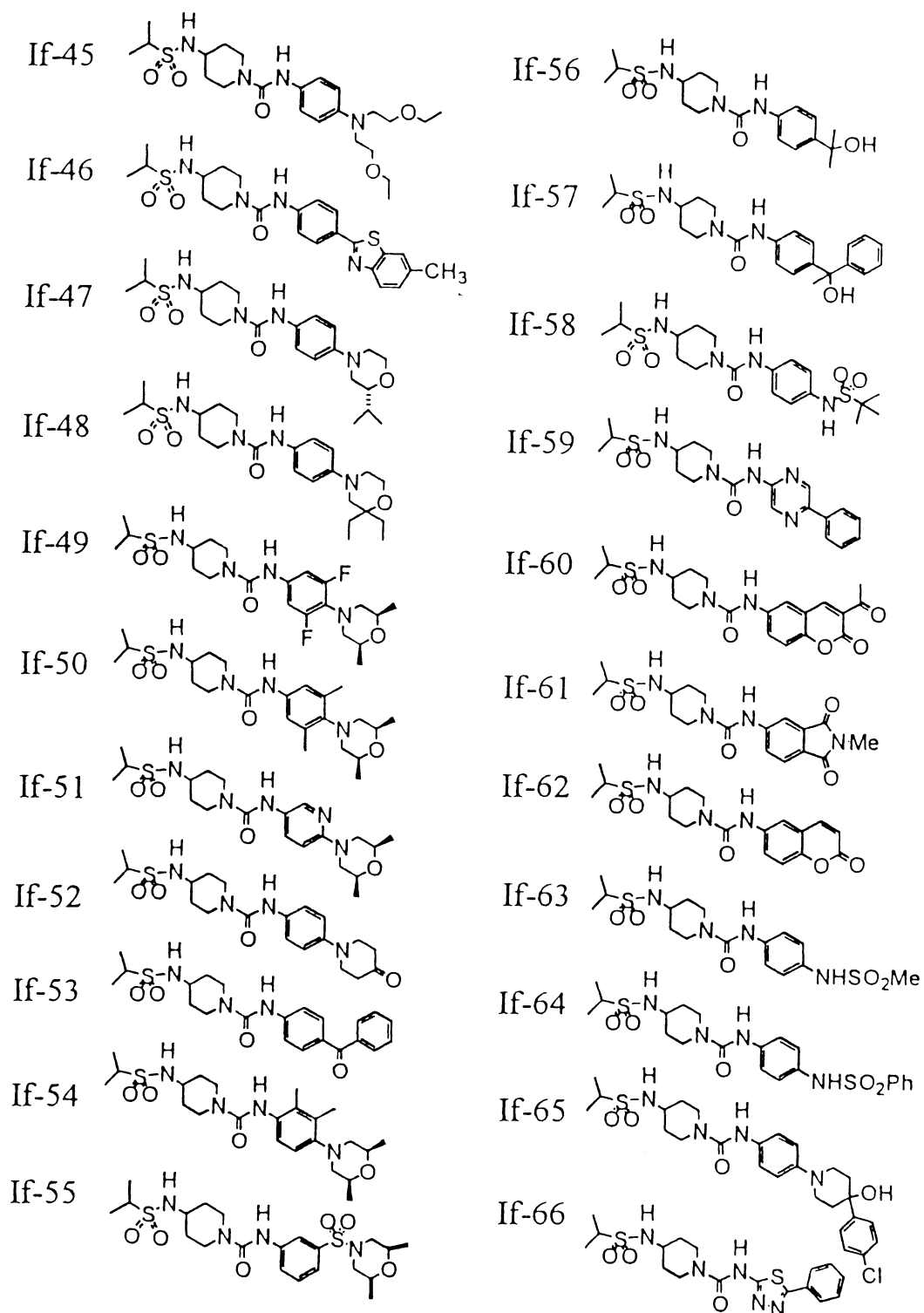
(請先閱讀背面之注意事項再填寫本頁)

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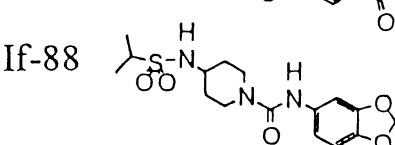
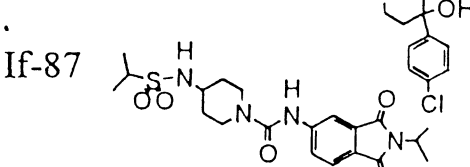
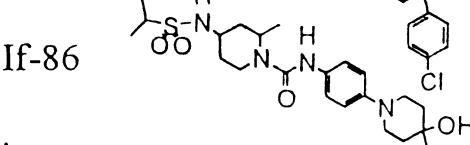
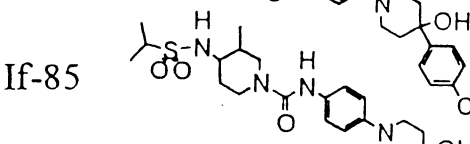
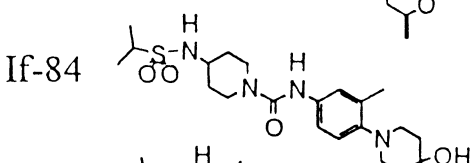
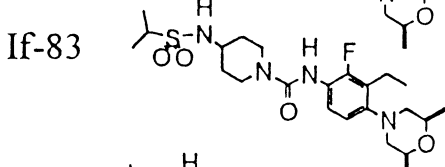
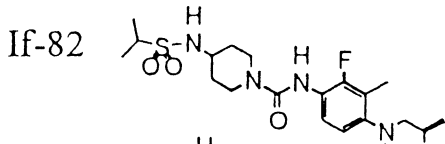
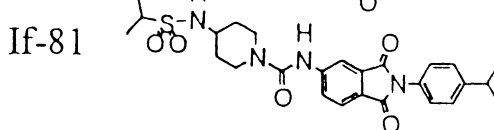
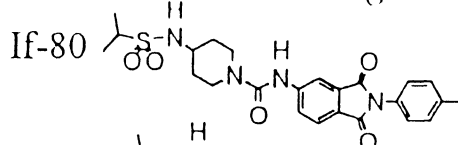
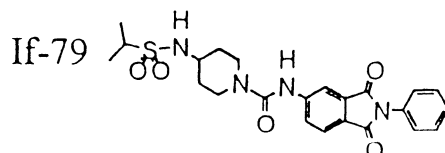
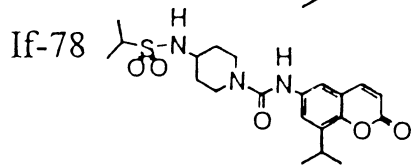
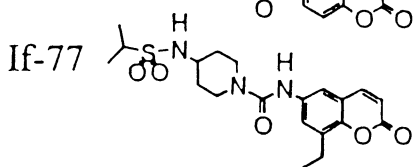
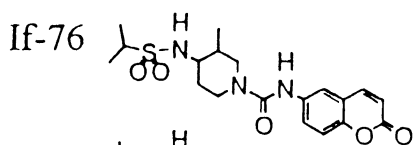
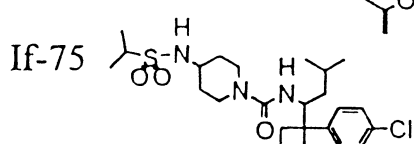
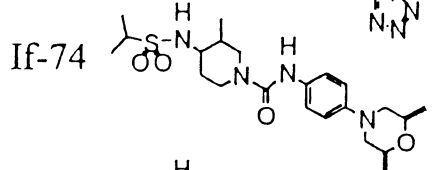
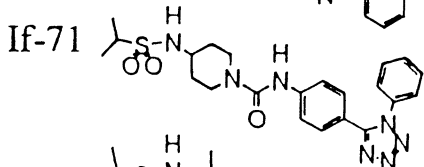
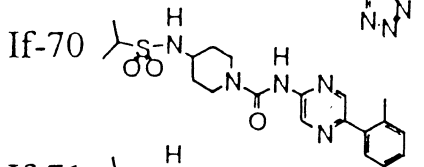
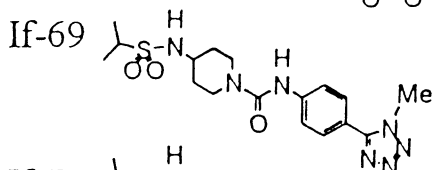
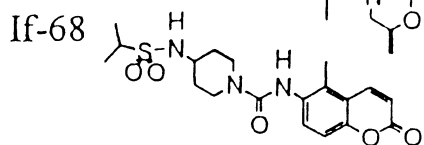
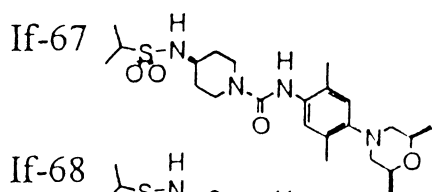
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五、發明說明 (127)



五、發明說明 (128)



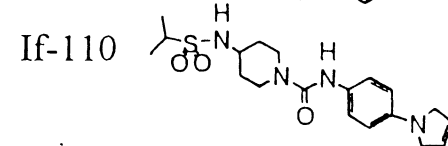
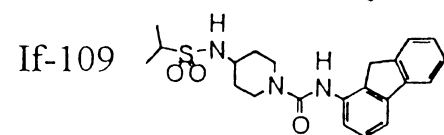
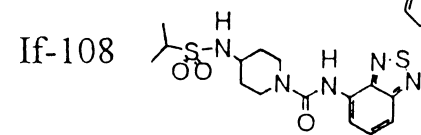
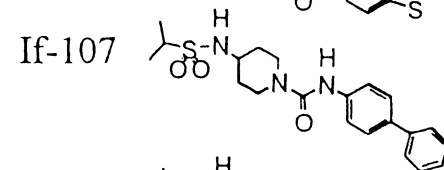
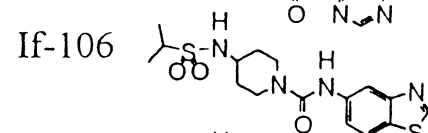
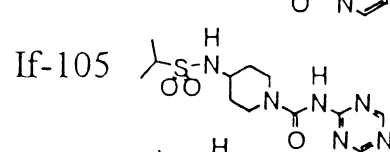
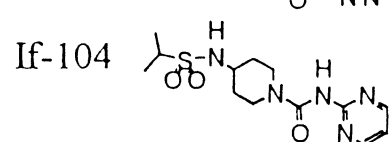
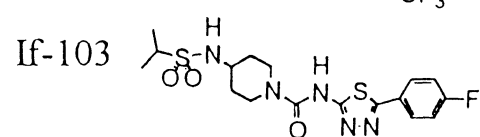
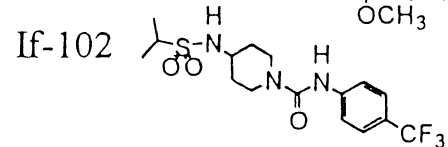
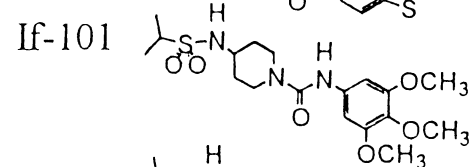
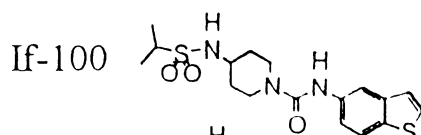
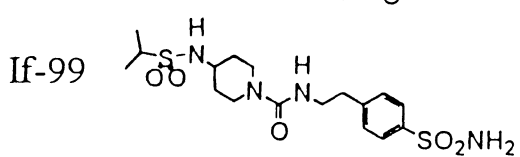
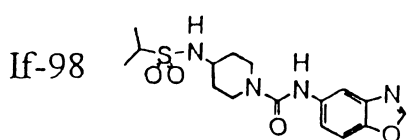
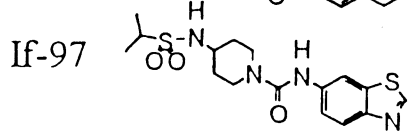
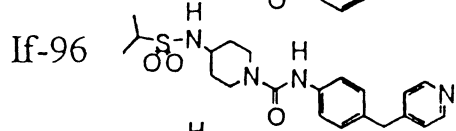
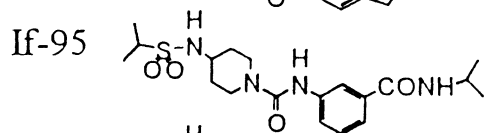
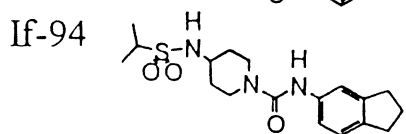
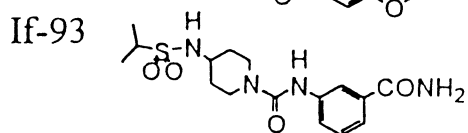
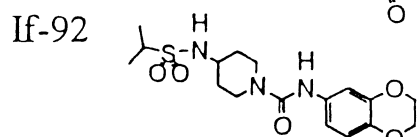
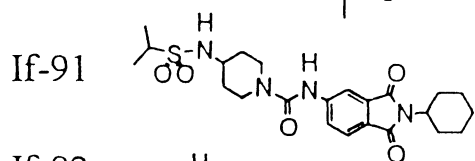
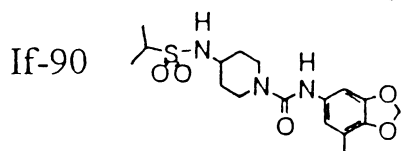
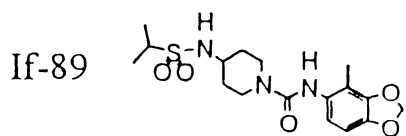
(請先閱讀背面之注意事項再填寫本頁)

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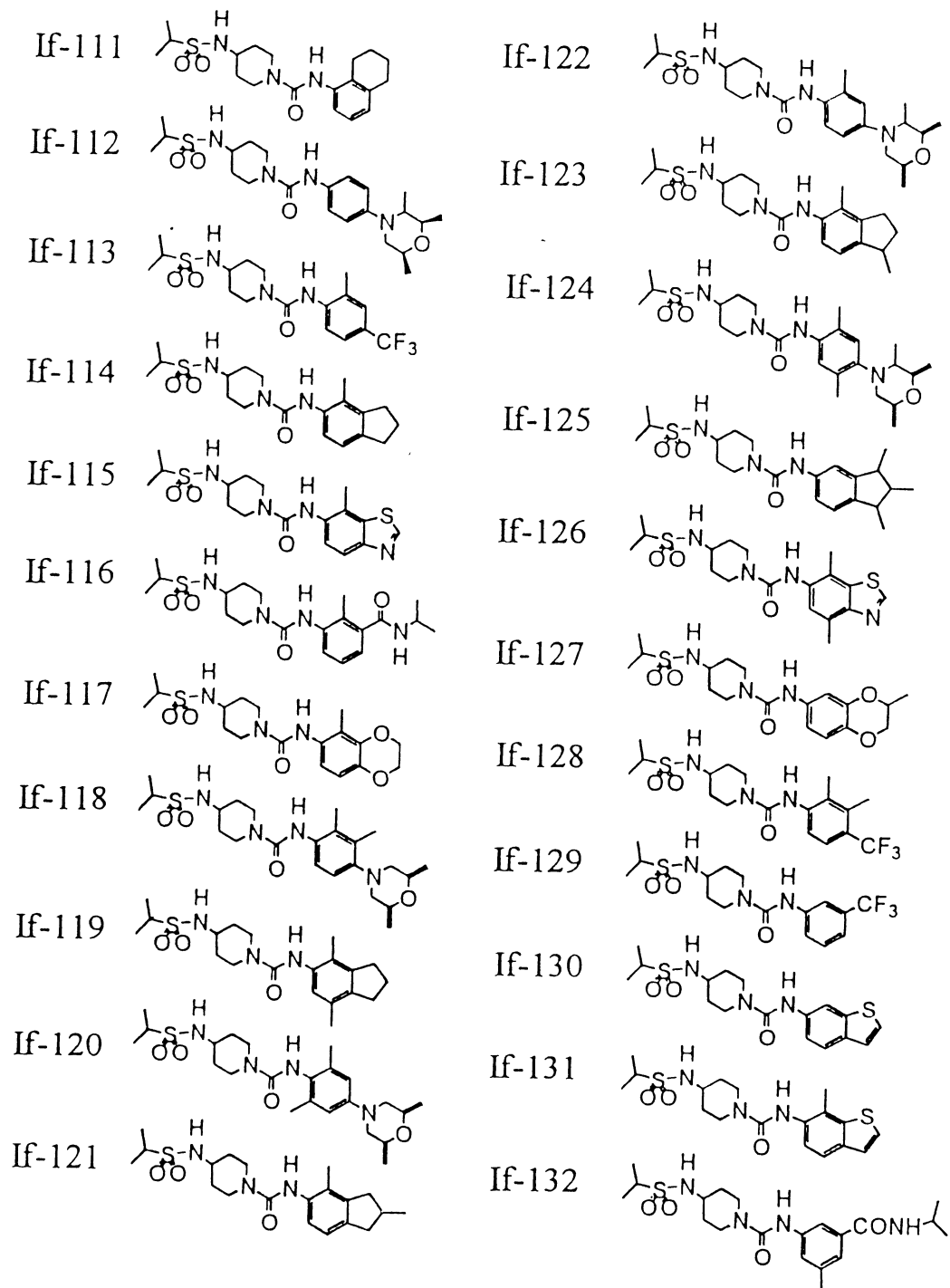
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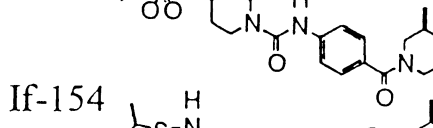
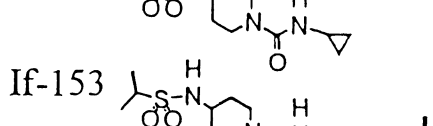
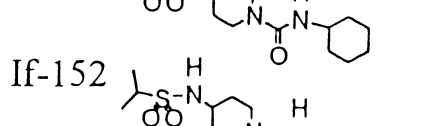
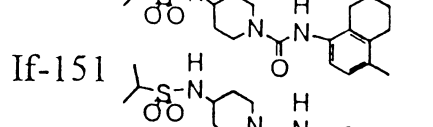
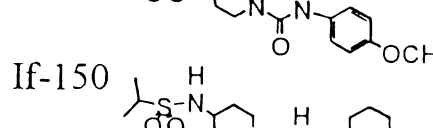
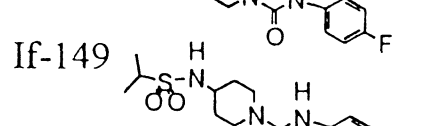
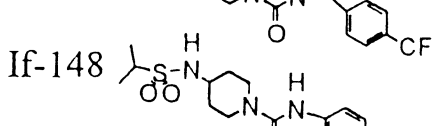
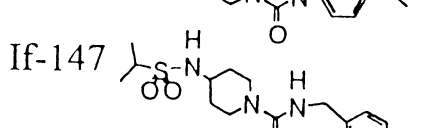
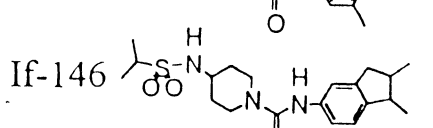
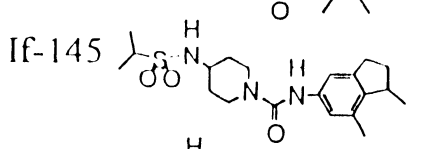
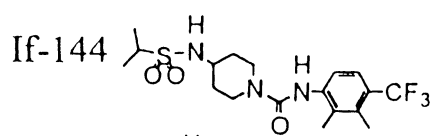
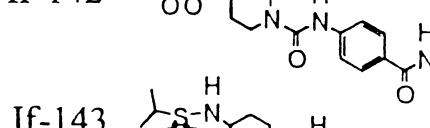
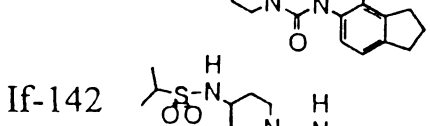
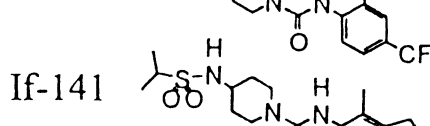
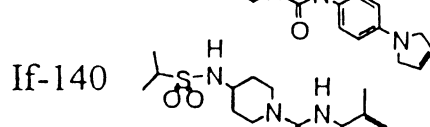
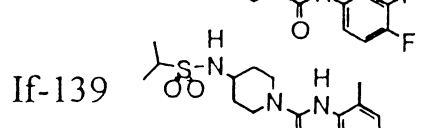
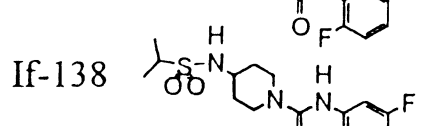
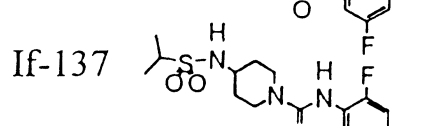
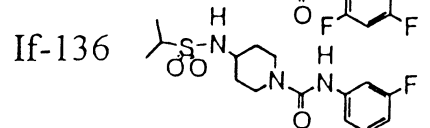
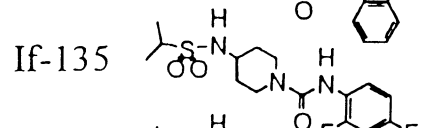
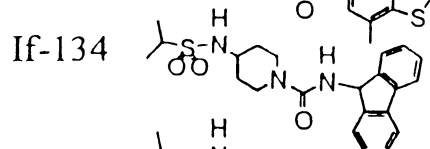
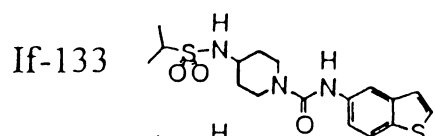
五、發明說明(129)



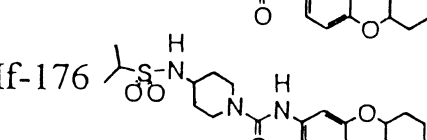
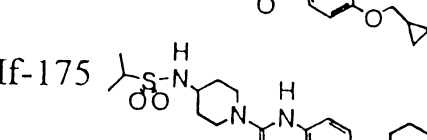
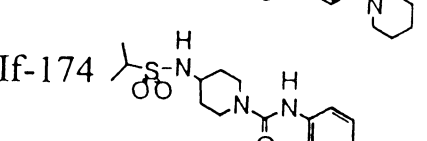
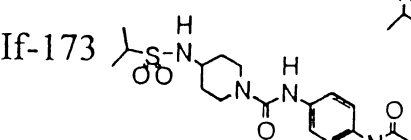
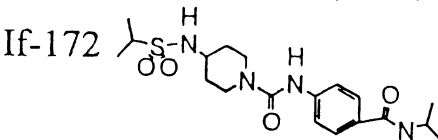
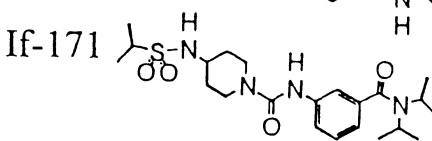
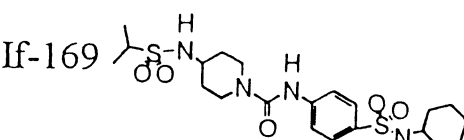
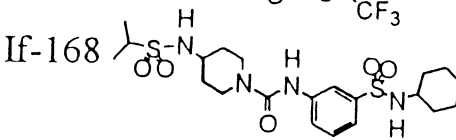
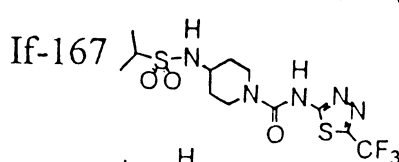
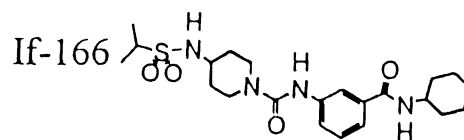
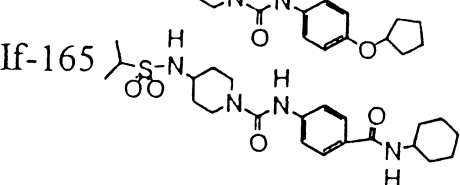
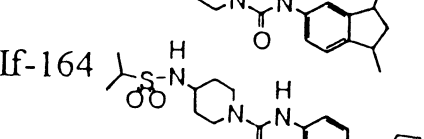
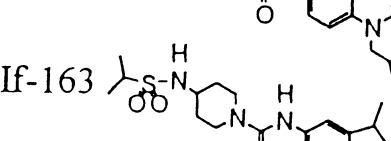
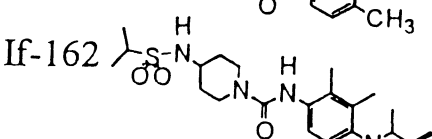
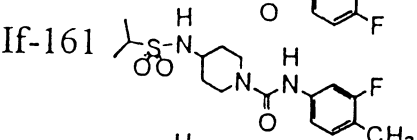
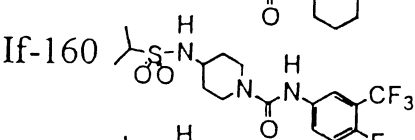
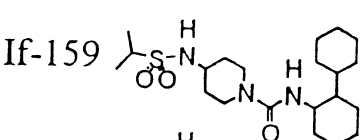
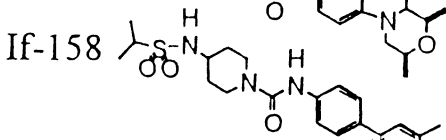
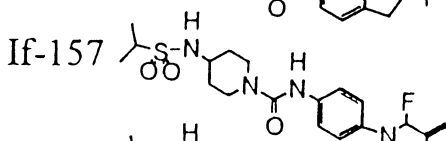
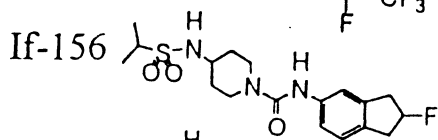
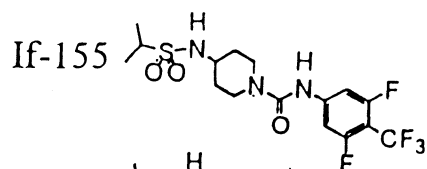
五、發明說明(140)



五、發明說明 (141)



五、發明說明 (142)

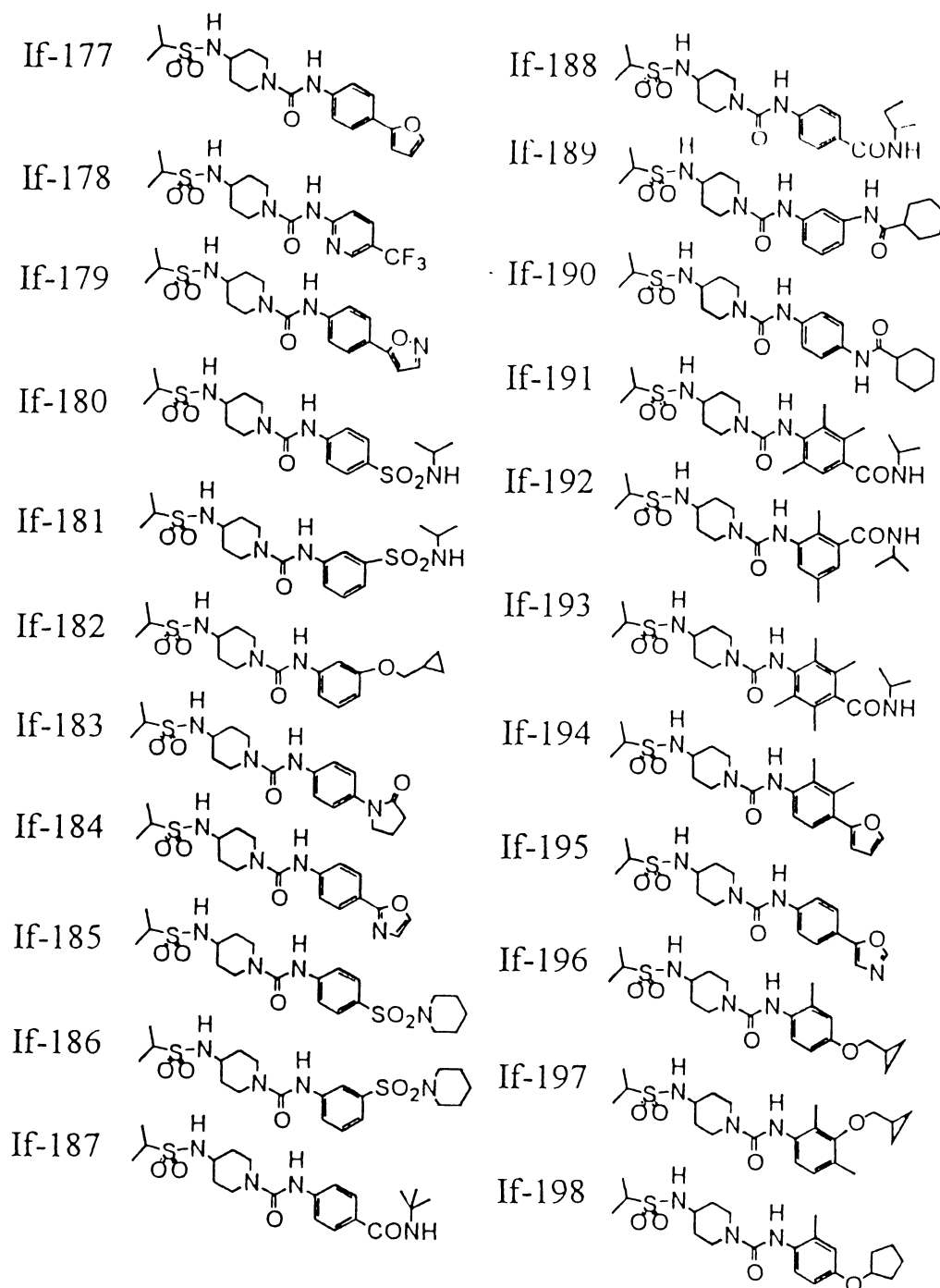


(請先閱讀背面之注意事項再填寫本頁)

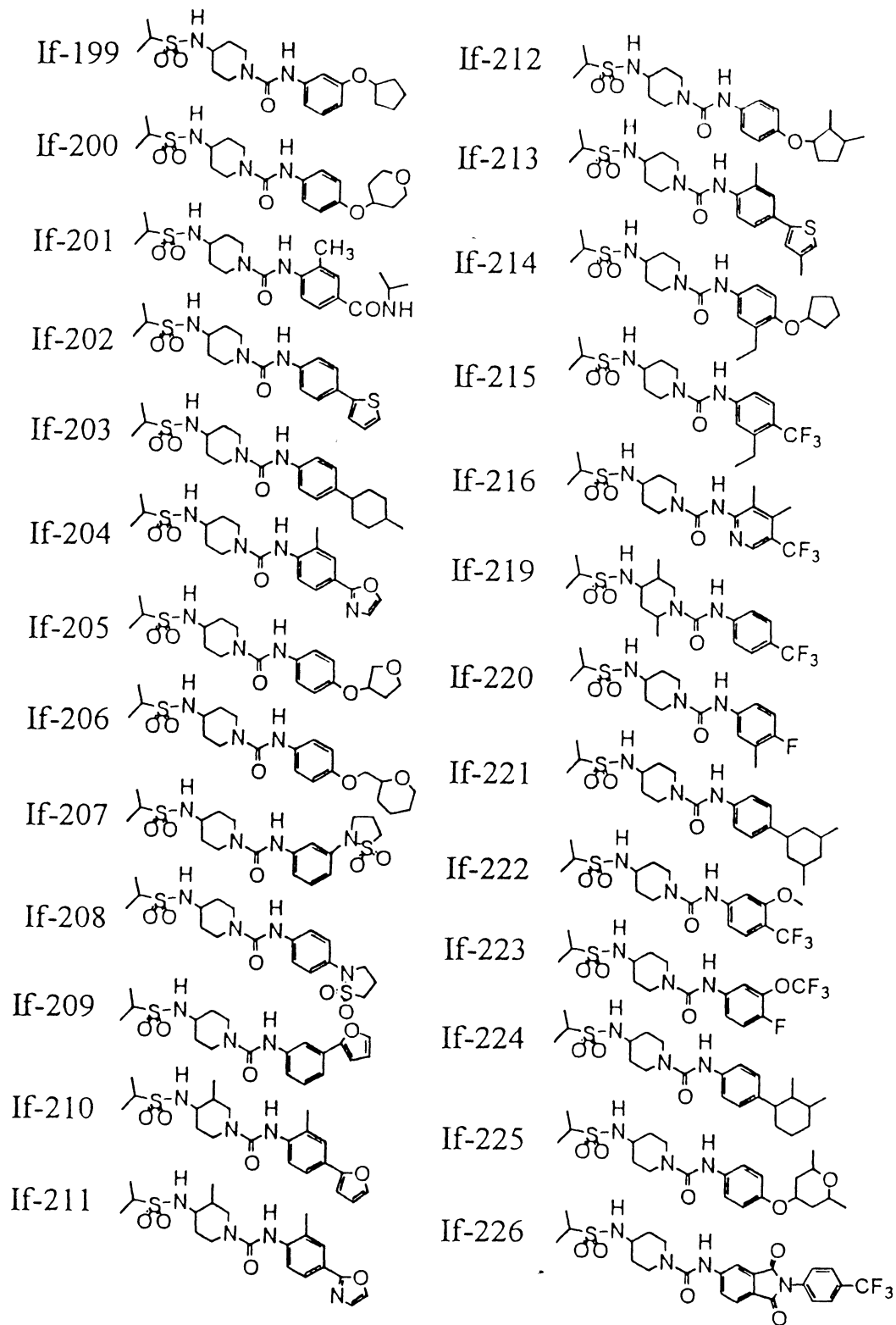
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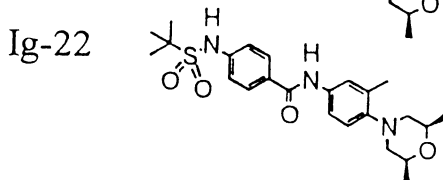
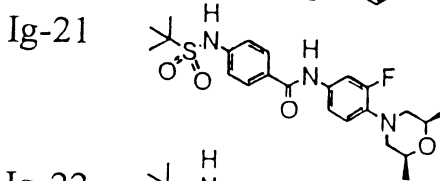
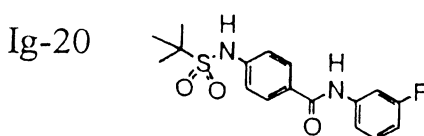
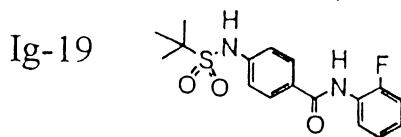
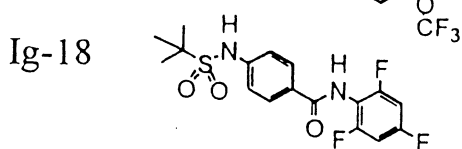
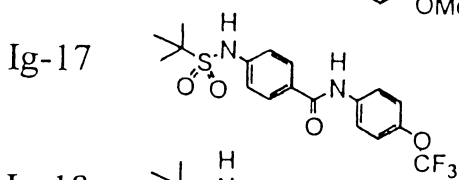
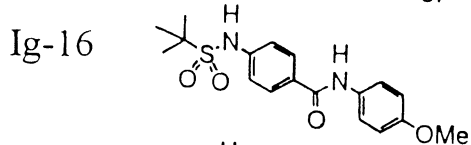
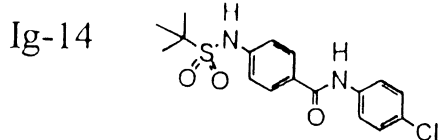
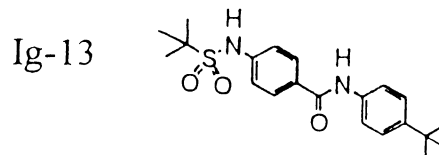
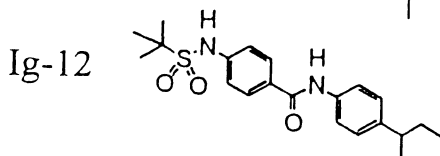
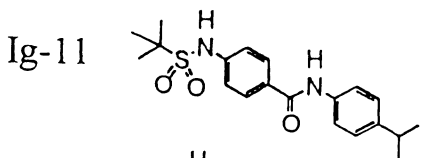
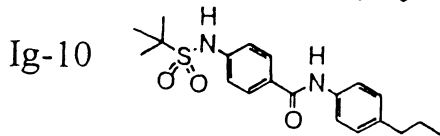
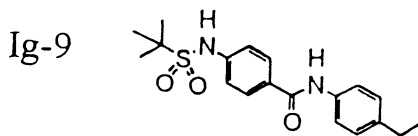
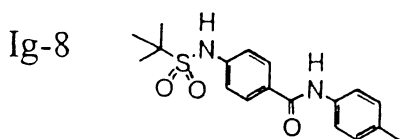
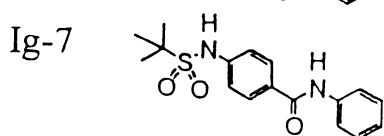
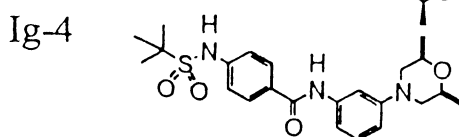
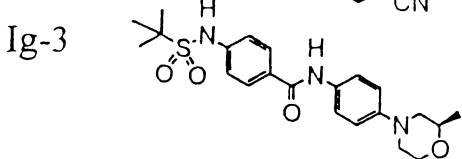
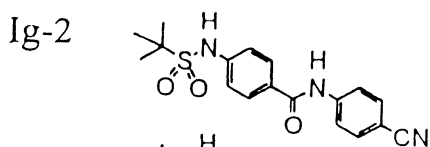
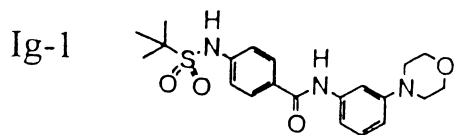
五、發明說明 (143)



五、發明說明 (144)



五、發明說明(145)

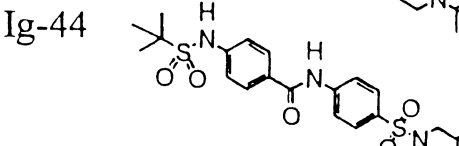
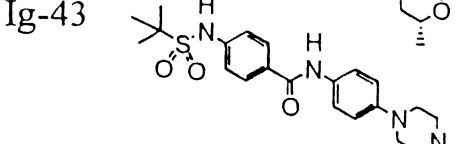
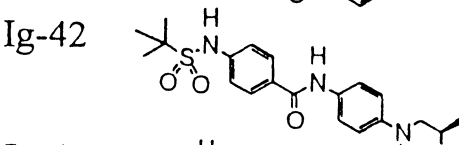
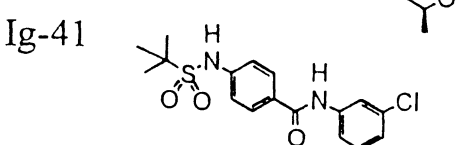
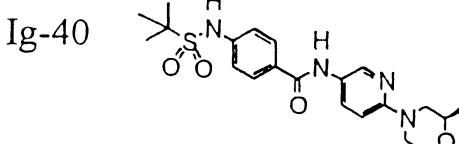
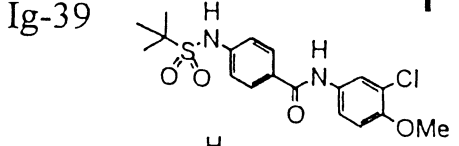
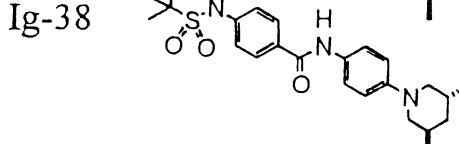
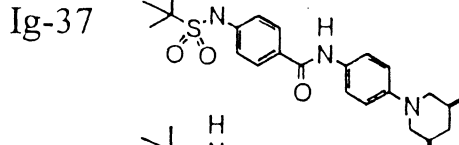
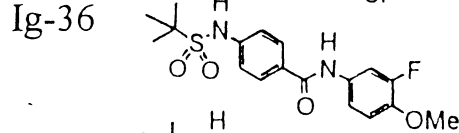
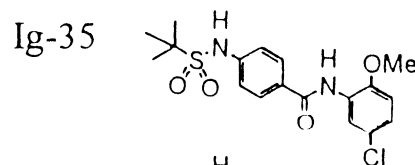
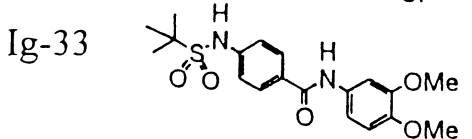
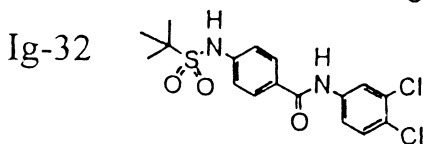
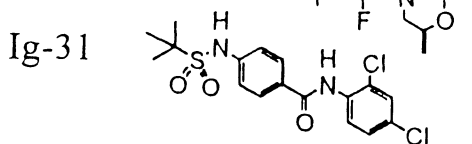
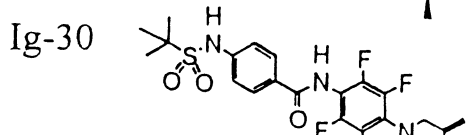
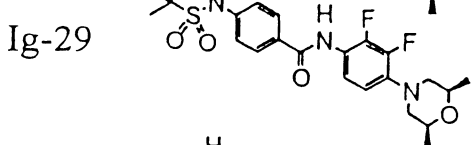
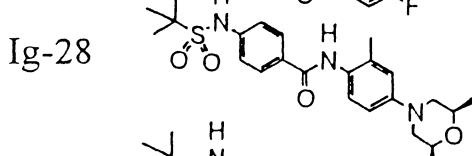
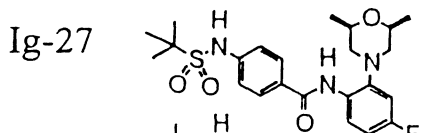
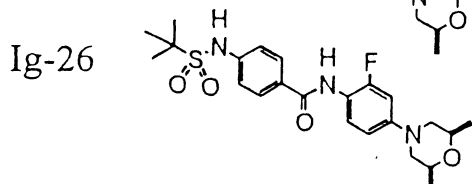
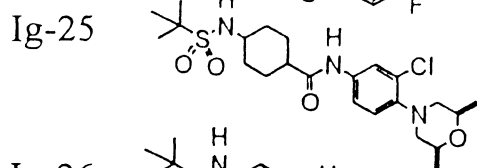
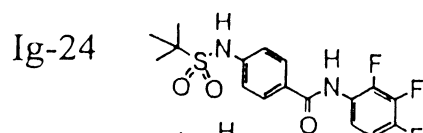
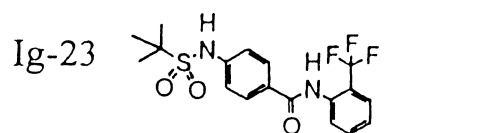


(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (14b)

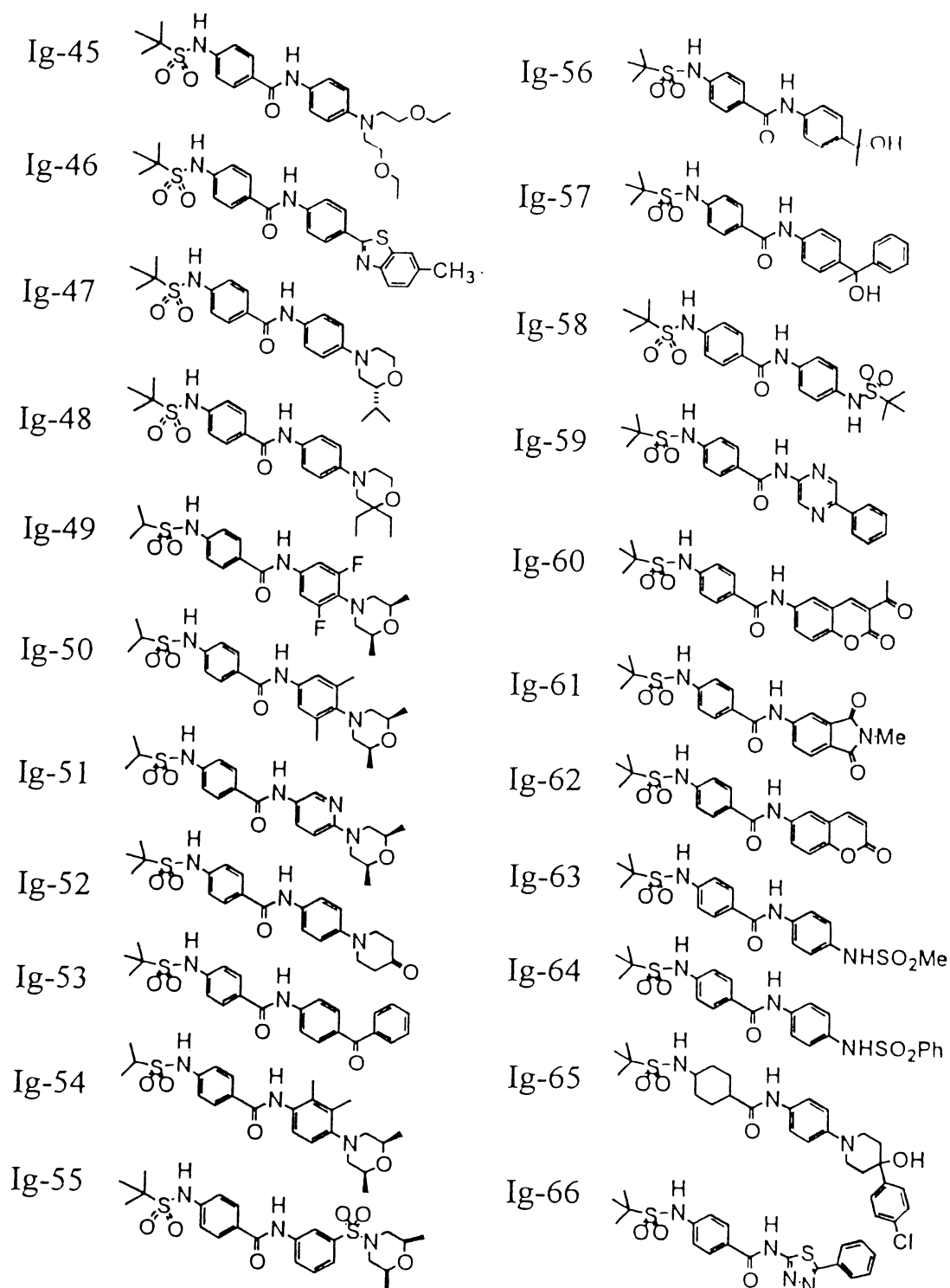


(請先閱讀背面之注意事項再填寫本頁)

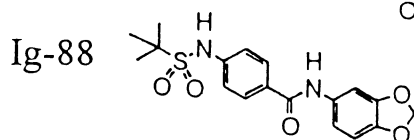
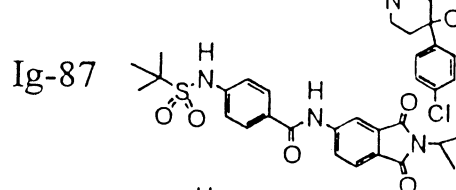
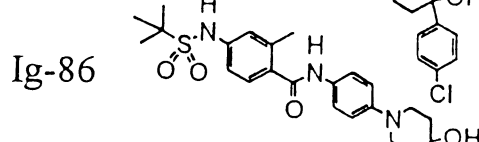
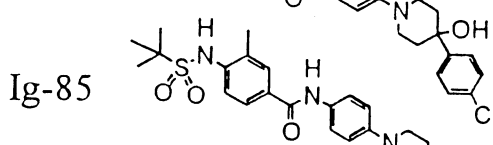
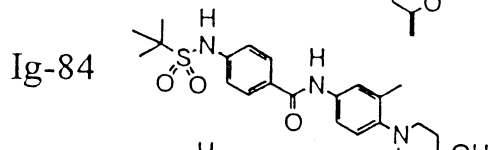
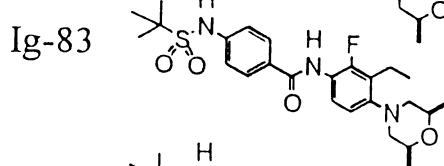
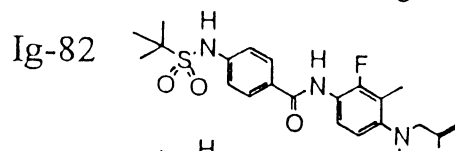
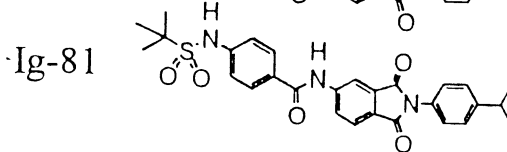
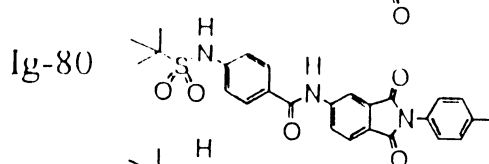
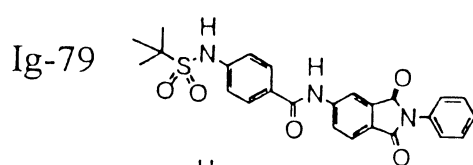
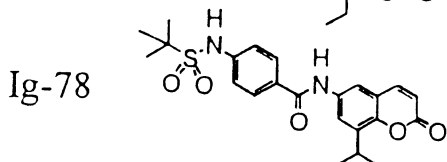
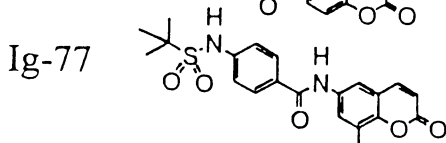
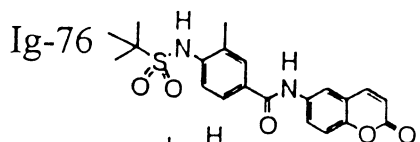
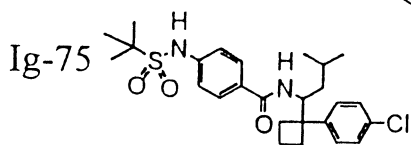
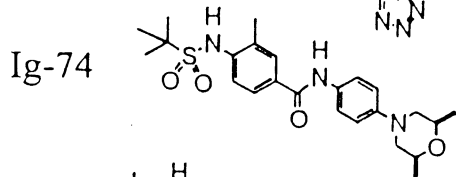
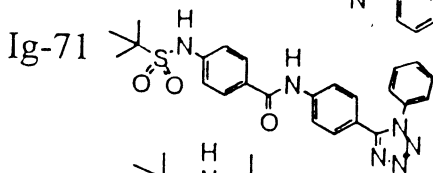
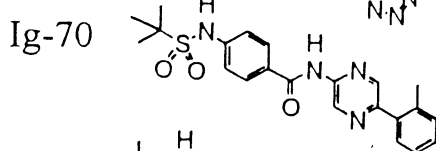
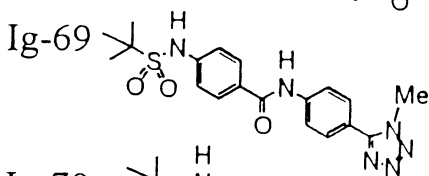
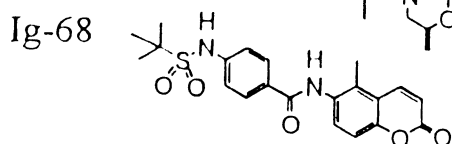
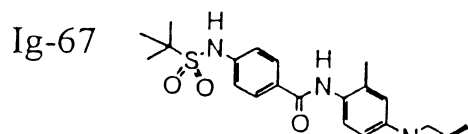
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五、發明說明 (147)



五、發明說明 (148)



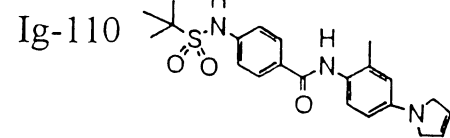
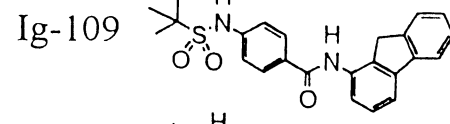
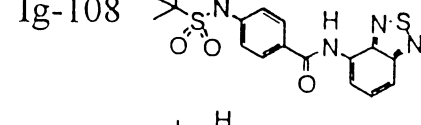
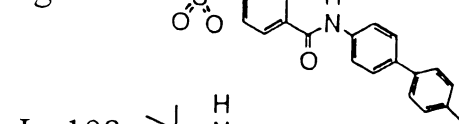
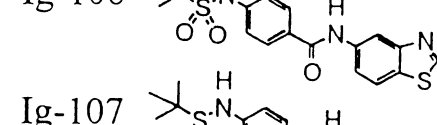
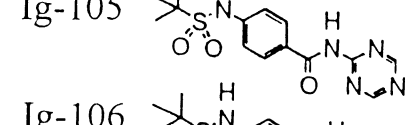
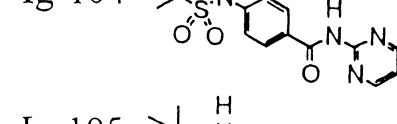
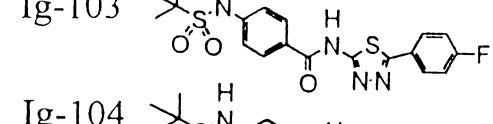
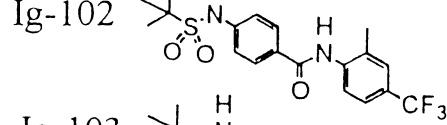
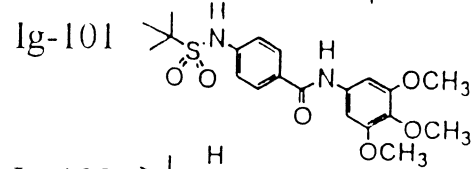
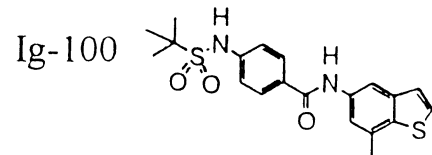
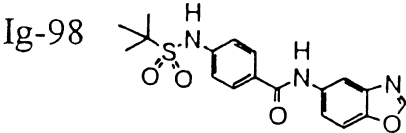
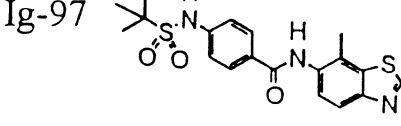
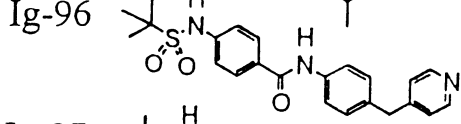
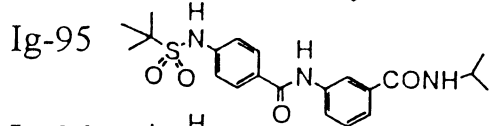
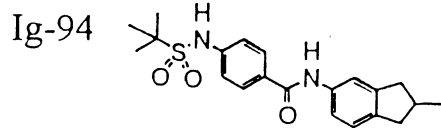
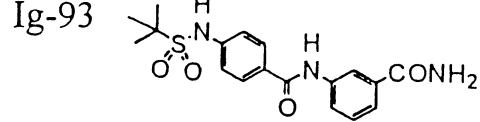
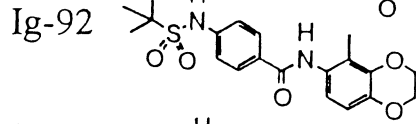
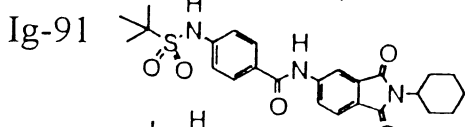
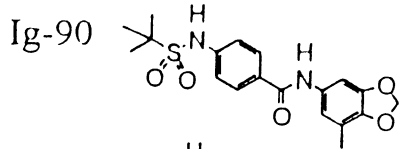
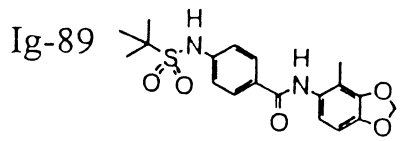
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (149)



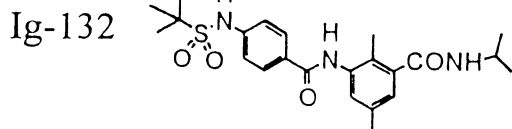
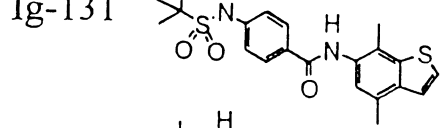
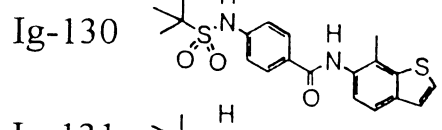
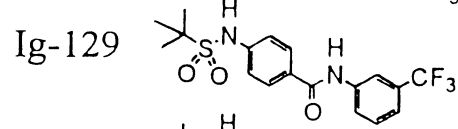
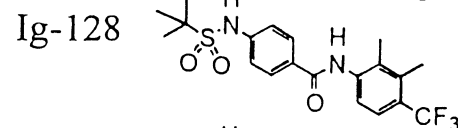
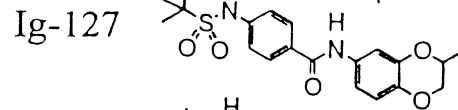
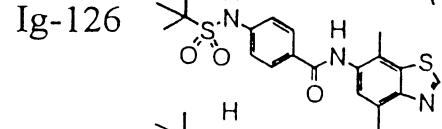
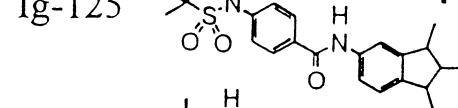
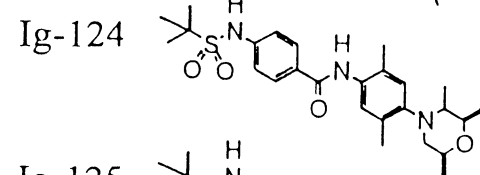
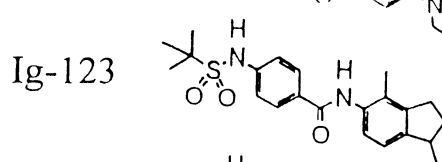
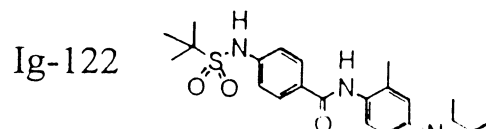
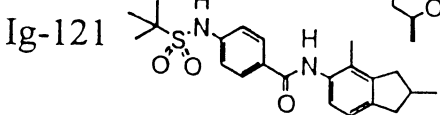
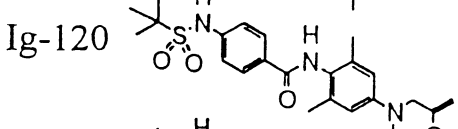
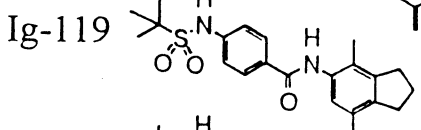
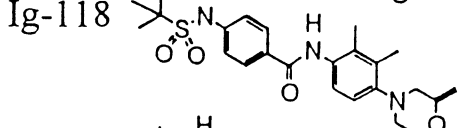
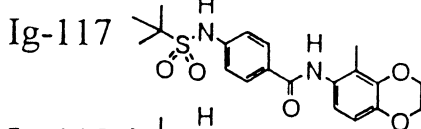
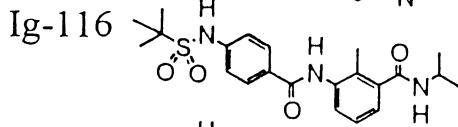
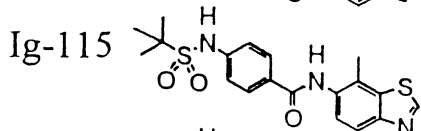
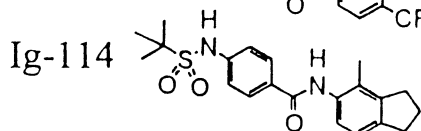
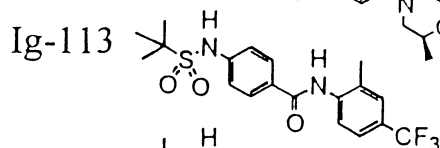
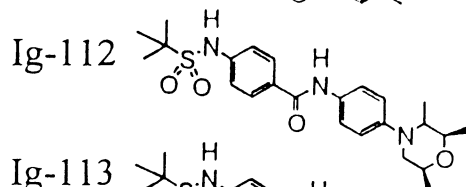
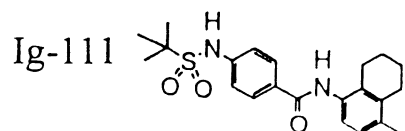
(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (150)



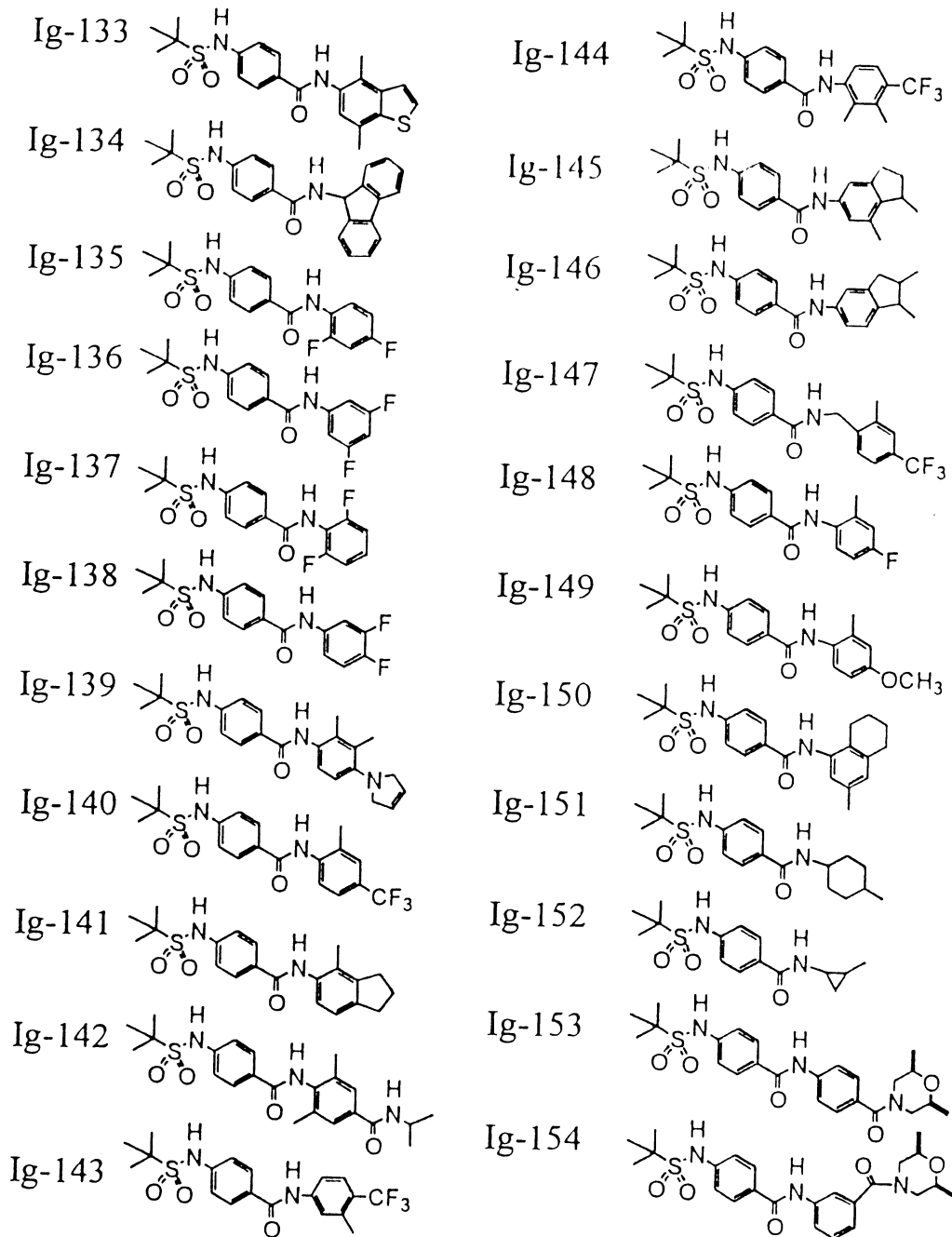
(請先閱讀背面之注意事項再填寫本頁)

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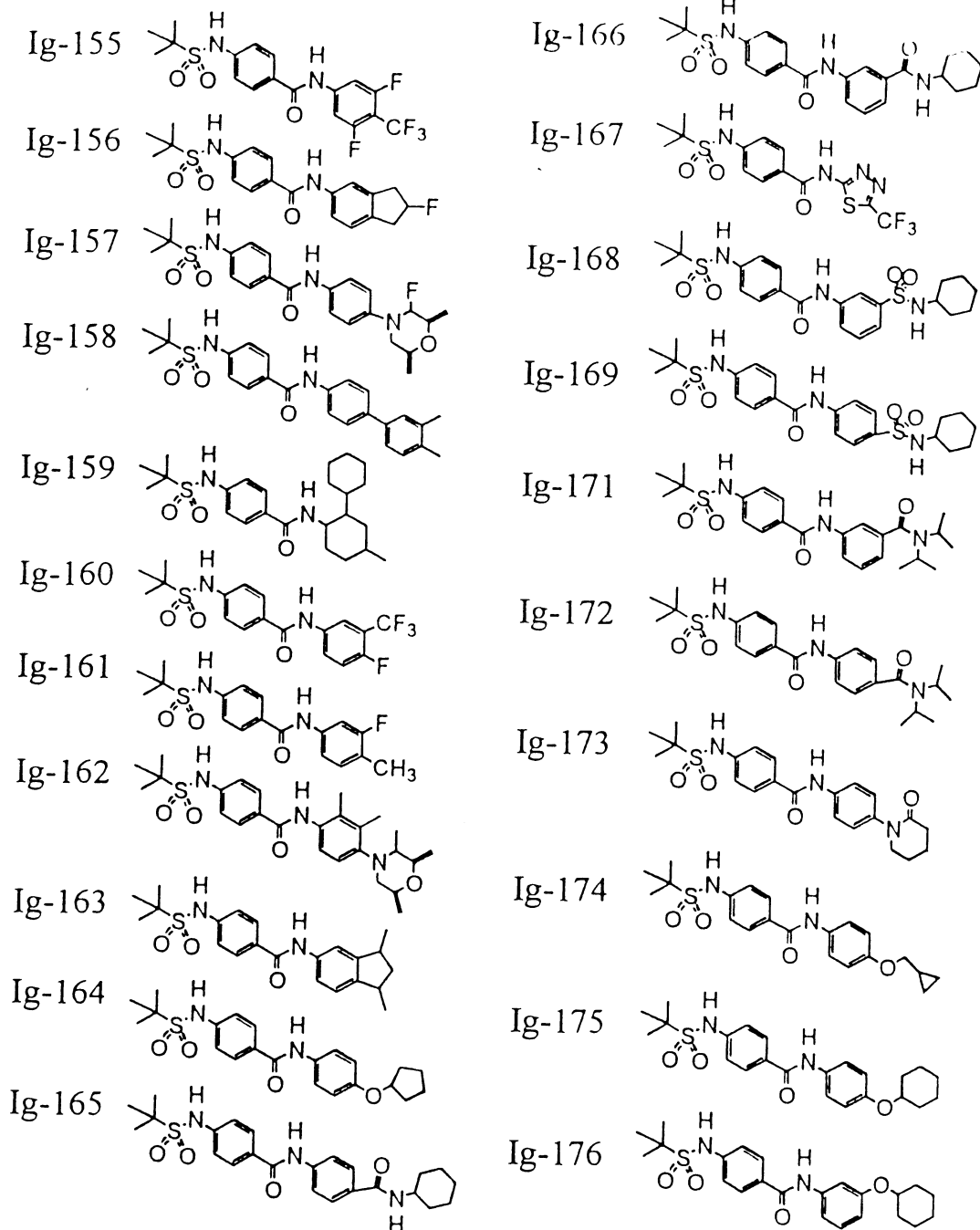
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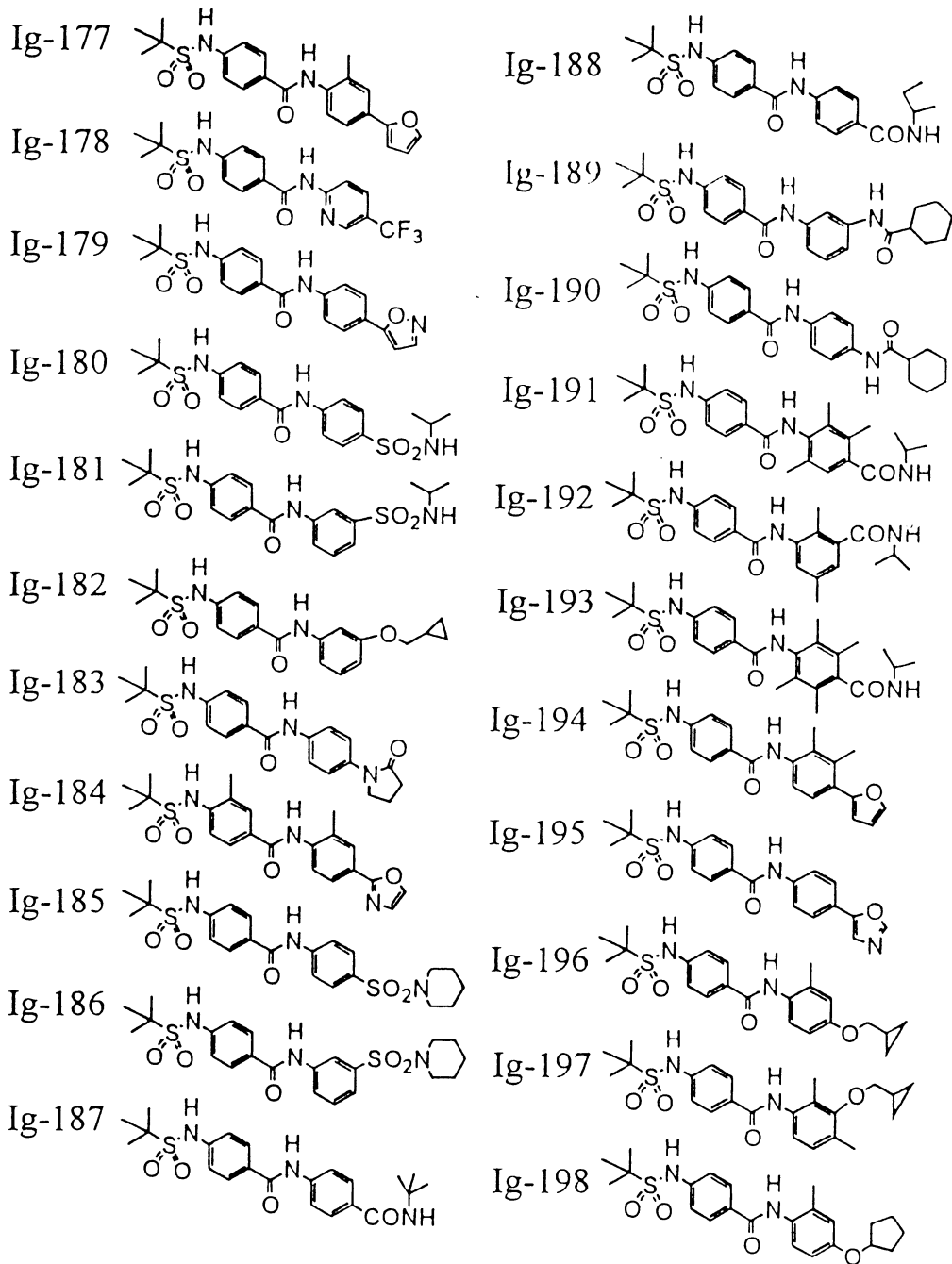
五、發明說明 (151)



五、發明說明 (152)



五、發明說明 (153)



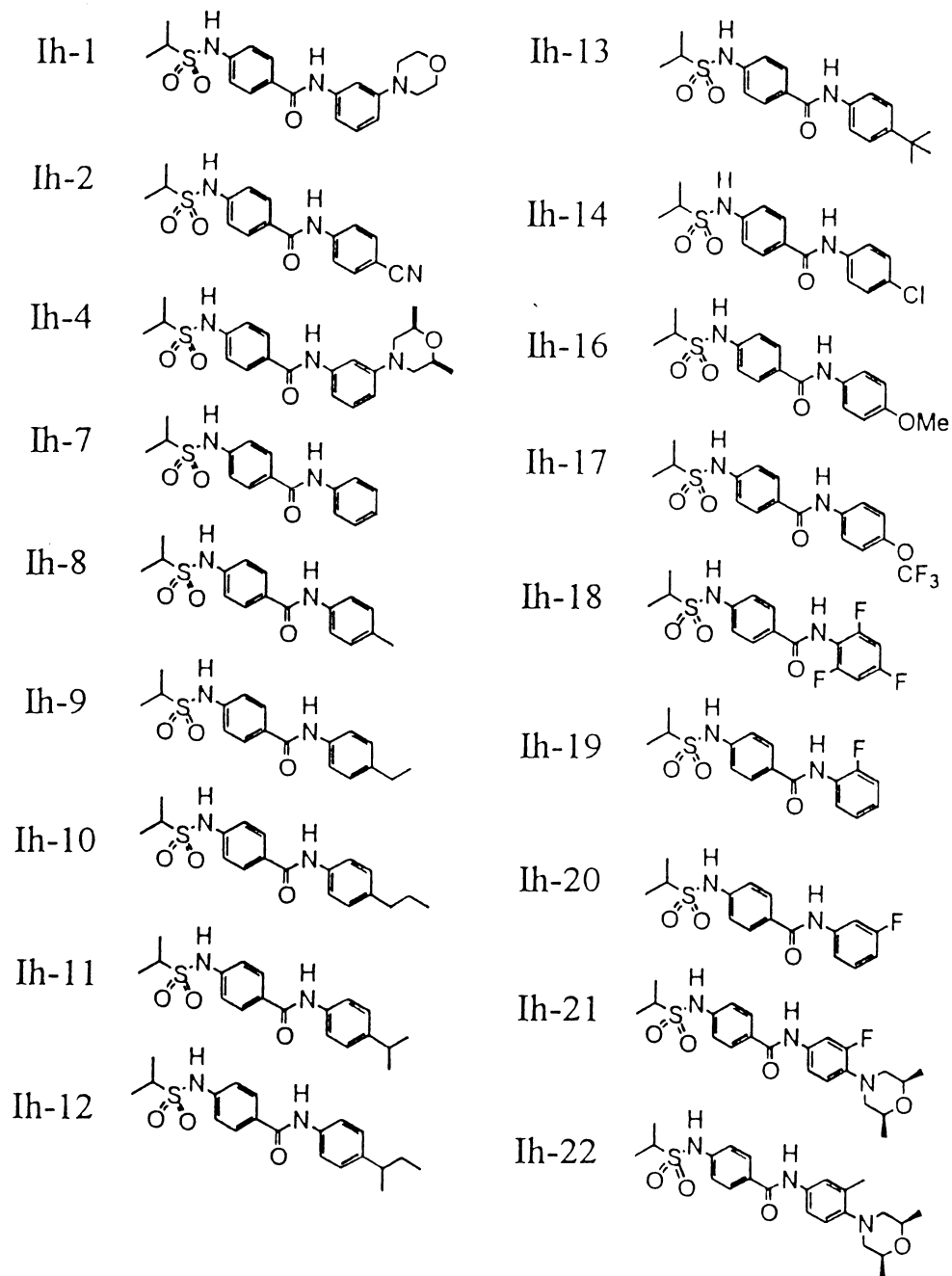
(請先閱讀背面之注意事項再填寫本頁)

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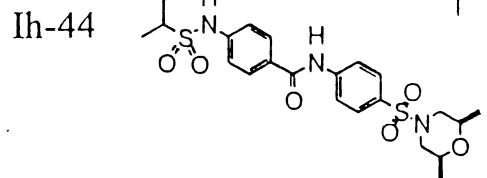
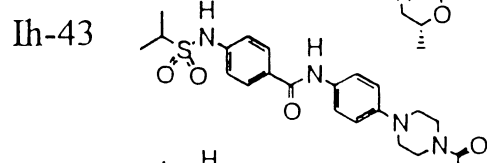
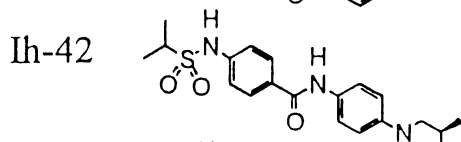
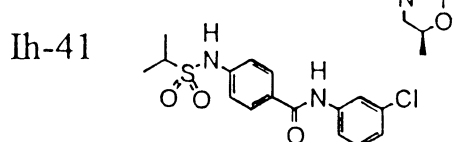
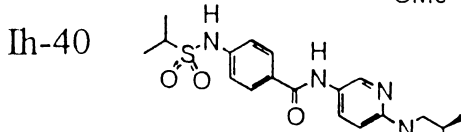
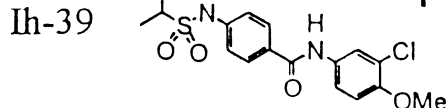
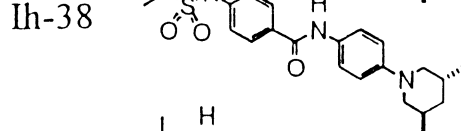
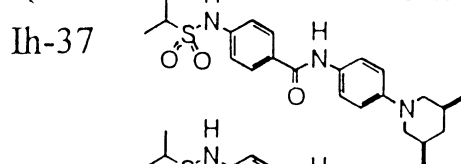
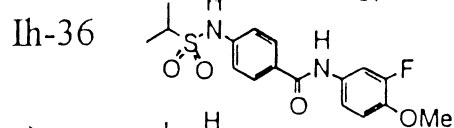
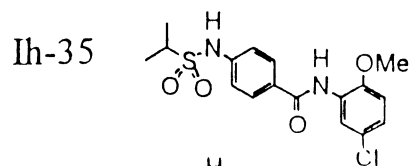
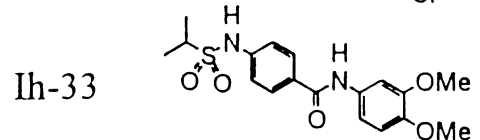
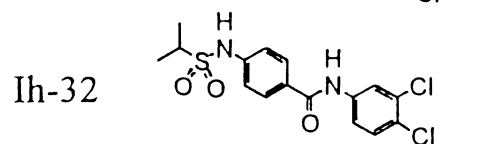
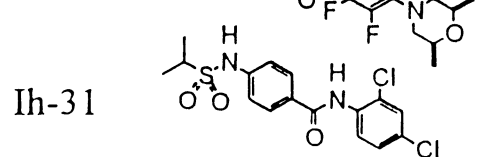
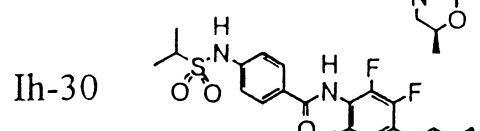
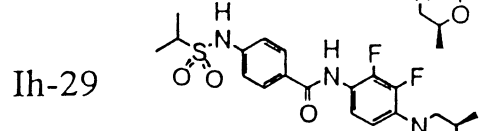
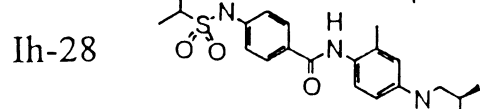
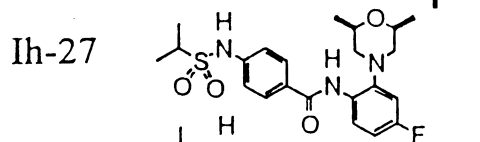
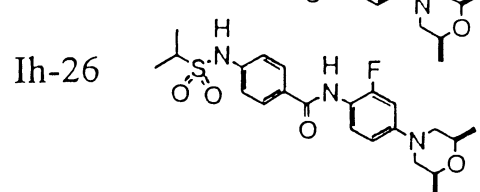
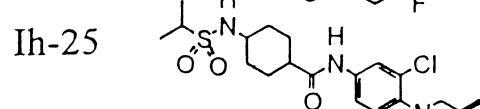
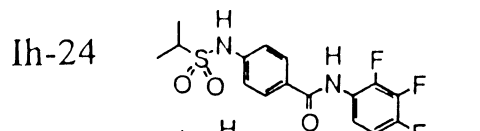
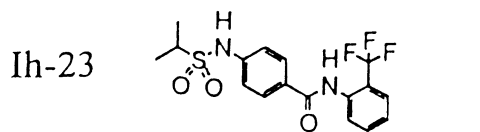
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五、發明說明 (154)



五、發明說明 (155)



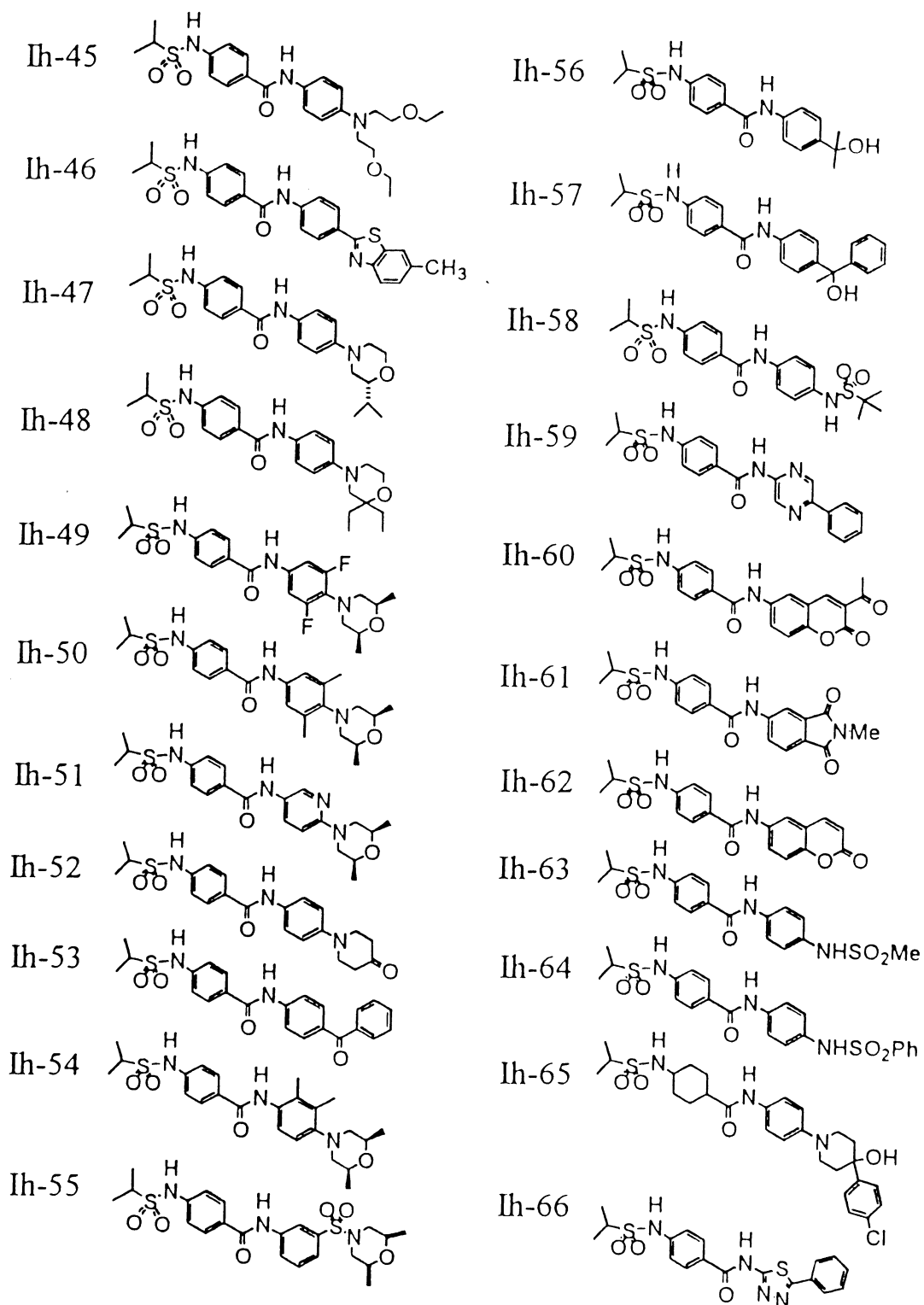
(請先閱讀背面之注意事項再填寫本頁)

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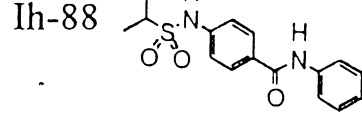
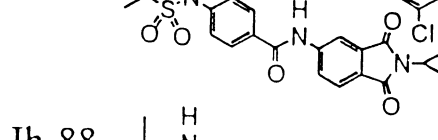
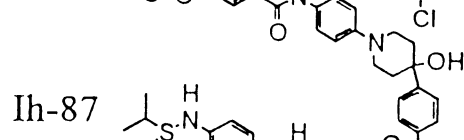
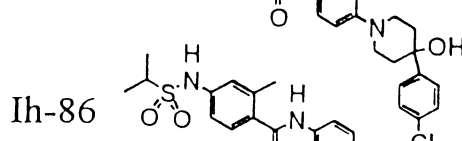
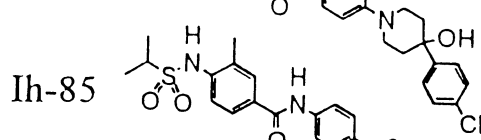
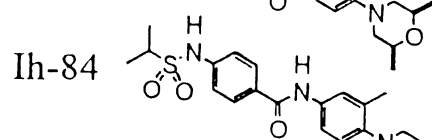
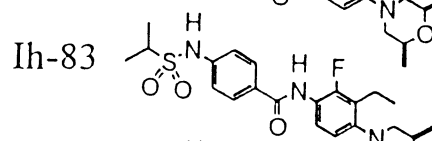
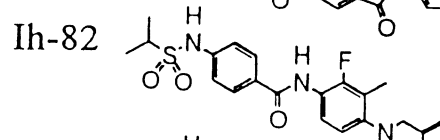
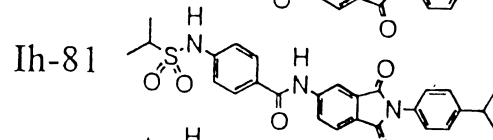
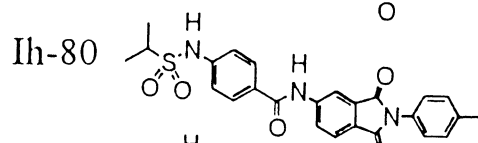
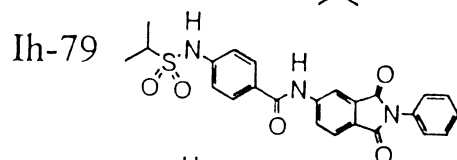
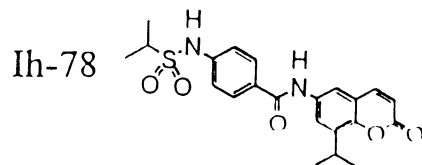
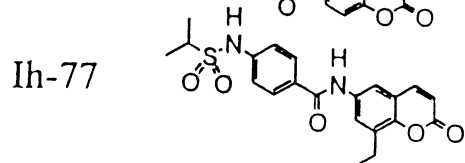
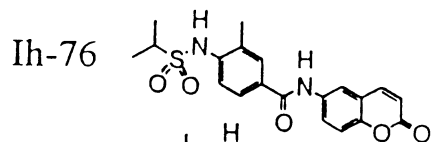
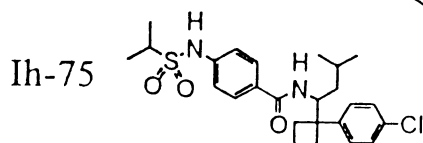
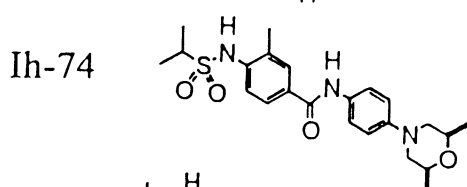
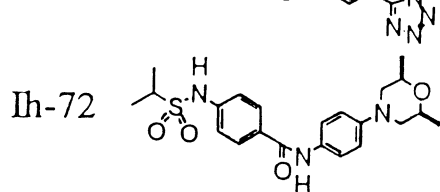
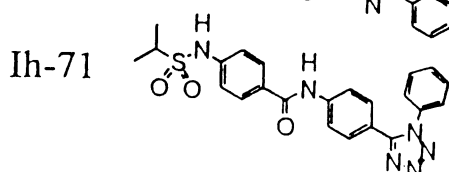
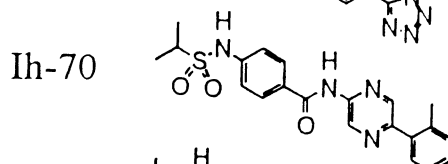
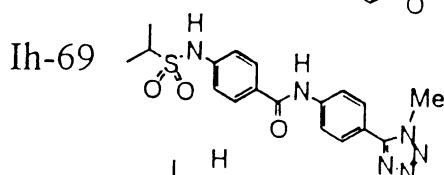
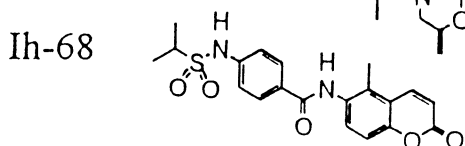
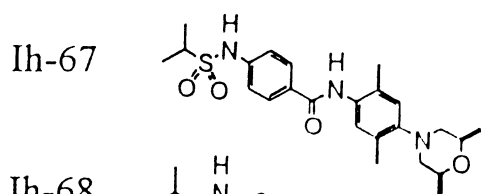
訂

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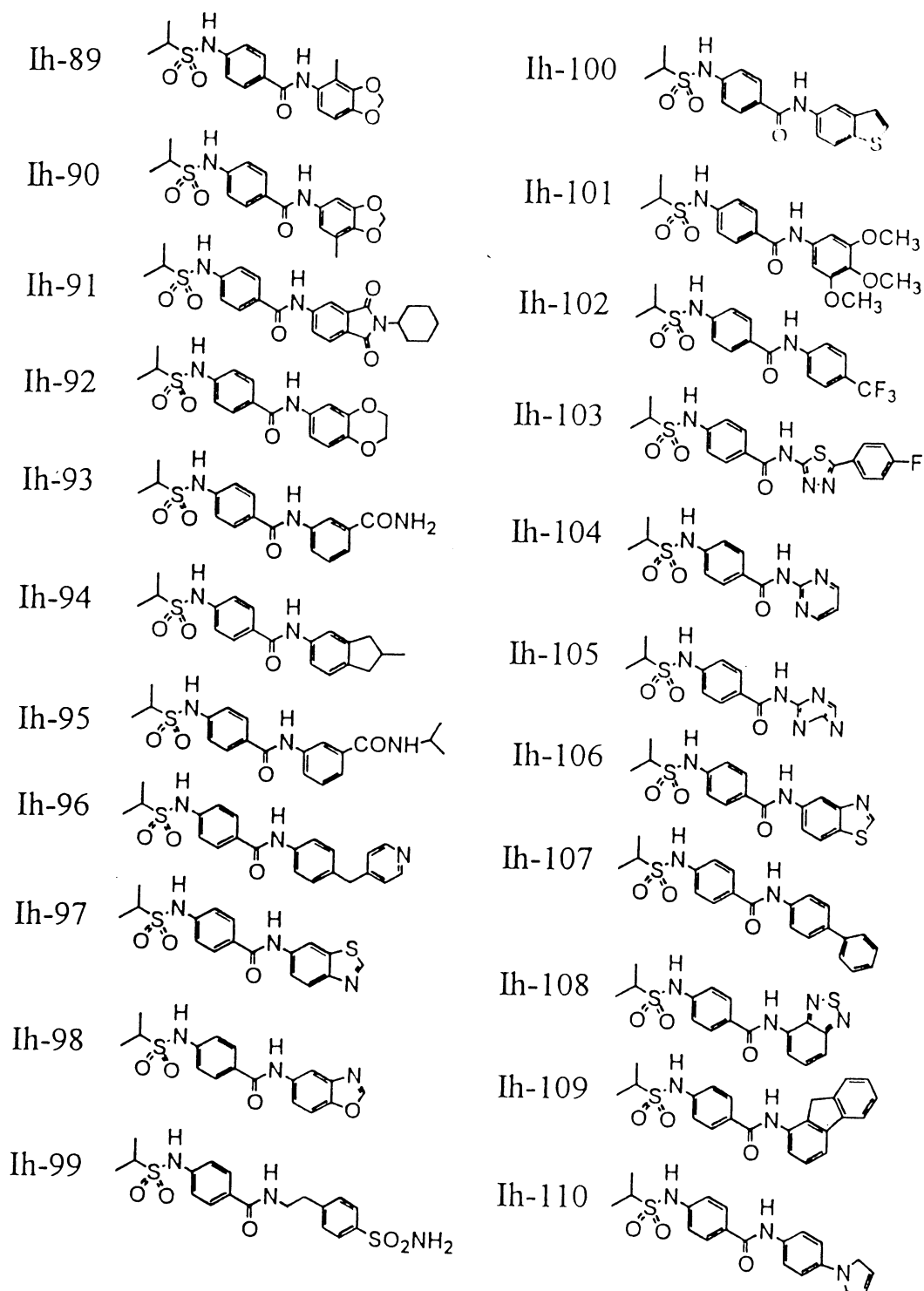
五、發明說明 (15b)



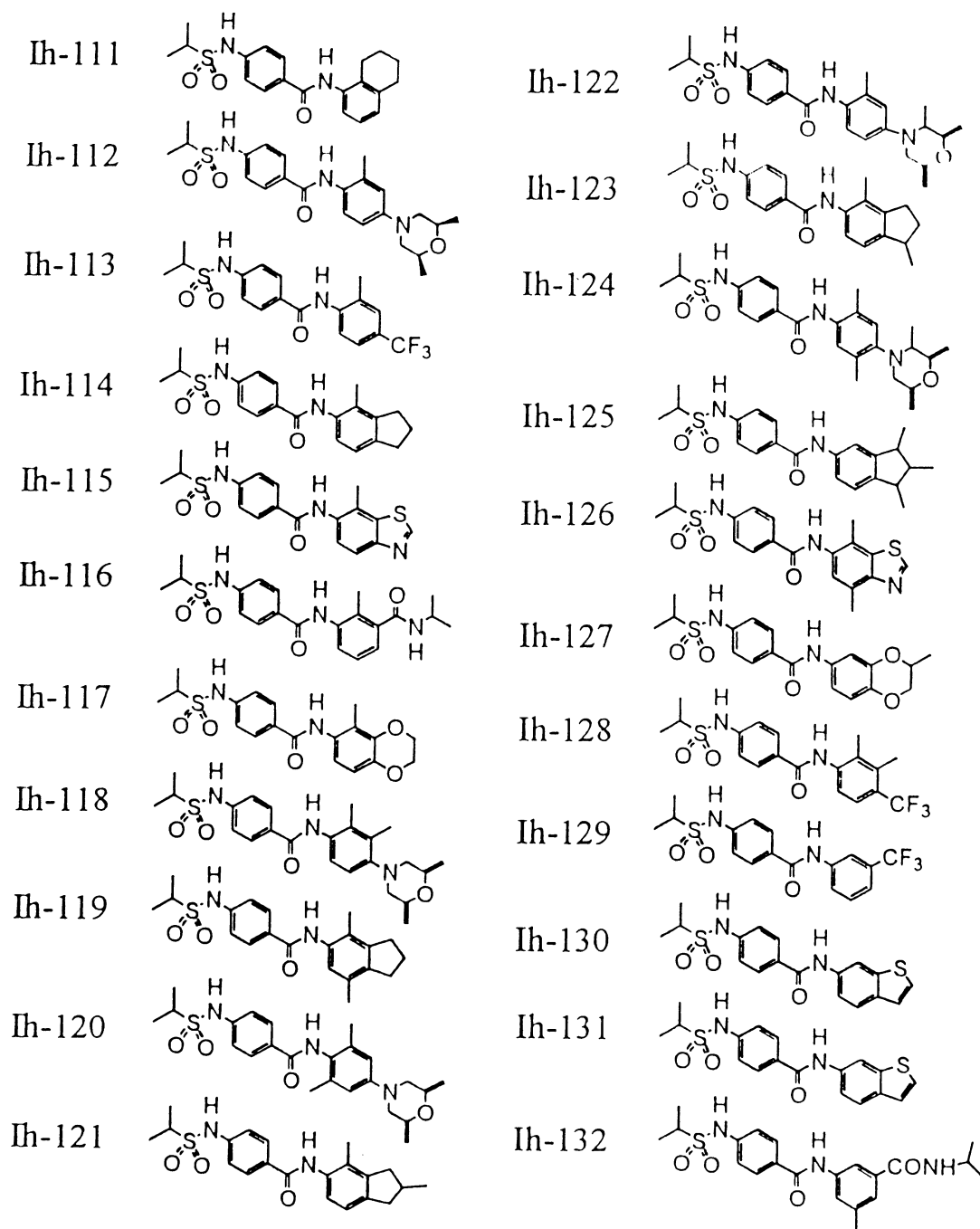
五、發明說明 (157)



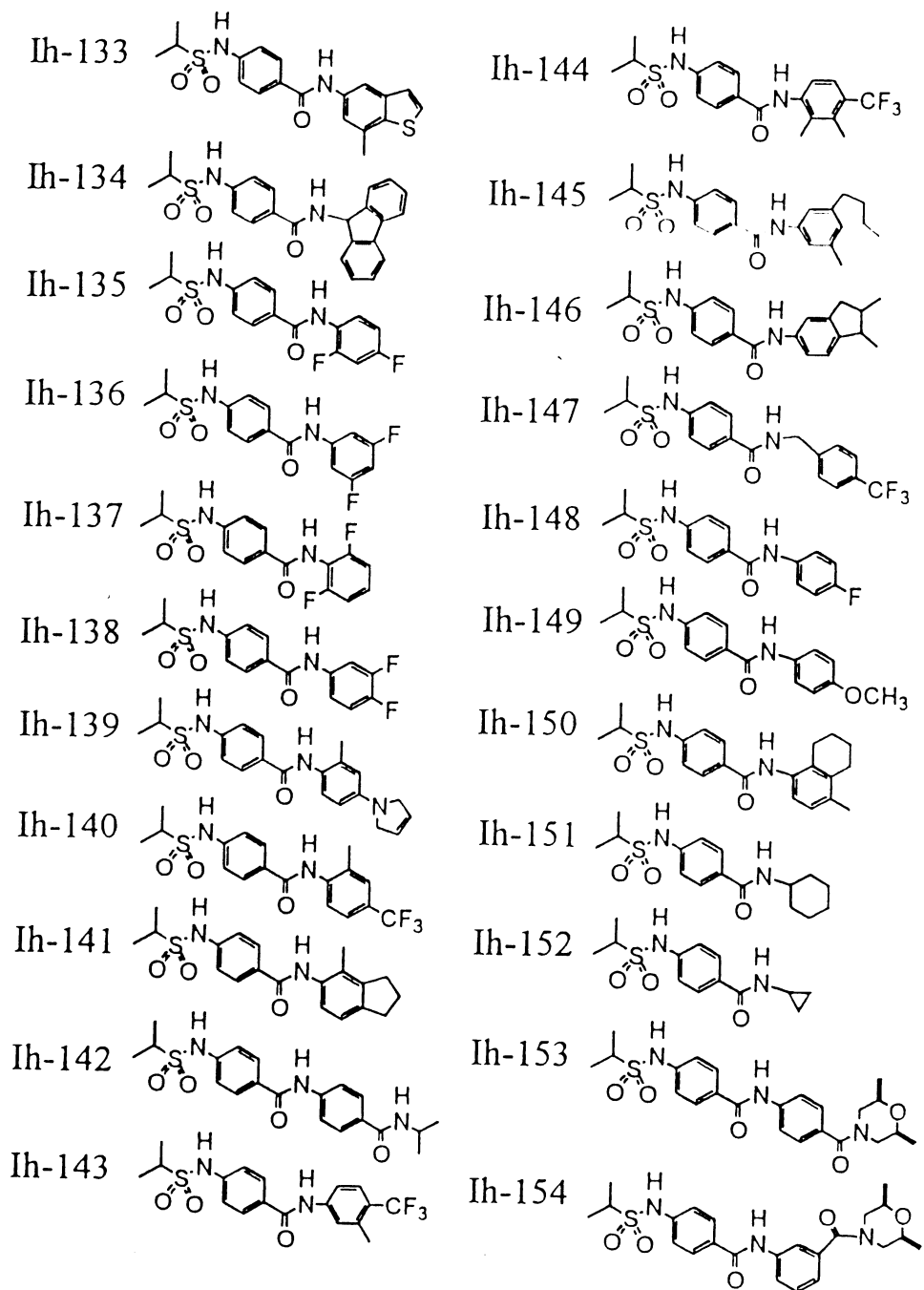
五、發明說明 (158)



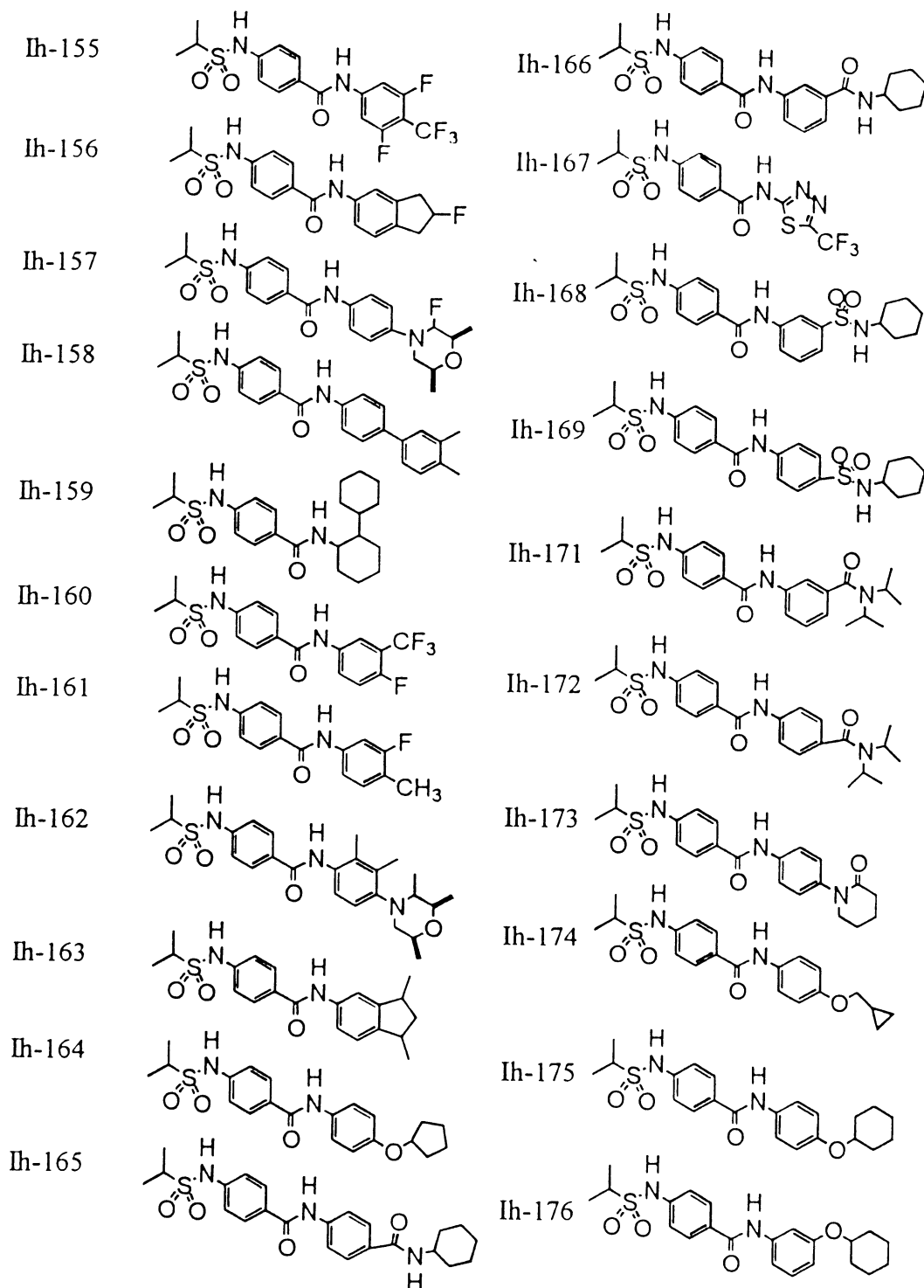
五、發明說明 (159)



五、發明說明 (160)



五、發明說明 (161)



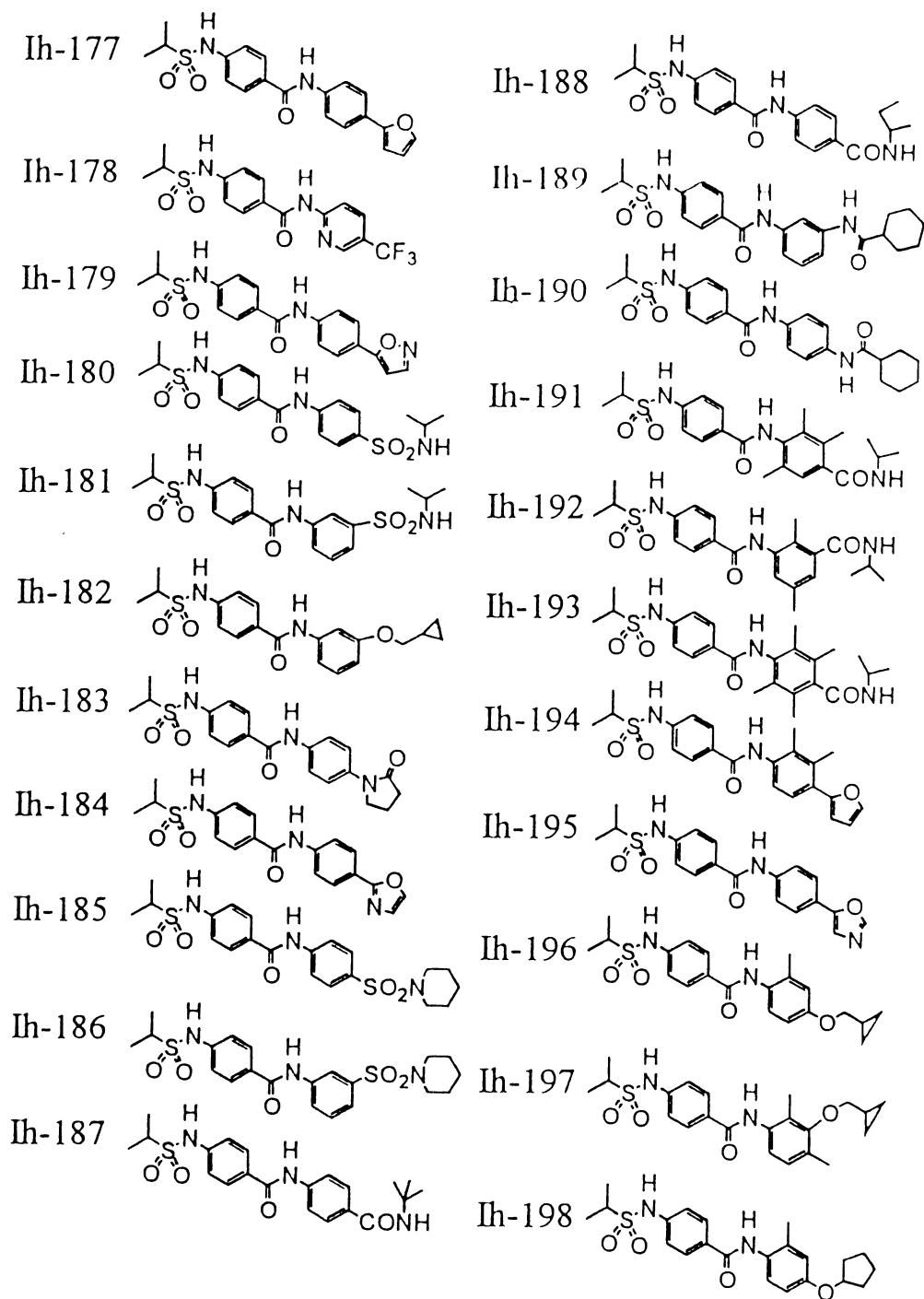
(請先閱讀背面之注意事項再填寫本頁)

裝

訂

結

五、發明說明 (162)



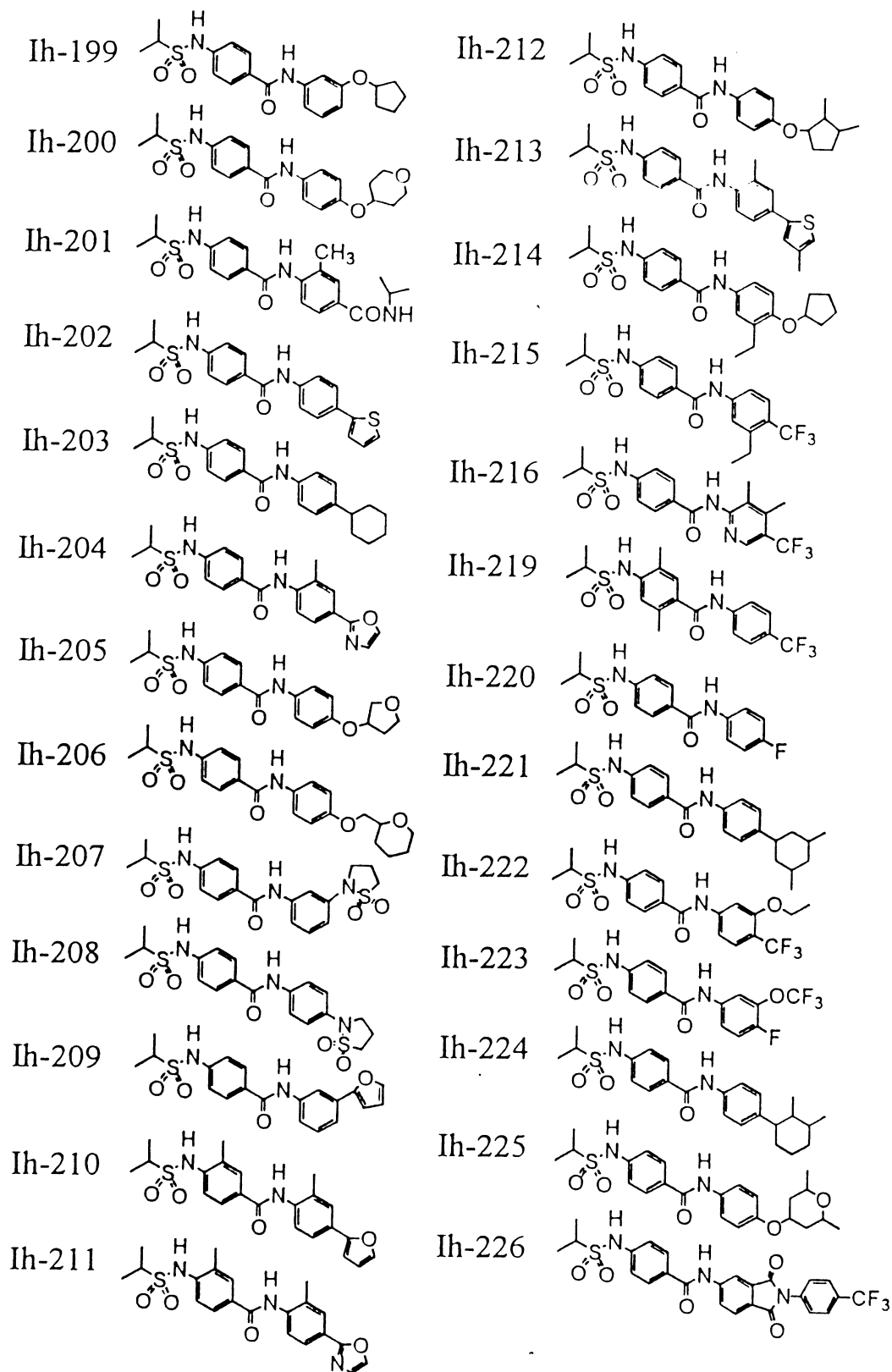
(請先閱讀背面之注意事項再填寫本頁)

裝

訂

編

五、發明說明(16)



(請先閱讀背面之注意事項再填寫本頁)

裝

訂

編

五、發明說明 (164)

I - 2

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.94 (t, 3H, $J = 7.5$ Hz), 1.34-1.44 (m, 2H), 1.40 (d, 6H, $J = 6.6$ Hz), 1.59-1.68 (m, 2H), 2.69 (t, 2H, $J = 7.8$ Hz), 3.24-3.35 (m, 1H), 6.49 (s, 1H), 7.23-7.32 (m, 4H), 7.6 (d, 2H, $J = 8.7$ Hz), 7.79 (d, 2H, $J = 8.1$ Hz), 7.85 (s, 1H).

I - 3

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.2$ Hz), 1.30-1.39 (m, 2H), 1.37 (d, 6H, $J = 6.9$ Hz), 1.57 (quint, 2H, $J = 7.5$ Hz), 1.96 (quint, 2H, $J = 6.6$ Hz), 2.49 (t, 2H, $J = 6.6$ Hz), 2.57 (t, 2H, $J = 7.8$ Hz), 3.16-3.26 (m, 3H), 4.62 (brs, 1H), 7.12 (d, 2H, $J = 8.1$ Hz), 7.43 (d, 2H, $J = 8.4$ Hz), 7.64 (s, 1H).

I - 4

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 0.92 (t, 3H, $J = 6.9$ Hz), 1.28-1.41 (m, 2H), 1.46 (d, 6H, $J = 6.3$ Hz), 1.53-1.63 (m, 2H), 2.58 (t, 2H, $J = 7.8$ Hz), 3.33-3.43 (m, 1H), 6.27-6.29 (m, 1H), 7.14-7.16 (m, 3H), 7.50 (d, 2H, $J = 8.4$ Hz), 7.90 (s, 1H).

I - 5

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.2$ Hz), 1.28-1.41 (m, 2H), 1.46 (d, 6H, $J = 6.9$ Hz), 1.53-1.63 (m, 2H), 2.59 (t, 2H, $J = 7.8$ Hz), 3.35-3.44 (m, 1H), 7.15 (d, 2H, $J = 8.7$ Hz), 7.38 (s, 1H), 7.45 (d, 2H, $J = 8.7$ Hz), 7.57 (s, 1H).

I - 6

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.29-1.39 (m, 2H), 1.37 (d, 6H, $J = 6.9$ Hz), 1.55 (quint, 2H, $J = 7.5$ Hz), 2.55 (t, 2H, $J = 5.1$ Hz), 3.18-3.27 (m, 1H), 3.92 (d, 2H, $J = 6.0$ Hz), 5.51 (t, 1H, $J = 5.7$ Hz), 7.10 (d, 2H, $J = 8.4$ Hz), 7.39 (d, 2H, $J = 8.4$ Hz), 8.23 (s, 1H).

I - 7

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.28-1.38 (m, 2H), 1.37 (d, 6H, $J = 6.9$ Hz), 1.51-1.67 (m, 4H), 1.78-1.88 (m, 2H), 2.39 (t, 2H, $J = 7.2$ Hz).

五、發明說明 (165)

2.57 (t, 2H, $J = 7.5$ Hz), 3.12-3.22 (m, 3H), 4.30-4.37 (m, 1H), 7.12 (d, 2H, $J = 8.4$ Hz), 7.36-7.42 (m, 3H).

I - 8

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.21-1.47 (m, 4H), 1.35 (d, 6H, $J = 6.6$ Hz), 1.51-1.63 (m, 4H), 1.67-1.77 (m, 2H), 2.34 (t, 2H, $J = 7.5$ Hz), 2.55 (t, 2H, $J = 7.8$ Hz), 3.08-3.17 (m, 3H), 4.71 (t, 1H, $J = 6.0$ Hz), 7.09 (d, 2H, $J = 8.1$ Hz), 7.43 (d, 2H, $J = 8.4$ Hz), 7.74 (s, 1H).

I - 9

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.29-1.39 (m, 2H), 1.35 (d, 6H, $J = 6.9$ Hz), 1.50-1.60 (m, 2H), 2.54 (t, 2H, $J = 7.8$ Hz), 2.64 (t, 2H, $J = 5.7$ Hz), 3.14-3.23 (m, 1H), 3.41-3.47 (m, 2H), 5.29 (t, 1H, $J = 6.3$ Hz), 7.10 (d, 2H, $J = 8.4$ Hz), 7.39 (d, 2H, $J = 8.4$ Hz), 7.91 (s, 1H).

I - 10

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.22 (s, 9H), 1.30-1.37 (m, 2H), 1.51-1.68 (m, 4H), 1.76-1.86 (m, 2H), 2.31-2.40 (m, 2H), 2.56 (t, 2H, $J = 7.5$ Hz), 3.15-3.26 (m, 3H), 7.11 (t, 2H, $J = 8.7$ Hz), 7.42 (d, 2H, $J = 8.1$ Hz), 7.54 (s, 1H).

I - 11

mp : 128-129 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.29-1.39 (m, 2H), 1.39 (s, 9H), 1.51-1.68 (m, 4H), 1.76-1.84 (m, 2H), 2.37 (t, 2H, $J = 7.5$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 3.19-3.26 (m, 2H), 4.20 (t, 1H, $J = 5.7$ Hz), 7.11 (d, 2H, $J = 8.1$ Hz), 7.42 (d, 2H, $J = 8.7$ Hz), 7.46 (s, 1H).

I - 12

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.28-1.37 (m, 2H), 1.47-1.68 (m, 6H), 2.23 (t, 2H, $J = 7.2$ Hz), 2.56 (t, 2H, $J = 7.5$ Hz), 2.90-2.97 (m, 2H), 5.10

五、發明說明 (166)

(brs, 1H), 7.11 (d, 2H, $J = 8.4$ Hz), 7.36 (d, 2H, $J = 8.1$ Hz), 7.50-7.68 (m, 3H), 7.93 (d, 1H, $J = 8.1$ Hz), 8.06 (d, 1H, $J = 8.4$ Hz), 8.24 (d, 1H, $J = 7.5$ Hz), 8.66 (d, 1H, $J = 8.7$ Hz).

I - 1 3

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.28-1.40 (m, 2H), 1.45-1.73 (m, 6H), 2.23 (t, 2H, $J = 7.5$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 2.88 (s, 6H), 2.88-2.95 (m, 2H), 5.04 (brs, 1H), 7.10 (d, 2H, $J = 8.1$ Hz), 7.17 (d, 1H, $J = 7.2$ Hz), 7.37 (d, 2H, $J = 8.4$ Hz), 7.48-7.54 (m, 2H), 8.23 (d, 1H, $J = 7.2$ Hz), 8.30 (d, 1H, $J = 8.7$ Hz), 8.53 (d, 1H, $J = 8.4$ Hz).

I - 1 4

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.30-1.43 (m, 6H), 1.36 (d, 6H, $J = 6.6$ Hz), 1.51-1.62 (m, 4H), 1.67-1.78 (m, 2H), 2.34 (t, 2H, $J = 7.5$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 3.09-3.20 (m, 3H), 4.34 (brs, 1H), 7.10 (d, 2H, $J = 8.4$ Hz), 7.41-7.44 (m, 3H).

I - 1 5

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.23 (s, 9H), 1.27-1.80 (m, 12H), 2.30-2.38 (m, 2H), 2.56 (t, 2H, $J = 7.5$ Hz), 3.15 (brs, 2H), 7.11 (d, 2H, $J = 7.8$ Hz), 7.43 (d, 2H, $J = 7.8$ Hz), 7.59 (s, 1H).

I - 1 6

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.29-1.44 (m, 6H), 1.39 (s, 9H), 1.51-1.61 (m, 4H), 1.68-1.78 (m, 2H), 2.35 (t, 2H, $J = 7.5$ Hz), 2.56 (t, 2H, $J = 8.1$ Hz), 3.15-3.21 (m, 2H), 4.14-4.23 (m, 1H), 7.11 (d, 2H, $J = 7.8$ Hz), 7.36-7.44 (m, 3H).

I - 1 9

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.5$ Hz), 1.21 (s, 9H), 1.30-1.40 (m, 2H), 1.55-1.72 (m, 6H), 2.64 (t, 2H, $J = 7.8$ Hz), 3.08-3.33 (m, 3H), 3.42-3.50 (m,

五、發明說明 (167)

2H), 6.39 (s, 1H), 7.22 (d, 2H, $J = 8.4$ Hz), 7.69 (d, 2H, $J = 8.1$ Hz).

I - 2 0

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.2$ Hz), 1.31-1.39 (m, 2H), 1.39 (s, 9H), 1.55-1.72 (m, 6H), 2.64 (t, 2H, $J = 7.8$ Hz), 3.24 (quart, 2H, $J = 6.6$ Hz), 3.48 (quart, 2H, $J = 6.6$ Hz), 4.21 (t, 1H, $J = 6.3$ Hz), 6.29 (s, 1H), 7.22 (d, 2H, $J = 7.8$ Hz), 7.67 (d, 2H, $J = 8.1$ Hz).

I - 2 1

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.23 (s, 9H), 1.30-1.42 (m, 2H), 1.50-2.02 (m, 10H), 2.30-2.42 (m, 1H), 2.57 (t, 2H, $J = 8.1$ Hz), 3.10 (brs, 1H), 3.57 (brs, 1H), 7.12 (d, 2H, $J = 8.4$ Hz), 7.41 (d, 2H, $J = 7.8$ Hz).

I - 2 2

mp : 78-79 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.30-1.40 (m, 2H), 1.40 (s, 9H), 1.50-1.65 (m, 4H), 1.70-1.98 (m, 8H), 2.30-2.40 (m, 1H), 2.57 (t, 2H, $J = 7.5$ Hz), 3.58-3.70 (m, 1H), 4.16 (d, 1H, $J = 9.3$ Hz), 7.11-7.15 (m, 3H), 7.40 (d, 2H, $J = 8.1$ Hz).

I - 2 3

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.21 (s, 9H), 1.21-1.41 (m, 4H), 1.51-1.64 (m, 4H), 1.86-2.01 (m, 4H), 2.12-2.25 (m, 1H), 2.56 (t, 2H, $J = 7.5$ Hz), 2.87-2.96 (m, 1H), 3.00-3.12 (m, 1H), 3.23-3.34 (m, 1H), 3.67-3.75 (m, 1H), 7.11 (d, 2H, $J = 8.1$ Hz), 7.40 (d, 2H, $J = 8.4$ Hz).

I - 2 4

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.25-1.37 (m, 2H), 1.40 (s, 9H), 1.48-1.65 (m, 6H), 1.90 (d, 2H, $J = 11.7$ Hz), 2.02 (d, 2H, $J = 11.7$ Hz), 2.12-2.24 (m, 1H), 2.56 (t, 2H, $J = 7.5$ Hz), 3.04 (t, 2H, $J = 6.3$ Hz), 4.31 (t, 1H, $J = 5.7$ Hz), 7.11 (d, 2H, $J = 8.1$ Hz), 7.42 (d, 2H, $J = 8.4$ Hz).

五、發明說明 (168)

I - 2 5

mp : 232-233 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.23-1.40 (m, 4H), 1.40 (s, 9H), 1.51-1.76 (m, 4H), 2.01-2.26 (m, 5H), 2.56 (t, 2H, $J = 7.5$ Hz), 3.22-3.38 (m, 1H), 3.79 (d, 1H, $J = 9.3$ Hz), 7.11 (d, 2H, $J = 8.7$ Hz), 7.17 (s, 1H), 7.40 (d, 2H, $J = 8.4$ Hz).

I - 2 6

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.22 (s, 9H), 1.28-1.40 (m, 2H), 1.52-1.62 (m, 2H), 1.85-1.96 (m, 1H), 2.00-2.14 (m, 1H), 2.38-2.53 (m, 2H), 2.56 (t, 2H, $J = 7.5$ Hz), 3.22-3.37 (m, 3H), 7.11 (d, 2H, $J = 8.4$ Hz), 7.45 (d, 2H, $J = 8.4$ Hz), 8.19 (s, 1H).

I - 2 7

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.30-1.40 (m, 2H), 1.40 (s, 9H), 1.52-1.61 (m, 2H), 1.95 (quint, 2H, $J = 6.3$ Hz), 2.50 (t, 2H, $J = 6.9$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 3.31 (quart, 2H, $J = 6.0$ Hz), 4.30-4.36 (m, 1H), 7.12 (d, 2H, $J = 8.4$ Hz), 7.43 (d, 2H, $J = 8.4$ Hz), 7.65 (s, 1H).

I - 2 8

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.21 (s, 9H), 1.30-1.62 (m, 8H), 2.08 (d, 4H, $J = 11.1$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 3.04 (d, 1H, $J = 4.8$ Hz), 3.20-3.30 (m, 1H), 4.65-4.76 (m, 1H), 6.57 (s, 1H), 7.10 (d, 2H, $J = 8.7$ Hz), 7.26 (d, 2H, $J = 8.1$ Hz).

I - 2 9

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.2$ Hz), 1.23-1.62 (m, 8H), 1.40 (s, 9H), 2.12 (d, 4H, $J = 14.4$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 3.28-3.40 (m, 1H), 3.90 (s, 1H), 4.60-4.73 (m, 1H), 6.57 (s, 1H), 7.10 (d, 2H, $J = 8.4$ Hz), 7.25 (d, 2H, $J = 8.4$ Hz).

五、發明說明 (169)

I - 3 0

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5$ Hz), 1.26-1.39 (m, 2H), 1.51-1.64 (m, 4H), 1.72-1.81 (m, 2H), 2.34 (t, 2H, $J = 6.9$ Hz), 2.56 (t, 2H, $J = 7.8$ Hz), 2.95-3.01 (m, 2H), 4.84 (t, 1H, $J = 5.7$ Hz), 6.99-7.12 (m, 6H), 7.19-7.24 (m, 1H), 7.30 (s, 1H), 7.38-7.43 (m, 4H), 7.79 (d, 2H, $J = 8.7$ Hz).

I - 3 1

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.5$ Hz), 1.21 (s, 9H), 1.28-1.62 (m, 8H), 2.07-2.14 (m, 4H), 2.64 (t, 2H, $J = 7.8$ Hz), 3.11 (d, 1H, $J = 5.1$ Hz), 3.20 (brs, 1H), 3.90-4.04 (m, 1H), 6.06-6.14 (m, 1H), 7.21 (t, 2H, $J = 8.1$ Hz), 7.67 (t, 2H, $J = 8.4$ Hz).

I - 3 2

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.2$ Hz), 1.27-1.65 (m, 8H), 1.40 (s, 9H), 2.10-2.23 (m, 4H), 2.65 (t, 2H, $J = 7.5$ Hz), 3.23-3.35 (m, 1H), 3.49 (s, 1H), 3.88-4.02 (m, 1H), 5.84-5.92 (m, 1H), 7.13 (t, 2H, $J = 8.4$ Hz), 7.65 (d, 2H, $J = 8.1$ Hz).

I - 3 3

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.94 (t, 3H, $J = 7.2$ Hz), 1.30-1.42 (m, 2H), 1.32 (s, 9H), 1.57-1.66 (m, 2H), 2.67 (t, 2H, $J = 7.8$ Hz), 5.61 (s, 1H), 6.93 (d, 2H, $J = 8.7$ Hz), 7.25 (d, 2H, $J = 8.4$ Hz), 7.49 (d, 2H, $J = 9.0$ Hz), 7.80 (d, 2H, $J = 8.1$ Hz), 8.22 (s, 1H).

I - 3 4

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 0.95 (t, 3H, $J = 7.5$ Hz), 1.35 (s, 9H), 1.35-1.44 (m, 2H), 1.57-1.69 (m, 2H), 2.69 (t, 2H, $J = 7.5$ Hz), 7.28-7.33 (m, 4H), 7.56 (d, 2H, $J = 9.0$ Hz), 7.83 (d, 2H, $J = 8.4$ Hz).

I - 3 6

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.5$ Hz), 1.31-1.70 (m, 11H), 1.39 (s,

五、發明說明 (170)

9H). 1.75-1.85 (m, 1H), 2.65 (t, 2H, $J = 8.1$ Hz), 3.13 (t, 2H, $J = 6.6$ Hz), 3.40 (t, 2H, $J = 7.2$ Hz), 4.10 (t, 1H, $J = 5.7$ Hz), 6.21 (t, 1H, $J = 5.7$ Hz), 7.23 (d, 2H, $J = 8.1$ Hz), 7.67 (d, 2H, $J = 8.4$ Hz).

I - 3 7

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.5$ Hz), 0.95-1.10 (m, 2H), 1.31-1.40 (m, 2H), 1.39 (s, 9H), 1.55-1.63 (m, 4H), 1.80-1.92 (m, 4H), 2.65 (t, 2H, $J = 7.8$ Hz), 3.03 (t, 2H, $J = 6.6$ Hz), 3.31 (t, 2H, $J = 6.6$ Hz), 4.06 (t, 1H, $J = 6.0$ Hz), 6.22 (t, 1H, $J = 6.0$ Hz), 7.23 (d, 2H, $J = 8.4$ Hz), 7.67 (d, 2H, $J = 8.1$ Hz).

I - 3 9

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.13 (t, 3H, $J = 7.2$ Hz), 1.39 (s, 9H), 1.69-1.97 (m, 8H), 2.27-2.38 (m, 1H), 3.29-3.35 (m, 4H), 3.60-3.70 (m, 1H), 4.52 (d, 1H, $J = 9.3$ Hz), 6.64 (d, 2H, $J = 8.4$ Hz), 7.22 (s, 1H), 7.31 (d, 2H, $J = 9.0$ Hz).

I - 4 0

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.38 (s, 9H), 1.68-1.96 (m, 8H), 2.30-2.40 (m, 1H), 3.11 (t, 4H, $J = 4.8$ Hz), 3.60-3.72 (m, 1H), 3.86 (t, 4H, $J = 4.8$ Hz), 4.51 (brs, 1H), 6.89 (d, 2H, $J = 9.0$ Hz), 7.42 (d, 2H, $J = 8.7$ Hz).

I - 4 1

mp : >278 °C (dec.)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.18-1.40 (m, 2H), 1.40 (s, 9H), 1.62-1.75 (m, 2H), 2.01-2.27 (m, 5H), 3.10-3.13 (m, 4H), 3.22-3.38 (m, 1H), 3.72 (d, 1H, $J = 9.3$ Hz), 3.85-3.88 (m, 4H), 6.87 (d, 2H, $J = 9.0$ Hz), 7.10 (s, 1H), 7.40 (d, 2H, $J = 9.0$ Hz).

I - 4 2

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.40 (s, 9H), 1.61-1.97 (m, 8H), 2.16 (s, 3H), 2.33-2.43 (m, 1H), 3.60-3.70 (m, 1H), 4.66 (brs, 1H), 7.12 (d, 1H, $J = 8.7$ Hz), 7.46-7.50 (m, 1H), 7.62 (s, H), 7.75-7.78 (m, 1H), 7.86-7.91 (m, 2H).

I - 4 3

五、發明說明 (171)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.40 (s, 9H), 1.62-2.00 (m, 8H), 1.87 (s, 3H), 2.36-2.47 (m, 1H), 3.24 (s, 3H), 3.64-3.74 (m, 1H), 4.87 (brs, 1H), 7.13 (d, 2H, $J = 9.0$ Hz), 7.64 (d, 2H, $J = 8.4$ Hz), 7.81 (s, H).

I 4 4

mp : 235-236 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.13 (t, 6H, $J = 6.9$ Hz), 1.18-1.33 (m, 2H), 1.40 (s, 9H), 1.60-1.77 (m, 2H), 2.00-2.26 (m, 5H), 3.28-3.35 (m, 4H), 3.73 (d, 1H, $J = 9.3$ Hz), 6.60-6.70 (m, 2H), 7.03 (brs, 1H), 7.31 (d, 2H, $J = 7.8$ Hz).

I - 4 5

mp : >268 °C (dec.)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.34 (m, 2H), 1.40 (s, 9H), 1.56-1.76 (m, 8H), 2.00-2.26 (m, 5H), 3.06-3.14 (m, 4H), 3.24-3.36 (m, 1H), 3.72 (d, 1H, $J = 9.3$ Hz), 6.90 (d, 2H, $J = 8.7$ Hz), 7.09 (s, 1H), 7.36 (d, 2H, $J = 8.7$ Hz).

I - 4 6

mp : >272 °C (dec.)

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.28 (s, 9H), 1.31-1.59 (m, 7H), 1.87-2.00 (m, 4H), 2.23-2.34 (m, 1H), 3.00-3.16 (m, 1H), 4.35-4.45 (m, 2H), 6.81 (d, 1H, $J = 9.0$ Hz), 7.16 (t, 1H, $J = 7.2$ Hz), 7.43 (t, 1H, $J = 8.4$ Hz), 7.52-7.58 (m, 3H), 8.04 (d, 1H, $J = 7.8$ Hz), 8.43 (s, 1H).

I - 4 7

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.36 (m, 2H), 1.40 (s, 9H), 1.62-1.77 (m, 2H), 1.98-2.32 (m, 5H), 3.31-3.40 (m, 1H), 3.62 (d, 1H, $J = 9.0$ Hz), 7.08 (s, 1H), 7.29 (d, 2H, $J = 9.0$ Hz), 7.61 (d, 2H, $J = 9.0$ Hz).

I - 4 8

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.36 (m, 2H), 1.40 (s, 9H), 1.62-1.77 (m, 2H), 2.00-2.31 (m, 5H), 3.24-3.40 (m, 1H), 3.62 (d, 1H, $J = 10.2$ Hz), 7.01 (t, 2H, $J =$

五、發明說明 (17)

8.7 Hz), 7.09 (s, 1H), 7.42-7.50 (m, 2H).

I - 4 9

mp : 270 °C (dec.)

¹H-NMR (CDCl₃) δ ppm: 1.20-1.36 (m, 2H), 1.40 (s, 9H), 1.61-1.77 (m, 2H), 1.95-2.30 (m, 9H), 3.17-3.38 (m, 5H), 3.67 (d, 1H, J = 9.3 Hz), 6.50 (d, 2H, J = 9.0 Hz), 6.97 (s, 1H), 7.30 (d, 2H, J = 9.0 Hz).

I - 5 0

mp : 252-253 °C

¹H-NMR (CDCl₃) δ ppm: 1.21-1.37 (m, 2H), 1.40 (s, 9H), 1.62-1.78 (m, 2H), 1.98-2.32 (m, 5H), 3.26-3.40 (m, 1H), 3.68 (d, 1H, J = 9.6 Hz), 6.94-7.02 (m, 4H), 7.08 (t, 1H, J = 7.5 Hz), 7.13 (s, 1H), 7.31 (t, 2H, J = 7.5 Hz), 7.46 (d, 2H, J = 9.0 Hz).

I - 5 1

mp : 278-279 °C

¹H-NMR (CDCl₃) δ ppm: 1.02 (d, 6H, J = 6.9 Hz), 1.35 (s, 9H), 1.39-1.71 (m, 6H), 1.90-2.09 (m, 2H), 3.16-3.30 (m, 1H), 3.46 (d, 1H, J = 9.0 Hz), 4.92-5.01 (m, 1H), 6.91-6.95 (m, 2H), 7.00-7.07 (m, 3H), 7.13-7.16 (m, 2H), 7.30-7.36 (m, 2H).

I - 5 2

mp : 276-277 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.36 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.98-2.30 (m, 5H), 2.36 (s, 3H), 2.58 (t, 4H, J = 4.5 Hz), 3.17 (t, 4H, J = 4.5 Hz), 3.21-3.40 (m, 1H), 3.64 (d, 1H, J = 9.0 Hz), 6.88 (d, 2H, J = 9.0 Hz), 7.01 (s, 1H), 7.37 (d, 2H, J = 9.0 Hz).

I - 5 3

mp : >300 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.20-1.54 (m, 4H), 1.27 (s, 9H), 1.73-1.88 (m, 2H).

五、發明說明 (173)

1.89-2.01 (m, 2H), 2.13-2.25 (m, 1H), 2.98-3.12 (m, 1H), 3.15-3.31 (m, 8H), 6.76-6.84 (m, 2H), 6.93 (d, 2H, $J = 9.0$ Hz), 6.99 (d, 2H, $J = 8.1$ Hz), 7.24 (d, 2H, $J = 8.1$ Hz), 7.46 (d, 2H, $J = 9.0$ Hz), 9.60 (s, 1H).

I - 5 4

mp : >215 °C (dec.)

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.27 (s, 9H), 1.27-2.00 (m, 18H), 2.14-2.26 (m, 1H), 2.53-2.84 (m, 4H), 2.86-3.30 (m, 2H), 3.46-3.54 (m, 1H), 3.62-3.74 (m, 2H), 6.78 (d, 1H, $J = 8.7$ Hz), 6.87 (d, 2H, $J = 7.8$ Hz), 7.42 (d, 2H, $J = 8.7$ Hz), 9.58 (s, 1H).

I - 5 5

mp : >290 °C (dec.)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.23-1.40 (m, 2H), 1.40 (s, 9H), 1.60-1.76 (m, 2H), 2.02-2.27 (m, 5H), 3.20 (t, 4H, $J = 5.4$ Hz), 3.21-3.32 (m, 1H), 3.67 (d, 1H, $J = 9.3$ Hz), 3.98 (t, 4H, $J = 4.8$ Hz), 6.52 (t, 1H, $J = 4.8$ Hz), 6.93 (d, 2H, $J = 8.4$ Hz), 7.06 (s, 1H), 7.41 (d, 2H, $J = 8.7$ Hz), 8.33 (d, 2H, $J = 4.8$ Hz).

I - 5 6

mp : >232 °C (dec.)

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.27 (s, 9H), 1.27-1.48 (m, 4H), 1.80-1.99 (m, 4H), 2.14-2.25 (m, 1H), 3.04-3.24 (m, 8H), 3.68 (s, 3H), 3.76 (s, 3H), 6.44-6.47 (m, 1H), 6.66 (s, 1H), 6.76-6.84 (m, 2H), 6.92 (d, 2H, $J = 8.4$ Hz), 7.46 (d, 2H, $J = 8.4$ Hz), 9.61 (s, 1H).

I - 5 7

mp : 284-285 °C (dec.)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.27 (t, 3H, $J = 7.2$ Hz), 1.40 (s, 9H), 1.61-2.24 (m, 9H), 2.35-2.49 (m, 1H), 2.76 (t, 2H, $J = 10.2$ Hz), 3.04-3.15 (m, 2H), 3.20-3.36 (m, 1H), 3.55-3.59 (m, 2H), 3.87 (d, 1H, $J = 9.6$ Hz), 4.12-4.19 (m, 2H), 6.90 (d, 2H, $J = 8.7$ Hz), 2.79 (s, 1H), 7.40 (d, 2H, $J = 8.7$ Hz).

五、發明說明 (174)

I - 5 8

mp : >299 °C (dec.)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.26-1.33 (m, 2H), 1.40 (s, 9H), 1.56-2.42 (m, 19H), 2.73-2.81 (m, 4H), 3.16-3.26 (m, 4H), 3.64 (d, 1H, $J = 9.6$ Hz), 6.87 (d, 2H, $J = 8.7$ Hz), 7.04 (s, 1H), 7.37 (d, 2H, $J = 9.0$ Hz).

I - 5 9

mp : >270 °C (dec.)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.26-1.47 (m, 2H), 1.47 (s, 9H), 1.60-1.80 (m, 4H), 2.01-2.32 (m, 5H), 3.28-3.40 (m, 3H), 3.62-3.74 (m, 3H), 5.74-5.96 (m, 2H), 6.92 (d, 2H, $J = 8.7$ Hz), 7.13 (s, 1H), 7.39 (d, 2H, $J = 9.0$ Hz).

I - 6 0

mp : 247-250 °C (dec.)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.37 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.98-2.33 (m, 5H), 2.93-3.03 (m, 2H), 3.22-3.40 (m, 1H), 3.52 (t, 2H, $J = 6.0$ Hz), 3.62 (d, 1H, $J = 8.4$ Hz), 4.36 (s, 2H), 6.93 (d, 2H, $J = 8.7$ Hz), 7.00 (s, 1H), 7.11-7.22 (m, 4H), 7.39 (d, 2H, $J = 8.7$ Hz).

I - 6 1

mp : 280-281 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.21-1.38 (m, 2H), 1.41 (s, 9H), 1.64-1.80 (m, 2H), 2.02-2.33 (m, 5H), 3.24-3.40 (m, 1H), 3.61 (d, 1H, $J = 9.0$ Hz), 6.33 (t, 2H, $J = 2.1$ Hz), 7.04 (t, 2H, $J = 2.1$ Hz), 7.14 (s, 1H), 7.34 (d, 2H, $J = 9.0$ Hz), 7.56 (d, 2H, $J = 9.0$ Hz).

I - 6 2

mp : 260-262 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.39 (m, 2H), 1.41 (s, 9H), 1.64-1.82 (m, 2H), 2.02-2.35 (m, 5H), 3.24-3.40 (m, 1H), 3.62 (d, 1H, $J = 9.6$ Hz), 7.31 (d, 2H, $J = 9.0$

五、發明說明 (175)

Hz), 7.51 (s, 1H), 7.69 (d, 2H, $J = 9.0$ Hz).

I - 6 3

mp : 248 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.38 (m, 2H), 1.40 (s, 9H), 1.61-1.78 (m, 2H), 1.98-2.32 (m, 5H), 3.22-3.45 (m, 1H), 3.64 (d, 1H, $J = 9.3$ Hz), 7.11 (s, 1H), 7.37-7.46 (m, 4H).

I - 6 4

mp : 272-275 °C (dec.)

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.20-1.53 (m, 4H), 1.27 (s, 9H), 1.75-1.88 (m, 2H), 1.88-2.00 (m, 2H), 2.11-2.24 (m, 1H), 2.96-3.12 (m, 1H), 5.96 (s, 2H), 6.77 (d, 1H, $J = 8.7$ Hz), 6.82 (d, 1H, $J = 8.4$ Hz), 6.95 (dd, 1H, $J = 1.8, 8.4$ Hz), 7.29 (d, 1H, $J = 1.8$ Hz), 9.70 (s, 1H).

I - 6 5

mp : 293-296 °C (dec.)

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.20-1.70 (m, 10H), 1.27 (s, 9H), 1.79-2.038 (m, 4H), 2.18-2.33 (m, 1H), 2.98-3.30 (m, 5H), 6.79 (d, 1H, $J = 9.0$ Hz), 6.97 (d, 2H, $J = 8.1$ Hz), 7.43-7.57 (m, 4H), 7.62 (d, 2H, $J = 8.1$ Hz), 9.82 (s, 1H).

I - 6 6

mp : >300 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.27 (s, 9H), 1.27-1.53 (m, 4H), 1.86-1.99 (m, 4H), 2.22-2.34 (m, 1H), 2.39 (s, 3H), 3.00-3.14 (m, 1H), 6.25 (s, 1H), 6.79 (d, 1H, $J = 9.0$ Hz), 7.47-7.50 (m, 1H), 7.69-7.76 (m, 1H), 10.27 (s, 1H).

I - 6 7

mp : 248-249 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.20-1.54 (m, 4H), 1.27 (s, 9H), 1.77-1.90 (m, 2H), 1.90-2.02 (m, 2H), 2.02 (s, 3H), 2.17-2.32 (m, 1H), 2.96-3.13 (m, 1H), 6.78 (d, 1H,

五、發明說明 (176)

$J = 8.7 \text{ Hz}$), 7.12-7.30 (m, 3H), 7.89 (s, 1H), 9.79 (s, 1H), 9.88 (s, 1H).

I - 6 8

mp : $>300^\circ\text{C}$

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.20-1.54 (m, 4H), 1.27 (s, 9H), 1.77-1.89 (m, 2H), 1.89-2.03 (m, 2H), 2.00 (s, 3H), 2.14-2.28 (m, 1H), 2.95-3.13 (m, 1H), 6.78 (d, 1H, $J = 8.7 \text{ Hz}$), 7.40-7.54 (m, 4H), 9.72 (s, 1H), 9.83 (s, 1H).

I - 6 9

mp : $199-201^\circ\text{C}$

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.21-1.53 (m, 4H), 1.27 (s, 9H), 1.76-1.89 (m, 2H), 1.89-2.02 (m, 2H), 2.13-2.30 (m, 1H), 2.85 (s, 6H), 2.94-3.14 (m, 1H), 6.40 (dd, 1H, $J = 2.4, 8.4 \text{ Hz}$), 6.78 (d, 1H, $J = 8.7 \text{ Hz}$), 6.90 (d, 1H, $J = 8.4 \text{ Hz}$), 7.05 (t, 2H, $J = 8.4 \text{ Hz}$), 9.60 (s, 1H).

I - 7 0

mp : $227-230^\circ\text{C}$

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.22-1.52 (m, 4H), 1.27 (s, 9H), 1.72-1.87 (m, 2H), 1.87-2.01 (m, 2H), 2.12-2.29 (m, 1H), 2.96-3.12 (m, 1H), 5.00 (s, 2H), 6.22 (d, 1H, $J = 7.5 \text{ Hz}$), 6.66 (d, 1H, $J = 7.5 \text{ Hz}$), 6.78 (d, 1H, $J = 9.0 \text{ Hz}$), 6.86 (d, 1H, $J = 7.5 \text{ Hz}$), 6.89-6.95 (m, 1H), 9.46 (s, 1H).

I - 7 1

mp : $270-272^\circ\text{C}$

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.22-1.52 (m, 4H), 1.26 (s, 9H), 1.73-1.86 (m, 2H), 1.88-2.00 (m, 2H), 2.08-2.22 (m, 1H), 2.95-3.11 (m, 1H), 4.80 (s, 2H), 6.47 (d, 2H, $J = 8.4 \text{ Hz}$), 6.77 (d, 1H, $J = 8.4 \text{ Hz}$), 7.20 (d, 2H, $J = 8.4 \text{ Hz}$), 9.35 (s, 1H).

I - 7 2

mp : $262-263^\circ\text{C}$

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25 (d, 6H, $J = 6.3 \text{ Hz}$), 1.17-1.42 (m, 2H), 1.40 (s,

五、發明說明 (177)

9H), 1.60-1.78 (m, 2H), 1.98-2.43 (m, 7H), 3.20-3.43 (m, 3H), 3.67 (d, 1H, $J = 9.6$ Hz), 3.74-3.86 (m, 2H), 6.86 (d, 2H, $J = 9.0$ Hz), 7.04 (s, 1H), 7.38 (d, 2H, $J = 9.0$ Hz).

I - 7 3

mp : 218-219 °C

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 1.36 (s, 9H), 1.36-1.69 (m, 4H), 1.45 (s, 9H), 1.88-2.02 (m, 3H), 2.06-2.30 (m, 4H), 3.05-3.44 (m, 3H), 3.46-3.56 (m, 1H), 4.16-4.26 (m, 1H), 6.51 (d, 2H, $J = 9.0$ Hz), 7.30 (d, 2H, $J = 8.7$ Hz).

I - 7 4

mp : 295-296 °C (dec.)

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 1.36 (s, 9H), 1.36-1.67 (m, 4H), 1.92-2.13 (m, 4H), 2.26-2.40 (m, 2H), 2.62-2.75 (m, 1H), 3.16-3.25 (m, 1H), 3.58-3.98 (m, 4H), 4.16-4.25 (m, 1H), 7.20-7.30 (m, 2H), 7.62 (d, 2H, $J = 9.0$ Hz).

I - 7 5

mp : 250-251 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.23-1.55 (m, 4H), 1.27 (s, 9H), 1.78-1.90 (m, 2H), 1.90-2.02 (m, 2H), 2.15-2.28 (m, 1H), 2.98-3.14 (m, 1H), 3.06 (t, 2H, $J = 8.4$ Hz), 3.87 (t, 2H, $J = 8.4$ Hz), 6.67 (dd, 1H, $J = 1.5, 7.2$ Hz), 6.80 (d, 1H, $J = 8.4$ Hz), 6.94-7.05 (m, 2H), 7.12-7.19 (m, 1H), 7.16 (d, 2H, $J = 9.3$ Hz), 7.57 (d, 2H, $J = 9.3$ Hz), 9.73 (s, 1H).

I - 7 6

mp : 265-266 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.23-1.58 (m, 4H), 1.28 (s, 9H), 1.83-2.04 (m, 4H), 2.20-2.36 (m, 1H), 2.97-3.16 (m, 1H), 6.67 (d, 1H, $J = 3.0$ Hz), 6.82 (d, 1H, $J = 8.4$ Hz), 7.07-7.22 (m, 2H), 7.47-7.53 (m, 1H), 7.50 (d, 2H, $J = 9.0$ Hz), 7.58 (d, 1H, $J = 3.0$ Hz), 7.64 (d, 1H, $J = 7.5$ Hz), 7.79 (d, 2H, $J = 9.0$ Hz), 10.02 (s, 1H).

五、發明說明 (178)

I - 7 7

mp : 281 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.21-1.56 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.18-2.31 (m, 1H), 2.97-3.14 (m, 1H), 6.51 (dd, 1H, J = 2.1, 2.7 Hz), 6.81 (d, 1H, J = 9.0 Hz), 7.67-7.78 (m, 5H), 8.41 (d, 1H, J = 2.1 Hz), 9.96 (s, 1H).

I - 7 8

mp : >300 °C (dec.)

¹H-NMR (DMSO-d₆) δ ppm: 1.27 (s, 9H), 1.27-1.52 (m, 4H), 1.74-2.04 (m, 7H), 2.10-2.25 (m, 2H), 2.96-3.20 (m, 2H), 3.48-3.58 (m, 1H), 3.75-3.84 (m, 1H), 6.39 (d, 2H, J = 8.4 Hz), 6.79 (d, 1H, J = 8.4 Hz), 7.02 (s, 1H), 7.30 (s, 1H), 7.36 (d, 2H, J = 8.1 Hz), 9.48 (s, 1H).

I - 7 9

mp : 248-250 °C (dec.)

¹H-NMR (DMSO-d₆) δ ppm: 1.27 (s, 9H), 1.27-1.54 (m, 4H), 1.85-1.99 (m, 4H), 2.24-2.33 (m, 1H), 3.00-3.14 (m, 1H), 6.82 (d, 1H, J = 8.7 Hz), 7.77 (d, 2H, J = 8.4 Hz), 8.07 (d, 2H, J = 8.4 Hz).

I - 8 0

mp : >300 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.22-1.58 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.18-2.32 (m, 1H), 2.98-3.14 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 7.35-7.50 (m, 2H), 7.99 (s, 1H), 8.11 (s, 1H), 9.79 (s, 1H), 12.94 (s, 1H).

I - 8 1

mp : 261-262 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.21-1.57 (m, 4H), 1.27 (s, 9H), 1.78-2.02 (m, 4H), 2.17-2.30 (m, 1H), 2.96-3.16 (m, 1H), 6.34 (s, 1H), 6.80 (d, 1H, J = 8.7 Hz), 7.14-7.32 (m, 3H), 7.85 (s, 1H), 9.58 (s, 1H), 10.95 (s, 1H).

五、發明說明 (179)

I - 8 2

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.86 (s, 18H), 1.24-1.37 (m, 2H), 1.37 (s, 9H), 1.56-1.74 (m, 2H), 1.95-2.19 (m, 5H), 3.18-3.32 (m, 1H), 3.44 (t, 4H, $J = 6.3$ Hz), 3.70 (t, 4H, $J = 6.3$ Hz), 4.39 (d, 1H, $J = 9.0$ Hz), 6.59 (d, 2H, $J = 9.0$ Hz), 7.31 (d, 2H, $J = 8.7$ Hz), 7.43 (s, 1H).

I - 8 3

mp : 264-265 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.27 (s, 9H), 1.27-1.52 (m, 4H), 1.78-1.88 (m, 2H), 1.90-2.00 (m, 2H), 2.14-2.26 (m, 1H), 2.96-3.14 (m, 1H), 6.72-6.82 (m, 2H), 6.99 (t, 4H, $J = 7.8$ Hz), 7.18 (t, 2H, $J = 7.5$ Hz), 7.46 (d, 2H, $J = 9.0$ Hz), 8.00 (s, 1H), 9.65 (s, 1H).

I - 8 4

mp : 257 °C (dec.)

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.23-1.57 (m, 4H), 1.27 (s, 9H), 1.83-2.03 (m, 4H), 2.23-2.35 (m, 1H), 2.98-3.15 (m, 1H), 6.80 (d, 1H, $J = 8.1$ Hz), 7.87 (d, 2H, $J = 9.0$ Hz), 8.34 (d, 2H, $J = 9.0$ Hz), 9.21 (s, 1H), 10.20 (s, 1H).

I - 8 5

mp : 256-258 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.22-1.53 (m, 4H), 1.26 (s, 9H), 1.79-2.01 (m, 4H), 2.25 (s, 3H), 2.28-2.42 (m, 1H), 2.97-3.02 (m, 1H), 6.71 (d, 1H, $J = 0.9$ Hz), 6.80 (d, 1H, $J = 8.1$ Hz), 11.91 (s, 1H).

I - 8 6

mp : 228-230 °C

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 1.36 (s, 9H), 1.36-1.48 (m, 2H), 1.55-1.70 (m, 2H), 1.87-1.98 (m, 2H), 2.08-2.17 (m, 2H), 2.20-2.32 (m, 1H), 3.15-3.27 (m, 1H), 3.50 (t, 4H, $J = 5.7$ Hz), 3.69 (t, 4H, $J = 5.7$ Hz), 6.72 (d, 2H, $J = 9.0$ Hz), 7.29-7.33 (m,

五、發明說明 (179A)

2H).

I - 8 7

mp : 183-184 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.27 (s, 9H), 1.27-1.48 (m, 4H), 1.73-1.89 (m, 4H), 1.90-2.00 (m, 2H), 2.16-2.28 (m, 1H), 2.28 (t, 2H, J = 7.5 Hz), 2.51-2.54 (m, 2H), 2.97-3.13 (m, 1H), 3.58 (s, 3H), 6.79 (d, 1H, J = 8.7 Hz), 7.08 (d, 2H, J = 8.7 Hz), 7.49 (d, 2H, J = 8.4 Hz), 9.73 (s, 1H).

I - 8 8

mp : 217-218 °C

¹H-NMR (CD₃OD) δ ppm: 1.36 (s, 9H), 1.36-1.46 (m, 2H), 1.55-1.69 (m, 2H), 1.83-2.00 (m, 4H), 2.07-2.18 (m, 2H), 2.26-2.36 (m, 3H), 2.61 (t, 2H, J = 7.5 Hz), 3.14-3.26 (m, 1H), 7.13 (d, 2H, J = 8.1 Hz), 7.44 (d, 2H, J = 8.1 Hz).

I - 8 9

¹H-NMR (CDCl₃) δ ppm: 0.08 (d, 6H, J = 3.3 Hz), 0.88 (s, 9H), 1.21-1.36 (m, 2H), 1.39 (s, 9H), 1.61-1.74 (m, 2H), 1.88-2.23 (m, 6H), 3.06-3.11 (m, 1H), 3.24-3.74 (m, 4H), 3.92 (d, 1H, J = 9.6 Hz), 4.48-4.56 (m, 1H), 6.47 (d, 2H, J = 9.0 Hz), 7.17 (s, 1H), 7.32 (d, 2H, J = 9.0 Hz).

I - 9 0

mp : amorphous

¹H-NMR (CD₃OD) δ ppm: 1.36 (s, 9H), 1.36-1.47 (m, 2H), 1.56-1.70 (m, 3H), 1.88-2.30 (m, 6H), 3.05-3.49 (m, 5H), 4.50 (brs, 1H), 6.50 (d, 2H, J = 9.0 Hz), 7.29 (d, 2H, J = 9.0 Hz).

I - 9 1

mp : 105-106 °C

¹H-NMR (CDCl₃) δ ppm: 0.92 (t, 3H, J = 7.3 Hz), 1.25-1.27 (m, 2H), 1.36 (d, 6H, J = 6.9 Hz), 1.51-1.59 (m, 2H), 2.56 (t, 2H, J = 7.8 Hz), 3.27 (sept, 1H, J = 6.9 Hz).

五、發明說明 (180)

7.12(d, 2H, $J = 8.6$ Hz), 7.32(t, 1H, $J = 7.8$ Hz), 7.45(brd, 1H, $J = 7.8$ Hz), 7.53(d, 2H, $J = 8.6$ Hz), 7.58(d, 1H, $J = 7.8$ Hz), 7.71-7.72(m, 2H), 8.27(s, 1H).

I - 9 2

mp : 163-164 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3$ Hz), 1.32-1.39(m, 2H), 1.55-1.65(m, 2H), 1.87(s, 3H), 1.95(s, 3H), 2.60(t, 2H, $J = 7.6$ Hz), 7.07(d, 2H, $J = 8.4$ Hz), 7.18(d, 2H, $J = 8.5$ Hz), 7.54(d, 2H, $J = 8.5$ Hz), 7.91(brs, 1H), 8.18(d, 2H, $J = 8.4$ Hz), 8.77(s, 1H).

I - 9 3

mp : 173 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3$ Hz), 1.32-1.40(m, 2H), 1.39(d, 6H, $J = 6.9$ Hz), 1.55-1.62(m, 2H), 2.60(t, 2H, $J = 7.8$ Hz), 3.13(sept, 1H, $J = 6.9$ Hz), 4.39(d, 2H, $J = 6.3$ Hz), 4.45(t, 1H, $J = 6.3$ Hz), 7.18(d, 2H, $J = 8.7$ Hz), 7.46(d, 2H, $J = 8.7$ Hz), 7.54(d, 2H, $J = 8.7$ Hz), 7.80(s, 1H), 7.85(d, 2H, $J = 8.7$ Hz).

I - 9 4

mp : 159-160 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3$ Hz), 1.32-1.39(m, 2H), 1.54-1.80(m, 2H), 1.79(s, 3H), 1.80(s, 3H), 2.60(t, 2H, $J = 7.7$ Hz), 3.18(s, 3H), 7.18(d, 2H, $J = 8.5$ Hz), 7.30(d, 2H, $J = 8.8$ Hz), 7.52(d, 2H, $J = 8.5$ Hz), 7.70(brs, 1H), 7.84(d, 2H, $J = 8.8$ Hz), 8.77(s, 1H).

I - 9 5

mp : 177-178 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.94(t, 3H, $J = 7.2$ Hz), 1.31-1.48(m, 8H), 1.54-1.66(m, 2H), 2.55(s, 3H), 2.62(t, 2H, $J = 7.6$ Hz), 3.92(sept, 1H, $J = 6.6$ Hz), 7.20(d, 2H, $J = 8.45$ Hz), 7.74(d, 2H, $J = 8.5$ Hz), 9.01(brs, 1H), 9.17(s, 1H).

I - 9 6

五、發明說明 (181)

mp : 220-223 °C

¹H-NMR (CDCl₃) δ ppm: 0.93(t, 3H, J = 7.3Hz), 1.28-1.42(m, 2H), 1.50(d, 6H, J = 6.8Hz), 1.54-1.65(m, 2H), 2.62(t, 2H, J = 7.6Hz), 4.08(sept, 1H, J = 7.1Hz), 7.20(d, 2H, J = 8.5Hz), 7.48(d, 2H, J = 8.5Hz), 7.71(brs, 1H), 8.51(brs, 1H), 8.95(s, 1H).

I - 9 7

mp : 195-197 °C

¹H-NMR (CDCl₃) δ ppm: 0.91(t, 3H, J = 7.6Hz), 0.94(t, 3H, J = 7.3Hz), 1.32-1.44(m, 6H), 1.54-1.64(m, 2H), 1.66-1.78(m, 2H), 2.62(t, 2H, J = 7.7Hz), 2.86(brs, 2H), 3.98(sept, 1H, J = 7.1Hz), 7.19(d, 2H, J = 8.5Hz), 7.63(d, 2H, J = 8.4Hz), 8.72(brs, 1H), 8.81(brs, 1H).

I - 9 8

mp : 216-218 °C

¹H-NMR (CDCl₃+CD₃OD) δ ppm: 0.93(t, 3H, J = 7.4Hz), 1.29-1.40(m, 2H), 1.43(d, 2H, J = 6.9Hz), 1.51-1.63(m, 2H), 2.60(t, 2H, J = 7.8Hz), 3.65(sept, 1H, J = 6.9Hz), 7.18(d, 2H, J = 8.5Hz), 7.22(d, 1H, J = 8.8Hz), 7.55(d, 2H, J = 8.5Hz), 8.18(dd, 1H, J = 8.8, 2.4Hz), 8.63(d, 1H, J = 2.4Hz).

I - 9 9

mp : 201-202 °C

¹H-NMR (CDCl₃) δ ppm: 0.93(t, 3H, J = 7.3Hz), 1.22-1.40(m, 2H), 1.49(d, 2H, J = 7.1Hz), 1.51-1.63(m, 2H), 2.59(t, 2H, J = 7.7Hz), 4.22(sept, 1H, J = 7.1Hz), 7.16(d, 2H, J = 8.4Hz), 7.41(brs, 1H), 7.52(d, 2H, J = 8.4Hz), 8.10(brs, 1H), 8.13(d, 1H, J = 2.2Hz), 8.61(brs, 1H).

I - 1 0 0

mp : 160-162 °C

¹H-NMR (CDCl₃) δ ppm: 0.93(t, 3H, J = 7.3Hz), 1.22-1.42(m, 2H), 1.45(d, 2H,

五、發明說明 (18)

$J = 6.9\text{Hz}$), 1.51-1.63(m, 2H), 2.61(t, 2H, $J = 7.8\text{Hz}$), 3.37(sept, 1H, $J = 6.9\text{Hz}$), 6.89(brs, 1H), 7.19(d, 2H, $J = 8.4\text{Hz}$), 7.65(d, 2H, $J = 8.4\text{Hz}$), 7.80(dd, 1H, $J = 8.4$, 2.4Hz), 8.27(d, 1H, $J = 8.4\text{Hz}$), 8.45(d, 1H, $J = 2.4\text{Hz}$), 9.75(brs, 1H).

I - 101、I - 214

mp : 192-194 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3\text{Hz}$), 1.27-1.41(m, 2H), 1.35(s, 9H), 1.50-1.66(m, 2H), 2.60(t, 2H, $J = 7.6\text{Hz}$), 5.58(brs, 1H), 7.07(d, 2H, $J = 8.5\text{Hz}$), 7.17(d, 2H, $J = 8.5\text{Hz}$), 7.52(d, 2H, $J = 8.5\text{Hz}$), 7.71(brs, 1H), 7.79(d, 2H, $J = 8.5\text{Hz}$).

I - 102

mp : 216-217 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3\text{Hz}$), 1.26-1.42(m, 2H), 1.45(s, 9H), 1.70-1.83(m, 2H), 2.60(t, 2H, $J = 7.7\text{Hz}$), 6.42(brs, 1H), 7.18(d, 2H, $J = 8.5\text{Hz}$), 7.35(d, 2H, $J = 8.5\text{Hz}$), 7.51(d, 2H, $J = 8.5\text{Hz}$), 7.68(brs, 1H), 7.82(d, 2H, $J = 8.5\text{Hz}$).

I - 103

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91(t, 3H, $J = 7.3\text{Hz}$), 1.28-1.36(m, 2H), 1.32(d, 6H, $J = 6.9\text{Hz}$), 1.49-1.59(m, 2H), 2.54(t, 2H, $J = 7.7\text{Hz}$), 3.23(sept, 1H, $J = 6.9\text{Hz}$), 3.46(s, 3H), 6.76(brs, 1H), 6.91(d, 2H, $J = 8.2\text{Hz}$), 6.99(d, 2H, $J = 8.8\text{Hz}$), 7.03(d, 2H, $J = 8.2\text{Hz}$), 7.25(d, 2H, $J = 8.8\text{Hz}$).

I - 104

mp : 182-183 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3\text{Hz}$), 1.28-1.40(m, 2H), 1.51-1.63(m, 2H), 1.64-1.88(m, 4H), 1.90-2.23(m, 4H), 2.60(t, 2H, $J = 7.6\text{Hz}$), 3.39(m, 1H), 6.16(brs, 1H), 7.07(d, 2H, $J = 8.5\text{Hz}$), 7.16(d, 2H, $J = 8.5\text{Hz}$), 7.52(d, 2H, $J = 8.5\text{Hz}$), 7.74(brs, 1H), 7.77(d, 2H, $J = 8.5\text{Hz}$).

五、發明說明 (184)

I - 105

mp : 190-191 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3\text{Hz}$), 1.28-1.41(m, 2H), 1.52-1.69(m, 4H), 1.75-1.90(m, 2H), 1.92-2.07(m, 4H), 2.58(t, 2H, $J = 7.6\text{Hz}$), 3.59(m, 1H), 6.53(brs, 1H), 7.18(d, 2H, $J = 8.5\text{Hz}$), 7.31(d, 2H, $J = 8.5\text{Hz}$), 7.52(d, 2H, $J = 8.5\text{Hz}$), 7.67(brs, 1H), 7.84(d, 2H, $J = 8.5\text{Hz}$).

I - 106

mp : 194-197 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3\text{Hz}$), 1.22-1.41(m, 2H), 1.53-1.65(m, 2H), 1.90(s, 6H), 2.60(t, 2H, $J = 7.8\text{Hz}$), 6.86(brs, 1H), 7.18(d, 2H, $J = 8.5\text{Hz}$), 7.43(d, 2H, $J = 8.5\text{Hz}$), 7.51(d, 2H, $J = 8.5\text{Hz}$), 7.71(brs, 1H), 7.84(d, 2H, $J = 8.5\text{Hz}$).

I - 107

mp : 211-212 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3\text{Hz}$), 1.24-1.40(m, 2H), 1.50-1.62(m, 2H), 2.60(t, 2H, $J = 7.6\text{Hz}$), 6.19(brs, 1H), 7.17(d, 2H, $J = 8.5\text{Hz}$), 7.18(d, 2H, $J = 8.5\text{Hz}$), 7.51(d, 2H, $J = 8.5\text{Hz}$), 7.66(brs, 1H), 7.86(d, 2H, $J = 8.5\text{Hz}$).

I - 108

mp : 298-300 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 0.90(t, 3H, $J = 7.3\text{Hz}$), 1.22-1.39(m, 2H), 1.48-1.60(m, 2H), 2.54(t, 2H, $J = 7.3\text{Hz}$), 7.04(d, 2H, $J = 8.8\text{Hz}$), 7.12(d, 2H, $J = 8.5\text{Hz}$), 7.64(d, 2H, $J = 8.5\text{Hz}$), 7.69(d, 2H, $J = 8.8\text{Hz}$), 9.80(s, 1H).

I - 109

mp : 122-123 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.90(t, 3H, $J = 7.4\text{Hz}$), 0.97(t, 3H, $J = 7.7\text{Hz}$), 1.26-1.38(m, 2H), 1.30(s, 6H), 1.50-1.66(m, 4H), 1.72-1.83(m, 4H), 2.34(t, 2H, J

(請先閱讀背面之注意事項再填寫本頁)

裝

訂

線

五、發明說明 (184)

= 7.1Hz), 2.55(t, 2H, J = 7.6Hz), 3.19(q, 1H, J = 6.0Hz), 4.60(brs, 1H), 7.08(d, 2H, J = 8.5Hz), 7.42(d, 2H, J = 8.5Hz), 7.85(s, 1H).

I - 1 1 0

mp : 109-110 °C

¹H-NMR (CDCl₃) δ ppm: 0.91(t, 3H, J = 7.4Hz), 1.10(d, 6H, J = 6.7Hz), 1.29-1.38(m, 2H), 1.55(s, 9H), 1.60-1.70(m, 2H), 1.78-1.89(m, 2H), 2.26(m, 1H), 2.39(t, 2H, J = 7.0Hz), 2.57(t, 2H, J = 7.7Hz), 2.90(d, 2H, J = 6.6Hz), 3.16(brs, 1H), 4.24(brs, 1H), 7.12(d, 2H, J = 8.5Hz), 7.40(d, 2H, J = 8.5Hz).

I - 1 1 1

mp : 64-65 °C

¹H-NMR (CDCl₃) δ ppm: 0.91(t, 3H, J = 7.3Hz), 1.02(t, 3H, J = 7.5Hz), 1.35(d, 3H, J = 6.7Hz), 1.26-1.38(m, 2H), 1.48-1.69(m, 5H), 1.76-1.87(m, 2H), 2.04(m, 1H), 2.38(t, 2H, J = 7.3Hz), 2.56(t, 2H, J = 7.6Hz), 2.91(m, 1H), 3.16(brs, 2H), 4.42(brs, 1H), 7.11(d, 2H, J = 8.5Hz), 7.42(d, 2H, J = 8.5Hz), 7.47(brs, 1H).

I - 1 1 2

mp : 79-80 °C

¹H-NMR (CDCl₃) δ ppm: 1.36(s, 9H), 1.52-1.62(m, 2H), 1.67-1.76(m, 2H), 2.22(t, 2H, J = 7.4Hz), 3.16(q, 2H, J = 6.3Hz), 3.78(s, 3H), 4.33(d, 2H, J = 5.4Hz), 4.62(brs, 1H), 6.20(brs, 1H), 6.85(d, 2H, J = 8.8Hz), 7.19(d, 2H, J = 8.8Hz).

I - 1 1 3

mp : 125-126 °C

¹H-NMR (CDCl₃) δ ppm: 1.38(s, 9H), 1.62-1.70(m, 2H), 1.76-1.88(m, 2H), 2.46(t, 2H, J = 7.4Hz), 3.22(q, 2H, J = 6.1Hz), 4.22(t, 1H, J = 6.1Hz), 7.24(dd, 1H, J = 8.9, 2.3Hz), 7.36(d, 1H, J = 2.3Hz), 7.65(brs, 1H), 8.29(d, 1H, J = 8.9Hz).

I - 1 1 4

mp : 89-91 °C

五、發明說明 (185)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.0\text{Hz}$), 1.06 (d, 6H, $J = 7.0\text{Hz}$), 1.36 (m, 1H), 1.50-1.72 (m, 5H), 1.94-2.06 (m, 2H), 2.26 (m, 1H), 2.60 (t, 2H, $J = 7.7\text{Hz}$), 2.84 (t, 2H, $J = 7.7\text{Hz}$), 2.93 (d, 2H, $J = 6.3\text{Hz}$), 3.20 (t, 2H, $J = 6.6\text{Hz}$), 4.30 (brs, 1H), 7.19 (d, 2H, $J = 8.5\text{Hz}$), 7.63 (d, 2H, $J = 8.5\text{Hz}$), 9.15 (brs, 1H).

I - 1 1 5

mp : 94-95 $^{\circ}\text{C}$

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.5\text{Hz}$), 1.03 (t, 3H, $J = 7.5\text{Hz}$), 1.23-1.40 (m, 5H), 1.42-1.65 (m, 6H), 1.75 (m, 1H), 2.02 (m, 1H), 2.24 (t, 2H, $J = 7.0\text{Hz}$), 2.59 (t, 2H, $J = 8.0\text{Hz}$), 2.90 (m, 1H), 3.14 (q, 2H, $J = 6.6\text{Hz}$), 4.20 (m, 1H), 4.40 (d, 2H, $J = 5.4\text{Hz}$), 5.70 (brs, 1H), 7.14 (d, 2H, $J = 8.1\text{Hz}$), 7.18 (d, 2H, $J = 8.1\text{Hz}$).

I - 1 1 6

mp : 89-91 $^{\circ}\text{C}$

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.97 (t, 3H, $J = 7.3\text{Hz}$), 1.02 (t, 3H, $J = 7.5\text{Hz}$), 1.35 (d, 3H, $J = 7.0\text{Hz}$), 1.40-1.90 (m, 9H), 2.04 (m, 1H), 2.37 (t, 2H, $J = 7.0\text{Hz}$), 2.90 (m, 1H), 3.17 (q, 2H, $J = 6.6\text{Hz}$), 3.93 (t, 2H, $J = 6.6\text{Hz}$), 4.32 (m, 1H), 6.84 (d, 2H, $J = 9.0\text{Hz}$), 7.31 (brs, 1H), 7.40 (d, 2H, $J = 9.0\text{Hz}$).

I - 1 1 7

mp : 110-111 $^{\circ}\text{C}$

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.02 (t, 3H, $J = 7.5\text{Hz}$), 1.34 (d, 3H, $J = 6.6\text{Hz}$), 1.45-1.70 (m, 3H), 1.75-1.85 (m, 2H), 2.05 (m, 1H), 2.36 (t, 2H, $J = 7.5\text{Hz}$), 2.90 (m, 1H), 3.16 (q, 2H, $J = 6.6\text{Hz}$), 3.78 (s, 3H), 4.50 (m, 1H), 6.84 (d, 2H, $J = 6.8\text{Hz}$), 7.42 (d, 2H, $J = 6.8\text{Hz}$), 7.48 (brs, 1H).

I - 1 1 8

mp : 113-115 $^{\circ}\text{C}$

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.92 (t, 3H, $J = 7.0\text{Hz}$), 1.20-1.34 (m, 1H), 1.37 (d,

五、發明說明 (18b)

6H, $J = 7.0\text{Hz}$), 1.48-1.70 (m, 3H), 2.43 (q, 2H, $J = 6.6\text{Hz}$), 2.58 (t, 2H, $J = 7.7\text{Hz}$), 3.10-3.31 (m, 3H), 4.75 (m, 1H), 6.04 (d, 1H, $J = 15.0\text{Hz}$), 6.77 (dt, 1H, $J = 7.7$, 15.0Hz), 7.14 (d, 2H, $J = 8.4\text{Hz}$), 7.55 (d, 2H, $J = 8.4\text{Hz}$), 7.85 (brs, 1H).

I - 1 1 9

mp : 139-140 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.19(s, 9H), 1.47(m, 2H), 1.61(m, 2H), 2.18(t, 2H, $J = 7.6\text{Hz}$), 3.03(q, 2H, $J = 6.3\text{Hz}$), 4.09(t, 1H, $J = 5.9\text{Hz}$), 6.85(brd, 1H, $J = 8.0\text{Hz}$), 7.00(t, 1H, $J = 8.0\text{Hz}$), 7.16(brd, 1H, $J = 8.0$), 7.48(brs, 1H), 7.57(brs, 1H).

I - 1 2 0

mp: 183°C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91(t, 3H, $J = 7.3\text{Hz}$), 1.20-1.58(m, 6H), 1.40(s, 9H), 2.07(dd, 1H, $J = 12.9$, 3.1Hz), 2.52(t, 2H, $J = 7.7\text{Hz}$), 2.95(dd, 2H, $J = 11.5$, 2.5Hz), 3.46(m, 1H), 3.88-4.07(m, 3H), 6.47(s, 1H), 7.08(d, 2H, $J = 8.5\text{Hz}$), 7.22(d, 2H, $J = 8.5\text{Hz}$).

I - 1 2 1

mp : 163-166 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91(t, 3H, $J = 7.3\text{Hz}$), 1.32-1.62(m, 6H), 1.45(s, 9H), 1.95-2.07(m, 3H), 2.20(m, 1H), 2.46(td, 1H, $J = 10.4$, 3.7Hz), 2.37(t, 2H, $J = 7.6\text{Hz}$), 3.43(brd, 2H, $J = 10.4\text{Hz}$), 4.80(s, 1H), 7.12(d, 2H, $J = 8.4\text{Hz}$), 7.14(s, 1H), 7.39(d, 2H, $J = 8.4\text{Hz}$).

I - 1 2 2

mp : 188-189 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.91 (t, 3H, $J = 7.5\text{Hz}$), 1.25-1.41 (m, 2H), 1.42 (s, 9H), 1.50-1.62 (m, 2H), 1.78-1.95 (m, 4H), 2.00-2.20 (m, 6H), 2.57 (t, 2H, $J = 7.5\text{Hz}$), 3.99 (brs, 1H), 7.10 (brs, 1H), 7.12 (d, 2H, $J = 6.5\text{Hz}$), 7.41 (d, 2H, $J = 6.5\text{Hz}$).

五、發明說明 (187)

I - 1 2 3

mp : 197-198 °C

¹H-NMR (CDCl₃) δ ppm: 0.91 (t, 3H, J = 7.5Hz), 1.24-1.40 (m, 2H), 1.39 (s, 9H), 1.50-1.70 (m, 2H), 1.99 (brs, 12H), 2.56 (t, 2H, J = 7.5Hz), 3.47 (brs, 1H), 7.10 (s, 1H), 7.11 (d, 2H, J = 8.5Hz), 7.38 (d, 2H, J = 8.5Hz).

I - 1 2 4

mp : 258-260 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.40 (m, 2H), 1.41 (s, 9H), 1.62-1.81 (m, 2H), 2.03-2.35 (m, 5H), 2.37 (s, 3H), 2.71 (s, 3H), 3.32 (m, 1H), 3.64 (d, 1H, J = 8.4Hz), 7.08 (brs, 1H), 7.24 (m, 1H), 7.33 (m, 2H), 7.60 (d, 1H, J = 8.1Hz), 7.77 (s, 1H), 7.80 (d, 1H, J = 8.4Hz), 8.14 (m, 1H).

I - 1 2 5

mp : 297-299 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.27 (s, 9H), 1.28-1.56 (m, 4H), 1.80-2.01 (m, 4H), 2.47 (m, 1H), 2.76 (brs, 1H), 3.05 (m, 2H), 6.78 (d, 1H, J = 9.0Hz), 7.23 (d, 1H, J = 9.0Hz), 7.46 (dd, 1H, J = 2.0, 9.0Hz), 8.03 (d, 1H, J = 2.0Hz).

I - 1 2 6

mp : 198-199 °C

¹H-NMR (CDCl₃) δ ppm: 1.18-1.39 (m, 2H), 1.40 (s, 9H), 1.60-1.79 (m, 2H), 1.98-2.35 (m, 5H), 3.30 (m, 1H), 3.67 (d, 1H, J = 9.6Hz), 5.89 (tt, 1H, J = 3.0, 50.0Hz), 6.97 (d, 1H, J = 7.8Hz), 7.21 (s, 1H), 7.30-7.40 (m, 2H), 7.55 (s, 1H)

I - 1 2 7

mp : 262-264 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.39 (m, 2H), 1.41 (s, 9H), 1.60-1.80 (m, 2H), 2.00-2.36 (m, 5H), 2.57 (s, 3H), 3.33 (m, 1H), 3.62 (d, 1H, J = 8.7Hz), 7.28 (brs, 1H), 7.62 (d, 2H, J = 8.7Hz), 7.94 (d, 2H, J = 8.7Hz).

五、發明說明 (188)

I - 128

mp : 252-254 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.18-1.39 (m, 2H), 1.40 (s, 9H), 1.58-1.79 (m, 2H), 1.99-2.30 (m, 5H), 2.46 (s, 3H), 3.32 (m, 1H), 3.64 (m, 1H), 7.11 (brs, 1H), 7.23 (d, 2H, $J = 9.0\text{Hz}$), 7.44 (d, 2H, $J = 9.0\text{Hz}$).

I - 129

mp : >300 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3 + \text{CD}_3\text{OD}$) δ ppm: 1.30-1.45 (m, 2H), 1.42 (s, 9H), 1.70-1.88 (m, 2H), 2.10-2.37 (m, 4H), 2.52 (m, 1H), 3.34 (m, 1H), 7.43-7.54 (m, 3H), 7.82 (d, 1H, $J = 6.7\text{Hz}$), 7.88 (d, 1H, $J = 8.5\text{Hz}$), 7.98-8.07 (m, 2H), 8.44 (s, 1H), 8.46 (s, 1H).

I - 130

mp : 123-124 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.18-1.34 (m, 2H), 1.40 (s, 9H), 1.62-1.75 (m, 2H), 2.00-2.28 (m, 5H), 3.31 (m, 1H), 3.61 (d, 1H, $J = 9.5\text{Hz}$), 5.59 (s, 1H), 7.17 (s, 1H), 7.30-7.37 (m, 6H), 7.41 (d, 1H, $J = 8.5\text{Hz}$), 7.84 (d, 1H, $J = 2.1\text{Hz}$).

I - 131

mp : 202-204 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.27-1.38 (m, 2H), 1.38 (s, 9H), 1.62-1.75 (m, 2H), 1.97-2.04 (m, 2H), 2.18-2.27 (m, 3H), 3.26 (m, 1H), 3.81 (s, 3H), 4.62 (d, 1H, $J = 7.9\text{Hz}$), 7.12 (d, 1H, $J = 7.8\text{Hz}$), 7.40 (t, 1H, $J = 7.8\text{Hz}$), 7.51 (s, 3H), 7.61 (d, 1H, $J = 7.8\text{Hz}$), 7.71 (s, 1H), 8.21 (brs, 1H).

I - 132

mp : 236-237 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.23-1.43 (m, 2H), 1.41 (s, 9H), 1.66-1.80 (m, 2H), 2.08-2.12 (m, 2H), 2.23-2.31 (m, 3H), 3.34 (m, 1H), 3.87 (d, 1H, $J = 9.5\text{Hz}$), 4.02 (s, 3H), 7.30 (td, 1H, $J = 7.3, 1.1\text{Hz}$), 7.36 (s, 1H), 7.39 (td, 1H, $J = 7.3, 1.5\text{Hz}$).

五、發明說明 (189)

7.53(brd, 1H, $J = 7.3\text{Hz}$), 7.84(brd, 1H, $J = 7.3\text{Hz}$), 8.05(s, 1H), 8.73(s, 1H).

I - 1 3 3

mp : 198-200 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3\text{Hz}$), 0.97(t, 3H, $J = 6.7\text{Hz}$), 1.18-1.81(m, 7H), 1.39(s, 9H), 1.98-2.05(m, 2H), 2.21-2.24(m, 3H), 3.29(m, 1H), 4.00(dd, 1H, $J = 10.7, 6.7\text{Hz}$), 4.09(dd, 1H, $J = 10.7, 6.1\text{Hz}$), 4.27(d, 1H, $J = 9.8\text{Hz}$), 6.37(d, 1H, $J = 15.9\text{Hz}$), 7.47(d, 2H, $J = 8.5\text{Hz}$), 7.59(d, 2H, $J = 8.5\text{Hz}$), 7.62(d, 1H, $J = 15.9\text{Hz}$), 7.83(brs, 1H).

I - 1 3 4

mp : 212-213 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.21-1.32(m, 2H), 1.39(s, 9H), 1.59-1.73(m, 2H), 1.99-2.04(m, 2H), 2.10-2.26(m, 3H), 3.26(m, 1H), 3.72(d, 1H, $J = 9.6\text{Hz}$), 6.74(m, 1H), 7.02(d, 2H, $J = 7.4\text{Hz}$), 7.11(t, 1H, $J = 7.4\text{Hz}$), 7.13-7.19(m, 2H), 7.22-7.26(m, 2H), 7.34(t, 2H, $J = 7.4\text{Hz}$).

I - 1 3 5

mp : 294-296 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.27 (s, 9H), 1.28-1.55 (m, 4H), 1.81-2.05 (m, 4H), 2.26 (m, 1H), 2.98-3.20 (m, 2H), 6.78 (d, 1H, $J = 9.0\text{Hz}$), 7.31 (t, 1H, $J = 7.5\text{Hz}$), 7.54-7.72 (m, 5H), 7.94 (brs, 1H).

I - 1 3 6

mp : >300 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.28 (s, 9H), 1.29-1.59 (m, 4H), 1.81-2.02 (m, 4H), 2.27 (m, 1H), 3.06 (m, 1H), 6.81 (d, 1H, $J = 8.7\text{Hz}$), 7.38 (t, 1H, $J = 7.2\text{Hz}$), 7.48 (t, 2H, $J = 7.2\text{Hz}$), 7.62-7.81 (m, 10H), 9.93 (brs, 1H).

I - 1 3 7

mp : 291-292 °C

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五、發明說明 (190)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25-1.39 (m, 2H), 1.41 (s, 9H), 1.61-1.80 (m, 2H), 2.01-2.36 (m, 5H), 3.32 (m, 1H), 3.63 (d, 1H, $J = 9.3\text{Hz}$), 7.20 (brs, 1H), 7.53-7.74 (m, 8H).

I - 1 3 8

mp : 259-262 $^{\circ}\text{C}$

$^1\text{H-NMR}$ (CD_3OD) δ ppm: 1.40 (s, 9H), 1.40-1.80 (m, 4H), 2.00-2.30 (m, 4H), 2.45 (m, 1H), 3.00 (s, 3H), 3.15-3.30 (m, 2H), 7.90 (d, 1H, $J = 8.4\text{Hz}$), 8.12 (d, 1H, $J = 9.0\text{Hz}$), 8.39 (d, 1H, $J = 9.0\text{Hz}$), 8.72 (s, 1H), 8.92 (d, 1H, $J = 8.4\text{Hz}$), 10.4 (s, 1H).

I - 1 3 9

mp : 265-268 $^{\circ}\text{C}$

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25-1.40 (m, 2H), 1.40 (s, 9H), 1.68-1.81 (m, 2H), 2.05-2.10 (m, 2H), 2.23-2.37 (m, 3H), 3.32 (m, 1H), 4.27 (d, 1H, $J = 9.1\text{Hz}$), 7.53 (t, 1H, $J = 7.9\text{Hz}$), 7.63 (td, 1H, $J = 7.9, 1.4\text{Hz}$), 7.77 (d, 1H, $J = 7.9\text{Hz}$), 8.03 (d, 1H, $J = 7.9\text{Hz}$), 8.37 (brs, 1H), 8.85-8.86 (m, 2H).

I - 1 4 0

mp : 258-260 $^{\circ}\text{C}$

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.40 (m, 2H), 1.41 (s, 9H), 1.52-1.85 (m, 2H), 2.03-2.35 (m, 5H), 3.34 (m, 1H), 3.75 (m, 1H), 7.35-7.66 (m, 3H), 8.05 (d, 1H, $J = 9.0\text{Hz}$), 8.11 (d, 1H, $J = 9.0\text{Hz}$), 8.40 (brs, 1H), 8.83 (s, 1H).

I - 1 4 1

mp : 205-206 $^{\circ}\text{C}$

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.37 (m, 2H), 1.40 (s, 9H), 1.43-1.62 (m, 2H), 1.90-2.01 (m, 2H), 2.02-2.23 (m, 3H), 3.27 (m, 1H), 3.63 (d, 1H, $J = 9.6\text{Hz}$), 3.70 (s, 3H), 6.64 (d, 1H, $J = 8.8\text{Hz}$), 7.28-7.41 (m, 5H), 7.45 (brs, 1H), 8.26 (d, 1H, $J = 8.8\text{Hz}$).

五、發明說明 (91)

I - 1 4 2

mp : 277-280 °C

¹H-NMR (CDCl₃) δ ppm: 0.23-0.34(m, 2H), 1.34(s, 9H), 1.34-1.55(m, 5H), 1.76-1.80(m, 2H), 2.97(m, 1H), 3.31(d, 1H, J = 9.6Hz), 7.18(s, 1H), 7.50-7.59(m, 4H), 7.77(dd, 1H, J = 7.4, 1.0Hz), 7.91-7.98(m, 2H), 8.39(dd, 1H, J = 7.4, 1.9Hz).

I - 1 4 3

mp : 202-203 °C

¹H-NMR (CDCl₃) δ ppm: 1.23-1.40(m, 2H), 1.40(s, 9H), 1.57-1.71(m, 2H), 2.05-2.10(m, 2H), 2.18-2.28(m, 3H), 3.31(m, 1H), 3.91(s, 3H), 3.93(s, 3H), 4.05(d, 1H, J = 9.5Hz), 8.15(s, 1H), 9.56(s, 1H).

I - 1 4 4

mp : 177-178 °C

¹H-NMR (CDCl₃) δ ppm: 1.27-1.39(m, 2H), 1.40(s, 9H), 1.65-1.79(m, 2H), 2.04-2.07(m, 2H), 2.12-2.34(m, 3H), 3.22(m, 1H), 3.93(d, 1H, J = 9.1Hz), 6.90-7.03(m, 3H), 7.25(m, 1H), 7.77(dd, 1H, J = 4.9, 1.7Hz), 7.81(brs, 1H), 8.72(dd, 1H, J = 7.8, 1.5Hz).

I - 1 4 5

mp : >300 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.30(s, 9H), 1.44-1.70(m, 4H), 2.05-2.19(m, 4H), 2.73(m, 1H), 3.18(m, 1H), 6.86(d, 1H, J = 8.8Hz), 7.62(t, 2H, J = 8.5Hz), 7.86(t, 2H, J = 8.5Hz), 7.89(d, 2H, J = 8.5Hz), 8.16(d, 2H, J = 8.5Hz).

I - 1 4 6

mp : 240-242 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.26-1.53(m, 4H), 1.27(s, 9H), 1.74-1.83(m, 2H), 1.90-1.97(m, 2H), 2.26(m, 1H), 3.04(m, 1H), 6.59(brs, 1H), 6.74-6.79(m, 3H), 7.74(s, 1H), 10.32(s, 1H), 12.80(s, 1H).

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五、發明說明 (192)

I - 1 4 7

mp : 167-169 °C

¹H-NMR (CDCl₃) δ ppm: 1.05-1.28 (m, 2H), 1.38 (s, 9H), 1.47-1.70 (m, 2H), 1.80-2.00 (m, 3H), 2.13-2.25 (m, 2H), 2.75 (t, 2H, J = 6.9Hz), 3.24 (m, 1H), 3.49 (dt, 2H, J = 6.3, 6.9Hz), 3.58 (d, 1H, J = 8.7Hz), 3.87 (s, 6H), 5.40 (brs, 1H), 6.71 (m, 2H), 6.82 (d, 1H, J = 8.7Hz).

I - 1 4 8

mp : 171-172 °C

¹H-NMR (CDCl₃) δ ppm: 1.16-1.38 (m, 2H), 1.39 (s, 9H), 1.50-1.79 (m, 4H), 1.85-2.02 (m, 3H), 2.15-2.30 (m, 2H), 2.35-2.56 (m, 6H), 3.25 (m, 1H), 3.33 (q, 2H, J = 6.0Hz), 3.63 (d, 1H, J = 9.0Hz), 3.72 (t, 4H, J = 4.6Hz), 6.77 (brs, 1H).

I - 1 4 9

¹H-NMR (CDCl₃) δ ppm: 1.20-1.36 (m, 2H), 1.28 (t, 3H, J = 7.2Hz), 1.39 (s, 9H), 1.45-1.70 (m, 2H), 1.85-2.30 (m, 7H), 2.43 (s, 3H), 3.05-3.42 (m, 3H), 3.46-3.80 (m, 3H), 7.31 (d, 1H, J = 7.2Hz), 7.40-7.52 (m, 3H), 8.18 (brs, 1H).

I - 1 5 0

mp : 203-204 °C

¹H-NMR (CDCl₃) δ ppm: 1.15-1.37 (m, 2H), 1.39 (s, 9H), 1.42-1.70 (m, 2H), 1.85-2.29 (m, 5H), 2.76 (t, 2H, J = 6.0Hz), 3.26 (m, 1H), 3.49 (q, 2H, J = 6.0Hz), 3.61 (m, 1H), 4.03 (s, 2H), 5.88 (brs, 1H), 7.15 (dd, 1H, J = 7.0, 8.8Hz), 7.30-7.35 (m, 2H).

I - 1 5 1

mp : 181-183 °C

¹H-NMR (CDCl₃) δ ppm: 1.15-1.30 (m, 2H), 1.39 (s, 9H), 1.45-1.64 (m, 2H), 1.88-2.05 (m, 3H), 2.15-2.25 (m, 2H), 2.69 (t, 2H, J = 6.0Hz), 3.28 (m, 1H), 3.47 (q, 2H, J = 6.0Hz), 3.58 (d, 1H, J = 9.9Hz), 3.87 (s, 2H), 5.83 (brs, 1H), 7.00 (m,

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五、發明說明 (193)

1H), 7.20 (m, 2H).

I - 1 5 2

mp : 222-224 °C

¹H-NMR (CDCl₃) δ ppm: 1.16-1.37 (m, 2H), 1.39 (s, 9H), 1.49-1.70 (m, 2H), 1.90-2.25 (m, 5H), 3.26 (m, 1H), 3.36 (t, 2H, J = 6.4Hz), 3.66 (dt, 3H, J = 6.0, 6.4Hz), 5.87 (t, 1H, J = 6.0Hz), 7.58 (s, 1H), 7.68 (dd, 1H, J = 7.0, 8.5Hz), 7.83 (dd, 1H, J = 7.0, 8.5Hz), 8.19 (t, 2H, J = 8.5Hz).

I - 1 5 3

mp : 207-209 °C

¹H-NMR (CDCl₃) δ ppm: 1.05-1.25 (m, 2H), 1.38 (s, 9H), 1.40-2.03 (m, 10H), 2.05-2.25 (m, 2H), 2.58 (s, 3H), 2.76 (m, 1H), 3.05-3.35 (m, 2H), 3.97 (d, 1H, J = 9.5Hz), 4.94 (t, 1H, J = 4.0Hz), 8.42 (d, 1H, J = 5.5Hz), 8.97 (d, 1H, J = 5.5Hz).

I - 1 5 4

mp : 184-185 °C

¹H-NMR (CDCl₃) δ ppm: 1.05-1.25 (m, 2H), 1.37 (s, 9H), 1.50-1.69 (m, 2H), 1.85-2.05 (m, 3H), 2.10-2.21 (m, 2H), 3.24 (m, 1H), 3.64 (m, 1H), 4.87 (s, 1H), 4.88 (s, 1H), 5.67 (brs, 1H), 7.42 (d, 2H, J = 5.5Hz), 7.52 (m, 2H), 7.78 (m, 1H), 7.82 (m, 1H), 7.95 (d, 1H, J = 7.0Hz).

I - 1 5 5

mp : 208-210 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.26 (s, 9H), 1.27-1.50 (m, 4H), 1.75-2.00 (m, 4H), 2.16 (m, 1H), 2.81 (s, 3H), 3.02 (m, 1H), 6.79 (d, 1H, J = 8.5Hz), 10.00 (s, 1H), 10.66 (s, 1H).

I - 1 5 6

mp : 256-257 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.39 (m, 2H), 1.41 (s, 9H), 1.60-1.81 (m, 2H).

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五、發明說明 (194)

2.01-2.35 (m, 5H), 2.69 (t, 2H, $J = 6.0\text{Hz}$), 3.11 (t, 2H, $J = 6.0\text{Hz}$), 3.30 (m, 1H), 3.61 (d, 1H, $J = 9.3\text{Hz}$), 7.21 (d, 1H, $J = 8.0\text{Hz}$), 7.31 (s, 1H), 7.70 (d, 1H, $J = 8.0\text{Hz}$), 7.99 (s, 1H).

I - 157

mp : 269-271 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.45 (m, 2H), 1.41 (s, 9H), 1.70-1.90 (m, 2H), 2.10-2.45 (m, 5H), 3.37 (m, 1H), 3.68 (m, 1H), 7.45 (dd, 1H, $J = 4.0, 8.0\text{Hz}$), 7.53 (brs, 1H), 7.72 (t, 1H, $J = 8.0\text{Hz}$), 7.83 (d, 1H, $J = 8.0\text{Hz}$), 8.02 (d, 1H, $J = 8.0\text{Hz}$), 8.18 (d, 1H, $J = 8.0\text{Hz}$), 8.93 (d, 1H, $J = 4.0\text{Hz}$).

I - 158

mp : 253-255 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.40 (m, 2H), 1.42 (s, 9H), 1.60-1.90 (m, 2H), 2.06-2.50 (m, 5H), 2.72 (s, 3H), 3.33 (m, 1H), 3.78 (d, 1H, $J = 9.2\text{Hz}$), 7.52 (t, 1H, $J = 7.0\text{Hz}$), 7.62-7.80 (m, 2H), 7.94 (brs, 1H), 8.05 (d, 1H, $J = 8.5\text{Hz}$), 8.20 (s, 1H).

I - 159

mp : 253-255 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.39 (m, 2H), 1.40 (s, 9H), 1.60-1.80 (m, 2H), 1.98-2.30 (m, 5H), 2.71 (s, 3H), 3.31 (m, 1H), 3.68 (d, 1H, $J = 9.0\text{Hz}$), 7.41 (brs, 1H), 7.61 (d, 2H, $J = 9.0\text{Hz}$), 7.70 (d, 2H, $J = 9.0\text{Hz}$).

I - 160

mp : 211-212 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.32 (m, 2H), 1.39 (t, 3H, $J = 7.0\text{Hz}$), 1.40 (s, 9H), 1.55-1.79 (m, 2H), 1.98-2.35 (m, 5H), 3.31 (m, 1H), 3.65 (d, 1H, $J = 9.5\text{Hz}$), 4.03 (q, 2H, $J = 7.0\text{Hz}$), 6.64 (d, 1H, $J = 8.0\text{Hz}$), 6.92 (d, 1H, $J = 8.0\text{Hz}$), 7.10 (s, 1H), 7.19 (t, 1H, $J = 8.0\text{Hz}$), 7.30 (brs, 1H).

五、發明說明(195)

I - 1 6 1

mp : 202-203 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.96 (t, 1H, $J = 7.3\text{Hz}$), 1.29-1.39 (m, 2H), 1.40 (s, 9H), 1.41-1.58 (m, 2H), 1.60-1.80 (m, 4H), 1.98-2.31 (m, 5H), 3.31 (m, 1H), 3.66 (d, 1H, $J = 8.5\text{Hz}$), 3.96 (t, 2H, $J = 6.4\text{Hz}$), 6.64 (d, 1H, $J = 8.0\text{Hz}$), 6.90 (d, 1H, $J = 8.0\text{Hz}$), 7.11 (s, 1H), 7.19 (t, 1H, $J = 8.0\text{Hz}$), 7.31 (brs, 1H).

I - 1 6 2

mp : 177-180 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.18-1.38 (m, 2H), 1.39 (s, 9H), 1.59-1.78 (m, 2H), 1.95-2.05 (m, 2H), 2.07-2.25 (m, 3H), 3.26 (m, 1H), 3.46 (s, 3H), 4.17 (d, 1H, $J = 9.5\text{Hz}$), 5.15 (s, 2H), 6.77 (d, 1H, $J = 8.0\text{Hz}$), 7.10-7.23 (m, 2H), 7.34 (s, 1H), 7.58 (s, 1H).

I - 1 6 3

mp : 175-178 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.27 (s, 9H), 1.28-1.50 (m, 4H), 1.78-2.00 (m, 4H), 2.22 (m, 1H), 2.96-3.15 (m, 2H), 6.67 (m, 1H), 6.79 (d, 1H, $J = 8.5\text{Hz}$), 7.18 (m, 2H), 7.38 (s, 1H), 9.81 (s, 1H).

I - 1 6 4

mp : 232-233 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.97 (t, 3H, $J = 7.3\text{Hz}$), 1.22-1.30 (m, 2H), 1.40 (s, 9H), 1.44-1.51 (m, 2H), 1.67-1.77 (m, 4H), 2.02-2.24 (m, 5H), 3.22 (m, 1H), 3.62 (d, 1H, $J = 9.6\text{Hz}$), 4.25 (t, 2H, $J = 6.8\text{Hz}$), 6.71 (d, 1H, $J = 8.4\text{Hz}$), 7.01 (brs, 1H), 7.91 (dd, 1H, $J = 8.4, 3.3\text{Hz}$), 8.08 (d, 1H, $J = 3.3\text{Hz}$).

I - 1 6 5

mp : 199-200 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.96 (t, 3H, $J = 7.4\text{Hz}$), 1.24-1.50 (m, 4H), 1.40 (s, 9H).

五、發明說明(19b)

1.67-1.76(m, 3H), 2.03-2.08(m, 2H), 2.24-2.35(m, 3H), 3.29(m, 1H), 3.76(d, 1H, J = 9.1Hz), 3.91(t, 2H, J = 6.6Hz), 6.41(dd, 1H, J = 8.8, 2.5Hz), 6.55(d, 1H, J = 2.5Hz), 6.82(d, 1H, J = 8.8Hz), 7.43(s, 1H), 8.95(s, 1H).

I - 166

mp : 215-218 °C

¹H-NMR (CDCl₃+CD₃OD) δ ppm: 0.97(t, 3H, J = 7.4Hz), 1.24-1.40(m, 4H), 1.39(s, 9H), 1.42-1.50(m, 2H), 1.54-1.72(m, 2H), 1.76-1.82(m, 2H), 1.91-2.00(m, 2H), 2.06-2.22(m, 3H), 3.24(m, 1H), 4.00(t, 2H, J = 6.6Hz), 6.78(d, 1H, J = 8.8Hz), 6.98(dd, 1H, J = 8.8, 2.5Hz), 7.09(d, 1H, J = 8.8Hz).

I - 167

mp : 212-213 °C

¹H-NMR (CDCl₃) δ ppm: 0.96(t, 3H, J = 7.5Hz), 1.26-1.34(m, 2H), 1.40(s, 9H), 1.45-1.50(m, 2H), 1.68-1.77(m, 4H), 2.03-2.08(m, 2H), 2.17(m, 1H), 2.26-2.29(m, 2H), 3.29(m, 1H), 3.60(d, 1H, J = 9.0Hz), 4.25(t, 2H, J = 6.8Hz), 6.71(d, 1H, J = 8.4Hz), 7.01(brs, 1H), 7.91(dd, 1H, J = 8.4, 3.3Hz), 8.08(d, 1H, J = 3.3Hz).

I - 168

mp : 230-232 °C

¹H-NMR (CDCl₃) δ ppm: 1.22-1.35(m, 2H), 1.40(s, 9H), 1.63-1.77(m, 2H), 2.03-2.08(m, 2H), 2.15-2.29(m, 3H), 3.31(m, 1H), 3.63(d, 1H, J = 9.3Hz), 6.89(d, 1H, J = 9.4Hz), 7.10(brd, 2H, J = 7.4Hz), 7.12(brs, 1H), 7.18(t, 1H, J = 7.4Hz), 7.36(brt, 2H, J = 7.4Hz), 8.09-8.15(m, 2H).

I - 169

mp : 159-160 °C

¹H-NMR (CDCl₃) δ ppm: 0.97(t, 3H, J = 7.3), 1.20-1.35(m, 2H), 1.40(s, 9H), 1.37-1.49(m, 2H), 1.61-1.78(m, 4H), 2.05-2.08(m, 2H), 2.23-2.26(m, 2H), 2.36(s, 3H), 2.97(brs, 1H), 3.32(m, 1H), 3.86(brs, 1H), 4.30(t, 2H, J = 6.5Hz), 6.25(s,

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (197)

1H), 7.92(brs, 1H).

I - 1 7 0

mp : 180-181 °C

¹H-NMR (CDCl₃) δ ppm: 0.88-0.89(m, 2H), 1.39(s, 9H), 1.42-1.60(m, 2H), 1.86-1.90(m, 2H), 2.04-2.09(m, 2H), 2.42(s, 3H), 2.91(m, 1H), 3.20(m, 1H), 3.63(d, 1H, J = 9.2Hz), 6.38(s, 1H), 7.15(m, 2H), 7.28(m, 1H), 7.45(m, 2H), 7.84(brs, 1H).

I - 1 7 1

mp : 173-174 °C

¹H-NMR (CDCl₃) δ ppm: 0.98(t, 3H, J = 7.5Hz), 1.29-1.40(m, 2H), 1.40(s, 9H), 1.55(m, 2H), 1.62-1.83(m, 4H), 2.09-2.12(m, 2H), 2.24-2.32(m, 3H), 3.32(m, 1H), 3.63(d, 1H, J = 9.5Hz), 3.99(t, 2H, J = 6.4Hz), 7.22(dd, 1H, J = 9.4, 2.7Hz), 7.66(d, 1H, J = 2.7Hz), 8.63(d, 1H, J = 9.4Hz), 10.17(s, 1H).

I - 1 7 2

mp : 238-242 °C

¹H-NMR (CDCl₃) δ ppm: 0.96(t, 3H, J = 7.3Hz), 1.23-1.52(m, 4H), 1.40(s, 9H), 1.61-1.78(m, 4H), 2.05-2.28(m, 5H), 3.30(m, 1H), 3.66(d, 1H, J = 9.4Hz), 3.84(brs, 2H), 3.90(t, 2H, J = 6.4Hz), 6.32-6.35(m, 2H), 6.96(brs, 1H), 6.97(d, 1H, J = 9.4Hz).

I - 1 7 3

mp : 165-166 °C

¹H-NMR (CDCl₃) δ ppm: 1.23-1.26(m, 2H), 1.40(s, 9H), 1.67-1.72(m, 2H), 2.01-2.06(m, 2H), 2.11-2.28(m, 3H), 3.31(m, 1H), 3.60(s, 2H), 3.69(s, 3H), 4.02(brs, 1H), 7.01(d, 1H, J = 8.0Hz), 7.25(t, 1H, J = 8.0Hz), 7.43(d, 1H, J = 8.0Hz), 7.49(brs, 1H), 7.51(brs, 1H).

I - 1 7 4

五、發明說明 (198)

mp : 264-265 °C

¹H-NMR (CDCl₃+CD₃OD) δ ppm: 1.26-1.29(m, 2H), 1.39(s, 9H), 1.62-1.69(m, 2H), 1.96-2.00(m, 2H), 2.18-2.21(m, 3H), 3.25(m, 1H), 3.58(s, 2H), 7.01(d, 1H, J = 7.5Hz), 7.26(t, 1H, J = 7.5Hz), 7.42(brs, 1H), 7.50(d, 1H, J = 7.5Hz).

I - 1 7 5

mp : 90-94 °C

¹H-NMR (CDCl₃) δ ppm: 1.16-1.23(m, 2H), 1.37(s, 9H), 1.44-1.56(m, 2H), 1.73-1.85(m, 3H), 2.11-2.15(m, 2H), 3.57(t, 2H, J = 6.4Hz), 3.21(m, 1H), 3.58(m, 2H), 3.84(d, 1H, J = 9.3Hz), 5.56(brs, 1H), 7.01(s, 1H), 7.11(t, 1H, J = 7.5Hz), 7.21(t, 1H, J = 7.5Hz), 7.38(d, 1H, J = 7.5Hz), 7.59(d, 1H, J = 7.5Hz), 8.24(brs, 1H).

I - 1 7 6

mp : 116-118 °C

¹H-NMR (CDCl₃) δ ppm: 1.18-1.38 (m, 2H), 1.40 (s, 9H), 1.60-1.79 (m, 2H), 1.95-2.30 (m, 5H), 3.30 (m, 1H), 3.69 (m, 1H), 3.80 (s, 3H), 4.64 (s, 2H), 6.67 (d, 1H, J = 8.0Hz), 7.00 (d, 1H, J = 8.5Hz), 7.15-7.24 (m, 2H), 7.32 (brs, 1H).

I - 1 7 7

mp : 219-220 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.27 (s, 9H), 1.28-1.50 (m, 4H), 1.75-2.01 (m, 4H), 2.18-2.30 (m, 1H), 2.95-3.15 (m, 2H), 4.61 (s, 2H), 6.56 (m, 1H), 6.80 (d, 1H, J = 8.5Hz), 7.16 (m, 2H), 7.28 (brs, 1H), 9.87 (brs, 1H).

I - 1 7 8

mp : 170-173 °C

¹H-NMR (CDCl₃) δ ppm: 1.18-1.39 (m, 2H), 1.40 (s, 9H), 1.50-1.80 (m, 2H), 1.90-2.33 (m, 5H), 2.36 (s, 6H), 2.75 (t, 2H, J = 5.5 Hz), 3.30 (m, 1H), 3.70 (m, 1H), 4.08 (t, 2H, J = 5.5Hz), 6.68 (d, 1H, J = 8.0Hz), 6.94 (d, 1H, J = 7.5Hz).

五、發明說明(199)

7.15-7.23 (m, 2H), 7.33 (brs, 1H).

I - 1 7 9

mp : 191-193 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.39 (m, 2H), 1.40 (s, 9H), 1.58-1.80 (m, 2H), 1.98-2.32 (m, 5H), 3.30 (m, 1H), 3.70 (d, 1H, J = 9.5 Hz), 4.77 (s, 2H), 6.73 (d, 1H, J = 8.0Hz), 7.04 (d, 1H, J = 8.0Hz), 7.20-7.31 (m, 2H), 7.48 (brs, 1H).

I - 1 8 0

mp : 174-176 °C

¹H-NMR (CDCl₃) δ ppm: 1.10-1.30 (m, 2H), 1.40 (s, 9H), 1.45-1.65 (m, 2H), 1.81-2.02 (m, 3H), 2.15-2.30 (m, 2H), 2.58 (t, 2H, J = 6.5Hz), 3.25 (m, 1H), 3.37 (dt, 2H, J = 5.5, 6.5Hz), 3.60 (d, 1H, J = 9.5Hz), 3.71 (s, 2H), 5.73 (brs, 1H), 7.20-7.40 (m, 5H).

I - 1 8 1

mp : 176-178 °C

¹H-NMR (CDCl₃) δ ppm: 1.15-1.30 (m, 2H), 1.39 (s, 9H), 1.45-1.70 (m, 6H), 1.85-2.01 (m, 3H), 2.15-2.28 (m, 2H), 2.63 (t, 2H, J = 7.0Hz), 3.25 (dt, 2H, J = 6.0, 7.0Hz), 3.27 (m, 1H), 3.63 (m, 1H), 5.35 (brs, 1H), 7.17 (m, 3H), 7.29 (m, 2H).

I - 1 8 2

mp : 152-154 °C

¹H-NMR (CDCl₃) δ ppm: 1.15-1.30 (m, 2H), 1.39 (s, 9H), 1.45-1.65 (m, 2H), 1.85-2.05 (m, 3H), 2.09-2.25 (m, 2H), 3.25 (m, 1H), 3.45 (dt, 2H, J = 5.0, 5.0Hz), 3.55 (t, 2H, J = 5.0Hz), 3.60 (m, 1H), 4.51 (s, 2H), 5.81 (brs, 1H), 7.29-7.40 (m, 5H).

I - 1 8 3

mp : 208-211 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.31 (m, 2H), 1.39 (s, 9H), 1.62-1.68 (m, 2H).

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五、發明說明(200)

1.98-2.25(m, 5H), 3.30(m, 1H), 3.57(d, 1H, $J = 9.2\text{Hz}$), 4.59(d, 2H, $J = 5.8\text{Hz}$), 5.76(brs, 1H), 7.37(dd, 1H, $J = 8.4, 2.0\text{Hz}$), 7.46-7.52(m, 2H), 7.69(brs, 1H), 7.78-7.83(m, 3H).

I - 184

mp : 180-182 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.37(m, 2H), 1.40(s, 9H), 1.60-1.69(m, 2H), 2.05-2.09(m, 2H), 2.21-2.27(m, 3H), 3.45(m, 1H), 3.64(d, 1H, $J = 9.6\text{Hz}$), 4.77(d, 2H, $J = 4.9\text{Hz}$), 7.43(d, 1H, $J = 8.6\text{Hz}$), 7.46(brs, 1H), 7.61(t, 1H, $J = 7.7\text{Hz}$), 7.73(t, 1H, $J = 7.7\text{Hz}$), 7.87(t, 1H, $J = 7.7\text{Hz}$), 8.20(t, 1H, $J = 7.7\text{Hz}$), 8.24(d, 1H, $J = 8.6\text{Hz}$).

I - 185

mp : 260-261 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.32(m, 2H), 1.39(s, 9H), 1.60-1.70(m, 2H), 1.97-2.01(m, 2H), 2.11(m, 1H), 2.21-2.24(m, 2H), 3.30(m, 1H), 3.61(d, 1H, $J = 9.3\text{Hz}$), 4.95(d, 2H, $J = 6.0\text{Hz}$), 5.85(brs, 1H), 7.33(d, 1H, $J = 4.8\text{Hz}$), 7.62(dd, 1H, $J = 8.4, 6.9\text{Hz}$), 7.75(dd, 1H, $J = 8.1, 6.9\text{Hz}$), 8.00(d, 1H, $J = 8.1\text{Hz}$), 8.20(d, 1H, $J = 8.4\text{Hz}$), 8.42(d, 1H, $J = 4.8\text{Hz}$).

I - 186

mp : 231-233 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.23-1.40(m, 2H), 1.40(s, 9H), 1.62-1.76(m, 2H), 2.04-2.10(m, 2H), 2.22-2.32(m, 3H), 3.30(m, 1H), 3.95(d, 1H, $J = 9.3\text{Hz}$), 5.04(d, 2H, $J = 4.1\text{Hz}$), 7.61(d, 1H, $J = 5.8\text{Hz}$), 7.63(brs, 1H), 7.65(dd, 1H, $J = 8.2, 6.9\text{Hz}$), 7.73(dd, 1H, $J = 8.5, 6.9\text{Hz}$), 7.86(d, 1H, $J = 8.2\text{Hz}$), 8.10(d, 1H, $J = 8.5\text{Hz}$), 8.42(d, 1H, $J = 5.8\text{Hz}$).

I - 187

mp : 184-187 °C

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (501)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.97(t, 3H, $J = 7.3$ Hz), 1.18-1.30(m, 2H), 1.39(s, 9H), 1.42-1.65(m, 4H), 1.70-1.80(m, 2H), 1.94-2.08(m, 3H), 2.18-2.26(m, 2H), 3.29(m, 1H), 3.61(d, 1H, $J = 9.5$ Hz), 3.93(t, 2H, $J = 6.4$ Hz), 4.39(d, 2H, $J = 5.5$ Hz), 5.67(brs, 1H), 6.79-6.83(m, 3H), 7.23(t, 1H, $J = 7.6$ Hz).

I - 188

mp : 224-226 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.16-1.31(m, 2H), 1.38(s, 9H), 1.55-1.70(m, 2H), 1.92-2.07(m, 3H), 2.17-2.23(m, 2H), 3.21(m, 1H), 3.81(s, 3H), 3.83(s, 6H), 4.05(d, 1H, $J = 9.8$ Hz), 4.34(d, 2H, $J = 5.8$ Hz), 5.96(brs, 1H), 6.47(s, 2H).

I - 189

mp : 217-218 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.15-1.30(m, 2H), 1.37(s, 9H), 1.52-1.66(m, 2H), 1.90-2.06(m, 3H), 2.13-2.20(m, 2H), 2.93(s, 6H), 3.24(m, 1H), 3.94(d, 1H, $J = 9.5$ Hz), 4.30(d, 2H, $J = 5.5$ Hz), 5.73(brs, 1H), 6.69(d, 2H, $J = 8.9$ Hz), 7.12(d, 2H, $J = 8.9$ Hz).

I - 190

mp : amorphous solid

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.17-1.32(m, 2H), 1.39(s, 9H), 1.54-1.72(m, 2H), 1.96-2.13(m, 3H), 2.18-2.27(m, 2H), 3.30(m, 1H), 3.63(d, 1H, $J = 9.2$ Hz), 4.51(d, 2H, $J = 5.8$ Hz), 5.82(brs, 1H), 7.40(d, 2H, $J = 8.5$ Hz), 8.02(d, 2H, $J = 8.5$ Hz), 8.64(s, 1H).

I - 191

mp : 126-128 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.97(t, 3H, $J = 7.4$ Hz), 1.10-1.28(m, 2H), 1.36(s, 9H), 1.42-1.86(m, 9H), 2.06-2.18(m, 2H), 3.22(m, 1H), 3.95(t, 2H, $J = 4.5$ Hz), 4.16(brs, 1H), 4.85(s, 2H), 6.82-6.95(m, 3H), 7.26(t, 1H, $J = 7.8$ Hz), 8.54(brs,

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五、發明說明 (202)

1H).

I - 192

mp : 178-181 °C

¹H-NMR (CDCl₃) δ ppm: 0.96(t, 3H, J = 7.3 Hz), 1.18-1.52(m, 4H), 1.39(s, 9H), 1.58-1.76(m, 4H), 1.92-2.00(m, 2H), 2.02-2.29(m, 3H), 3.28(m, 1H), 3.78(d, 1H, J = 9.5Hz), 3.89(t, 2H, J = 6.6Hz), 6.00(brs, 1H), 6.78(s, 4H), 7.35(brs, 1H).

I - 193

mp : 187-188 °C

¹H-NMR (CDCl₃+CD₃OD) δ ppm: 1.21-1.40(m, 2H), 1.38(s, 9H), 1.52-1.69(m, 2H), 1.90-2.00(m, 2H), 2.02-2.20(m, 3H), 3.22(m, 1H), 3.75(s, 3H), 6.79(s, 4H).

I - 194

mp : 251-253 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.27(s, 9H), 1.24-1.50(m, 4H), 1.72-1.83(m, 2H), 1.91-1.99(m, 2H), 2.16(m, 1H), 3.02(m, 1H), 3.82(s, 3H), 6.79(d, 1H, J = 8.2Hz), 7.01(d, 2H, J = 8.8Hz), 7.85(d, 2H, J = 8.8Hz), 9.72(brs, 1H), 8.64(brs, 1H).

I - 195

mp : 183-185 °C

¹H-NMR (CDCl₃) δ ppm: 1.22-1.37(m, 2H), 1.40(s, 9H), 1.58-1.75(m, 2H), 2.05-2.10(m, 2H), 2.20-2.30(m, 3H), 3.32(m, 1H), 3.70(s, 2H), 3.73(s, 3H), 6.79(s, 1H), 8.83(brs, 1H).

I - 196

mp : 185-187 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.39 (m, 2H), 1.40 (s, 9H), 1.44 (t, 6H, J = 7.0 Hz), 1.60-1.80 (m, 2H), 1.95-2.35 (m, 5H), 3.30 (m, 1H), 3.62 (d, 1H, J = 8.9 Hz), 4.06 (q, 2H, J = 7.0 Hz), 4.09 (q, 2H, J = 7.0 Hz), 6.08 (s, 1H), 7.02 (s, 1H), 7.36 (s, 1H).

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五、發明說明 (203)

I - 197

mp : 211-213 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.40 (m, 2H), 1.41 (s, 9H), 1.60-1.80 (m, 2H), 2.00-2.36 (m, 5H), 2.61 (s, 3H), 3.32 (m, 1H), 3.64 (d, 1H, J = 9.2 Hz), 7.28 (s, 1H), 7.43 (t, 1H, J = 7.5 Hz), 7.69 (d, 1H, J = 7.5 Hz), 7.85 (d, 1H, J = 7.5 Hz), 8.02 (s, 1H).

I - 198

mp : 268-269 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.39 (m, 2H), 1.40 (s, 9H), 1.42-2.32 (m, 7H), 2.90-3.10 (m, 4H), 3.30 (m, 1H), 3.68 (d, 1H, J = 8.8 Hz), 6.59 (s, 1H), 7.18 (d, 1H, J = 8.7 Hz), 7.59 (d, 1H, J = 8.7 Hz), 7.77 (brs, 1H).

I - 199 mp : 221-224 °C

I - 200 mp : 237-240 °C

I - 201 mp : 87-90 °C

I - 202 mp : 222-223 °C

I - 203 mp : 255-257 °C

I - 204 mp : 234-236 °C

I - 205 mp : 208-210 °C

I - 206 mp : 217-218 °C

I - 207 mp : 275-279 °C

I - 208 mp : 248-250 °C

I - 209 mp : 256-258 °C

I - 210 mp : 270-271 °C

I - 211 mp : 219-220 °C

I - 212 mp : 260-261 °C

I - 213 mp : >300 °C

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (504)

I - 214 mp : 206-207 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.4$ Hz), 1.30-1.42(m, 2H), 1.49(d, 6H, $J = 6.9$ Hz), 1.53-1.65(m, 2H), 2.61(t, 2H, $J = 7.7$ Hz), 4.15(sept, 1H, $J = 6.9$ Hz), 7.04(d, 1H, $J = 8.2$ Hz), 7.20(d, 2H, $J = 8.2$ Hz), 7.51(d, 2H, $J = 8.2$ Hz), 7.89(d, 1H, $J = 8.8$ Hz), 8.18(s, 1H), 10.55(s, 1H).

I - 215

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.93(t, 3H, $J = 7.3$ Hz), 1.30-1.41(m, 2H), 1.52-1.63(m, 2H), 1.95(s, 6H), 2.61(t, 2H, $J = 7.8$ Hz), 6.99(brs, 1H), 7.20(d, 2H, $J = 8.5$ Hz), 7.65(d, 2H, $J = 8.5$ Hz), 7.93(dd, 1H, $J = 8.5, 2.5$ Hz), 8.28(d, 1H, $J = 8.5$ Hz), 8.55(d, 1H, $J = 2.5$ Hz), 9.76(brs, 1H).

I a - 1

mp 221-224 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.19-1.38 (m, 2H), 1.40 (s, 9H), 1.62-1.77 (m, 2H), 2.00-2.31 (m, 5H), 3.18 (t, 4H, $J = 4.8$ Hz), 3.21-3.38 (m, 1H), 3.85 (t, 4H, $J = 4.8$ Hz), 6.64-6.32 (m, 2H), 7.11 (s, 1H), 7.20 (t, 1H, $J = 7.8$ Hz), 7.45 (s, 1H).

I a - 3

mp 87-90 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25 (d, 6H, $J = 6.3$ Hz), 1.37 (d, 6H, $J = 6.9$ Hz), 1.59-1.70 (m, 2H), 1.76-1.88 (m, 2H), 2.32-2.42 (m, 4H), 3.11-3.23 (m, 3H), 3.39 (d, 2H, $J = 10.8$ Hz), 3.74-3.86 (m, 2H), 4.34 (t, 1H, $J = 9.0$ Hz), 6.86 (d, 2H, $J = 9.0$ Hz), 7.30 (s, 1H), 7.40 (d, 2H, $J = 9.0$ Hz).

I a - 4

mp 233-234 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25 (d, 6H, $J = 6.3$ Hz), 1.40 (s, 9H), 1.26-1.37 (m, 2H), 1.62-1.78 (m, 2H), 2.00-2.22 (m, 5H), 2.42 (t, 2H, $J = 11.7$ Hz), 3.20-3.40 (m, 1H), 3.46 (d, 2H, $J = 10.5$ Hz), 3.67 (d, 1H, $J = 9.3$ Hz), 3.72-3.84 (m, 2H).

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (205)

6.62-6.76 (m, 2H), 7.10 (s, 1H), 7.18 (t, 1H, $J = 7.8$ Hz), 7.42 (s, 1H).

I a - 5

mp 125-126 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25 (d, 6H, $J = 6.3$ Hz), 1.40 (s, 9H), 1.59-1.70 (m, 2H), 1.77-1.84 (m, 2H), 2.30-2.46 (m, 4H), 3.24 (q, 2H, $J = 6.6$ Hz), 3.38 (d, 2H, $J = 11.7$ Hz), 3.74-3.88 (m, 2H), 4.08 (t, 1H, $J = 5.7$ Hz), 6.87 (d, 2H, $J = 8.7$ Hz), 7.30 (s, 1H), 7.41 (d, 2H, $J = 8.7$ Hz).

I a - 6

mp 229-230 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25 (d, 6H, $J = 6.3$ Hz), 1.26-1.34 (m, 2H), 1.39 (d, 6H, $J = 6.9$ Hz), 1.61-1.77 (m, 2H), 1.98-1.26 (m, 5H), 2.32-2.46 (m, 2H), 3.15 (quintet, 1H, $J = 6.6$ Hz), 3.22-3.35 (m, 1H), 3.39 (d, 2H, $J = 11.4$ Hz), 3.74-3.92 (m, 2H), 3.88 (d, 1H, $J = 8.4$ Hz), 6.96-6.71 (m, 2H), 7.05 (brs, 1H), 7.39 (d, 2H, $J = 9.3$ Hz).

I a - 7

mp 253-254 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.24-1.60 (m, 4H), 1.27 (s, 9H), 1.77-2.07 (m, 4H), 2.16-2.34 (m, 1H), 2.97-3.15 (m, 1H), 6.78 (d, 1H, $J = 7.2$ Hz), 7.01 (t, 1H, $J = 6.0$ Hz), 7.27 (t, 2H, $J = 6.6$ Hz), 7.58 (d, 2H, $J = 7.5$ Hz), 9.78 (s, 1H).

I a - 8

mp 257-258 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22-1.54 (m, 4H), 1.27 (s, 9H), 1.77-1.88 (m, 2H), 1.88-2.00 (m, 2H), 2.16-2.34 (m, 1H), 2.23 (s, 3H), 2.92-3.14 (m, 1H), 6.77 (d, 1H, $J = 8.4$ Hz), 7.07 (d, 2H, $J = 8.4$ Hz), 7.46 (d, 2H, $J = 8.1$ Hz), 9.68 (s, 1H).

I a - 9

mp 231-232 °C

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (206)

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.21 (t, 3H, $J = 7.5$ Hz), 1.22-1.38 (m, 2H), 1.40 (s, 9H), 1.62-1.78 (m, 2H), 1.98-2.31 (m, 5H), 2.61 (q, 2H, $J = 7.5$ Hz), 3.24-3.38 (m, 1H), 3.70 (d, 1H, $J = 9.9$ Hz), 7.11 (s, 1H), 7.14 (d, 2H, $J = 8.7$ Hz), 7.40 (d, 2H, $J = 8.7$ Hz).

I a - 1 0

mp 233-234 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.96 (t, 3H, $J = 7.2$ Hz), 1.20-1.37 (m, 2H), 1.40 (s, 9H), 1.56-1.78 (m, 4H), 1.98-2.32 (m, 5H), 2.54 (t, 2H, $J = 7.2$ Hz), 3.23-3.39 (m, 1H), 3.66 (d, 1H, $J = 9.6$ Hz), 7.08 (s, 1H), 7.12 (d, 2H, $J = 8.4$ Hz), 7.39 (d, 2H, $J = 8.4$ Hz).

I a - 1 1

mp 243-244 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22 (d, 6H, $J = 6.9$), 1.22-1.77 (m, 4H), 1.40 (s, 9H), 2.01-2.30 (m, 5H), 2.83-2.92 (m, 1H), 3.24-3.40 (m, 1H), 3.66-3.69 (m, 1H), 7.09 (s, 1H), 7.17 (d, 2H, $J = 8.4$ Hz), 7.41 (d, 2H, $J = 8.1$ Hz).

I a - 1 2

mp 246-247 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.80 (t, 3H, $J = 7.5$), 1.20 (d, 3H, $J = 7.2$), 1.26-1.77 (m, 6H), 1.40 (s, 9H), 2.01-2.27 (m, 5H), 2.51-2.60 (m, 1H), 3.20-3.38 (m, 1H), 3.64-3.69 (m, 1H), 7.08 (s, 1H), 7.12 (d, 2H, $J = 8.4$ Hz), 7.41 (d, 2H, $J = 8.4$ Hz).

I a - 1 3

mp 278-279 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.52 (m, 4H), 1.29 (s, 9H), 1.40 (s, 9H), 1.61-1.77 (m, 2H), 2.02-2.30 (m, 5H), 3.20-3.38 (m, 1H), 3.66-3.69 (m, 1H), 7.10 (s, 1H), 7.33 (d, 2H, $J = 9.0$ Hz), 7.42 (d, 2H, $J = 8.7$ Hz).

I a - 1 4

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (207)

mp 263-264 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.24-1.51 (m, 4H), 1.27 (s, 9H), 1.82-1.99 (m, 4H), 2.19-2.28 (m, 1H), 2.98-3.12 (m, 1H), 6.78 (d, 1H, $J = 8.7$ Hz), 7.33 (d, 2H, $J = 8.7$ Hz), 7.61 (d, 2H, $J = 9.0$ Hz), 9.94 (s, 1H).

I a - 1 5

mp 209-210 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.25 (d, 6H, $J = 6.3$ Hz), 1.40 (s, 9H), 1.70-1.98 (m, 8H), 2.19-2.38 (m, 3H), 3.39 (d, 2H, $J = 11.7$ Hz), 3.58-3.92 (m, 3H), 4.12-4.26 (m, 1H), 6.82-6.96 (m, 2H), 7.10 (br, 1H), 7.41 (d, 2H, $J = 8.1$ Hz).

I a - 1 6

mp 238-240 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22-1.52 (m, 4H), 1.27 (s, 9H), 1.81-1.84 (m, 2H), 1.93-1.97 (m, 2H), 2.16-2.23 (m, 1H), 2.95-3.12 (m, 1H), 3.70 (s, 3H), 6.77 (d, 1H, $J = 8.4$ Hz), 6.85 (d, 2H, $J = 9.0$ Hz), 7.48 (d, 2H, $J = 9.3$ Hz), 9.64 (s, 1H).

I a - 1 7

mp 245-246 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22-1.52 (m, 4H), 1.27 (s, 9H), 1.83-1.87 (m, 2H), 1.94-1.99 (m, 2H), 2.20-2.28 (m, 1H), 2.98-3.12 (m, 1H), 6.78 (d, 1H, $J = 8.7$ Hz), 7.28 (d, 2H, $J = 8.7$ Hz), 7.69 (d, 2H, $J = 9.0$ Hz), 9.64 (s, 1H).

I a - 1 8

mp 240-241 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.78 (m, 4H), 1.40 (s, 9H), 2.05-2.33 (m, 5H), 3.22-3.44 (m, 1H), 3.64-3.67 (m, 1H), 6.61 (s, 1H), 6.69-6.77 (m, 2H).

I a - 1 9

mp 240-241 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.24-1.77 (m, 4H), 1.40 (s, 9H), 2.05-2.30 (m, 5H).

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五、發明說明 (208)

3.22-3.38 (m, 1H), 3.70-3.74 (m, 1H), 7.00-7.15 (m, 3H), 7.36 (s, 1H), 8.29-8.34 (m, 1H).

I a - 2 0

mp 239-240 °C

¹H-NMR (CDCl₃) δ ppm: 1.24-1.78 (m, 4H), 1.40 (s, 9H), 2.02-2.30 (m, 5H), 3.22-3.40 (m, 1H), 3.63-3.66 (m, 1H), 6.89-6.84 (m, 1H), 7.10-7.17 (m, 2H), 7.22-7.34 (m, 1H), 7.48-7.51 (m, 1H).

I a - 2 1

mp 259-260 °C

¹H-NMR (CDCl₃/DMSO) δ ppm: 1.21 (d, 6H, J = 6.0 Hz), 1.22-1.44 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.87-2.03 (m, 2H), 2.08-2.29 (m, 3H), 2.39 (t, 2H, J = 10.2 Hz), 3.14-3.32 (m, 1H), 3.19 (d, 2H, J = 11.4 Hz), 3.77-3.93 (m, 2H), 5.33 (d, 1H, J = 9.0 Hz), 6.84 (dd, 1H, J_{FH, HH} = 8.1, 8.1 Hz), 7.20 (d, 1H, J = 7.8 Hz), 7.49 (d, 1H, J_{FH} = 14.7 Hz), 8.86 (s, 1H).

I a - 2 2

mp 234-235 °C

¹H-NMR (CDCl₃) δ ppm: 1.20 (d, 6H, J = 5.7 Hz), 1.22-1.44 (m, 2H), 1.38 (s, 9H), 1.54-1.76 (m, 2H), 1.94-2.32 (m, 5H), 2.27 (s, 3H), 2.39 (t, 2H, J = 10.8 Hz), 2.87 (d, 2H, J = 11.4 Hz), 3.20-3.40 (m, 1H), 3.76-3.92 (m, 2H), 3.91 (d, 1H, J = 9.3 Hz), 6.93 (d, 1H, J = 8.1 Hz), 7.21 (brs, 1H), 7.27 (brs, 1H), 7.36 (brs, 1H).

I a - 2 3

mp 195-196 °C

¹H-NMR (CDCl₃) δ ppm: 1.20-1.44 (m, 4H), 1.41 (s, 9H), 1.59-1.76 (m, 2H), 2.03-2.14 (m, 2H), 2.15-2.33 (m, 3H), 3.20-3.40 (m, 1H), 3.64 (s, 1H, J = 9.0 Hz), 7.19-7.24 (m, 1H), 7.44 (brs, 1H), 7.52-7.63 (m, 2H), 8.17 (d, 1H, J = 8.7 Hz).

I a - 2 4

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五、發明說明(209)

mp 209-210 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.39 (m, 2H), 1.56 (s, 9H), 1.61-1.78 (m, 2H), 2.00-2.12 (m, 2H), 2.17-2.33 (m, 3H), 3.24-3.39 (m, 1H), 3.67 (d, 1H, $J = 9.6$ Hz), 6.90-7.01 (m, 1H), 7.21 (s, 1H), 7.95-8.06 (m, 1H).

I a - 2 5

mp 278-281 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.10 (d, 6H, $J = 6.3$ Hz), 1.27 (s, 9H), 1.28-1.55 (m, 4H), 1.78-2.00 (m, 4H), 2.11-2.26 (m, 1H), 2.31 (t, 2H, $J = 11.1$ Hz), 3.00-3.10 (m, 1H), 3.08 (d, 1H, $J = 10.8$ Hz), 3.67-3.80 (m, 2H), 6.78 (d, 1H, $J = 8.7$ Hz), 7.08 (d, 1H, $J = 9.0$ Hz), 7.41 (dd, 1H, $J = 2.4, 8.7$ Hz), 7.78 (d, 1H, $J = 8.7$ Hz), 9.85 (s, 1H).

I a - 2 6

mp 253-255 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.13 (d, 6H, $J = 6.0$ Hz), 1.27 (s, 9H), 1.28-1.52 (m, 4H), 1.78-2.00 (m, 4H), 2.21 (t, 2H, $J = 11.1$ Hz), 2.26-2.36 (m, 1H), 2.96-3.10 (m, 1H), 3.56 (d, 1H, $J = 12.3$ Hz), 3.60-3.72 (m, 2H), 6.66-6.84 (m, 4H), 7.47 (t, 1H, $J = 9.3$ Hz), 9.28 (s, 1H).

I a - 2 7

mp 223-226 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.09 (d, 6H, $J = 6.3$ Hz), 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.77-2.01 (m, 4H), 2.32 (t, 2H, $J = 11.1$ Hz), 2.32-2.42 (m, 1H), 2.90 (d, 1H, $J = 11.4$ Hz), 2.96-3.12 (m, 1H), 3.76-3.92 (m, 2H), 6.78-6.98 (m, 3H), 7.68 (dd, 1H, $J = 3.3, 8.7$ Hz), 8.84 (s, 1H).

I a - 2 8

mp 237-238 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.44 (m, 2H), 1.25 (d, 6H, $J = 6.3$ Hz), 1.40 (s,

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五、發明說明 (10)

9H), 1.61-1.79 (m, 2H), 2.05-2.32 (m, 5H), 2.21 (s, 3H), 2.38 (t, 2H, $J = 10.2$ Hz), 3.22-3.42 (m, 1H), 3.40 (d, 2H, $J = 11.1$ Hz), 3.65 (d, 1H, $J = 9.3$ Hz), 3.72-3.90 (m, 2H), 6.70-6.78 (m, 2H), 6.81 (brs, 1H), 7.50 (d, 1H, $J = 9.6$ Hz).

I a - 29

mp 208-209 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22 (d, 6H, $J = 6.0$ Hz), 1.23-1.40 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 2.00-2.16 (m, 2H), 2.14-2.33 (m, 3H), 2.45 (t, 2H, $J = 11.1$ Hz), 3.21 (d, 2H, $J = 10.8$ Hz), 3.24-3.38 (m, 1H), 3.63 (d, 1H, $J = 9.3$ Hz), 3.80-3.94 (m, 2H), 5.33 (d, 1H, $J = 9.0$ Hz), 6.66 (dd, 1H, $J_{\text{FH, HH}} = 6.6, 6.6$ Hz), 7.16 (brs, 1H), 7.89 (dd, 1H, $J_{\text{FH, HH}} = 9.0, 9.0$ Hz).

I a - 30

mp 284-287 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.08 (d, 6H, $J = 6.0$ Hz), 1.26 (s, 9H), 1.28-1.53 (m, 4H), 1.82-2.22 (m, 4H), 2.25-2.39 (m, 1H), 2.78 (t, 2H, $J = 10.5$ Hz), 2.97-3.14 (m, 1H), 3.18 (d, 2H, $J = 11.4$ Hz), 3.65-3.76 (m, 2H), 6.79 (d, 1H, $J = 8.7$ Hz), 9.75 (s, 1H).

I a - 31

mp 200-201 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.40 (m, 2H), 1.40 (s, 9H), 1.62-1.76 (m, 2H), 2.04-2.32 (m, 5H), 3.22-3.40 (m, 1H), 3.62-3.66 (m, 1H), 7.22-7.24 (m, 1H), 7.38-7.38 (m, 1H), 7.60 (s, 1H), 8.33-8.36 (m, 1H).

I a - 32

mp 260-261 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.25-1.42 (m, 2H), 1.38 (s, 9H), 1.64 (q, 2H, $J = 13.5$ Hz), 1.95 (d, 2H, $J = 12.3$ Hz), 2.16 (d, 2H, $J = 10.5$ Hz), 2.18-2.32 (m, 1H), 3.14-3.30 (m, 1H), 5.53 (d, 1H, $J = 9.0$ Hz), 7.31 (d, 1H, $J = 8.7$ Hz), 7.46 (dd,

五、發明說明(211)

1H, $J = 2.4, 8.7$ Hz), 7.90 (d, 1H, $J = 2.1$ Hz), 9.35 (s, 1H).

I a - 3 3

mp 227 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.27 (s, 9H), 1.30-1.56 (m, 4H), 1.78-2.01 (m, 2H), 2.12-2.36 (m, 2H), 2.96-3.13 (m, 1H), 3.70 (s, 3H), 3.71 (s, 3H), 6.77 (d, 1H, $J = 8.7$ Hz), 6.85 (d, 1H, $J = 8.7$ Hz), 7.06 (dd, 1H, $J = 2.4, 8.7$ Hz), 7.33 (d, 1H, $J = 2.4$ Hz), 9.65 (s, 1H).

I a - 3 5

mp 214-216 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.23-1.38 (m, 2H), 1.40 (s, 9H), 1.60-1.76 (m, 2H), 2.00-2.12 (m, 2H), 2.20-2.32 (m, 3H), 3.24-3.39 (m, 1H), 3.68 (d, 1H, $J = 9.0$ Hz), 6.77 (d, 1H, $J = 8.7$ Hz), 7.00 (dd, 1H, $J = 2.4, 8.7$ Hz), 7.77 (s, 1H), 8.45 (d, 1H, $J = 2.4$ Hz).

I a - 3 6

m.p. 241-242 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.25-1.42 (m, 2H), 1.37 (s, 9H), 1.62 (q, 2H, $J = 11.7$ Hz), 1.93 (d, 2H, $J = 12.0$ Hz), 2.12 (d, 2H, $J = 10.8$ Hz), 2.16-2.30 (m, 1H), 3.12-3.28 (m, 1H), 3.84 (s, 3H), 6.07 (d, 1H, $J = 8.4$ Hz), 6.89 (dd, 1H, J_{FH} , $J_{\text{HH}} = 9.3, 9.3$ Hz), 7.24 (d, 1H, $J = 8.7$ Hz), 7.55 (d, 1H, $J_{\text{FH}} = 13.5$ Hz), 9.32 (s, 1H).

I a - 3 7

mp 248-249 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.60-0.73 (m, 1H), 0.91 (d, 6H, $J = 6.6$), 1.12-1.40 (m, 2H), 1.40 (s, 9H), 1.54-1.88 (m, 5H), 1.98-2.29 (m, 7H), 3.22-3.37 (m, 1H), 3.51-3.54 (m, 2H), 3.72 (d, 1H, $J = 9.6$), 6.88 (d, 1H, $J = 8.7$), 7.06 (s, 1H), 7.35 (d, 1H, $J = 9.0$).

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五、發明說明 (21)

I a - 3 8

mp 237-238 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.01 (d, 6H, $J = 6.6$), 1.20-1.40 (m, 2H), 1.40 (s, 9H), 1.60-1.74 (m, 4H), 1.99-2.28 (m, 7H), 2.69-2.82 (m, 2H), 3.02-3.14 (m, 2H), 3.20-3.38 (m, 1H), 3.80-3.90 (m, 1H), 6.83-6.86 (m, 2H), 7.14 (s, 1H), 7.34 (d, 1H, $J = 8.4$).

I a - 3 9

mp 234-235 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.36 (m, 2H), 1.40 (s, 9H), 1.60-1.77 (m, 2H), 1.90-2.32 (m, 5H), 3.21-3.39 (m, 1H), 3.65 (d, 1H, $J = 9.6$ Hz), 6.87 (d, 1H, $J = 8.7$ Hz), 7.04 (s, 1H), 7.37 (dd, 1H, $J = 2.7, 8.7$ Hz), 7.56 (d, 1H, $J = 2.7$ Hz).

I a - 4 0

mp 257-258 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.14 (d, 6H, $J = 6.0$ Hz), 1.27 (s, 9H), 1.28-1.53 (m, 4H), 1.78-2.00 (m, 4H), 2.13-2.256 (m, 1H), 2.30 (t, 2H, $J = 11.7$ Hz), 2.97-3.12 (m, 1H), 3.53-3.67 (m, 2H), 4.01 (d, 1H, $J = 12.3$ Hz), 6.80 (dd, 1H, $J = 3.0, 9.0$ Hz), 7.79 (d, 1H, $J = 9.0$ Hz), 8.27 (s, 1H), 9.66 (s, 1H).

I a - 4 1

mp 245-246 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.25-1.42 (m, 2H), 1.37 (s, 9H), 1.62 (q, 2H, $J = 12.6$ Hz), 1.94 (d, 2H, $J = 11.1$ Hz), 2.13 (d, 2H, $J = 11.1$ Hz), 2.18-2.35 (m, 1H), 3.11-3.29 (m, 1H), 6.07 (d, 1H, $J = 8.1$ Hz), 6.95-7.06 (m, 1H), 7.14-7.27 (m, 1H), 7.44 (d, 1H, $J = 7.2$ Hz), 7.79 (s, 1H), 9.48 (s, 1H).

I a - 4 3

mp 294-295 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.26 (s, 9H), 1.28-1.53 (m, 4H), 1.76-1.87 (m, 2H).

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (213)

1.89-2.00 (m, 2H), 2.13-2.25 (m, 1H), 2.96-3.10 (m, 5H), 3.52-3.60 (m, 4H), 6.78 (d, 1H, $J = 9.0$ Hz), 6.88 (d, 2H, $J = 9.0$ Hz), 7.44 (d, 2H, $J = 9.0$ Hz), 9.59 (s, 1H).

I a - 4 4

mp 250-252 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.13 (d, 6H, $J = 6.3$ Hz), 1.21-1.38 (m, 2H), 1.41 (s, 9H), 1.63-1.80 (m, 2H), 1.93 (t, 2H, $J = 10.8$ Hz), 2.00-2.10 (m, 2H), 2.16-2.32 (m, 3H), 3.24-3.39 (m, 1H), 3.54 (d, 2H, $J = 10.2$ Hz), 3.64-3.78 (m, 3H), 7.47 (s, 1H), 7.69 (d, 2H, $J = 9.0$ Hz), 7.73 (d, 2H, $J = 9.0$ Hz).

I a - 4 5

mp 193 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.10 (t, 6H, $J = 7.2$ Hz), 1.26 (s, 9H), 1.28-1.52 (m, 4H), 1.75-1.86 (m, 2H), 1.89-2.01 (m, 2H), 2.10-2.22 (m, 1H), 2.96-3.10 (m, 1H), 3.30-3.52 (m, 12H), 6.60 (d, 2H, $J = 9.0$ Hz), 6.80 (d, 1H, $J = 9.0$ Hz), 7.33 (d, 2H, $J = 9.0$ Hz), 9.46 (s, 1H).

I a - 4 6

mp >300 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.28 (s, 9H), 1.28-1.58 (m, 4H), 1.83-2.04 (m, 4H), 2.23-2.36 (m, 1H), 2.46 (s, 3H), 3.00-3.14 (m, 1H), 6.79 (d, 1H, $J = 8.7$ Hz), 7.34 (d, 1H, $J = 8.7$ Hz), 7.78 (d, 2H, $J = 8.7$ Hz), 7.89 (d, 1H, $J = 8.4$ Hz), 7.91 (s, 1H), 8.00 (d, 2H, $J = 8.7$ Hz), 10.13 (s, 1H).

I a - 4 7

mp 236-237 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.97 (d, 6H, $J = 6.6$ Hz), 1.01 (d, 6H, $J = 6.6$ Hz), 1.20-1.37 (m, 2H), 1.40 (s, 9H), 1.60-1.84 (m, 3H), 1.97-2.31 (m, 5H), 2.50 (t, 1H, $J = 10.8$ Hz), 2.78 (dt, 1H, $J = 3.3, 11.4$ Hz), 3.25-3.38 (m, 1H), 3.45 (d, 1H, $J = 11.4$ Hz), 3.75 (dt, 1H, $J = 2.4, 11.4$ Hz), 4.02 (dt, 1H, $J = 2.4, 11.4$ Hz), 6.88 (d,

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五、發明說明 (214)

2H, $J = 9.0$ Hz), 7.05 (s, 1H), 7.39 (d, 2H, $J = 9.0$ Hz).

I a - 4 8

mp 228-229 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.88 (t, 6H, $J = 7.2$ Hz), 1.19-1.45 (m, 4H), 1.40 (s, 9H), 1.45-1.76 (m, 4H), 1.76-1.92 (m, 1H), 1.96-2.30 (m, 5H), 2.66-3.20 (m, 3H), 3.20-3.40 (m, 1H), 3.78 (d, 1H, $J = 9.3$ Hz), 3.82 (s, 1H), 6.62-6.98 (m, 2H), 7.09 (brs, 1H), 7.37 (d, 2H, $J = 7.8$ Hz).

I a - 4 9

mp 262-263 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.21 (d, 6H, $J = 5.7$ Hz), 1.26-1.34 (m, 2H), 1.37 (d, 6H, $J = 5.4$ Hz), 1.52-1.76 (m, 2H), 1.85-2.03 (m, 2H), 2.03-2.30 (m, 3H), 2.30-2.53 (m, 2H), 3.02-3.33 (m, 4H), 3.75-3.98 (m, 2H), 5.70 (brs, 1H), 6.73-6.98 (m, 1H), 7.14-7.25 (m, 1H), 7.52 (d, 1H, $J_{\text{FH}} = 13.5$ Hz), 8.86 (brs, 1H).

I a - 5 0

mp 232-233 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.21 (d, 6H, $J = 6.3$ Hz), 1.22-1.37 (m, 2H), 1.38 (d, 6H, $J = 6.9$ Hz), 1.68 (q, 2H, $J = 12.6$ Hz), 1.98-2.26 (m, 5H), 2.29 (s, 3H), 2.41 (t, 2H, $J = 10.2$ Hz), 2.88 (d, 2H, $J = 11.1$ Hz), 3.15 (septet, 1H, $J = 6.6$ Hz), 3.21-3.37 (m, 1H), 3.77-3.92 (m, 2H), 3.87 (d, 1H, $J = 7.8$ Hz), 6.88-7.06 (m, 3H), 7.35 (s, 1H).

I a - 5 1

mp 211-212 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.20-1.42 (m, 2H), 1.26 (d, 6H, $J = 6.3$ Hz), 1.38 (d, 6H, $J = 6.9$ Hz), 1.62-1.78 (m, 2H), 1.99-2.28 (m, 5H), 2.49 (dd, 2H, $J = 10.5, 10.5$ Hz), 3.17 (quint, 1H, $J = 6.9$ Hz), 3.20-3.38 (m, 1H), 3.66-3.99 (m, 2H), 3.90-4.01 (m, 3H), 6.62 (d, 1H, $J = 9.0$ Hz), 7.06 (s, 1H), 7.90 (dd, 1H, $J = 2.4, 9.0$ Hz), 8.09

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五、發明說明 (15)

(d, 1H, $J = 2.4$ Hz).

I a - 5 2

mp 247-249 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.21-1.36 (m, 2H), 1.40 (s, 9H) 1.62-1.78 (m, 2H), 1.98-2.32 (m, 5H), 2.55 (t, 4H, $J = 6.0$ Hz), 3.23-3.38 (m, 1H), 3.55 (t, 4H, $J = 6.0$ Hz), 3.72 (d, 1H, $J = 9.6$ Hz), 6.94 (d, 2H, $J = 9.0$ Hz), 7.10 (s, 1H), 7.42 (d, 1H, $J = 9.0$ Hz).

I a - 5 3

mp 234-235 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.38 (m, 2H), 1.41 (s, 9H) 1.64-1.80 (m, 2H), 2.00-2.32 (m, 5H), 3.25-3.40 (m, 1H), 3.73 (d, 1H, $J = 9.3$ Hz), 7.43 (s, 1H), 7.48 (t, 2H, $J = 7.5$ Hz), 7.55-7.66 (m, 3H), 7.68-7.89 (m, 4H).

I a - 5 4

mp 235-236 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.24-1.39 (m, 2H), 1.25 (d, 6H, $J = 6.3$ Hz), 1.39 (d, 6H, $J = 6.9$ Hz), 1.60-1.80 (m, 2H), 2.00-2.28 (m, 5H), 2.21 (s, 3H), 2.38 (t, 2H, $J = 10.8$ Hz), 3.15 (septet, 1H, $J = 6.3$ Hz), 3.23-3.38 (m, 1H), 3.40 (d, 2H, $J = 11.7$ Hz), 3.72-3.88 (m, 2H), 3.87 (d, 1H, $J = 9.3$ Hz), 6.78-6.86 (m, 3H), 7.50 (d, 1H, $J = 9.6$ Hz).

I a - 5 5

mp 185-186 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.14 (d, 6H, $J = 6.3$ Hz), 1.22-1.38 (m, 2H), 1.41 (s, 9H), 1.62-1.78 (m, 2H), 2.02 (t, 2H, $J = 10.5$ Hz), 2.02-2.10 (m, 2H), 2.16-2.31 (m, 3H), 3.24-3.39 (m, 1H), 3.56 (d, 2H, $J = 9.3$ Hz), 3.63-3.80 (m, 3H), 7.46 (dd, 1H, $J = 1.5, 8.1$ Hz), 7.51 (t, 1H, $J = 8.1$ Hz), 7.63 (s, 1H), 7.81 (t, 1H, $J = 1.8$ Hz), 7.98 (dt, 1H, $J = 1.8, 8.1$ Hz).

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五、發明說明 (516)

I a - 5 6

mp 229-230 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.38 (s, 6H), 1.78-1.84 (m, 2H), 1.90-2.00 (m, 2H), 2.15-2.30 (m, 1H), 2.97-3.13 (m, 1H), 4.90 (s, 1H), 6.79 (d, 1H, $J = 9.0$ Hz), 7.34 (d, 2H, $J = 8.7$ Hz), 7.48 (d, 2H, $J = 8.4$ Hz), 9.72 (s, 1H).

I a - 5 7

mp 211-212 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.24-1.40 (m, 2H), 1.38 (s, 9H), 1.57-1.74 (m, 2H), 1.91 (s, 3H), 1.92-2.01 (m, 2H), 2.12-2.24 (m, 2H), 2.51 (brs, 1H), 3.18-3.33 (m, 1H), 4.96 (d, 1H, $J = 9.3$ Hz), 7.16-7.53 (m, 9H), 7.41 (s, 1H).

I a - 5 8

mp 298-299 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.24 (s, 9H), 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.75-2.02 (m, 4H), 2.14-2.28 (m, 1H), 2.97-3.11 (m, 1H), 6.78 (d, 1H, $J = 8.4$ Hz), 7.18 (d, 2H, $J = 9.0$ Hz), 7.48 (d, 2H, $J = 9.0$ Hz), 9.46 (s, 1H), 9.76 (s, 1H).

I a - 5 9

mp 253-254 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.40 (m, 2H), 1.41 (s, 9H), 1.65-1.81 (m, 2H), 2.04-2.16 (m, 2H), 2.22-2.36 (m, 2H), 3.24-3.41 (m, 1H), 3.74 (d, 1H, $J = 9.6$ Hz), 7.40-7.54 (m, 3H), 7.88-8.01 (m, 3H), 8.66 (d, 1H, $J = 1.5$ Hz), 9.57 (d, 1H, $J = 1.2$ Hz).

I a - 6 0

mp 213-214 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.32-1.50 (m, 2H), 1.35 (s, 9H), 1.52-1.70 (m, 2H), 1.88-2.00 (m, 2H), 2.04-2.16 (m, 2H), 2.22-2.38 (m, 1H), 2.65 (s, 3H), 2.99-3.15

五、發明說明 (217)

(m, 1H), 6.46 (d, 1H, $J = 9.3$ Hz), 7.28 (d, 1H, $J = 9.0$ Hz), 7.81 (s, 1H), 8.20 (s, 1H), 8.47 (s, 1H), 9.89 (s, 1H).

I a - 6 1

mp 274-275 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 1H), 1.28-1.58 (m, 4H), 1.84-2.08 (m, 4H), 2.22-2.40 (m, 1H), 2.99-3.15 (m, 1H), 3.01 (s, 3H), 6.81 (d, 1H, $J = 8.1$ Hz), 7.78 (d, 2H, $J = 7.8$ Hz), 7.84 (d, 2H, $J = 8.4$ Hz), 8.18 (s, 1H), 10.43 (s, 1H).

I a - 6 2

mp 235-236 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22-1.39 (m, 2H), 1.41 (s, 3H), 1.66-1.80 (m, 2H), 2.01-2.12 (m, 2H), 2.14-2.22 (m, 1H), 2.23-2.34 (m, 2H), 3.24-3.42 (m, 1H), 3.69 (d, 1H, $J = 9.5$ Hz), 6.44 (d, 1H, $J = 9.3$ Hz), 7.27 (brs, 1H), 7.28 (d, 1H, $J = 9.3$ Hz), 7.37 (dd, 1H, $J = 2.4, 9.0$ Hz), 7.68 (d, 1H, $J = 9.6$ Hz), 8.04 (d, 1H, $J = 2.4$ Hz).

I a - 6 3

mp 277-279 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.77-2.02 (m, 4H), 2.15-2.29 (m, 1H), 2.90 (s, 3H), 2.96-3.13 (m, 1H), 6.79 (d, 1H, $J = 8.7$ Hz), 7.12 (d, 2H, $J = 9.0$ Hz), 7.54 (d, 2H, $J = 9.0$ Hz), 9.50 (s, 1H), 9.81 (s, 1H).

I a - 6 4

mp 259-260 °C

$^1\text{H-NMR}$ (DMSO-d_6) δ ppm: 1.26 (s, 9H), 1.26-1.50 (m, 4H), 1.74-1.99 (m, 4H), 2.10-2.25 (m, 1H), 2.95-3.10 (m, 1H), 6.78 (d, 1H, $J = 8.7$ Hz), 6.97 (d, 2H, $J = 9.0$ Hz), 7.42 (d, 2H, $J = 9.0$ Hz), 7.50-7.71 (m, 5H), 9.73 (s, 1H), 10.05 (s, 1H).

I a - 6 5

mp 292-293 °C

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (18)

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.62-1.72 (m, 2H), 1.77-1.87 (m, 2H), 1.91-2.10 (m, 4H), 2.13-2.25 (m, 1H), 2.98-3.12 (m, 1H), 3.41-3.52 (m, 2H), 5.09 (s, 1H), 6.79 (d, 1H, $J = 9.0$ Hz), 6.91 (d, 2H, $J = 9.0$ Hz), 7.37 (d, 2H, $J = 9.0$ Hz), 7.42 (d, 2H, $J = 9.0$ Hz), 7.51 (d, 2H, $J = 9.0$ Hz), 9.56 (s, 1H).

I a - 6 6

mp >300 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.27 (s, 9H), 1.28-1.58 (m, 4H), 1.85-2.02 (m, 4H), 2.40-2.52 (m, 1H), 3.00-3.16 (m, 1H), 6.81 (d, 1H, $J = 9.0$ Hz), 7.50-7.58 (m, 3H), 7.90-7.97 (m, 2H), 12.58 (s, 1H).

I a - 6 7

mp 199-200 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.14 (d, 6H, $J = 6.3$ Hz), 1.28 (s, 9H), 1.31-1.48 (m, 4H), 1.76-1.88 (m, 2H), 2.17 (t, 2H, $J = 11.1$ Hz), 2.82 (t, 2H, $J = 11.7$ Hz), 3.46 (d, 2H, $J = 11.4$ Hz), 3.20-3.36 (m, 1H), 3.62-3.74 (m, 2H), 4.02 (d, 2H, $J = 12.9$ Hz), 6.83 (d, 2H, $J = 9.0$ Hz), 6.89 (d, 1H, $J = 8.7$ Hz), 7.28 (d, 2H, $J = 9.0$ Hz), 8.27 (s, 1H).

I a - 6 8

mp 237-239 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.40 (s, 9H), 1.49-1.65 (m, 2H), 1.99-2.10 (m, 2H), 2.95 (t, 2H, $J = 11.1$ Hz), 3.36-3.52 (m, 1H), 4.17 (d, 1H, $J = 12.9$ Hz), 5.84 (d, 1H, $J = 8.7$ Hz), 6.39 (d, 1H, $J = 9.6$ Hz), 7.21 (d, 1H, $J = 9.3$ Hz), 7.51 (dd, 1H, $J = 2.4, 9.3$ Hz), 7.72 (d, 1H, $J = 9.9$ Hz), 7.85 (d, 1H, $J = 2.7$ Hz), 8.04 (s, 1H).

I a - 6 9

mp 259-260 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.25-1.55 (m, 4H), 1.27 (s, 9H), 1.82-2.05 (m, 4H).

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (19)

2.22-2.36 (m, 1H), 2.98-3.17 (m, 1H), 4.16 (s, 3H), 6.80 (d, 1H, $J = 8.4$ Hz),
7.77-7.87 (m, 4H), 10.16 (s, 1H).

I a - 7 0

mp 259-260 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.28 (s, 9H), 1.36-1.56 (m, 2H), 1.80-1.92 (m, 2H),
2.86-3.02 (m, 2H), 3.36-3.52 (m, 1H), 4.04-4.20 (m, 2H), 6.92 (d, 1H, $J = 7.5$ Hz),
7.38-7.58 (m, 3H), 8.00-8.14 (m, 2H), 8.90 (s, 1H), 9.08 (s, 1H), 9.63 (s, 1H).

I a - 7 1

mp 228-229 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.27-1.42 (m, 2H), 1.38 (s, 9H), 1.57-1.75 (m,
2H), 1.90-2.02 (m, 2H), 2.12-2.34 (m, 3H), 3.14-3.32 (m, 1H), 5.37 (d, 1H, $J = 9.3$
Hz), 7.38-7.43 (m, 3H), 7.46 (d, 2H, $J = 8.7$ Hz), 7.51-7.60 (m, 2H), 7.68 (d, 2H,
 $J = 9.0$ Hz), 9.33 (s, 1H).

I a - 7 5

mp 169-170 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 0.58-0.72 (m, 1H), 0.80 (d, 3H, $J = 6.6$ Hz), 0.94 (d,
3H, $J = 6.0$ Hz), 1.14-1.35 (m, 3H), 1.39 (s, 9H), 1.48-1.66 (m, 2H), 1.74-2.06 (m,
5H), 2.06-2.44 (m, 6H), 3.18-3.35 (m, 1H), 3.64-3.74 (m, 1H), 4.46-4.60 (m, 1H),
6.98-7.38 (m, 5H).

I a - 7 6

mp 236-237 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.27-1.42 (m, 2H), 1.38 (d, 6H, $J = 6.6$ Hz),
1.60-1.78 (m, 2H), 1.94-2.06 (m, 2H), 2.12-2.30 (m, 3H), 3.06-3.34 (m, 2H), 5.10
(brs. 1H), 6.41 (d, 1H, $J = 9.9$ Hz), 7.25 (d, 1H, $J = 8.4$ Hz), 7.48 (dd, 1H, $J = 2.4$,
8.7 Hz), 7.68 (d, 1H, $J = 9.9$ Hz), 8.12 (d, 1H, $J = 2.4$ Hz), 8.88 (brs. 1H).

I a - 7 7

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五、發明說明 (220)

mp 117-118 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.38 (d, 6H, $J = 6.9$ Hz), 1.65 (quintet, 2H, $J = 5.4$ Hz), 1.75-1.91 (m, 2H), 2.42 (t, 2H, $J = 7.4$ Hz), 3.10-3.24 (m, 3H), 4.77 (brs, 1H), 6.41 (d, 1H, $J = 9.6$ Hz), 7.18-7.26 (m, 1H), 7.48 (dd, 1H, $J = 1.8, 8.7$ Hz), 7.67 (d, 1H, $J = 9.9$ Hz), 8.01 (s, 1H), 8.23 (brs, 1H).

I a - 7 8

mp 138-139 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.41 (s, 9H), 1.64 (quintet, 2H, $J = 6.6$ Hz), 1.84 (quintet, 2H, $J = 7.3$ Hz), 2.42 (t, 2H, $J = 7.5$ Hz), 3.26 (q, 2H, $J = 6.5$ Hz), 4.59 (brs, 1H), 6.41 (d, 1H, $J = 9.3$ Hz), 7.23 (d, 1H, $J = 8.7$ Hz), 7.49 (dd, 1H, $J = 2.4, 9.0$ Hz), 7.67 (d, 1H, $J = 9.9$ Hz), 8.03 (d, 1H, $J = 2.4$ Hz), 8.28 (brs, 1H).

I a - 7 9

mp 289-290 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.24-1.63 (m, 4H), 1.28 (s, 9H), 1.84-2.08 (m, 4H), 2.24-2.41 (m, 1H), 3.00-3.16 (m, 1H), 6.82 (d, 1H, $J = 8.1$ Hz), 7.36-7.60 (m, 5H), 7.86-7.99 (m, 2H), 8.28 (s, 1H), 10.50 (s, 1H).

I a - 8 0

mp 239-240 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22 (d, 1H, $J = 6.6$ Hz), 1.23-1.40 (m, 2H), 1.40-1.59 (m, 2H), 1.83-2.04 (m, 4H), 2.23-2.39 (m, 1H), 2.98-3.23 (m, 2H), 7.00 (d, 1H, $J = 7.8$ Hz), 7.36-7.59 (m, 5H), 7.85-7.97 (m, 2H), 8.29 (s, 1H), 10.50 (s, 1H).

I a - 8 1

mp 205-206 °C

$^1\text{H-NMR}$ ($\text{CDCl}_3/\text{DMSO}$) δ ppm: 1.40 (s, 9H), 1.66 (quintet, 2H, $J = 7.0$ Hz), 1.85 (quintet, 2H, $J = 7.2$ Hz), 2.45 (t, 2H, $J = 7.5$ Hz), 3.24 (t, 2H, $J = 6.5$ Hz), 5.17 (brs, 1H), 7.36-7.54 (m, 5H), 7.85 (d, 1H, $J = 8.4$ Hz), 8.07 (dd, 1H, $J = 1.8,$

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五、發明說明 (21)

8.1 Hz), 8.23 (d, 1H, $J = 1.8$ Hz), 9.61 (s, 1H).

I a - 8 2

mp 216-217 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.14 (d, 6H, $J = 6.3$ Hz), 1.22 (d, 6H, $J = 6.9$ Hz), 1.22-1.53 (m, 4H), 1.76-1.98 (m, 2H), 2.21 (t, 2H, $J = 10.8$ Hz), 2.22-2.36 (m, 1H), 2.96-3.20 (m, 2H), 3.57 (d, 2H, $J = 12.0$ Hz), 3.60-3.74 (m, 1H), 6.66-6.85 (m, 2H), 6.98 (d, 1H, $J = 7.8$ Hz), 7.47 (d, 1H, $J = 8.7$ Hz), 9.30 (s, 1H).

I a - 8 3

mp 118-119 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.41 (d, 6H, $J = 6.3$ Hz), 1.26 (s, 9H), 1.40-1.67 (m, 4H), 2.17-2.36 (m, 3H), 2.97-3.10 (m, 2H), 3.57 (d, 2H, $J = 12.0$ Hz), 3.61-3.74 (m, 1H), 6.67-6.92 (m, 3H), 7.48 (t, 1H, $J = 9.0$ Hz), 9.37 (s, 1H).

I a - 8 4

mp 265-267 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.21 (d, 6H, $J = 6.6$ Hz), 1.20-1.57 (m, 4H), 1.60-2.30 (m, 9H), 2.99-3.20 (m, 4H), 3.40-3.52 (m, 2H), 5.09 (s, 1H), 6.91 (d, 2H, $J = 8.7$ Hz), 6.98 (d, 1H, $J = 7.5$ Hz), 7.37 (d, 2H, $J = 8.7$ Hz), 7.42 (d, 2H, $J = 8.7$ Hz), 7.51 (d, 2H, $J = 8.7$ Hz), 9.56 (s, 1H).

I a - 8 5

mp 185-186 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.26 (s, 9H), 1.42-1.72 (m, 6H), 1.96-2.10 (m, 2H), 2.26 (t, 2H, $J = 6.9$ Hz), 2.96-3.12 (m, 4H), 3.41-3.52 (m, 2H), 5.09 (s, 1H), 6.88 (d, 1H, $J = 8.7$ Hz), 6.92 (d, 2H, $J = 9.0$ Hz), 7.37 (d, 2H, $J = 8.7$ Hz), 7.43 (d, 2H, $J = 9.0$ Hz), 7.52 (d, 2H, $J = 8.7$ Hz), 9.63 (s, 1H).

I a - 8 6

mp 162-164 °C

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五、發明說明 (22)

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.21 (d, 6H, $J = 6.6$ Hz), 1.41-1.73 (m, 6H), 1.96-2.10 (m, 2H), 2.26 (t, 2H, $J = 7.2$ Hz), 2.91-3.20 (m, 5H), 3.42-3.52 (m, 2H), 5.09 (s, 1H), 6.92 (d, 2H, $J = 9.3$ Hz), 6.99 (t, 1H, $J = 6.0$ Hz), 7.37 (d, 2H, $J = 8.7$ Hz), 7.43 (d, 2H, $J = 9.3$ Hz), 7.52 (d, 2H, $J = 8.7$ Hz), 9.64 (s, 1H).

I a - 8 7

mp 245-247 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.22 (d, 6H, $J = 6.6$ Hz), 1.22-1.58 (m, 4H), 1.81-2.02 (m, 4H), 2.22-2.36 (m, 1H), 3.00-3.20 (m, 2H), 3.01 (s, 3H), 6.99 (d, 1H, $J = 8.4$ Hz), 7.75-7.88 (m, 2H), 8.19 (d, 1H, $J = 1.2$ Hz), 10.43 (s, 1H).

I a - 8 8

mp 208-209 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.22 (d, 6H, $J = 6.9$ Hz), 1.22-1.55 (m, 4H), 1.75-1.98 (m, 4H), 2.11-2.24 (m, 1H), 2.98-3.20 (m, 2H), 5.96 (s, 2H), 6.82 (d, 1H, $J = 8.4$ Hz), 6.91-7.03 (m, 2H), 7.30 (d, 1H, $J = 1.8$ Hz), 9.72 (s, 1H).

I a - 8 9

mp 142-143 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.27 (s, 9H), 1.40-1.66 (m, 4H), 2.26 (t, 2H, $J = 7.5$ Hz), 3.02 (q, 2H, $J = 6.6$ Hz), 5.96 (s, 2H), 6.82 (d, 1H, $J = 8.4$ Hz), 6.88 (t, 1H, $J = 8.4$ Hz), 6.94 (dd, 1H, $J = 1.8, 8.4$ Hz), 7.30 (d, 1H, $J = 1.8$ Hz), 9.78 (s, 1H).

I a - 9 0

mp 100 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.20 (d, 6H, $J = 6.9$ Hz), 1.40-1.66 (m, 4H), 2.26 (t, 2H, $J = 7.5$ Hz), 2.89-2.99 (m, 2H), 3.13 (quint, 1H, $J = 6.6$ Hz), 5.96 (s, 2H), 6.83 (d, 1H, $J = 8.1$ Hz), 6.91-7.02 (m, 2H), 7.30 (d, 1H, $J = 1.8$ Hz), 9.78 (s, 1H).

I a - 9 1

mp 189-190 °C

五、發明說明 (> >)

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.26 (s, 9H), 1.43-1.71 (m, 4H), 2.40 (t, 2H, $J = 7.5$ Hz), 2.97-3.09 (m, 2H), 3.01 (s, 3H), 6.85-6.93 (m, 1H), 7.76-7.88 (m, 2H), 8.20 (d, 1H, $J = 1.2$ Hz), 10.49 (s, 1H).

I a - 1 0 4

mp 238-241 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.50 (m, 1H), 3.05 (m, 1H), 6.55 (br s, 1H), 6.79 (d, 1H, $J = 8.2$), 7.15 (t, 1H, $J = 4.8$), 8.64 (d, 2H, $J = 4.8$).

I a - 1 0 5

mp 232-234 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.26 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.55 (m, 1H), 3.05 (m, 1H), 6.77 (d, 1H, $J = 8.7$), 9.92 (s, 2H), 10.93 (s, 1H).

I a - 1 0 6

mp 226-228 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.28 (s, 9H), 1.22-1.58 (m, 4H), 1.82-2.04 (m, 4H), 2.29 (m, 1H), 3.07 (m, 1H), 6.79 (d, 1H, $J = 8.7$ Hz), 7.61 (d-d, 1H, $J = 1.8$ Hz, 8.7 Hz), 8.04 (d, 1H, $J = 8.7$ Hz), 8.48 (d, 1H, 2.1 Hz), 9.35 (s, 1H), 10.05 (s, 1H).

I a - 1 0 7

mp 282-283 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22-1.57 (m, 4H), 1.27 (s, 9H), 1.80-2.04 (m, 4H), 2.27 (m, 1H), 3.06 (m, 1H), 6.81 (d, 1H, $J = 8.7$ Hz), 7.32 (m, 1H), 7.44 (t, 2H, $J = 7.5$ Hz), 7.57-7.72 (m, 6H), 9.91 (s, 1H).

I a - 1 0 8

mp 191-192 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.24-1.58 (m, 4H), 1.28 (s, 9H), 1.86-2.04 (m, 4H), 2.70 (m, 1H), 3.08 (m, 1H), 6.83 (d, 1H, $J = 8.7$ Hz), 7.63-7.79 (m, 2H), 8.31 (d,

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五、發明說明 (224)

1H, $J = 7.2$ Hz). 10.27 (s, 1H).

I a - 1 0 9

mp 283-285 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.24-1.60 (m, 4H), 1.28 (s, 9H), 1.87-2.04 (m, 4H), 2.42 (m, 1H), 3.09 (m, 1H), 3.87 (s, 2H), 6.82 (d, 1H, $J = 8.7$ Hz), 7.28-7.43 (m, 3H), 7.60 (d, 2H, $J = 7.8$ Hz), 7.68 (d, 1H, $J = 7.2$ Hz), 7.89 (d, 1H, $J = 7.5$ Hz), 9.48 (s, 1H).

I a - 1 1 0

mp 263-265 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.24-1.54 (m, 4H), 1.27 (s, 9H), 1.76-1.87 (m, 2H), 1.89-2.01 (m, 2H), 2.17 (m, 1H), 3.04 (m, 1H), 4.01 (s, 4H), 6.01 (s, 2H), 6.44 (d, 2H, $J = 8.7$ Hz), 6.77 (d, 1H, $J = 8.7$ Hz), 7.39 (d, 2H, $J = 9.0$ Hz), 9.44 (s, 1H).

I a - 1 1 1

mp 239-241 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.24-1.54 (m, 4H), 1.27 (s, 9H), 1.62-1.76 (m, 4H), 1.80-2.02 (m, 4H), 2.30 (m, 1H), 2.47-2.59 (m, 2H), 2.66-2.76 (m, 2H), 6.08 (m, 1H), 6.79 (d, 1H, $J = 9.0$ Hz), 6.88 (d, 1H, $J = 6.9$ Hz), 7.02 (t, 1H, $J = 7.5$ Hz), 7.13 (d, 1H, $J = 7.5$ Hz), 8.98 (s, 1H).

I a - 1 2 4

mp 247-249 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.15 (d, 6H, $J = 6.3$ Hz), 1.30 (s, 9H), 2.15-2.26 (m, 2H), 3.48-3.57 (m, 2H), 3.63-3.76 (m, 2H), 6.92 (d, 2H, $J = 8.7$ Hz), 7.59 (d, 2H, $J = 9.0$ Hz), 7.38 (d, 2H, $J = 9.0$ Hz), 7.87 (d, 2H, $J = 8.7$ Hz), 9.92 (brs, 1H), 9.98 (brs, 1H).

I a - 1 2 5

mp 228.-232 °C

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五、發明說明 (25)

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.30 (s, 9H), 1.95-2.08 (m, 2H), 2.77-2.89 (m, 4H), 7.17 (d, 1H, $J = 8.4$ Hz), 7.39 (d, 2H, $J = 9.0$ Hz), 7.42-7.48 (m, 1H), 7.64 (brs, 1H), 7.87 (d, 2H, $J = 9.0$ Hz), 9.99 (brs, 2H).

I a - 1 2 6

mp 244-246 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.31 (s, 9H), 7.42 (d, 2H, $J = 8.4$ Hz), 7.81 (d-d, 1H, $J = 2.1$ Hz, 8.7 Hz), 7.93 (d, 2H, $J = 9.0$ Hz), 8.05 (d, 1H, $J = 9.0$ Hz), 8.66 (d, 1H, $J = 2.1$ Hz), 9.29 (s, 1H), 10.05 (brs, 1H), 10.39 (brs, 1H).

I a - 1 2 7

mp 238-239 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.30 (s, 9H), 4.18-4.27 (m, 4H), 6.81 (d, 1H, $J = 8.4$ Hz), 7.16 (d-d, 1H, $J = 2.7$ Hz, 9.0 Hz), 7.34-7.42 (m, 3H), 7.85 (d, 2H, $J = 8.4$ Hz), 9.94 (brs, 1H), 9.99 (brs, 1H).

I a - 1 2 8

mp 286-287 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.31 (s, 9H), 7.41 (d, 2H, $J = 8.7$ Hz), 7.71 (d, 2H, $J = 8.4$ Hz), 7.91 (d, 2H, $J = 8.7$ Hz), 7.99 (d, 2H, $J = 8.7$ Hz), 10.05 (brs, 1H), 10.44 (brs, 1H).

I a - 1 2 9

mp 232-234 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.25 (1H, m), 3.07 (m, 1H), 6.80 (d, 1H, $J=9.0$), 7.37 (d, 1H, $J= 8.1$), 7.53 (t, 1H, $J=8.1$), 7.75 (t, 1H, $J= 8.1$), 8.12 (s, 1H), 10.16 (s, 1H).

I a - 1 3 0

mp 274-277 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.23-1.58 (m, 4H), 1.81-2.03 (m, 4H).

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五、發明說明 (26)

2.28 (m, 1H), 3.07 (m, 1H), 6.80 (d, 1H, $J = 8.4$ Hz), 7.36 (d-d, 1H, $J = 0.9$ Hz, 5.7 Hz), 7.43 (d-d, 1H, $J = 2.1$ Hz, 8.7 Hz), 7.60 (d, 1H, $J = 5.4$ Hz), 7.78 (d, 1H, $J = 8.7$ Hz), 8.40 (d, 1H, 1.8 Hz), 9.97 (brs, 1H).

I a - 1 3 1

mp 259-260 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.31 (s, 9H), 7.40 (d, 1H, $J = 4.8$ Hz), 7.41 (d, 2H, $J = 8.7$ Hz), 7.66 (d, 1H, $J = 5.1$ Hz), 7.67 (d-d, 1H, $J = 1.8$ Hz, 8.7 Hz), 7.84 (d, 1H, $J = 9.0$ Hz), 7.92 (d, 2H, $J = 8.7$ Hz), 8.50 (s, 1H), 10.03 (brs, 1H), 10.27 (brs 1H).

I a - 1 3 2

mp 265-266 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.17 (d, 6H, $J = 6.6$ Hz), 1.31 (s, 9H), 4.10 (m, 1H), 7.35-7.46 (m, 3H), 7.54 (d, 1H, $J = 7.5$ Hz), 7.87-7.97 (m, 3H), 8.15 (brs, 1H), 8.20 (d, 1H, $J = 7.5$ Hz), 10.03 (brs, 1H), 10.25 (brs, 1H).

I a - 1 3 3

mp 249-250 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.31 (s, 9H), 7.41 (d, 2H, $J = 8.7$ Hz), 7.45 (d, 1H, $J = 5.4$ Hz), 7.67 (d-d, 1H, $J = 1.8$ Hz, 8.7 Hz), 7.76 (d, 1H, $J = 5.4$ Hz), 7.92 (d, 2H, $J = 8.7$ Hz), 7.95 (d, 1H, $J = 8.1$ Hz), 8.39 (d, 1H, $J = 1.8$ Hz), 10.02 (brs, 1H), 10.23 (brs, 1H).

I a - 1 3 4

mp 305-306 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.25 (m, 2H), 1.25 (s, 9H), 1.52 (m, 2H), 1.82 (m, 2H), 1.94 (m, 2H), 2.13 (m, 1H), 3.04 (m, 1H), 6.00 (d, 1H, $J = 8.1$), 6.74 (d, 1H, $J = 8.4$), 7.3-7.5 (m, 6H), 7.85 (d, 2H, $J = 7.5$), 8.31 (d, 1H, $J = 8.4$).

I a - 1 3 5

mp 220-222 °C

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五、發明說明 (229)

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.37 (m, 1H), 3.03 (m, 1H), 6.80 (d, 1H, $J=8.7$), 7.04 (m, 1H), 7.29 (m, 1H), 7.79 (m, 1H), 9.60 (s, 1H).

I a - 1 3 6

mp 263-264 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.20 (m, 1H), 3.03 (m, 1H), 6.80 (d, 1H, $J=8.4$), 6.87 (m, 1H), 7.31 (m, 2H), 10.21 (s, 1H).

I a - 1 3 7

mp 260-262 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.30 (m, 1H), 3.05 (m, 1H), 6.80 (d, 1H, $J=8.4$), 7.13 (t, 2H, $J=8.1$), 7.31 (m, 1H), 9.52 (s, 1H).

I a - 1 3 8

mp 270-273 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.12 (m, 1H), 3.05 (m, 1H), 6.79 (d, 1H, $J=9.0$), 7.31 (m, 2H), 7.80 (m, 1H), 10.05 (s, 1H).

I a - 1 3 9

mp 267-270 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.30 (s, 9H), 4.05 (s, 4H), 6.04 (s, 2H), 6.51 (d, 2H, $J=8.7$ Hz), 7.34 (d, 2H, $J=8.4$ Hz), 7.54 (d, 2H, $J=8.4$ Hz), 7.87 (d, 2H, $J=8.4$ Hz), 9.82 (brs, 1H), 9.97 (brs, 1H).

I a - 1 4 0

mp 227-229 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22 (d, 6H, $J=6.6$ Hz), 1.20-1.57 (m, 4H), 1.80-2.01

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五、發明說明 (238)

(m, 4H), 2.27 (m, 1H), 2.95-3.22 (m, 2H), 6.99 (d, 1H, $J = 7.8$ Hz), 7.65 (d, 2H, $J = 8.7$ Hz), 7.80 (d, 2H, $J = 8.4$ Hz), 10.18 (brs, 1H).

1 a - 1 4 1

mp 205-207 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22 (d, 6H, $J = 6.9$ Hz), 1.20-1.55 (m, 4H), 1.75-2.05 (m, 6H), 2.21 (m, 1H), 2.72-2.85 (m, 4H), 2.93-3.20 (m, 2H), 6.98 (d, 1H, $J = 8.1$ Hz), 7.10 (d, 1H, $J = 8.1$ Hz), 7.26 (d-d, 1H, $J = 2.1$ Hz, 8.1 Hz), 7.51 (s, 1H), 9.67 (brs, 1H).

1 a - 1 4 2

mp 295-296 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.15 (d, 6H, $J=6.6$), 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.27 (m, 1H), 3.05 (m, 1H), 4.07 (m, 1H), 6.80 (d, 1H, $J=8.7$), 7.64 (d, 2H, $J=8.7$), 7.79 (d, 2H, $J=8.7$), 8.06 (d, 1H, $J=7.5$), 10.01 (s, 1H).

1 a - 1 4 3

mp 146-147 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.26 (s, 9H), 1.5-1.7 (m, 4H), 2.36 (t, 2H, $J=7.8$), 3.03 (q, 2H, $J=6.3$), 6.89 (t, 1H, $J=6.3$), 7.66 (d, 2H, $J=8.4$), 7.80 (d, 2H, $J=8.4$), 10.25 (s, 1H).

1 a - 1 4 4

mp 138-140 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.21 (d, 6H, $J=6.0$), 1.4-1.7 (m, 4H), 2.37 (t, 2H, $J=7.5$), 2.96 (q, 2H, $J=6.3$), 3.14 (m, 1H), 6.99 (t, 1H, $J=5.4$), 7.66 (d, 2H, $J=7.8$), 7.81 (d, 2H, $J=7.8$), 10.26 (s, 1H).

1 a - 1 4 5

mp 134-136 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.26 (s, 9H), 1.39 (m, 2H), 1.4-1.7 (m, 4H), 2.28 (t,

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五、發明說明 (229)

2H, $J=7.2$), 2.79 (m, 4H), 3.02 (q, 2H, $J=7.2$), 6.88 (t, 1H, $J=6.0$), 7.10 (t, 1H, $J=6.0$), 7.51 (s, 1H), 9.73 (s, 1H).

I a - 1 4 6

mp 135-137 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.20 (d, 6H, $J=6.6$), 1.4-1.7 (m, 4H), 1.99 (m, 2H), 2.28 (t, 2H, $J=7.2$), 2.79 (m, 4H), 2.94 (q, 2H, $J=6.3$), 3.13 (m, 1H), 6.98 (t, 1H, $J=6.9$), 7.10 (d, 2H, $J=8.1$), 7.26 (d, 2H, $J=8.1$), 7.51 (s, 1H), 9.73 (s, 1H).

I a - 1 4 7

mp 206-207 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.29 (s, 9H), 4.54 (d, 2H, $J = 5.7$ Hz), 7.35 (d, 2H, $J = 9.0$ Hz), 7.52 (d, 2H, $J = 7.8$ Hz), 7.69 (d, 2H, $J = 8.1$ Hz), 7.83 (d, 2H, $J = 8.7$ Hz), 9.02 (t, 1H, $J = 5.7$ Hz), 9.97 (brs, 1H).

I a - 1 4 8

mp 250-251 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.30 (s, 9H), 7.18 (t, 2H, $J = 9.3$ Hz), 7.40 (d, 2H, $J = 8.7$ Hz), 7.76 (d-d, 2H, $J = 5.1$ Hz, 9.3 Hz), 7.88 (d, 2H, $J = 9.0$ Hz), 10.02 (brs, 1H), 10.17 (brs, 1H).

I a - 1 4 9

mp 220-222 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.30 (s, 9H), 3.74 (s, 3H), 6.92 (d, 2H, $J = 9.0$ Hz), 7.38 (d, 2H, $J = 9.0$ Hz), 7.64 (d, 2H, $J = 9.0$ Hz), 7.87 (d, 2H, $J = 9.0$ Hz), 9.99 (s, 2H).

I a - 1 5 0

mp 264-266 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.31 (s, 9H), 1.66-1.76 (m, 4H), 2.57-2.66 (m, 2H), 2.71-2.80 (m, 2H), 6.98 (m, 1H), 7.06-7.16 (m, 2H), 7.38 (d, 2H, $J = 9.0$ Hz), 7.90

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (232)

(d, 2H, $J = 8.7$ Hz), 9.60 (s, 1H), 9.99 (s, 1H).

I a - 1 5 1

mp 235-236 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.03-1.39 (m, 5H), 1.27 (s, 9H), 1.55-1.87 (m, 5H), 3.73 (m, 1H), 7.31 (d, 2H, $J = 8.7$ Hz), 7.76 (d, 2H, $J = 8.4$ Hz), 8.01 (d, 1H, $J = 7.8$ Hz), 9.90 (s, 1H).

I a - 1 5 2

mp 244-246 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 0.50-0.72 (m, 4H), 1.27 (s, 9H), 2.81 (m, 1H), 7.31 (d, 2H, $J = 8.7$ Hz), 7.73 (d, 2H, $J = 8.7$ Hz), 8.30 (d, 1H, $J = 4.2$ Hz), 9.91 (brs, 1H).

I a - 1 5 3

mp >300 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.06 (m, 6H), 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.25 (m, 1H), 2.7 (m, 1H), 3.05 (m, 1H), 3.51 (m, 4H), 4.30 (m, 1H), 6.80 (d, 1H, $J=8.4$), 7.34 (d, 2H, $J=8.4$), 7.65 (d, 2H, $J=8.4$), 10.01 (s, 1H).

I a - 1 5 4

mp 247-249 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.05 (m, 6H), 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.23 (m, 1H), 2.77 (m, 1H), 3.05 (m, 1H), 3.52 (m, 4H), 4.33 (m, 1H), 6.80 (d, 1H, $J=9.0$), 7.03 (d, 1H, $J=7.8$), 7.35 (t, 1H, $J=7.8$), 7.59 (d, 1H, $J=7.8$), 7.68 (s, 1H), 9.96 (s, 1H).

I a - 1 5 5

mp 258-259 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.25(m, 2H), 1.50 (m, 2H), 1.86 (m, 2H), 1.99 (m, 2H), 2.28 (m, 1H), 2.93 (s, 3H), 3.10 (m, 1H), 7.02 (d, 1H, $J=7.5$), 7.65 (d, 2H, $J=8.4$), 7.80 (d, 2H, $J=8.4$), 10.20 (s, 1H).

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(271)

I a - 1 5 6

mp 250-253 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.28 (m, 2H), 1.50 (m, 2H), 1.82 (m, 2H), 2.00 (m, 4H), 2.22 (m, 1H), 2.79 (m, 4H), 2.92 (s, 3H), 3.11 (m, 1H), 7.01 (d, 1H, $J=6.1$), 7.26 (d, 1H, $J=8.1$), 7.51 (s, 1H), 9.68 (s, 1H).

I a - 1 5 7

mp 259-262 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.13 (d, 6H, $J=6.0$), 1.25 (m, 2H), 1.50 (m, 2H), 1.80 (m, 2H), 1.95 (m, 2H), 2.17 (m, 3H), 2.92 (s, 3H), 3.10 (m, 1H), 3.70 (m, 2H), 3.68 (m, 2H), 6.86 (d, 2H $J=9.3$), 7.00 (d, 1H, $J=7.2$), 7.43 (d, 2H, $J=9.3$), 9.58 (s, 1H).

I a - 1 5 8

mp 298-300 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.31 (s, 9H), 7.30-7.50 (m, 5H), 7.63-7.71 (m, 4H), 7.87 (d, 2H, $J = 8.7$ Hz), 7.91 (d, 2H, $J = 9.0$ Hz), 10.03 (brs, 1H), 10.22 (brs, 1H).

I a - 1 5 9

mp 278-281 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 0.74-1.87 (m, 20H), 1.29 (s, 9H), 3.76 (m, 1H), 7.32 (d, 2H, $J = 8.4$ Hz), 7.75 (d, 2H, $J = 8.7$ Hz), 7.75 (d, 1H, $J = 8.7$ Hz), 7.90 (brs, 1H).

I a - 1 6 0

mp 227-228 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22-1.55 (m, 4H), 1.27 (s, 9H), 1.80-2.02 (m, 4H), 2.23 (m, 1H), 3.06 (m, 1H), 6.78 (d, 1H, $J = 8.7$ Hz), 7.45 (t, 1H, $J = 9.9$ Hz), 7.82 (m, 1H), 8.12 (d-d, 1H, $J = 2.4$ Hz, 6.3 Hz), 10.17 (brs, 1H).

I a - 1 6 1

mp 259-260 °C

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (232)

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22-1.54 (m, 4H), 1.27 (s, 9H), 1.78-2.01 (m, 4H), 2.16 (s, 3H), 2.21 (m, 1H), 3.05 (m, 1H), 6.77 (d, 1H, $J = 8.4$ Hz), 7.12-7.21 (m, 2H), 7.53 (m, 1H), 9.90 (brs, 1H).

I a - 1 6 2

mp 222-226 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.15 (d, 6H, $J = 6.3$ Hz), 1.26 (d, 6H, $J = 6.9$ Hz), 2.16-2.26 (m, 2H), 3.31 (m, 1H), 3.48-3.58 (m, 2H), 3.63-3.76 (m, 2H), 6.92 (d, 2H, $J = 9.0$ Hz), 7.32 (d, 2H, $J = 8.7$ Hz), 7.59 (d, 2H, 9.0 Hz), 7.89 (d, 2H, $J = 9.0$ Hz), 9.92 (s, 1H), 10.13 (brs, 1H).

I a - 1 6 3

mp 197-200 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.26 (d, 6H, $J = 6.3$ Hz), 1.95-2.09 (m, 2H), 2.77-2.90 (m, 4H), 3.32 (m, 1H), 7.17 (d, 1H, $J = 8.1$ Hz), 7.32 (d, 2H, $J = 8.7$ Hz), 7.45 (d-d, 1H, $J = 1.8$ Hz, 8.1 Hz), 7.64 (brs, 1H), 7.90 (d, 2H, $J = 8.7$ Hz), 9.99 (brs, 1H), 10.13 (brs, 1H).

I a - 1 6 4

mp 145-247 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-2.0 (m, 16H), 2.19 (m, 1H), 3.05 (m, 1H), 4.74 (m, 1H), 6.79 (d, 1H, $J=9.0$), 6.80 (d, 2H, $J=9.0$), 7.47 (d, 2H, $J=9.0$), 9.63 (s, 1H).

I a - 1 6 5

mp >300 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.03-2.02 (m, 18H), 1.27 (s, 9H), 2.26 (m, 1H), 3.06 (m, 1H), 3.73 (m, 1H), 6.78 (d, 1H, $J = 8.7$ Hz), 7.63 (d, 2H, $J = 9.0$ Hz), 7.78 (d, 2H, $J = 8.7$ Hz), 8.02 (d, 1H, $J = 8.1$ Hz), 10.00 (brs, 1H).

I a - 1 6 6

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五、發明說明 (233)

mp 200-201 °C

¹H-NMR (DMSO) δ ppm: 1.03-2.02 (m, 18H), 1.27 (s, 9H), 2.25 (m, 1H), 3.06 (m, 1H), 3.73 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.33 (t, 1H, J = 8.1 Hz), 7.46 (d, 1H, J = 8.1 Hz), 7.76 (m, 1H), 7.94 (m, 1H), 8.14 (d, 1H, J = 8.1 Hz), 9.92 (brs, 1H).

I a - 1 6 7

mp 282-285 °C

¹H-NMR (DMSO) δ ppm: 1.22-1.57 (m, 4H), 1.27 (s, 9H), 1.87-2.03 (m, 4H), 2.49 (m, 1H), 3.07 (m, 1H), 6.83 (d, 1H, J = 8.7 Hz), 13.20 (brs, 1H).

I a - 1 6 8

mp 120-124 °C

¹H-NMR (DMSO) δ ppm: 0.94-1.66 (m, 14H), 1.27 (s, 9H), 1.80-2.04 (m, 4H), 2.25 (m, 1H), 2.92 (m, 1H), 3.06 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.42-7.53 (m, 2H), 7.63 (d, 1H, J = 7.2 Hz), 7.73 (m, 1H), 8.17 (m, 1H), 10.11 (brs, 1H).

I a - 1 6 9

mp 256-257 °C

¹H-NMR (DMSO) δ ppm: 0.93-1.20 (m, 5H), 1.24-1.64 (m, 9H), 1.27 (s, 9H), 1.80-2.02 (m, 4H), 2.27 (m, 1H), 2.87 (m, 1H), 3.06 (m, 1H), 6.79 (d, 1H, J = 9.0 Hz), 7.48 (d, 1H, J = 7.2 Hz), 7.68-7.79 (m, 4H), 10.17 (brs, 1H).

I a - 1 7 1

mp 242-244 °C

¹H-NMR (DMSO) δ ppm: 1.27 (m, 12H), 1.45 (m, 4H), 1.90 (m, 4H), 2.25 (m, 1H), 3.07 (m, 1H), 3.67 (m, 2H), 6.77 (d, 1H, J=8.7), 6.90 (d, 1H, J=7.8), 7.31 (t, 1H, J=7.5), 7.53 (d, 1H, J=7.8), 7.59 (s, 1H), 9.89 (s, 1H).

I a - 1 7 2

mp >310 °C

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五、發明說明 (224)

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (m, 12H), 1.38 (m, 4H), 1.84 (m, 2H), 1.97 (m, 2H), 2.25 (m, 1H), 3.07 (m, 1H), 3.66 (m, 2H), 6.81 (d, 1H, $J=8.7$), 7.20 (d, 2H, $J=6.7$), 7.61 (d, 2H, $J=8.7$), 9.94 (s, 1H).

I a - 1 7 3

mp 279-281 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.83 (m, 6H), 1.93 (m, 2H), 2.21 (m, 1H), 2.36 (m, 2H), 3.05 (m, 1H), 3.54 (m, 2H), 6.79 (d, 1H, $J=8.7$), 7.16 (d, 2H, $J=9.0$), 7.56 (d, 2H, $J=9.0$), 9.83 (s, 1H).

I a - 1 7 4

mp 258-262 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 0.29 (m, 2H), 0.53 (m, 2H), 1.20 (m, 1H), 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.7-2.0 (m, 4H), 2.20 (m, 1H), 3.05 (m, 1H), 3.75 (d, 2H, $J=6.9$), 6.79 (d, 1H, $J=9.0$), 6.83 (d, 2H, $J=9.0$), 7.46 (d, 2H, $J=9.0$), 9.64 (s, 1H).

I a - 1 7 5

mp 246-248 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-2.0 (m, 18H), 2.19 (m, 1H), 3.04 (m, 1H), 4.23 (m, 1H), 6.79 (d, 1H, $J=8.7$), 6.84 (d, 2H, $J=9.0$), 7.45 (d, 2H, $J=9.0$), 9.64 (s, 1H).

I a - 1 7 6

mp 200-202 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-2.0 (m, 18H), 2.21 (m, 1H), 3.05 (m, 1H), 4.23 (m, 1H), 6.57 (d, 1H, $J=6.9$), 6.80 (d, 1H, $J=9.0$), 7.0-7.2 (m, 2H), 7.28 (s, 1H), 9.74 (s, 1H).

I a - 1 7 7

mp 266-268 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22-1.56 (m, 4H), 1.27 (s, 9H), 1.79-2.02 (m, 4H).

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五、發明說明 (25)

2.25 (m, 1H), 3.05 (m, 1H), 6.56 (m, 1H), 6.77-6.84 (m, 2H), 7.58-7.71 (m, 5H).
9.92 (brs, 1H).

I a - 1 7 8

mp 223-224 °C

¹H-NMR (DMSO) δ ppm: 1.26-1.54 (m, 4H), 1.27 (s, 9H), 1.81-2.02 (m, 4H),
2.45 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 8.15 (d-d, 1H, J = 2.4 Hz, 9.0
Hz), 8.27 (d, 1H, J = 9.0 Hz), 8.70 (m, 1H), 10.85 (brs, 1H).

I a - 1 7 9

mp 224-227 °C

¹H-NMR (DMSO) δ ppm: 1.24-1.56 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H),
2.27 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 6.90 (d, 1H, J = 1.8 Hz),
7.72-7.84 (m, 4H), 8.60 (d, 1H, J = 1.8 Hz), 10.09 (brs, 1H).

I a - 1 8 0

mp 226-227 °C

¹H-NMR (DMSO) δ ppm: 0.92 (d, 6H, J = 6.6 Hz), 1.26-1.55 (m, 4H), 1.27 (s,
9H), 1.80-2.03 (m, 4H), 2.27 (m, 1H), 3.05 (m, 1H), 3.20 (m, 1H), 6.80 (d, 1H, J
= 8.7 Hz), 7.42 (d, 1H, J = 7.2 Hz), 7.67-7.79 (m, 4H), 10.19 (brs, 1H).

I a - 1 8 1

mp 191-192 °C

¹H-NMR (DMSO) δ ppm: 0.95 (d, 6H, J = 6.6 Hz), 1.26-1.55 (m, 4H), 1.27 (s,
9H), 1.80-2.03 (m, 4H), 2.25 (m, 1H), 3.06 (m, 1H), 3.23 (m, 1H), 6.80 (d, 1H, J
= 8.4 Hz), 7.41-7.53 (m, 2H), 7.58 (d, 1H, J = 7.2 Hz), 7.73 (m, 1H), 8.18 (m, 1H),
10.13 (brs, 1H).

I a - 1 8 2

mp 192-193 °C

¹H-NMR (DMSO) δ ppm: 0.30 (m, 2H), 0.55 (m, 2H), 1.2-1.5 (m, 5H), 1.27 (s,

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五、發明說明 (> > >)

1H), 1.8-2.0 (m, 4H), 2.20 (m, 1H), 3.04 (m, 1H), 3.75 (d, 2H, J=6.9), 6.58 (m, 1H), 6.79 (d, 1H, J=8.7), 7.0-7.2 (m, 2H), 7.31 (s, 1H), 9.76 (s, 1H).

I a - 1 8 3

mp >310 °C

¹H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.82 (m, 2H), 1.97 (m, 2H), 2.04 (m, 2H), 2.39 (m, 1H), 2.46 (t, 2H, J=7.8), 3.07 (m, 1H), 3.79 (t, 2H, J=7.5), 6.79 (d, 1H, J=8.7), 7.56 (m, 4H), 9.80 (s, 1H).

I a - 1 8 4

mp 281-283 °C

¹H-NMR (DMSO) δ ppm: 1.24-1.57 (m, 4H), 1.27 (s, 9H), 1.80-2.04 (m, 4H), 2.27 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J = 9.0 Hz), 7.33 (s, 1H), 7.75 (d, 2H, J = 9.3 Hz), 7.91 (d, 2H, J = 8.7 Hz), 8.16 (s, 1H), 10.09 (brs, 1H).

I a - 1 8 5

mp 226-227 °C

¹H-NMR (DMSO) δ ppm: 1.24-1.58 (m, 10H), 1.27 (s, 9H), 1.81-2.02 (m, 4H), 2.28 (m, 1H), 2.78-2.88 (m, 4H), 3.06 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 7.64 (d, 2H, J = 8.7 Hz), 7.82 (d, 2H, J = 8.7 Hz), 10.25 (brs, 1H).

I a - 1 8 6

mp 148-150 °C

¹H-NMR (DMSO) δ ppm: 1.25-1.60 (m, 10H), 1.27 (s, 9H), 1.82-2.03 (m, 4H), 2.24 (m, 1H), 2.82-2.92 (m, 4H), 3.06 (m, 1H), 6.79 (d, 1H, J = 8.4 Hz), 7.36 (m, 1H), 7.55 (t, 1H, J = 7.8 Hz), 7.84 (m, 1H), 8.06 (m, 1H), 10.18 (brs, 1H).

I a - 1 8 7

mp >310 °C

¹H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.36 (s, 9H), 1.43 (m, 4H), 1.85 (m, 2H), 1.93 (m, 2H), 2.27 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J=8.7), 7.58 (s, 1H), 7.62 (d,

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五、發明說明 (22)

2H), 7.75 (d, 2H, J=9.0), 10.00 (s, 1H).

I a - 1 8 8

mp 285-292 °C

¹H-NMR (DMSO) δ ppm: 0.85 (t, 3H, J=7.5), 1.11 (d, 3H, J=6.3), 1.26 (s, 9H), 1.3-1.6 (m, 6H), 1.85 (m, 2H), 1.95 (m, 2H), 2.27 (m, 1H), 3.06 (m, 1H), 3.90 (m, 1H), 6.80 (d, 1H, J=8.4), 7.64 (d, 2H, J=8.7), 7.79 (d, 2H, J=8.7), 7.99 (d, 1H, J=8.1), 10.02 (s, 1H).

I a - 1 8 9

mp 278-281 °C

¹H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-2.0 (m, 17H), 2.03 (m, 2H), 3.03 (m, 1H), 6.79 (d, 1H, J=8.4), 7.1-7.3 (m, 3H), 7.94 (s, 1H), 9.78 (m, 2H).

I a - 1 9 0

mp >310 °C

¹H-NMR (DMSO) δ ppm: 1.1-2.0 (m, 17H), 1.27 (s, 9H), 2.25 (m, 2H), 3.03 (m, 1H), 6.79 (d, 1H, J=8.7), 7.48 (m, 4H), 9.71 (m, 2H).

I a - 1 9 1

mp 275-277 °C

¹H-NMR (DMSO) δ ppm: 1.16 (d, 6H, J = 6.6 Hz), 1.31 (s, 9H), 4.09 (m, 1H), 7.41 (d, 2H, J = 8.7 Hz), 7.84 (s, 4H), 7.90 (d, 2H, J = 9.0 Hz), 8.11 (d, 1H, J = 7.5 Hz), 10.04 (brs, 1H), 10.30 (brs, 1H).

I a - 1 9 2

mp 204-205 °C

¹H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.6 Hz), 1.20-1.56 (m, 4H), 1.22 (d, 6H, J = 6.6 Hz), 1.78-2.00 (m, 4H), 2.25 (m, 1H), 2.98-3.22 (m, 2H), 4.06 (m, 1H), 6.99 (d, 1H, J = 8.1 Hz), 7.34 (t, 1H, J = 8.1 Hz), 7.46 (d, 1H, J = 7.8 Hz), 7.75 (m, 1H), 7.96 (m, 1H), 8.17 (d, 1H, J = 8.7 Hz), 9.94 (brs, 1H).

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五、發明說明 (538)

I a - 193

mp 285-286 °C

¹H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.6 Hz), 1.20-1.56 (m, 4H), 1.22 (d, 6H, J = 6.9 Hz), 1.79-2.00 (m, 4H), 2.26 (m, 1H), 2.97-3.20 (m, 2H), 4.07 (m, 1H), 6.99 (d, 1H, J = 7.8 Hz), 7.64 (d, 2H, J = 8.7 Hz), 7.79 (d, 2H, J = 8.7 Hz), 8.06 (d, 1H, J = 7.5 Hz), 10.02 (brs, 1H).

I a - 194

mp 248-250 °C

¹H-NMR (DMSO) δ ppm: 1.22-1.57 (m, 4H), 1.22 (d, 6H, J = 6.6 Hz), 1.78-2.00 (m, 4H), 2.25 (m, 1H), 2.98-3.22 (m, 2H), 6.56 (m, 1H), 6.82 (d, 1H, J = 3.3 Hz), 6.99 (d, 1H, J = 7.8 Hz), 7.58-7.71 (m, 5H), 9.92 (brs, 1H).

I a - 195

mp 271-275 °C

¹H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.28-1.56 (m, 4H), 1.80-2.02 (m, 4H), 2.25 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J = 9.0 Hz), 7.57 (s, 1H), 7.62-7.74 (m, 4H), 8.39 (s, 1H), 9.99 (brs, 1H).

I a - 196

mp 226-228 °C

¹H-NMR (CDCl₃) δ ppm: 0.30 (m, 2H), 1.23 (d, 6H, J=6.9), 1.2-2.0 (m, 4H), 2.20 (m, 1H), 3.10 (m, 2H), 3.76 (d, 2H, J=6.9), 6.83 (d, 2H, J=8.7), 6.99 (d, 1H, J=8.1), 7.46 (d, 2H, J=8.7), 9.65 (s, 1H).

I a - 197

mp 173-175 °C

¹H-NMR (DMSO) δ ppm: 0.31 (m, 2H), 0.56 (m, 2H), 1.22 (d, 6H, J=6.6), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.22 (m, 1H), 3.10 (m, 1H), 3.76 (d, 1H, J=7.2), 6.58 (d, 1H, J=8.1), 7.0-7.2 (m, 2H), 7.32 (s, 1H), 9.78 (s, 1H).

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五、發明說明 (239)

I a - 198

mp 233-235 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.25 (d, 6H, $J=6.9$), 1.2-2.0 (m, 16H), 2.19 (m, 1H), 3.10 (m, 2H), 4.73 (m, 1H), 6.80 (d, 2H, $J=8.7$), 6.98 (d, 1H, $J=7.8$), 7.45 (d, 2H, $J=8.7$), 9.63 (s, 1H).

I a - 199

mp 185-186 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22 (d, 6H, $J=6.9$), 1.2-2.0 (m, 16H), 2.22 (m, 1H), 3.10 (m, 2H), 4.73 (m, 1H), 6.54 (m, 1H), 7.0-7.2 (m, 2H), 7.3 (s, 1H), 9.75 (s, 1H).

I a - 200

mp 235-237 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.6 (m, 6H), 1.8-2.0 (m, 6H), 2.20 (m, 1H), 3.05 (m, 1H), 3.45 (m, 2H), 3.82 (m, 2H), 4.47 (m, 1H), 6.79 (d, 1H, $J=9.0$), 6.89 (d, 2H, $J=9.0$), 7.47 (d, 2H, $J=9.0$), 9.66 (s, 1H).

I a - 201

mp 300-301 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.15 (d, 6H, $J = 6.6$ Hz), 1.26-1.56 (m, 4H), 1.27 (s, 9H), 1.82-2.03 (m, 4H), 2.23 (s, 3H), 2.37 (m, 1H), 3.06 (m, 1H), 4.07 (m, 1H), 6.81 (d, 1H, $J = 8.7$ Hz), 7.52 (d, 1H, $J = 8.4$ Hz), 7.62 (d, 1H, $J = 8.4$ Hz), 7.68 (s, 1H), 8.09 (d, 1H, $J = 7.5$ Hz), 9.22 (brs, 1H).

I a - 202

mp 269-270 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.25-1.26 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.25 (m, 1H), 3.07 (m, 1H), 6.80 (d, 1H, $J = 8.4$ Hz), 7.11 (m, 1H), 7.42 (d, 1H, $J = 3.6$ Hz), 7.48 (m, 1H), 7.58 (d, 2H, $J = 8.7$ Hz), 7.64 (d, 2H, $J = 8.4$ Hz), 9.92

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (240)

(brs, 1H).

I a - 2 0 3

mp 271-273 °C

¹H-NMR (DMSO) δ ppm: 1.14-1.54 (m, 9H), 1.26 (s, 9H), 1.63-1.88 (m, 7H), 1.89-2.01 (m, 2H), 2.21 (m, 1H), 2.42 (m, 1H), 3.04 (m, 1H), 6.79 (d, 1H, J = 9.0 Hz), 7.11 (d, 2H, J = 8.4 Hz), 7.47 (d, 2H, J = 8.1 Hz), 9.70 (brs, 1H).

I a - 2 0 4

mp 250-251 °C

¹H-NMR (DMSO) δ ppm: 1.22-1.39 (m, 2H), 1.22 (d, 6H, J = 6.6 Hz), 1.40-1.57 (m 2H), 1.80-2.01 (m, 4H), 2.28 (m, 1H), 2.98-3.21 (m, 2H), 7.00 (d, 1H, J = 7.8 Hz), 7.34 (s, 1H), 7.75 (d, 2H, J = 9.0 Hz), 7.91 (d, 2H, J = 8.7 Hz), 8.17 (s, 1H), 10.10 (brs, 1H).

I a - 2 0 5

mp 239-240 °C

¹H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 5H), 2.08 (m, 2H), 3.05 (m, 1H), 3.80 (m, 4H), 4.95 (m, 1H), 6.79 (d, 1H, J=8.7), 6.83 (d, 2H, J=8.7), 7.48 (d, 2H, J=8.7), 9.66 (s, 1H).

I a - 2 0 6

mp 236-238 °C

¹H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.7 (m, 8H), 1.8-2.0 (m, 6H), 2.18 (m, 1H), 3.04 (m, 1H), 3.3-3.6 (m, 2H), 3.85 (m, 3H), 6.80 (d, 1H, J=9.0), 6.84 (d, 2H, J=9.0), 7.47 (d, 2H, J=9.0), 9.65 (s, 1H).

I a - 2 0 7

mp 224-226 °C

¹H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.24 (m, 1H), 2.39 (m, 2H), 3.06 (m, 1H), 3.50 (t, 2H, J=7.5), 3.70 (t, 2H, J=6.3), 6.78 (d,

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五、發明說明 (241)

^1H , $J=6.6$), 6.83 (m, 1H), 7.25 (m, 1H), 7.27 (m, 1H), 7.54 (s, 1H), 9.61 (s, 1H).

I a - 2 0 8

mp 275-277 °C

^1H -NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.22 (m, 1H), 2.38 (m, 2H), 3.07 (m, 1H), 3.47 (t, 2H, $J=6.9$), 3.69 (t, 2H, $J=6.6$), 6.80 (d, 1H, $J=8.7$), 7.14 (d, 2H, $J=8.4$), 7.58 (d, 2H, $J=8.4$), 9.83 (s, 1H).

I a - 2 0 9

mp 214-215 °C

^1H -NMR (DMSO) δ ppm: 1.26-1.56 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.25 (m, 1H), 3.06 (m, 1H), 6.59 (m, 1H), 6.81 (d, 1H, $J = 8.4$ Hz), 6.86 (d, 1H, $J = 2.7$ Hz), 7.28-7.40 (m, 2H), 7.47 (m, 1H), 7.75 (s, 1H), 8.01 (s, 1H), 9.91 (brs, 1H).

I a - 2 1 0

mp 272-275 °C

^1H -NMR (DMSO) δ ppm: 1.31 (s, 9H), 6.59 (m, 1H), 6.87 (d, 1H, $J = 3.3$ Hz), 7.41 (d, 2H, $J = 8.7$ Hz), 7.68 (d, 2H, $J = 8.7$ Hz), 7.72 (m, 1H), 7.83 (d, 2H, $J = 8.7$ Hz), 7.90 (d, 2H, $J = 8.7$ Hz), 10.03 (brs, 1H), 10.22 (brs, 1H).

I a - 2 1 1

mp 251-255 °C

^1H -NMR (DMSO) δ ppm: 1.31 (s, 9H), 7.36 (s, 1H), 7.41 (d, 2H, $J = 8.4$ Hz), 7.91 (d, 2H, $J = 8.4$ Hz), 7.92-8.00 (m, 4H), 8.19 (s, 1H), 10.06 (brs, 1H), 10.38 (brs, 1H).

I a - 2 1 2

mp 241-244 °C

^1H -NMR (DMSO) δ ppm: 1.30 (s, 9H), 1.50-1.78 (m, 6H), 1.81-1.97 (m, 2H), 4.78 (m, 1H), 6.87 (d, 2H, $J = 9.0$ Hz), 7.38 (d, 2H, $J = 8.7$ Hz), 7.61 (d, 2H, $J =$

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五、發明說明 (24)

9.0 Hz), 7.87 (d, 2H, $J = 8.7$ Hz), 9.97 (brs, 1H), 9.99 (brs, 1H).

I a - 2 1 3

mp 283-286 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.31 (s, 9H), 7.12 (d-d, 1H, $J = 3.6$ Hz, 5.1 Hz), 7.41 (d, 2H, $J = 9.0$ Hz), 7.46 (m, 1H), 7.50 (d-d, 1H, $J = 1.2$ Hz, 5.1 Hz), 7.64 (d, 2H, $J = 8.7$ Hz), 7.82 (d, 2H, $J = 8.7$ Hz), 7.90 (d, 2H, $J = 9.3$ Hz), 10.03 (brs, 1H), 10.22 (brs, 1H).

I a - 2 1 6

mp 224-225°C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.22 (d, 6H, $J=6.9$), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.45 (m, 1H), 3.12 (m, 2H), 6.99 (d, 1H, $J=8.1$), 8.15 (m, 1H), 8.27 (d, 1H, $J=9.0$), 8.69 (s, 1H), 10.86 (s, 1H).

I a - 2 1 9

mp 270-272 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.28 (s, 9H), 1.34-1.51 (m, 2H), 1.80-1.92 (m, 2H), 2.83- 2.97 (m, 2H), 3.32 (m, 1H), 3.99-4.12 (m 2H), 6.92 (d, 1H, $J = 8.7$ Hz), 7.57 (d, 2H, $J = 8.7$ Hz), 7.68 (d, 2H, $J = 9.0$ Hz), 8.90 (brs, 1H).

I a - 2 2 0

mp 187-189 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.28 (s, 9H), 1.31-1.51 (m, 2H), 1.78-1.90 (m, 2H), 2.78-2.93 (m, 2H), 3.30 (m, 1H), 3.97-4.09 (m, 2H), 6.90 (d, 1H, $J = 8.7$ Hz), 7.06 (t, 2H, $J = 9.0$ Hz), 7.44 (d-d, 2H, $J = 4.8$ Hz, 9.0 Hz), 8.53 (brs, 1H).

I a - 2 2 1

mp 260-262 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.12-1.50 (m, 7H), 1.28 (s, 9H), 1.63-1.90 (m, 7H), 2.40 (m, 1H), 2.76-2.91 (m, 2H), 3.28 (m, 1H), 3.96-4.09 (m, 2H), 6.90 (d, 1H, J

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (243)

= 8.7 Hz), 7.06 (d, 2H, J = 8.4 Hz), 7.32 (d, 2H, J = 8.4 Hz), 8.40 (brs, 1H).

I a - 2 2 2

mp 265-267 °C

¹H-NMR (DMSO) δ ppm: 1.23 (d, 6H, J = 6.6 Hz), 1.31-1.48 (m, 2H), 1.77-1.90 (m, 2H), 2.84-2.98 (m, 2H), 3.16 (m, 1H), 3.33 (m, 1H), 3.96-4.10 (m, 2H), 7.11 (d, 1H, J = 7.8 Hz), 7.57 (d, 2H, J = 8.7 Hz), 7.67 (d, 2H, J = 8.4 Hz), 8.90 (brs, 1H).

I a - 2 2 3

mp 183-186 °C

¹H-NMR (DMSO) δ ppm: 1.23 (d, 6H, J = 6.9 Hz), 1.28-1.47 (m, 2H), 1.76-1.88 (m, 2H), 2.80-3.16 (m, 2H), 3.16 (m, 1H), 3.32 (m, 1H), 3.94-4.07 (m, 2H), 7.00-7.14 (m, 3H), 7.44 (d-d, 2H, J = 4.8 Hz, 9.0 Hz), 8.53 (brs, 1H).

I a - 2 2 4

mp 232-234 °C

¹H-NMR (DMSO) δ ppm: 1.12-1.46 (m, 7H), 1.23 (d, 6H, J = 6.6 Hz), 1.63-1.87 (m, 7H), 2.40 (m, 1H), 2.78-2.93 (m, 2H), 3.15 (m, 1H), 3.31 (m, 1H), 3.94-4.07 (m, 2H), 7.06 (d, 2H, J = 8.4 Hz), 7.09 (d, 1H, J = 8.1 Hz), 7.32 (d, 2H, J = 8.4 Hz), 8.39 (brs, 1H).

I a - 2 2 5

mp 222-224 °C

¹H-NMR (DMSO) δ ppm: 1.28 (s, 9H), 1.30-1.61 (m, 4H), 1.77-1.98 (m, 4H), 2.66-2.90 (m, 2H), 3.28 (m, 1H), 3.40-3.50 (m, 2H), 3.79-3.88 (m, 2H), 3.96-4.08 (m, 2H), 4.44 (m, 1H), 6.85 (d, 2H, J = 9.0 Hz), 6.91 (d, 1H, J = 9.0 Hz), 7.31 (d, 2H, J = 9.3 Hz), 8.34 (brs, 1H).

I a - 2 2 6

mp 194-195 °C

¹H-NMR (CDCl₃/DMSO) δ ppm: 1.39 (d, 6H, J = 7.2 Hz), 1.66 (quintet, 2H, J

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五、發明說明 (ㄨㄟ)

= 6.8 Hz), 1.87 (quintet, 2H, $J = 7.7$ Hz), 2.47 (t, 2H, $J = 7.5$ Hz), 3.11-3.22 (m, 1H), 3.21 (t, 2H, $J = 6.2$ Hz), 5.00 (brs, 1H), 7.35-7.56 (m, 5H), 7.86 (d, 1H, $J = 8.4$ Hz), 8.05 (dd, 1H, $J = 1.8, 8.1$ Hz), 8.20 (d, 1H, $J = 1.8$ Hz), 9.24 (s, 1H).

I a - 2 2 7

mp >300 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.22 (d, 6H, $J = 6.3$ Hz), 1.20-1.40 (m, 4H), 1.74-2.10 (m, 4H), 2.20-2.40 (m, 1H), 2.39 (s, 3H), 3.00-3.30 (m, 2H), 6.25 (s, 1H), 6.99 (brs, 1H), 7.43-7.57 (m, 1H), 7.71 (d, 1H, $J = 8.1$ Hz), 7.76 (s, 1H), 10.27 (s, 1H).

I a - 2 2 8

mp 168-169 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.26 (s, 9H), 1.49 (quintet, 2H, $J = 7.5$ Hz), 1.64 (quintet, 2H, $J = 7.4$ Hz), 2.38 (t, 2H, $J = 7.2$ Hz), 2.40 (s, 3H), 3.04 (q, 2H, $J = 6.5$ Hz), 6.25 (s, 1H), 6.89 (t, 1H, $J = 6.0$ Hz), 7.48 (dd, 1H, $J = 1.8, 8.4$ Hz), 7.71 (d, 1H, $J = 8.4$ Hz), 7.77 (d, 1H, $J = 1.8$ Hz), 10.33 (s, 1H).

I a - 2 2 9

mp 174-175 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.21 (d, 6H, $J = 6.6$ Hz), 1.42-1.56 (m, 2H), 1.56-1.70 (m, 2H), 2.33-2.42 (m, 2H), 2.40 (s, 3H), 2.90-3.02 (m, 2H), 3.14 (septet, 1H, $J = 6.5$ Hz), 6.26 (s, 1H), 6.99 (brs, 1H), 7.48 (d, 1H, $J = 8.4$ Hz), 7.71 (d, 1H, $J = 8.7$ Hz), 7.77 (s, 1H), 10.33 (s, 1H).

I a - 2 3 0

mp 194-195 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 0.86 (d, 6H, $J = 6.9$ Hz), 1.25-1.65 (m, 4H), 1.27 (s, 9H), 1.81-2.05 (m, 5H), 2.23-2.35 (m, 1H), 2.99-3.15 (m, 1H), 3.36 (d, 2H, $J = 7.2$ Hz), 6.80 (d, 1H, $J = 8.4$ Hz), 7.80 (d, 1H, $J = 8.4$ Hz), 7.87 (d, 1H, $J = 8.4$ Hz), 8.19 (s, 1H), 10.44 (s, 1H).

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (45)

I a - 2 3 1

mp 221-222 °C

¹H-NMR (DMSO) δ ppm: 0.86 (d, 6H, J = 6.9 Hz), 1.22-1.40 (m, 2H), 1.23 (d, 6H, J = 6.9 Hz), 1.40-1.58 (m, 2H), 1.82-2.04 (m, 5H), 2.22-2.37 (m, 1H), 3.00-3.16 (m, 1H), 3.15 (septet, 1H, J = 6.6 Hz), 3.36 (d, 2H, J = 7.5 Hz), 6.99 (d, 1H, J = 7.5 Hz), 7.80 (d, 1H, J = 8.4 Hz), 7.86 (d, 1H, J = 8.4 Hz), 8.19 (s, 1H), 10.45 (s, 1H).

I a - 2 3 2

mp 196-197 °C

¹H-NMR (CDCl₃) δ ppm: 0.93 (d, 6H, J = 6.6 Hz), 1.42 (s, 1H), 1.60-1.70 (m, 2H), 1.88 (quintet, 2H, J = 7.4 Hz), 2.02-2.20 (m, 1H), 2.46 (t, 2H, J = 7.7 Hz), 3.29 (q, 2H, J = 6.1 Hz), 3.48 (d, 2H, J = 7.8 Hz), 4.26 (t, 1H, J = 6.0 Hz), 7.76 (d, 1H, J = 8.1 Hz), 7.90 (dd, 1H, J = 1.8, 8.1 Hz), 8.07 (d, 1H, J = 1.5 Hz), 8.39 (s, 1H).

I a - 2 3 3

m.p. 151-152 °C

¹H-NMR (CDCl₃) δ ppm: 0.93 (d, 6H, J = 6.6 Hz), 1.40 (d, 6H, J = 6.6 Hz), 1.62-1.69 (m, 2H), 1.88 (quintet, 2H, J = 7.3 Hz), 2.03-2.16 (m, 1H), 2.47 (t, 2H, J = 7.5 Hz), 3.21 (septet, 1H, J = 6.8 Hz), 3.23 (q, 2H, J = 6.3 Hz), 3.48 (d, 2H, J = 7.5 Hz), 4.43 (t, 1H, J = 6.0 Hz), 7.76 (d, 1H, J = 8.4 Hz), 7.91 (dd, 1H, J = 1.8, 8.4 Hz), 8.06 (d, 1H, J = 1.8 Hz), 8.36 (s, 1H).

I a - 2 3 4

mp 219-220 °C

¹H-NMR (DMSO-d₆) δ ppm: 1.28 (s, 9H), 1.30-1.50 (m, 2H), 1.74-1.88 (m, 2H), 2.83 (t, 2H, J = 11.1 Hz), 3.20-3.32 (m, 1H), 3.94-4.07 (m, 2H), 5.94 (s, 2H), 6.77 (d, 1H, J = 8.8 Hz), 6.82 (dd, 1H, J = 1.8, 8.7 Hz), 6.89 (d, 1H, J = 8.7 Hz), 7.11

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五、發明說明 (續)

(d, 1H, $J = 1.8$ Hz), 8.38 (s, 1H).

I a - 2 3 5

mp 280-282 °C

$^1\text{H-NMR}$ (DMSO- d_6) δ ppm: 1.27 (s, 9H), 1.26-1.57 (m, 4H), 1.86-2.03 (m, 4H), 2.38-2.50 (m, 1H), 3.00-3.14 (m, 1H), 6.81 (d, 1H, $J = 8.4$ Hz), 7.29 (t, 1H, $J = 8.4$ Hz), 7.43 (t, 1H, $J = 7.5$ Hz), 7.73 (d, 1H, $J = 8.4$ Hz), 7.96 (d, 1H, $J = 7.5$ Hz), 12.27 (s, 1H).

I a - 2 3 7

mp 204-205 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.23 (d, 6H, $J = 6.6$ Hz), 1.29-1.61 (m, 4H), 1.75-1.98 (m, 4H), 2.78-2.92 (m, 2H), 3.15 (m, 1H), 3.29 (m, 1H), 3.38-3.51 (m, 2H), 3.78-3.89 (m, 2H), 3.94-4.06 (m, 2H), 4.44 (m, 1H), 6.85 (d, 2H, $J = 9.0$ Hz), 7.10 (d, 1H, $J = 7.8$ Hz), 7.31 (d, 2H, $J = 9.3$ Hz), 8.34 (brs, 1H).

I a - 2 3 8

mp 128-130 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.26 (s, 9H), 1.41-1.53 (m, 2H), 1.55-1.68 (m, 2H), 2.44 (t, 2H, $J = 7.2$ Hz), 2.98-3.07 (m, 2H), 6.90 (t, 1H, $J = 6.0$ Hz), 8.16 (d-d, 1H, $J = 2.1$ Hz, 8.7 Hz), 8.29 (d, 1H, $J = 8.7$ Hz), 8.70 (m, 1H), 10.91 (brs, 1H).

I a - 2 3 9

mp 256-258 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.26-1.53 (m, 4H), 1.26 (s, 9H), 1.76-2.00 (m, 4H), 2.23 (s, 3H), 2.39 (m, 1H), 3.04 (m, 1H), 6.80 (d, 1H, $J = 8.7$ Hz), 7.57 (d-d, 1H, $J = 2.4$ Hz, 8.4 Hz), 7.97 (d, 1H, $J = 8.4$ Hz), 8.12 (m, 1H), 10.26 (brs, 1H).

I a - 2 4 0

mp 288-290 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.26-1.53 (m, 4H), 1.27 (s, 9H), 1.78-1.90 (m, 4H),

五、發明說明 (247)

2.40 (m, 1H), 3.04 (m, 1H), 6.81 (d, 1H, $J = 8.7$ Hz), 7.07 (m, 1H), 7.75 (m, 1H),
8.07 (d, 1H, $J = 8.4$ Hz), 8.29 (m, 1H), 10.36 (brs, 1H).

I a - 2 4 1

mp 249-250 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.28 (s, 9H), 1.34-1.50 (m, 2H), 1.79-1.90 (m, 2H),
2.74-2.98 (m, 2H), 3.32 (m, 1H), 4.02-4.14 (m, 2H), 6.91 (d, 1H, $J = 8.4$ Hz), 7.94
(d, 1H, $J = 9.0$ Hz), 8.04 (d-d, 1H, $J = 2.1$ Hz, 9.0 Hz), 8.60 (s, 1H), 9.76 (brs, 1H).

I a - 2 4 2

mp 250-252 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.24 (s, 9H), 1.27 (s, 9H), 1.24-1.54 (m, 4H), 1.76-
1.88 (m, 2H), 1.90-2.01 (m, 2H), 2.21 (m, 1H), 3.05 (m, 1H), 6.79 (d, 1H, $J = 8.7$
Hz), 6.88 (d, 2H, $J = 9.0$ Hz), 7.48 (d, 2H, $J = 9.0$ Hz), 9.72 (brs, 1H).

136-0290

mp 250-252 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.15 (d, 6H, $J = 6.6$ Hz), 1.28 (s, 9H), 1.35-1.52 (m,
2H), 1.78-1.92 (m, 2H), 2.20 (s, 3H), 2.81-2.96 (m, 2H), 3.33 (m, 1H), 3.96-4.16
(m, 3H), 6.92 (d, 1H, $J = 8.7$ Hz), 7.27 (d, 1H, $J = 8.1$ Hz), 7.60 (m, 1H), 7.66 (m,
1H), 8.06 (d, 1H, $J = 7.8$ Hz), 8.14 (brs, 1H).

I a - 2 4 4

mp 211-213 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.29 (s, 9H), 1.35-1.52 (m, 2H), 1.81-1.93 (m, 2H),
2.83-2.97 (m, 2H), 3.32 (m, 1H), 4.03-4.14 (m, 2H), 6.93 (d, 1H, $J = 8.7$ Hz), 7.55
(d-d, 1H, $J = 2.1$ Hz, 9.0 Hz), 7.94 (d, 1H, $J = 9.0$ Hz), 8.29 (d, 1H, $J = 1.8$ Hz),
8.78 (brs, 1H), 9.19 (s, 1H).

I a - 2 4 5

mp 196-197 °C

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五、發明說明 (248)

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.6 (m, 6H), 1.8-2.0 (m, 6H), 2.23 (m, 1H), 3.05 (m, 1H), 3.73 (m, 4h), 4.99 (s, 1H), 6.79 (d, 1H, $J=8.7$), 7.13 (d, 1H, $J=6.8$), 7.22 (t, 1H, $J=6.8$), 7.49 (d, 1H, $J=6.8$), 7.72 (s, 1H), 9.78 (s, 1H).

I a - 2 4 6

mp 242-244 °C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.65 (m, 4H), 1.8-2.0 (m, 4H), 2.23 (m, 1H), 2.71 (m, 1H), 3.06 (m, 1H), 3.43 (m, 2H), 3.93 (m, 2H), 6.79 (d, 1H, $J=8.7$), 6.91 (d, 1H, $J=8.7$), 7.20 (t, 1H, $J=7.5$), 7.40 (d, 1H, $J=7.5$), 7.53 (s, 1H), 9.76 (s, 1H).

I a - 2 4 7

mp 242-245°C

$^1\text{H-NMR}$ (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.6 (m, 6H), 1.8-2.0 (m, 6H), 2.23 (m, 1H), 3.05 (m, 1H), 3.74 (m, 4H), 4.94 (brs, 1H), 6.79 (d, 1h, $J=8.7$), 7.38 (d, 1H, $J=8.7$), 7.52 (d, 1H, $J=8.7$), 9.76 (s, 1H).

I a - 2 4 8

mp 272-274 °C

$^1\text{H-NMR}$ (CDCl_3) δ ppm: 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.62 (m, 4H), 1.8-2.0 (m, 4H), 2.22 (m, 1H), 2.68 (m, 1H), 3.05 (m, 1H), 3.41 (m, 2H), 3.92 (m, 2H), 6.79 (d, 1H, $J=9.0$), 7.15 (d, 2H, $J=8.7$), 7.50 (d, 2H, $J=8.7$), 9.73(s, 1H).

I a - 2 4 9 mp 174-176 °C

I a - 2 5 0 mp 255-257 °C

I a - 2 5 2 mp 249-251 °C

I a - 2 5 3 mp 120-121 °C

I a - 2 5 4 mp 236-237 °C

I a - 2 5 5 mp 172-174 °C

I a - 2 5 6 mp 257-259 °C

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (249)

Ia-257 mp 179-180°C

Ia-258 mp 227-229°C

Ia-259 mp 135-136°C

試驗例 1 對於 NPYY5 受體之親和性

將編碼人類 NPYY5 受體之 cDNA 序列(參照 W096/16542 號)克隆至表現載體 pME18S(Takebe 等人.Mol.Cell.Biol. 8, 8957)。將所得之表現載體使用 Lipofect AMINE 試藥(商標、Gibco BRL 公司)，並依據使用說明書轉移感染至 CHO 細胞，取得 NPYY5 受體安定表現細胞。

將表現 NPYY5 受體之 CHO 細胞所調製之膜樣品，於本發明之化合物及 30,000cpm 之 [^{125} I] 胜肽 YY(終濃度 60pM : Amersham 公司製)及分析緩衝液(含有 0.1% 牛血清白蛋白之 20mM HEPES-Hanks 緩衝液、pH7.4)中，25°C 培養 2 小時後，以 1% 聚乙亞胺處理之玻璃濾器 GF/C 予以過濾。以 50mM Tris-HCl 緩衝液、pH7.4 洗淨後，以 γ 計數器求出玻璃濾紙上之放射活性。非專一性結合為於 200 nM 胜肽 YY 存在下測定，求出相對於專一性胜肽 YY 鍵之受試化合物之 50% 抑制濃度(IC₅₀ 值)[參照 Inui, A. 等人。Endocrinology 131, 2090-2096(1992)]。結果示於表 1 及表 2。

本發明化合物對於 NPYY5 受體為抑制胜肽 YY(與 NPY 同族物質)之結合。即，本化合物為對於 NPYY5 受體顯示親和性。

試驗例 2 於 CHO 細胞中之 CAMP 生成抑制作用

五、發明說明 (>50)

令表現人類 NPY_{Y5} 受體之 CHO 細胞，於 2.5mM 異丁基甲基黃嘌呤 (SIGMA 公司) 存在下 37℃、培養 20 分鐘後，添加本發明化合物並培養 5 分鐘，其後加入 50nM NPY 及 10 μ M 福斯高林 (Forskolin) (Sigma 公司) 並培養 30 分鐘。添加 1N HCl 令反應停止後，使用 EIA 套件 (Amersham LIFE SCIENCE 公司製) 測定上清液中之 cAMP 量。令福斯高林刺激造成 NPY 對於 cAMP 生成之抑制作用視為 100%，求出本發明化合物對於此 NPY 作用之 50% 抑制濃度 (IC₅₀ 值)。結果示於表 1~表 4。

表 1

化合物	結合 IC ₅₀ (nM)	cAMP IC ₅₀ (nM)
I-2	7.5	72
I-7	3	<10
I-11	1.3	5
I-18	4.4	29
I-20	7	21
I-22	8.6	51
I-24	9.6	71
I-25	0.6	2.6
I-41	5.3	38.2
I-44	1.0	13.4
I-45	1.2	27.9
I-46	0.8	10.5
I-47	0.6	14.9
I-49	0.4	8.1
I-50	0.3	8.4
I-53	4.1	21
I-55	9.0	40
I-57	4.8	47
I-59	0.8	35
I-60	0.69	18
I-61	0.26	5.3

I-62	0.58	16
I-63	1.3	50
I-64	2.2	80
I-65	1.8	72
I-66	1.5	30
I-67	2	17
I-69	3.8	13
I-72	2.3	2.1
I-75	0.55	3.4
I-76	0.61	5.5
I-77	1.8	28
I-79	0.59	25
I-83	0.61	29
I-84	1.3	25
I-86	3.4	100
I-87	0.66	21
I-90	2.8	50
I-92	7	61
I-101	3.9	38
I-102	1.7	14
I-106	6.4	29

五、發明說明 (51)

表 2

I-109	1.2	3.2
I-110	4.3	13.6
I-111	1.8	6.1
I-114	7	30
I-116	1.2	11
I-120	1.4	4.8
I-123	1.8	168
I-126	0.6	13.2
I-127	1.4	30.4
I-128	1.3	10.2
I-129	2.1	174
I-130	1.1	42.5
I-131	1.1	34.8
I-132	2.2	30.4
I-133	0.9	21.1
I-134	0.5	10.0
I-135	0.7	22.0
I-136	2.8	-
I-137	1.4	68.2
I-138	1.0	18.6
I-139	0.41	7.6
I-140	0.48	8.9
I-141	0.42	7.4
I-142	0.49	28
I-143	3.5	44
I-144	3.4	52
I-146	2.3	20
I-147	7.1	63
I-149	0.83	15
I-150	0.17	5.2
I-151	0.17	2.6
I-152	0.88	46
I-153	1.7	29
I-154	1.1	11
I-156	0.81	17
I-160	0.61	8.8
I-161	0.49	3.1
I-162	1.7	32

I-163	2.3	83
I-164	0.71	5.9
I-165	0.44	47
I-166	0.37	9.7
I-167	0.72	39
I-168	2.1	32
I-171	2.4	71
I-172	0.91	36
I-187	0.58	13
I-191	1.1	11
I-196	1.4	6.8
I-197	6.7	38
I-198	7.2	33
I-199	4.8	31
I-202	6.7	67
I-204	1.0	6.3
I-205	2.9	17
I-206	5.9	54
I-207	4.6	23
I-210	1.1	13
I-212	0.67	7.5
I-213	0.44	4.0
Ia-1	4.8	31
Ia-3	9.2	150
Ia-4	1.4	15
Ia-5	1.6	43
Ia-6	2.4	23
Ia-8	2.9	34
Ia-9	0.94	11
Ia-10	0.47	2.7
Ia-11	0.64	7.2
Ia-12	0.94	5.5
Ia-13	1.5	3.3
Ia-14	4.8	28
Ia-16	0.1	-
Ia-17	0.1	1.9
Ia-20	4.9	100

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (252)

表3

Ia-21	3.4	35
Ia-22	3.1	38
Ia-24	5.2	74
Ia-25	1.1	18
Ia-26	1.9	27
Ia-28	5.2	130
Ia-29	1	7.3
Ia-30	2.6	25
Ia-31	3.8	11
Ia-32	0.52	6.7
Ia-33	1.8	64
Ia-35	1.8	-
Ia-36	1.6	86
Ia-37	0.73	3.8
Ia-38	1	2.2
Ia-39	1.5	3.5
Ia-40	2.2	9.3
Ia-41	2.5	9
Ia-42	3.6	20
Ia-44	4.8	27
Ia-45	4.8	42
Ia-46	0.87	8.3
Ia-47	0.82	3.8
Ia-48	1.2	6.1
Ia-49	2.6	83
Ia-50	1.7	24
Ia-51	1.3	3.4
Ia-52	1.9	22
Ia-53	0.22	8.1
Ia-54	0.44	9
Ia-55	1.1	27
Ia-56	2.3	96
Ia-57	0.93	31
Ia-58	2.5	110
Ia-59	0.71	16
Ia-60	0.95	10
Ia-61	0.68	19
Ia-62	1.1	29
Ia-63	3.9	370
Ia-64	7.1	96

Ia-65	1.1	11
Ia-66	0.59	3.2
Ia-67	6.3	75
Ia-68	9.5	180
Ia-69	2.7	33
Ia-70	1.5	31
Ia-71	1.3	12
Ia-76	2.2	-
Ia-78	2	150
Ia-79	0.82	-
Ia-80	0.44	3.0
Ia-81	2.7	4.5
Ia-83	1.2	53
Ia-84	0.25	13
Ia-85	0.22	14
Ia-86	0.73	11
Ia-87	0.49	61
Ia-88	0.62	48
Ia-91	4	150
Ia-106	1.9	24
Ia-107	0.14	1.3
Ia-109	0.6	3.9
Ia-110	0.3	1.1
Ia-111	5.1	28
Ia-124	1.1	22
Ia-125	4.1	46
Ia-126	2.3	58
Ia-127	6.1	160
Ia-129	1.3	26
Ia-130	0.21	3
Ia-131	1.3	17
Ia-132	2.8	76
Ia-133	1.7	8.8
Ia-135	8.2	49
Ia-136	1.6	13
Ia-138	2.2	28
Ia-139	1.9	25
Ia-140	1	24
Ia-141	1	5.7
Ia-142	0.67	5.5

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(253)

Ia-143	7.8	39
Ia-144	6.1	57
Ia-145	7	86
Ia-146	9.9	79
Ia-158	0.71	1.7
Ia-160	0.76	140
Ia-161	1.9	18
Ia-163	7	400
Ia-164	0.38	4.7
Ia-168	0.95	13
Ia-169	1.9	88
Ia-173	6.9	140
Ia-174	0.35	5.4
Ia-175	0.49	9.2
Ia-176	0.63	5.1
Ia-177	0.49	7.5
Ia-178	4.6	16
Ia-179	0.89	19
Ia-180	1.9	11
Ia-181	7.7	25
Ia-182	0.24	2.1
Ia-183	1.9	7.8
Ia-184	0.38	-
Ia-185	0.94	4.4
Ia-186	0.93	12
Ia-187	1.9	60
Ia-188	0.75	28
Ia-189	3.5	95
Ia-190	0.34	1000
Ia-191	0.49	220
Ia-192	5.9	200
Ia-193	1.4	43
Ia-194	0.22	8.1
Ia-195	1.4	31
Ia-196	0.39	1.3
Ia-197	0.44	2.5
Ia-198	0.23	2.6
Ia-199	0.11	1.6
Ia-200	1.4	18
Ia-201	3.1	74

Ia-202	0.37	3.4
Ia-203	0.2	2.6
Ia-204	1	6.3
Ia-205	2.4	99
Ia-206	1.9	460
Ia-207	0.55	5.9
Ia-208	1.2	9.7
Ia-209	0.55	-
Ia-210	2.8	99
Ia-211	4.8	240
Ia-212	0.52	2.6
Ia-213	0.91	28
Ia-219	2.5	28
Ia-221	0.47	1.5
Ia-222	3.7	18
Ia-224	0.1	1.2
Ia-225	3.4	20
Ia-226	0.37	21
Ia-227	0.59	-
Ia-228	0.96	-
Ia-229	1.9	-
Ia-230	0.32	-
Ia-231	0.29	-
Ia-232	0.7	-
Ia-233	0.63	-
Ia-235	5.5	-
Ia-237	1.1	15
Ia-241	1.9	-
Ia-243	1.3	-
Ia-246	0.26	20
Ia-247	0.79	31
Ia-248	0.27	17
Ia-250	1.9	-
Ia-252	1.2	-
Ia-253	0.53	-
Ia-254	2.0	-
Ia-255	3.2	-
Ia-256	5.7	-
Ia-257	8.6	-
Ia-258	1.8	-

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明 (254)

由表 1～表 4 可知，本發明化合物具有 NPYY5 受體拮抗作用。

試驗例 3

使用 Y1 表現細胞(人類神經胚細胞瘤 human neuroblastoma, SK-N-MC)膜樣品及 Y2 表現細胞(人類神經胚細胞瘤, SMS-KAN)膜樣品並以試驗例 1 同樣之方法進行試驗，測定 NPY Y1 受體及 NPY Y2 之親和性。

I-27、I-32、I-41、I-45、I-46、I-47、I-48、I-49、I-59、I-61、I-63、I-64、I-66、I-69、I-72、I-152、I-154、I-204、I-205、I-212、Ia-3、Ia-5、Ia-6、Ia-12、Ia-16、Ia-17、Ia-20、Ia-21、Ia-22、Ia-26、Ia-28、Ia-29、Ia-30、Ia-31、Ia-32、Ia-33、Ia-37、Ia-39、Ia-40、Ia-50、Ia-51、Ia-54、Ia-62、Ia-67、Ia-124、Ia-126、Ia-139、Ia-140、Ia-142、Ia-178、Ia-199 及 Ia-200 對於 NPYY1 及 Y2 受體之結合 IC50 值為 100,000nM 以上，均具有 NPYY5 受體選擇性。

製劑例 1 錠劑

化合物 (I-1)	15 毫克
澱粉	15 毫克
乳糖	15 毫克
結晶性纖維素	19 毫克
聚乙烯醇	3 毫克
蒸餾水	30 毫克
硬脂酸鈣	3 毫克

將硬脂酸鈣以外之成分均勻混合，弄碎造粒並乾燥，作成適當大小之顆粒劑，其次添加硬脂酸鈣並且壓

(請先閱讀背面之注意事項再填寫本頁)

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五、發明說明(55)

縮成型爲錠劑。

製劑例 2 膠囊劑

將化合物(I-2) 10 毫克

硬脂酸鎂 10 毫克

乳糖 80 毫克

均勻混合作成粉末或細粒狀，作成散劑，將其充填至膠囊容器，則可作成膠囊劑。

製劑例 3 顆粒劑

將化合物(I-3) 30 毫克

乳糖 265 毫克

硬脂酸鎂 5 毫克

充分混合，壓縮成型後，弄碎、整粒，並篩別成爲適當大小之顆粒劑。

產業上之可利用性

如以上之試驗例所闡明般，本發明化合物爲顯示 NPYY5 受體拮抗作用。因此，本發明化合物爲非常有用做爲抗肥胖藥及攝食抑制劑。

(請先閱讀背面之注意事項再填寫本頁)

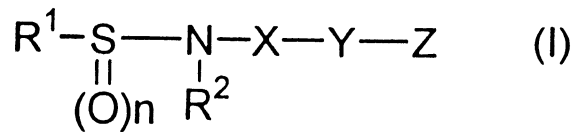
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四、中文發明摘要 (發明之名稱：

NPYY5 拮抗劑

提供含有式 (I)：

[式中，R¹ 為低烷基或環烷基等，R² 為氫或低烷基等，

n 為 1 或 2，

X 為低伸烷基、低伸烯基、伸芳基或環伸烷基等，

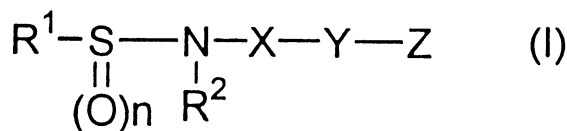
Y 為 CONR⁷、CSNR⁷、NR⁷CO 或 NR⁷CS 等，Z 為低烷基、亦可具有取代基之烴類環式基或亦可具有取代基之雜環基等，R⁷ 為氫或低烷基]

所示之化合物、其前體藥物，其製藥上所容許鹽或其溶劑化物之 NPYY5 受體拮抗劑。

英文發明摘要 (發明之名稱：

NPYY5 antagonist

NPYY5 receptor antagonists comprise compound of formula (I):

[wherein, R¹ is lower alkyl group or cycloalkyl group and the like, R² is hydrogen or lower alkyl group and the like,

n is 1 or 2

X is lower alkylene group, lower alkenylene group, arylene group or cycloalkylene group and the like,

Y is CONR⁷, CSNR⁷, NR⁷CO or NR⁷CS and the like,

Z is lower alkyl group, hydrocarbon cyclic group which maybe have substituents or heterocyclic group which maybe have substituents and the like,

R⁷ is hydrogen or lower alkyl group]、prodrug thereof or pharmaceutically acceptable salt thereof or its solvate are provided.

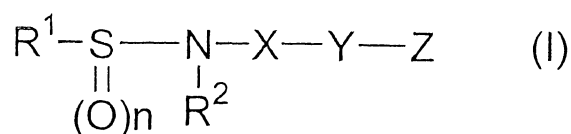
六、申請專利範圍

第 89124916 號「NPYY5 拮抗劑」專利案

(93 年 9 月 21 日修正本)

六 申請專利範圍：

1. 一種含有式(I)所示化合物或其製藥上所容許鹽之 NPYY5 受體拮抗劑，



[式中， R^1 為可經 1-3 個鹵素取代之 C_1 - C_8 烷基，可經 1 個 C_4 - C_7 環烷基取代之 C_4 - C_7 環烷基，可經 1 個苯氧基取代之苯基或可經 1 個二（ C_1 - C_4 烷基）胺基取代之萘基； R^2 為氫；或 R^1 及 R^2 亦可共同形成 C_1 - C_4 伸烷基，

n 為 1 或 2，

X 為 C_1 - C_6 伸烷基、 $-\text{CO}-\text{C}_1$ - C_6 伸烷基、 C_2 - C_6 伸烯基、或 $-(\text{CR}^3\text{R}^4)_p-\text{A}-(\text{CR}^5\text{R}^6)_q-$ （式中， R^3 與 R^4 ， R^5 及 R^6 分別獨立為氫， p 及 q 為 0 或 1， $-\text{A}-$ 為 C_3 - C_8 環烷基、雙環烷基、苯基、呋喃基、噻吩基、吡啶基（可經 1 個鹵素取代）、嘧啶基（可經 1 個 C_1 - C_6 烷基或 CF_3 取代）、或哌啶基；

或 $-\text{NR}^2-\text{X}-$ 為哌啶基或哌啶基甲基

；

Y 為 OCONH 、 CONR^7 、 CSNH 、或 NHCO ；

R^7 為氫或 C_1 - C_4 烷基，

六、申請專利範圍

Z 為

(A) 可有 1 個取代基之 $C_1 \sim C_8$ 烷基，取代基為

i) 羧基、ii) $C_1 \sim C_6$ 烷氧羰基 iii) 苯基（可經 1-3 個 $C_1 \sim C_4$ 烷基、 CF_3 、 $C_1 \sim C_6$ 烷氧基、二($C_1 \sim C_4$ 烷基)胺基、胺磺醯基或噻二唑基取代）、iv) 苄醯氧基、v) 萘基、vi) $C_3 \sim C_6$ 環烷基（可經 1 個鹵苯基取代）、vii) 胺基（可經苯基及/或 $C_1 \sim C_4$ 烷基取代）、viii) $C_1 \sim C_4$ 烷硫基（可經 1 個苯基（可經 2 個鹵素取代）或喹啉基（可經 1 個鹵素或 CF_3 取代）取代）、ix) 嗎啉基、x) 吡啶基、xi) 可經苯基取代之 $C_1 \sim C_4$ 烷氧基、xii) 可經 CF_3 取代之喹啉硫基、xiii) 喹啉基、xiv) 異喹啉基；

(B) 可經 $C_3 \sim C_6$ 環烷基取代之 $C_3 \sim C_6$ 環烷基；

(C) 可有 1 個取代基之胺基，其取代基為

i) 苯基（可經 1 個 $C_1 \sim C_6$ 烷氧基或嗎啉基（可經 1-2 個 $C_1 \sim C_4$ 烷基取代）取代）、
 ii) 噻唑基（可經 1 個 $C_1 \sim C_4$ 烷氧基羰基- $C_1 \sim C_4$ 烷基取代）、
 iii) 四氫苯并噻吩基羰基（可經羥基、羰基或 $C_1 \sim C_4$ 烷硫基取代）
 iv) 噻二唑基（可經 1 個 $C_1 \sim C_4$ 烷基取代）、
 v) 噻二唑基羰基（可經 $C_1 \sim C_4$ 烷基取代）、或
 vi) 苄醯基（可經 1 個 $C_1 \sim C_4$ 烷氧基取代）；

(D) 可有 1 至 5 個取代基之苯基，其取代基為

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i) 鹵素、ii) 羥基、iii) $C_1 \sim C_8$ 烷基 (可經 1-2 個羧基、羥基、 $C_1 \sim C_4$ 烷氧基羰基、氰基、苯基及/或吡啶基取代)、iv) $C_2 \sim C_6$ 烯基 (可經 1 個 $C_1 \sim C_6$ 烷氧基羰基取代)、v) $C_1 \sim C_6$ 烷氧基 (可經 1-4 個鹵素、 $C_1 \sim C_4$ 烷氧基、羧基、 $C_1 \sim C_4$ 烷氧基羰基、二($C_1 \sim C_4$ 烷基)胺基、氰基、 $C_3 \sim C_6$ 環烷基或四氫吡喃基取代)、vi) $C_1 \sim C_4$ 烷硫基、vii) 硝基、viii) 氰基、ix) CF_3 、x) $CONR^8R^9$ (R^8 與 R^9 各自為 H、可經 $C_1 \sim C_6$ 烷基或 $C_3 \sim C_6$ 環烷基取代)、xi) COR^{10} (R^{10} 為 $C_1 \sim C_4$ 烷基、苯基、或可經 2 個 $C_1 \sim C_4$ 烷基取代之嗎啉基、xii) SOR^{12} (R^{12} 為 $C_1 \sim C_4$ 烷基)、xiii) $SO_2NR^{13}R^{14}$ (R^{13} 與 R^{14} 各自為 H、 $C_1 \sim C_6$ 烷基或 $C_3 \sim C_6$ 環烷基，或 R^{13} 與 R^{14} 可與其鄰接之 N 共形成吡啶基或可經 2 個 $C_1 \sim C_4$ 烷基取代之嗎啉基)、xiv) $C_3 \sim C_6$ 環烷基、xv) $O-R^{15}$ (R^{15} 為 $C_3 \sim C_6$ 環烷基、苯基、四氫呋喃基、四氫吡喃基或六氫吡啶基)、xvi) $NR^{16}R^{17}$ (R^{16} 與 R^{17} 各自為 H、 $C_1 \sim C_6$ 烷基 (可經 1 個羥基、 $C_1 \sim C_4$ 烷氧基或 OTBS 取代)、苯基、 $C_1 \sim C_4$ 烷基羰基、 $C_1 \sim C_4$ 烷基磺醯基、苯基磺醯基、或 $C_3 \sim C_6$ 環烷基羰基)、xvii) 苯基 (可經 1 個苯基、氰基、吡啶基或六氫吡啶基取代)、xviii) 嗎啉基 (可經 1-2 個 $C_1 \sim C_6$ 烷基取代)、xix) 吡啶基 (可經 1-2 個羥基、鹵苯基、吡啶基、 $C_1 \sim C_4$ 烷氧基羰基、 $C_1 \sim C_4$ 烷基及/或氧基取代)、xx) 吡咯啶基 (可經 1 個羥基、OTBS 基、胺甲醯基、

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(C_1 - C_4 烷基)₃ 矽氧基、氧基、胺基或 C_1 - C_6 烷氧基羰基胺基取代)、xxi) 哌啶基 (可經 1 個 C_1 - C_4 烷基、環己基、嘧啶基、苯基 (可經 2 個 C_1 - C_4 烷氧基取代) 或 C_1 - C_4 鹽基取代)、xxii) 吡咯啉基、xxiii) 二氫吡啶基、xxiv) 吡咯基、xxv) 咪唑基 (可經 2 個鹵素取代)、xxvi) 噻吩基、xxvii) 呋喃基、xxviii) 噻二唑基、xxix) 吡唑基 (可經 2 個 C_1 - C_4 烷基或鹵素取代)、xxx) 噁唑基、xxxi) 哌啶基 (可經 1 個羥基、氧基取代)、xxxii) 四唑基 (可經 1 個 C_1 - C_4 烷基或苯基取代)、xxxiii) 吡啶基、xxxiv) 異吡啶基 (可經 1-3 個氧基、鹵素及/或 C_1 - C_4 烷基取代)、xxxv) 苯偶氮基 (可經 C_1 - C_4 烷基取代)、xxxvi) 吡啶基、xxxvii) 異噁唑基、xxxviii) 可經 1-2 個氧基取代之硫嗎啉基、xxxix) 可經 C_1 - C_4 烷基取代之苯并噻唑基、xxxx) 可經 1-2 個氧基取代之四氫異噻唑基、xxxxi) 可經羥基取代之四氫哌喃基、xxxxii) C_1 - C_4 伸烷二氧基、xxxxiii) 吡啶基、xxxxiv) 嘧啶基 (可經 CF_3 取代)、或 xxxv) 四氫噻啉基；

(E) 可有 1-3 個取代基之雜環基，其中取代基為鹵素、 $C_1 \sim C_6$ 烷基、 CF_3 、氧基、氫硫基、氰基、可經 1-3 個鹵素取代之 C_1 - C_4 烷氧基、 C_1 - C_4 烷氧羰基、 C_1 - C_4 烷氧羰基烷基、 C_1 - C_4 鹽基、苯基 (可經 1 個鹵素或 CF_3 取代)、苯氧基 (可經 2 個鹵素取代)、苯硫基、呋喃基、萘基、嗎啉基 (可經 1-2 個

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C₁-C₄ 烷基取代) 、及 / 或 羥亞胺基 ;

雜環為噁唑基、噁吩基、噁二唑基、吡唑基、吡啶基、嘧啶基、吡嗪基、三吡嗪基、苯并呋喃基、吲唑基、吲哚基、異吲哚基、喹啉基、苯并噁唑基、苯并噁二唑基、苯并噁吩基、苯并呋喃基、苯并噁唑基、吡嗪基、吡啶基、二苯并呋喃基或吡啶基) ;

(F) 可經羥亞胺基或氧基取代之二氫茛基 ;

(G) 可經氧基取代之萸基 ;

(H) 四氫萸基 ;

(I) 蔥基 ;

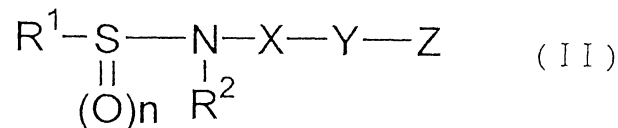
(J) 可經 C₁-C₄ 烷氧基苯基取代之 C₁-C₄ 烷氧基] 。

2. 如申請專利範圍第 1 項之 NPYY5 受體拮抗劑，其中 R² 為氫，Z 為可具有取代基之 C₁-C₈ 烷基，可具有取代基之苯基或二氫茛基，可具有取代基之雜環基或亦可具有取代基之胺基(上述各取代基同申請專利範圍第 1 項之定義)，但，Z 為可具有取代基之胺基時，R¹ 為可經鹵素取代之 C₃-C₈ 烷基。

3. 如申請專利範圍第 1 項之 NPYY5 受體拮抗劑，其中 R¹ 為可經 1-3 個鹵素取代之 C₁-C₈ 烷基或 C₄-C₇ 環烷基，X 為 C₁-C₆ 伸烷基或 C₂-C₆ 伸烯基，Z 為可具有取代基之 C₁-C₆ 烷基、可具有取代基之苯基、二氫茛基，或亦可具有取代基之雜環基(上述各取代基同申請專利範圍第 1 項之定義)。

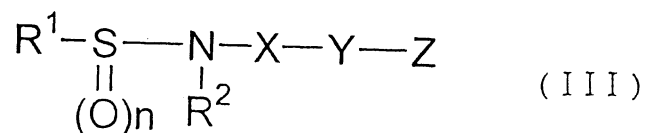
六、申請專利範圍

- 4.如申請專利範圍第 1 項至第 3 項中任一項之 NPYY5 受體拮抗劑，其中 R^1 為可經鹵素取代之 C_3 - C_8 烷基。
- 5.如申請專利範圍第 1 項至第 3 項中任一項之 NPYY5 受體拮抗劑，其為抗肥胖藥。
- 6.如申請專利範圍第 1 項至第 3 項中任一項之 NPYY5 受體拮抗劑，其為攝食抑制劑。
- 7.一種如式 (II) 所示之化合物或其製藥上所容許鹽，

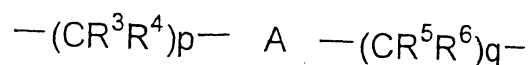


(式中，X 為碳數 2~6 個之伸烷基或碳數 3~6 個之伸烯基， R^1 為可經鹵素取代之碳數 3~8 個烷基或可經 C_5 - C_6 環烷基取代之碳數 5~6 個環烷基，其他符號與申請專利範圍第 1 項同義)。

- 8.如申請專利範圍第 7 項之化合物或其製藥上所容許鹽，其中 Z 為可具有取代基之 C_1 - C_6 烷基或亦可具有取代基之苯基(上述各取代基同申請專利範圍第 1 項之定義)。
- 9.一種如式 (III) 所示之化合物或其製藥上所容許鹽，



(式中，X 為



六、申請專利範圍

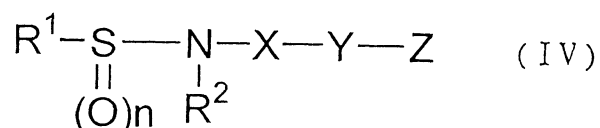
-A- 爲 C_3 - C_8 伸環烷基， C_5 - C_8 伸雙環烷基，或伸哌啶基， R^1 爲可經鹵素取代之碳數 3~8 個烷基或可經 C_5 - C_6 環烷基取代之碳數 5~6 個環烷基，其他之符號爲與申請專利範圍第 1 項同義)。

10. 如申請專利範圍第 9 項之化合物或其製藥上所容許鹽，其中 -A- 爲伸環己基或哌啶基，p 及 q 均爲 0。

11. 如申請專利範圍第 9 或 10 項之化合物或其製藥上所容許鹽，其中 Y 爲 CONH。

12. 如申請專利範圍第 9 項或第 10 項之化合物或其製藥上所容許鹽，其中 Z 爲可具有取代基之 C_1 - C_8 烷基，可具有取代基之苯基，可具有取代基之吡啶基或可具有取代基之苯并哌喃基(上述各取代基同申請專利範圍第 1 項之定義)。

13. 一種如式(IV)所示之化合物或其製藥上所容許鹽，



(式中，X 爲

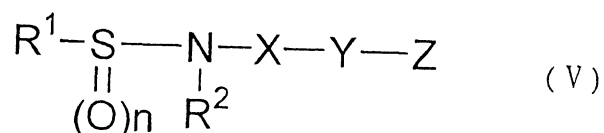


R^1 爲可經鹵素取代之 t-丁基或 碳數 5~6 個之環烷

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基，Z 爲對 -C₁-C₈ 烷基苯基，其他符號爲與申請專利範圍第 1 項同義) (但，R¹ 爲異丙基時，Z 不爲對 -正丁苯基)。

14. 一種如式 (V) 所示之化合物或其製藥上所容許鹽，



(式中，X 爲



-A- 爲吡啶二基、嘧啶二基、噻吩二基或呋喃二基，R¹ 爲可經鹵素取代之碳數 3~8 個烷基或碳數 5~6 個環烷基，其他符號爲與申請專利範圍第 1 項中同義)。

15. 如申請專利範圍第 14 項之化合物或其製藥上所容許鹽，其中 -A- 爲噻吩二基或呋喃二基。

16. 一種 NPYY5 受體拮抗劑用之醫藥組成物，其爲含有如申請專利範圍第 7 項至第 15 項中任一項之化合物或其製藥上所容許鹽。